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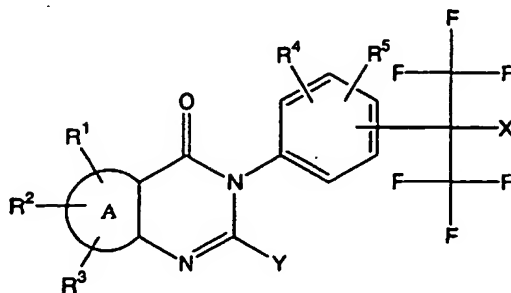
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(54) Title: FUSED-RING PYRIMIDIN-4(3H)-ONE DERIVATIVES, PROCESSES FOR THE PREPARATION AND USES THEREOF



(I)

(57) Abstract: AbstractNovel compounds of the following formula (I) and pharmacologically acceptable salt and esters thereof can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity; wherein: A represents aryl or heteroaryl; R₁, R₂ and R₃ are the same or different and each represents hydrogen, hydroxyl, nitro, cyano, amino, halogen, carboxy, carbamoyl, mercapto, alkyl, haloalkyl, alkylcarbonyloxy, alkoxy, alkylthio, alkylsulfonyl, alkylsulfonyl, alkylamino, dialkylamino, alkylcarbonylamino, N-(alkylcarbonyl)-N-(alkyl)amino, alkoxy carbonylamino, N-(alkoxy carbonyl)-N-(alkyl)amino, alkylsulfonylamino, N-(alkylsulfonyl)-N-(alkyl)amino, haloalkylsulfonylamino, N-(haloalkylsulfonyl)-N-(alkyl)amino, alkylcarbonyl, alkoxy carbonyl, alkylaminocarbonyl or dialkylaminocarbonyl group, or R₁ and R₂ together are alkylenedioxy; R₄ and R₅ are the same or different and each represents hydrogen, hydroxyl, amino, halogen, mercapto, alkyl, haloalkyl, alkoxy, alkoxy carbonyl or alkylthio; X represents hydrogen, hydroxyl, halogen, alkoxy or haloalkoxy; and Y represents an optionally substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl group.

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Specification

Fused-Ring Pyrimidin-4(3H)-one Derivatives, Processes for the Preparation and Uses Thereof

[Technical field of the invention]

The present invention relates to novel fused-ring pyrimidin-4(3H)-one derivatives or pharmacologically acceptable salts or esters thereof that exhibit excellent anti-arteriosclerotic and anti-inflammatory activity. This is due to the ability of said derivatives to improve lipid metabolism disorders and/or through their regulation of the production of inflammatory mediators, both being based on the ability of these derivatives to regulate liver X receptors (LXR).

The present invention further relates to pharmaceutical compositions comprising fused-ring pyrimidin-4(3H)-one derivatives or pharmacologically acceptable salts or esters thereof as an active ingredient, preferably pharmaceutical compositions for the treatment and/or prevention of arteriosclerosis including that derived from the diseases described below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines such as chronic rheumatoid arthritis, osteoarthritis, allergic diseases, asthma, septicaemia, psoriasis and osteoporosis, autoimmune diseases such as systemic lupus erythematosus, ulcerative colitis, and Crohn's disease, cardiovascular diseases such as ischemic heart diseases and cardiac failure, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications such as retinopathy, nephropathy, neuropathy and coronary diseases, obesity, nephritis, hepatitis, cancer and Alzheimer's disease; more preferably pharmaceutical compositions for the treatment and/or prevention of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, and diabetes mellitus; and most preferably pharmaceutical compositions for the treatment and/or prevention of arteriosclerosis.

The present invention further relates to the use of fused-ring pyrimidin-4(3H)-one derivatives or pharmacologically acceptable salts or esters thereof in the preparation of pharmaceutical compositions, preferably pharmaceutical compositions for the treatment and/or prevention of the diseases described above.

The present invention further relates to a method for the treatment and/or prevention of diseases, preferably the diseases described above, which method comprises administering a pharmaceutically effective amount of a fused-ring pyrimidin-4(3H)-one derivative or a pharmacologically acceptable salt or ester thereof to a warm-blooded animal, preferably a human.

The present invention further relates to a process for the preparation of fused-ring pyrimidin-4(3H)-one derivative or a pharmacologically acceptable salt or ester thereof.

[Background of the invention]

Cardiovascular diseases, including heart diseases, cerebrovascular diseases and renal diseases, caused by hypertension, hyperlipidemia and hyperglycemia, for example, are a major social problem in industrialized countries. In Japan, heart diseases, cerebrovascular diseases and renal diseases were second, third, and eighth respectively in the list of causes of death in 1999, and the mortality rates per 100,000 head of population caused by these diseases were 120.4, 110.8, and 14.1, respectively (Vital statistics of Japan 1999, volume 1; Health and Welfare Statistics Association). In the United States, 460,000 and 600,000 people died of coronary heart disease and disease related to coronary heart disease, respectively, in 1998 (American Heart Association, Dallas, Texas: 2000).

In an attempt to treat and prevent these cardiovascular diseases, anti-hypertensive agents, anti-hyperlipidemic agents and anti-diabetic agents have been used for the treatment of hypertension, hyperlipidemia, and hyperglycemia respectively. α - and β -Blockers, diuretics, calcium antagonists, ACE inhibitors, A-II receptor antagonists and so forth have been clinically used as anti-hypertensive agents; HMG-CoA reductase inhibitors, anion exchange resins, probucol, fibrates and so forth have been clinically used for the treatment of hyperlipidemia; and insulin, sulfonylureas, metformin, glitazones, and so forth have been clinically used as anti-diabetic agents. These agents have enabled a degree of regulation of blood pressure and lipid and glucose levels in the blood. Unfortunately, however, the mortality rates due to cardiac diseases, cerebrovascular disorders and renal diseases have not been effectively ameliorated in spite of the use of these medicaments and there is a need for alternative approaches to be explored to try and deal with these diseases more effectively.

A direct risk factor of vascular diseases such as cardiac diseases, cerebrovascular disorders and renal diseases is arteriosclerosis associated with hyperplasia of the arterial walls. This hyperplasia is characterised by the formation of plaques due to accumulation of oxidized LDL-cholesterol (LDL-C) on the artery walls (Ross, R., *Annu. Rev. Physiol.*, 1995, 57, 791-804; Steinberg, D., *J. Biol. Chem.*, 1997, 272, 20963-20966). These plaques inhibit blood flow and promote clot formation. The development of new medicaments to treat and prevent vascular diseases has focused on understanding the biosynthetic pathways of oxidised LDL-C, this knowledge being used to develop agents that modulate the production of oxidised LDL-C.

Recently, it has been demonstrated that an orphan member of the nuclear receptor superfamily, LXR plays an important role in the regulation of lipid metabolism

(Janowski BA, et al., Nature, 1996, 383, 728-731). The LXR has two kinds of isoform, LXR α and LXR β . The highest levels of LXR α in mammals are found in the liver, with lower amounts found in the kidney, small intestine, spleen and adrenal gland. LXR β has been found in most organs and tissues of the body. Transcriptional activity of LXR has been shown to be regulated by oxidized sterols in macrophages located in the vessel walls. LXR induces expression of ATP Binding Cassette Transporter-1 (ABCA1) and Apolipoprotein E (ApoE), which facilitates cellular cholesterol efflux from vessel walls and reverse cholesterol transport to the liver. Furthermore, LXR also induces expression of ABCA1 in the small intestine, which reduces absorption of cholesterol. Additionally, LXR α is a transcription factor in the liver which regulates expression of Cytochrome P450 7A (CYP7A), the rate-limiting enzyme for synthesis of bile acid from cholesterol, which facilitates catabolism of cholesterol to bile acid and bile acid excretion. In view of the clear importance of LXRs in cholesterol metabolism, medicaments that modulate LXRs may be expected to be useful in the treatment and/or prevention of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia and/or lipid-related diseases.

Atherosclerosis is also regarded as a chronic inflammatory disease (Ross, R., N. Engl. J. Med., 1986, 314, 488-500). Recently it has been reported that LXR also plays an important role in controlling immune function by regulating expression of inflammatory mediators such as nitric oxide synthase, cyclooxygenase-2 (COX-2) and interleukin-6 (IL-6) (Mangelsdorf, D. J., Tontonoz, P. et. al., Nat. Med., 2003, 9, 213-219). Thus LXR ligands may be expected to suppress initiation and progression of arteriosclerosis due to their anti-inflammatory effects in addition to improvement of lipid metabolism. Furthermore, it has also been demonstrated that both natural and synthetic LXR activators can reduce chemically induced dermatitis in animal model (Fowler, A. J. et. al., J. Invest. Dermatol, 2003, 120, 246-255). Therefore LXR modulators are expected to be useful in the treatment of a wide variety of inflammatory diseases.

Compounds described in WO 00/54759, WO 01/41704 and WO 02/24632 have been shown to be LXR ligands. However, there has not previously been a public disclosure of the compounds of formula (I) of the present invention, which have a fused-ring pyridin-4(3H)-one skeleton, and that they exhibit binding affinity against LXR. Co-pending application PCT/US03/06793 discloses quinazolinone derivatives which are said to have nuclear receptor modulating activity, specifically FXR modulating activity.

[Disclosure of the invention]

The inventors have conducted an investigation on the synthesis and pharmacological activities of fused-ring pyrimidin-4(3H)-one compounds in order to discover compounds that exhibit excellent binding affinity against LXR. As a result, it has been found that

the compounds of formula (I) described below exhibit excellent binding affinity against LXR and the present invention has thus been completed.

The present invention provides fused-ring pyrimidin-4(3H)-one derivatives and pharmacologically acceptable salts and esters thereof that exert excellent anti-arteriosclerotic and anti-inflammatory activity due to their ability to improve lipid metabolism disorders and/or through their regulation of the production of inflammatory mediators, both effects being based on the ability of these derivatives to regulate the nuclear receptor, liver X receptors (LXR).

The present invention further provides pharmaceutical compositions comprising fused-ring pyrimidin-4(3H)-one derivatives or pharmacologically acceptable salts or esters thereof as an active ingredient, preferably pharmaceutical compositions for the treatment and/or prevention of arteriosclerosis including that derived from the diseases described below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines such as chronic rheumatoid arthritis, osteoarthritis, allergic diseases, asthma, septicaemia, psoriasis and osteoporosis, autoimmune diseases such as systemic lupus erythematosus, ulcerative colitis, and Crohn's disease, cardiovascular diseases such as ischemic heart diseases and cardiac failure, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications such as retinopathy, nephropathy, neuropathy and coronary diseases, obesity, nephritis, hepatitis, cancer and Alzheimer's disease; more preferably pharmaceutical compositions for the treatment and/or prevention of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, and diabetes mellitus; and most preferably pharmaceutical compositions for the treatment and/or prevention of arteriosclerosis.

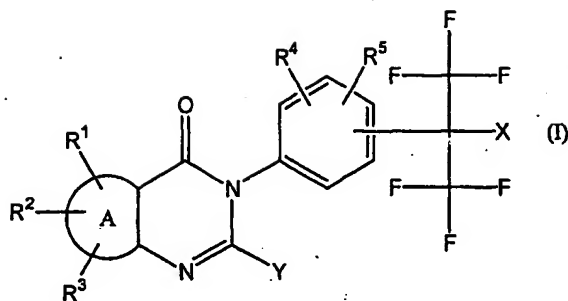
The present invention further provides the use of fused-ring pyrimidin-4(3H)-one derivatives or pharmacologically acceptable salts or esters thereof in the preparation of pharmaceutical compositions, preferably pharmaceutical compositions for the treatment and/or prevention of the diseases described above.

The present invention further provides a method for the treatment and/or prevention of diseases, preferably the diseases described above, which method comprises administering a pharmaceutically effective amount of a fused-ring pyrimidin-4(3H)-one derivative or a pharmacologically acceptable salt or ester thereof to a warm-blooded animal, preferably a human.

The present invention further provides a process for the preparation of fused-ring pyrimidin-4(3H)-one derivatives or pharmacologically acceptable salts or esters thereof.

In a first aspect of the present invention, there is provided a compound of the following formula (I) or a pharmacologically acceptable salt or ester thereof:

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wherein:

A represents a C₆-C₁₄ aryl group or a 5- to 7-membered heteroaryl group;

R¹, R² and R³ are the same or different and each represents a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a halogen atom, a carboxy group, a carbamoyl group, a mercapto group, a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 halogen atoms, a C₂-C₇ alkylcarbonyloxy group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₁-C₆ alkylsulfinyl group, a C₁-C₆ alkylsulfonyl group, a C₁-C₆ alkylamino group, a di(C₁-C₆ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₇ alkylcarbonylamino group, an N-(C₂-C₇ alkylcarbonyl)-N-(C₁-C₆ alkyl)amino group, a C₂-C₇ alkoxycarbonylamino group, an N-(C₂-C₇ alkoxycarbonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ alkylsulfonylamino group, an N-(C₁-C₆ alkylsulfonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ haloalkylsulfonylamino group (wherein said C₁-C₆ haloalkylsulfonylamino group is a C₁-C₆ alkylsulfonylamino group which is substituted with from 1 to 7 halogen atoms), an N-(C₁-C₆ haloalkylsulfonyl)-N-(C₁-C₆ alkyl)amino group (wherein said C₁-C₆ haloalkylsulfonyl group is a C₁-C₆ alkylsulfonyl group which is substituted with from 1 to 7 halogen atoms), a C₂-C₇ alkylcarbonyl group, a C₂-C₇ alkoxycarbonyl group, a C₂-C₇ alkylaminocarbonyl group or a di(C₁-C₆ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R¹ and R² may be taken together to form a C₁-C₄ alkylenedioxy group;

R⁴ and R⁵ are the same or different and each represents a hydrogen atom, a hydroxyl group, an amino group, a halogen atom, a mercapto group, a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 halogen atoms, a C₁-C₆ alkoxy group, a C₂-C₇ alkoxycarbonyl group or a C₁-C₆ alkylthio group;

X represents a hydrogen atom, a hydroxyl group, a halogen atom, a C₁-C₆ alkoxy group or a C₁-C₆ alkoxy group substituted with from 1 to 7 halogen atoms;

Y represents a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a C₃-C₁₀ cycloalkyl group, a C₃-C₁₀ cycloalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected

from substituent group α defined below), a 5- to 9-membered heterocyclyl group, a 5- to 9-membered heterocyclyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a C₆-C₁₀ aryl group, a C₆-C₁₀ aryl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β defined below), a C₄-C₁₄ cycloalkylalkyl group, a C₄-C₁₄ cycloalkylalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a (5- to 9-membered heterocyclyl)-(C₁-C₄ alkyl) group, a (5- to 9-membered heterocyclyl)-(C₁-C₄ alkyl) group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a C₇-C₁₄ aralkyl group or a C₇-C₁₄ aralkyl group substituted with from 1 to 5 substituents (said substituents are the same or different and are selected from substituent group β defined below);

substituent group α represents a group consisting of a halogen atom, a hydroxyl group, a cyano group, an amino group, a C₂-C₇ alkylcarbonyloxy group, a C₁-C₆ alkyl group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₁-C₆ alkylsulfinyl group, a C₁-C₆ alkylsulfonyl group, a phenyl group, a C₁-C₆ alkylamino group, a di(C₁-C₆ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₇ alkylcarbonylamino group, a C₁-C₆ alkylsulfonylamino group, and a C₁-C₆ haloalkylsulfonylamino group (wherein said C₁-C₆ haloalkylsulfonylamino group is a C₁-C₆ alkylsulfonylamino group which is substituted with from 1 to 7 halogen atoms); and

substituent group β represents a group consisting of a halogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 halogen atoms, a C₂-C₇ alkylcarbonyloxy group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₁-C₆ alkylsulfinyl group, a C₁-C₆ alkylsulfonyl group, a C₁-C₆ alkylamino group, a di(C₁-C₆ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₇ alkylcarbonylamino group, an N-(C₂-C₇ alkylcarbonyl)-N-(C₁-C₆ alkyl)amino group, a C₂-C₇ alkoxycarbonylamino group, an N-(C₂-C₇ alkoxycarbonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ alkylsulfonylamino group, an N-(C₁-C₆ alkylsulfonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ haloalkylsulfonylamino group (wherein said C₁-C₆ haloalkylsulfonylamino group is a C₁-C₆ alkylsulfonylamino group which is substituted with from 1 to 7 halogen atoms), an N-(C₁-C₆ haloalkylsulfonyl)-N-(C₁-C₆ alkyl)amino group (wherein said C₁-C₆ haloalkylsulfonyl group is a C₁-C₆ alkylsulfonyl group which is substituted with from 1 to 7 halogen atoms), a C₆-C₁₀ aryl group, a C₇-C₁₄ aralkyloxy group, C₁-C₄ alkylenedioxy group, a C₂-C₇ alkylcarbonyl group, a C₂-C₇ alkoxycarbonyl group, a C₂-C₇ alkylaminocarbonyl group, and a di(C₁-C₆ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different);

PROVIDED THAT when Y is one of the following options (i) to (vii) below and A is a phenyl group, then R⁴ and R⁵ both represent hydrogen atoms and the -C(CF₃)₂(X) moiety represents a -C(CF₃)₂(OH) moiety at the 3- or 4- position of the phenyl group to which it is attached:

- (i) an alkyl that is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group and is optionally further substituted at said 1-position thereof with an alkyl or phenyl group;
- (ii) a cycloalkyl group that is substituted with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group and is optionally further substituted with from 1 to 6 groups selected from substituents α ;
- (iii) a heterocyclyl group having at least one nitrogen atom that is optionally substituted with 1 or 2 groups chosen from alkyl, alkylsulfinyl, alkylsulfonyl and phenyl groups;
- (iv) a cycloalkylalkyl group the alkyl moiety of which is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group, said cycloalkylalkyl group optionally being further substituted with from 1 to 6 groups selected from substituents α ;
- (v) a heterocyclylalkyl group the alkyl moiety of which is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group, said heterocyclylalkyl group optionally being further substituted with from 1 to 6 groups selected from substituents α ;
- (vi) a heterocyclylmethyl group, the heterocyclyl moiety thereof having at least one nitrogen atom and optionally being substituted with from 1 to 7 groups selected from substituents α , the methyl moiety thereof optionally being substituted with an alkyl group or a phenyl group; and
- (vii) an aralkyl group the alkyl moiety of which is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkoxycarbonylamino group, an alkylsulfonylamino group, a haloalkylsulfonylamino group, an N-(alkylcarbonyl)-N-(alkyl)amino group, an N-(alkoxycarbonyl)-N-(alkyl)amino group, an N-(alkylsulfonyl)-N-(alkyl)amino group or an N-(haloalkylsulfonyl)-N-(alkyl)amino group, said aralkyl group optionally being further substituted with from 1 to 6 groups selected from substituents β .

The present invention also provides a pharmaceutical composition comprising an

effective amount of a pharmacologically active compound together with a carrier or diluent therefor, wherein said pharmacologically active compound is a compound of formula (I) as defined above or a pharmacologically acceptable salt or ester thereof. More particularly, it provides such a composition for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal. Preferably, said composition is for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease selected from the group consisting of arteriosclerosis including that derived from the diseases defined below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, autoimmune diseases, cardiovascular diseases, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications, obesity, nephritis, hepatitis, cancer and Alzheimer's disease. More preferably said composition is for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease selected from the group consisting of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines and diabetes mellitus. Most preferably, said composition is for the treatment and/or prevention in a warm-blooded animal, which may be human of arteriosclerosis.

The present invention further provides a compound of formula (I) as defined above or a pharmacologically acceptable salt or ester thereof for use as a medicament.

The present invention also provides the use of at least one compound of formula (I) as defined above or a pharmacologically acceptable salt or ester thereof in the manufacture of a medicament for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal. Preferably, said disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal is selected from the group consisting of arteriosclerosis including that derived from the diseases defined below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, autoimmune diseases, cardiovascular diseases, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications, obesity, nephritis, hepatitis, cancer and Alzheimer's disease. More preferably said disease is selected from the group consisting of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines and diabetes mellitus. Most preferably, said disease is

arteriosclerosis.

In a further aspect, the present invention also provides a method for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal, which comprises administering to said warm-blooded animal an effective amount of a compound of formula (I) as defined above or a pharmacologically acceptable salt or ester thereof. Preferably, said disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal is selected from the group consisting of arteriosclerosis including that derived from the diseases defined below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, autoimmune diseases, cardiovascular diseases, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications, obesity, nephritis, hepatitis, cancer and Alzheimer's disease. More preferably said disease is selected from the group consisting of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines and diabetes mellitus. Most preferably, said disease is arteriosclerosis.

In a further aspect of the present invention, there is also provided a pharmaceutical composition comprising a compound of formula (I) as defined above or a pharmacologically acceptable salt or ester thereof and at least one pharmaceutically active agent selected from the group consisting of HMG-CoA reductase inhibitors, ACAT inhibitors, angiotensin II inhibitors and diuretic agents, together with a carrier or diluent therefor. Preferably, said pharmaceutically active agent is a HMG-CoA reductase inhibitor.

Preferred classes of compounds of the present invention are those compounds of formula (I) and pharmacologically acceptable salts and esters thereof wherein:

(1) R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a C_1 - C_4 alkyl group, a C_1 - C_4 alkyl group substituted with from 1 to 5 halogen atoms, a C_1 - C_4 alkoxy group, a C_1 - C_4 alkylthio group, a C_1 - C_4 alkylamino group, a di(C_1 - C_4 alkyl)amino group (wherein the alkyl groups are the same or different), a C_2 - C_5 alkylcarbonylamino group, an N-(C_2 - C_5 alkylcarbonyl)-N-(C_1 - C_4 alkyl)amino group, a C_2 - C_5 alkoxycarbonyl group, a C_2 - C_5 alkylaminocarbonyl group or a di(C_1 - C_4 alkyl)aminocarbonyl group (wherein the alkyl

groups are the same or different), or R^1 and R^2 may be taken together to form a C_1 - C_3 alkylenedioxy group;

(2) R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a methyl group, an ethyl group, a propyl group, a trifluoromethyl group, a pentafluoroethyl group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a methylamino group, a dimethylamino group, an acetylamino group, an N-methylacetylamino group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylcarbamoyl group, or a dimethylcarbamoyl group, or R^1 and R^2 may be taken together to form a methylenedioxy group or an ethylenedioxy group;

(3) R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetylamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group;

(4) R^3 is a hydrogen atom;

(5) R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a C_1 - C_4 alkyl group, a C_1 - C_4 alkyl group substituted with from 1 to 5 halogen atoms, a C_1 - C_4 alkoxy group, a C_2 - C_5 alkoxycarbonyl group, or a C_1 - C_4 alkylthio group;

(6) R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylthio group or an ethylthio group;

(7) R^4 and R^5 are the same or different and each is a hydrogen atom, a chlorine atom, a methyl group or a methoxy group;

(8) each of R^4 and R^5 is a hydrogen atom;

(9) X is a hydrogen atom, a hydroxyl group, a C_1 - C_4 alkoxy group, or a C_1 - C_4 alkoxy group substituted with from 1 to 5 halogen atoms;

(10) X is a hydrogen atom, a hydroxyl group, a methoxy group or a trifluoromethyloxy group;

(11) X is a hydroxyl group;

(12) Y is a C_1 - C_6 alkyl group or a C_1 - C_4 alkyl group substituted with from 1 to 5 substituents (said substituents are the same or different and are selected from substituent group α defined above);

(13) Y is a C_1 - C_5 alkyl group or a C_1 - C_3 alkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group $\alpha 1$ defined below);

(14) Y is an ethyl group, a propyl group, a butyl group, an isopropyl group, a sec-butyl group, a 3-pentyl group, a trifluoromethyl group, a dichloromethyl group, a 1-bromoethyl group, a 1-chloroethyl group, a diethylaminomethyl group or a diisopropylaminomethyl group;

(15) Y is a C₃-C₆ cycloalkyl group or a 5- to 9-membered heterocyclyl group;

(16) Y is a cyclobutyl group, a cyclopentyl group, a cyclohexyl group, a piperidyl group, a perhydroazepinyl group or a perhydroazocinyl group;

(17) Y is a C₆-C₁₀ aryl group or a C₆-C₁₀ aryl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β 1 defined below);

(18) Y is a phenyl group, a 1-naphthyl group or a 2-naphthyl group;

(19) Y is a C₄-C₁₃ cycloalkylalkyl group, a C₄-C₁₃ cycloalkylalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined above), a (5- to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group or a (5- to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined above);

(20) Y is a (C₃-C₁₀ cycloalkyl)methyl group or a (5- to 9-membered heterocyclyl)methyl group;

(21) Y is a cyclopentylmethyl group, a cyclohexylmethyl group, a cycloheptylmethyl group, a 2-thienylmethyl group, a 1-pyrrolidinylmethyl group, a 1-piperidylmethyl group or a 1-perhydroazepinylmethyl group;

(22) Y is a C₇-C₁₄ aralkyl group or a C₇-C₁₄ aralkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β defined above);

(23) Y is a (C₆-C₁₀ aryl)methyl group, a (C₆-C₁₀ aryl)ethyl group, a (C₆-C₁₀ aryl)methyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β 1 defined below) or a (C₆-C₁₀ aryl)ethyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β 1 defined below);

(24) Y is a benzyl group, a 1-naphthylmethyl group, a 2-naphthylmethyl group or a benzyl group which is substituted with from 1 to 4 substituents on the phenyl moiety (said substituents are the same or different and are selected from substituent group β 2 defined below);

(25) A is a phenyl group, a naphthyl group or a pyridyl group; and

(26) A is a phenyl group;

wherein substituent group α 1 represents a group consisting of a halogen atom, an amino group, a C₁-C₆ alkylamino group and a di(C₁-C₆ alkyl)amino group (wherein the

alkyl groups are the same or different);

substituent group $\beta 1$ represents a group consisting of a fluorine atom, a chlorine atom, a bromine atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a methyl group, an ethyl group, a propyl group, an isopropyl group, a butyl group, a sec-butyl group, a tert-butyl group, a trifluoromethyl group, a pentafluoroethyl group, an acetyloxy group, a propionyloxy group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a dimethylamino group, an acetylamino group, a methanesulfonylamino group, a methylenedioxy group, an ethylenedioxy group, an acetyl group, a propionyl group, a methoxycarbonyl group, an ethoxycarbonyl group and a dimethylcarbamoyl group; and

substituent group $\beta 2$ represents a group consisting of a fluorine atom, a chlorine atom, a bromine atom, a hydroxyl group, a nitro group, a methyl group, an ethyl group, an isopropyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methylthio group, an ethylthio group, a dimethylamino group, a methylenedioxy group and an ethylenedioxy group.

In each group of (1) to (3), (5) to (8), (9) to (11), (12) to (14), (15) to (16), (17) to (18), (19) to (21), (22) to (24), and (25) to (26) compounds having substituents falling within the larger numbered group are more preferred.

The compounds which are given by an optional combination of R^1 , R^2 and R^3 selected from (1) to (3), R^3 selected from (4), R^4 and R^5 selected from (5) to (8), X selected from (9) to (11), Y selected from (12) to (24), and A selected from (25) to (26) are also preferred.

Compounds of formula (I) and salts and esters thereof having the following combinations are particularly preferred:

- (i) $R^1, R^2, R^3 = (1), R^4, R^5 = (5), X = (9), Y = (12)$ and $A = (25)$;
- (ii) $R^1, R^2, R^3 = (1), R^4, R^5 = (5), X = (9), Y = (15)$ and $A = (25)$;
- (iii) $R^1, R^2, R^3 = (1), R^4, R^5 = (5), X = (9), Y = (17)$ and $A = (25)$;
- (iv) $R^1, R^2, R^3 = (1), R^4, R^5 = (5), X = (9), Y = (19)$ and $A = (25)$;
- (v) $R^1, R^2, R^3 = (1), R^4, R^5 = (5), X = (9), Y = (22)$ and $A = (25)$;
- (vi) $R^1, R^2, R^3 = (2), R^4, R^5 = (6), X = (10), Y = (13)$ and $A = (25)$;
- (vii) $R^1, R^2, R^3 = (2), R^4, R^5 = (6), X = (10), Y = (15)$ and $A = (25)$;
- (viii) $R^1, R^2, R^3 = (2), R^4, R^5 = (6), X = (10), Y = (17)$ and $A = (25)$;
- (ix) $R^1, R^2, R^3 = (2), R^4, R^5 = (6), X = (10), Y = (19)$ and $A = (25)$;
- (x) $R^1, R^2, R^3 = (2), R^4, R^5 = (6), X = (10), Y = (22)$ and $A = (25)$;
- (xi) $R^1, R^2, R^3 = (3), R^4, R^5 = (7), X = (11), Y = (13)$ and $A = (26)$;
- (xii) $R^1, R^2, R^3 = (3), R^4, R^5 = (7), X = (11), Y = (16)$ and $A = (26)$;
- (xiii) $R^1, R^2, R^3 = (3), R^4, R^5 = (7), X = (11), Y = (18)$ and $A = (26)$;
- (xiv) $R^1, R^2, R^3 = (3), R^4, R^5 = (7), X = (11), Y = (20)$ and $A = (26)$;

- (xv) $R^1, R^2, R^3 = (3), R^4, R^5 = (7), X = (11), Y = (23)$ and $A = (26)$;
(xvi) $R^1, R^2 = (3), R^3 = (4), R^4, R^5 = (8), X = (11), Y = (14)$ and $A = (26)$;
(xvii) $R^1, R^2 = (3), R^3 = (4), R^4, R^5 = (8), X = (11), Y = (16)$ and $A = (26)$;
(xviii) $R^1, R^2 = (3), R^3 = (4), R^4, R^5 = (8), X = (11), Y = (18)$ and $A = (26)$;
(xix) $R^1, R^2 = (3), R^3 = (4), R^4, R^5 = (8), X = (11), Y = (21)$ and $A = (26)$; and
(xx) $R^1, R^2 = (3), R^3 = (4), R^4, R^5 = (8), X = (11), Y = (24)$ and $A = (26)$.

In the formula (I) described above, the "halogen atom" in the definitions of $R^1, R^2, R^3, R^4, R^5, X$, substituent group α and substituent group β is a fluorine atom, a chlorine atom, a bromine atom or an iodine atom; and preferably it is a fluorine atom or a chlorine atom.

The " C_6 - C_{14} aryl group" in the definition of A in formula (I) is an aromatic hydrocarbon group having from 6 to 14 carbon atoms and may be, for example, a phenyl, indenyl, naphthyl, phenanthryl or anthryl group; and preferably it is a phenyl group.

The "5- to 7-membered heteroaryl group" in the definition of A in formula (I) is a 5- to 7-membered heteroaromatic group containing from 1 to 4 atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom and may be, for example, a furyl, thienyl, pyrrolyl, azepinyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, 1,2,3-oxadiazolyl, triazolyl, tetrazolyl, thiadiazolyl, pyranyl, pyridyl, pyridazinyl, pyrimidinyl or pyradinyl group; and preferably it is a pyridyl group.

The " C_1 - C_6 alkyl group" in the definitions of $R^1, R^2, R^3, R^4, R^5, Y$, substituent group α and substituent group β in formula (I) is a straight or branched chain alkyl group having from 1 to 6 carbon atoms and may be, for example, a methyl group, an ethyl group, a propyl group, an isopropyl group, a butyl group, an isobutyl group, an s-butyl group, a t-butyl group, a pentyl group, an isopentyl group, a neopentyl group, a t-pentyl group, a 1-methylbutyl group, a hexyl group, a 1-methylpentyl group, a 2-methylpentyl group, a 3-methylpentyl group, a 1-ethylbutyl group or a 2-ethylbutyl group; preferably it is a C_1 - C_4 alkyl group such as a methyl group, an ethyl group, a propyl group, an isopropyl group, a butyl group, an isobutyl group, an s-butyl group or a t-butyl group; more preferably it is a methyl group, an ethyl group, a propyl group or an isopropyl group; and most preferably it is a methyl group or an ethyl group.

The " C_1 - C_6 alkyl group substituted with from 1 to 7 halogen atoms" in the definitions of R^1, R^2, R^3, R^4, R^5 and substituent group β in formula (I) is a C_1 - C_6 alkyl group as described above which is substituted with from 1 to 7 halogen atoms as described above and may be, for example, a trifluoromethyl group, a trichloromethyl group, a difluoromethyl group, a dichloromethyl group, a dibromomethyl group, a fluoromethyl group, a 2,2,2-trifluoroethyl group, a 2,2,2-trichloroethyl group, a 2-bromoethyl group, a 2-chloroethyl group, a 2-fluoroethyl group, a 2-iodoethyl group, a 3-

chloropropyl group, a 4-fluorobutyl group, a 6-iodohexyl group, a 2,2-dibromoethyl group or a pentafluoroethyl group; preferably it is a trifluoromethyl group, a trichloromethyl group, a difluoromethyl group or a pentafluoroethyl group; and most preferably it is a trifluoromethyl group.

The "C₂-C₇ alkylcarbonyloxy group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is a carbonyloxy group (-COO-) the carbon atom of which is substituted with a C₁-C₆ alkyl group as described above and may be, for example, an acetyloxy group, a propionyloxy group, a butyryloxy group, an isobutyryloxy group, a pentanoyloxy group or a hexanoyloxy group; it is preferably a C₂-C₅ alkylcarbonyloxy group such as an acetyloxy group, a propionyloxy group, a butyryloxy group or an isobutyryloxy group; and more preferably it is an acetyloxy group.

The "C₁-C₆ alkoxy group" in the definitions of R¹, R², R³, R⁴, R⁵, X, substituent group α and substituent group β in formula (I) is a hydroxy group in which the hydrogen atom is substituted with a C₁-C₆ alkyl group as described above and may be, for example, a methoxy group, an ethoxy group, a n-propoxy group, an isopropoxy group, a n-butoxy group, an isobutoxy group, an s-butoxy group, a tert-butoxy group, an n-pentyloxy group, an isopentyloxy group, a 2-methylbutoxy group, a neopentyloxy group, an n-hexyloxy group, a 4-methylpentyloxy group, a 3-methylpentyloxy group, a 2-methylpentyloxy group, a 3,3-dimethylbutoxy group, a 2,2-dimethylbutoxy group, a 1,1-dimethylbutoxy group, a 1,2-dimethylbutoxy group, a 1,3-dimethylbutoxy group or a 2,3-dimethylbutoxy group; it is preferably a C₁-C₄ alkoxy group such as a methoxy group, an ethoxy group, an n-propoxy group or an n-butoxy group; and more preferably it is a methoxy group.

The "C₁-C₆ alkylthio group" in the definitions of R¹, R², R³, R⁴, R⁵, substituent group α and substituent group β in formula (I) is a mercapto group substituted with a C₁-C₆ alkyl group as described above and may be, for example, a methylthio group, an ethylthio group, an n-propylthio group, an isopropylthio group, an n-butylthio group, an isobutylthio group, an s-butylthio group, a tert-butylthio group, an n-pentylthio group, an isopentylthio group, a 2-methylbutylthio group, a neopentylthio group, a 1-ethylpropylthio group, an n-hexylthio group, an isohexylthio group, a 4-methylpentylthio group, a 3-methylpentylthio group, a 2-methylpentylthio group, a 1-methylpentylthio group, a 3,3-dimethylbutylthio group, a 2,2-dimethylbutylthio group, a 1,1-dimethylbutylthio group, a 1,2-dimethylbutylthio group, a 1,3-dimethylbutylthio group, a 2,3-dimethylbutylthio group or a 2-ethylbutylthio group; it is preferably a C₁-C₄ alkylthio group such as a methylthio group, an ethylthio group, an n-propylthio group or an n-butylthio group; and more preferably it is a methylthio group.

The "C₁-C₆ alkylsulfinyl group" in the definitions of R¹, R², R³, substituent group α and substituent group β in formula (I) is a sulfinyl group (-SO-) which is substituted with a C₁-C₆ alkyl group as described above and may be, for example, a methanesulfinyl

group, an ethanesulfinyl group, an n-propanesulfinyl group, an isopropanesulfinyl group, an n-buthanesulfinyl group, an isobuthanesulfinyl group, an s-buthanesulfinyl group, a tert-buthanesulfinyl group, an n-pentanesulfinyl group, an isopentanesulfinyl group, a 2-methylbuthanesulfinyl group, a neopentanesulfinyl group, an n-hexanesulfinyl group, a 4-methylpentanesulfinyl group, a 3-methylpentanesulfinyl group, a 2-methylpentanesulfinyl group, a 3,3-dimethylbuthanesulfinyl group, a 2,2-dimethylbuthanesulfinyl group, a 1,1-dimethylbuthanesulfinyl group, a 1,2-dimethylbuthanesulfinyl group, a 1,3-dimethylbuthanesulfinyl group or a 2,3-dimethylbuthanesulfinyl group; preferably it is a C₁-C₄ alkylsulfinyl group such as a methanesulfinyl group, an ethanesulfinyl group, an n-propanesulfinyl group, an isopropanesulfinyl group or an n-buthanesulfinyl group; and more preferably it is a methanesulfinyl group.

The "C₁-C₆ alkylsulfonyl group" in the definitions of R¹, R², R³, substituent group α and substituent group β in formula (I) is a sulfonyl group (-SO₂-) substituted with a C₁-C₆ alkyl group as described above and may be, for example, a methanesulfonyl group, an ethanesulfonyl group, an n-propanesulfonyl group, an isopropanesulfonyl group, an n-buthanesulfonyl group, an isobuthanesulfonyl group, an s-buthanesulfonyl group, a tert-buthanesulfonyl group, an n-pentanesulfonyl group, an isopentanesulfonyl group, a 2-methylbuthanesulfonyl group, a neopentanesulfonyl group, an n-hexanesulfonyl group, a 4-methylpentanesulfonyl group, a 3-methylpentanesulfonyl group, a 2-methylpentanesulfonyl group, a 3,3-dimethylbuthanesulfonyl group, a 2,2-dimethylbuthanesulfonyl group, a 1,1-dimethylbuthanesulfonyl group, a 1,2-dimethylbuthanesulfonyl group, a 1,3-dimethylbuthanesulfonyl group or a 2,3-dimethylbuthanesulfonyl group; preferably it is a C₁-C₄ alkylsulfonyl group such as a methanesulfonyl group, an ethanesulfonyl group, an n-propanesulfonyl group or an n-buthanesulfonyl group; and more preferably it is a methanesulfonyl group.

The "C₁-C₆ alkylamino group" in the definitions of R¹, R², R³, substituent group α and substituent group β in formula (I) is an amino group substituted with a C₁-C₆ alkyl group as described above and may be, for example, a methylamino group, an ethylamino group, an n-propylamino group, an isopropylamino group, an n-butylamino group, an isobutylamino group, an s-butylamino group, a tert-butylamino group, an n-pentylamino group, an isopentylamino group, a 2-methylbutylamino group, a neopentylamino group, a 1-ethylpropylamino group, an n-hexylamino group, an isohexylamino group, a 4-methylpentylamino group, a 3-methylpentylamino group, a 2-methylpentylamino group, a 1-methylpentylamino group, a 3,3-dimethylbutylamino group, a 2,2-dimethylbutylamino group, a 1,1-dimethylbutylamino group, a 1,2-dimethylbutylamino group, a 1,3-dimethylbutylamino group, a 2,3-dimethylbutylamino group or a 2-ethylbutylamino group; preferably it is a C₁-C₄ alkylamino group such as a methylamino

group, an ethylamino group, a n-propylamino group, an isopropylamino group, or an n-butylamino group; and more preferably a methylamino group or an ethylamino group.

The "di(C₁-C₆ alkyl)amino group" in the definitions of R¹, R², R³, substituent group α and substituent group β in formula (I) is an amino group substituted with two C₁-C₆ alkyl groups as described above which may be the same or different and may be, for example, a dimethylamino group, a methylethylamino group, a diethylamino group, a di(n-propyl)amino group, a diisopropylamino group, an N-(n-propyl)-N-ethylamino group, a di(n-butyl)amino group, a diisobutylamino group, a di(s-butyl)amino group, a di(tert-butyl)amino group, a di(n-pentyl)amino group, a diisopentylamino group, a di(2-methylbutyl)amino group, a diisopentylamino group, a di(1-ethylpropyl)amino group, a di(n-hexyl)amino group, a di(isohexyl)amino group, a di(4-methylpentyl)amino group, a di(3-methylpentyl)amino group, a di(2-methylpentyl)amino group, a di(1-methylpentyl)amino group, a di(3,3-dimethylbutyl)amino group, a di(2,2-dimethylbutyl)amino group, a di(1,1-dimethylbutyl)amino group, a di(1,2-dimethylbutyl)amino group, a di(1,3-dimethylbutyl)amino group, a di(2,3-dimethylbutyl)amino group or a di(2-ethylbutyl)amino group; preferably it is a di(C₁-C₄ alkyl)amino group such as a dimethylamino group, a methylethylamino group, a diethylamino group, a di(n-propyl)amino group, a diisopropylamino group, an N-(n-propyl)-N-ethylamino group, a di(n-butyl)amino group, a diisobutylamino group, a di(s-butyl)amino group, or a di(tert-butyl)amino group; and more preferably it is a dimethylamino group or a diethylamino group.

The "C₂-C₇ alkylcarbonylamino group" in the definitions of R¹, R², R³, substituent group α and substituent group β in formula (I) is a carbonylamino group (-CONH-) the carbon atom of which is substituted with a C₁-C₆ alkyl group as described above and may be, for example, an acetylamino group, a propionylamino group, a butyrylamino group, an isobutyrylamino group, a pentanoylamino group or a hexanoylamino group; preferably it is a C₂-C₅ alkylcarbonylamino group such as an acetylamino group, a propionylamino group, a butyrylamino group or an isobutyrylamino group; and more preferably it is an acetylamino group.

The "N-(C₂-C₇ alkylcarbonyl)-N-(C₁-C₆ alkyl)amino group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is an amino group substituted with a C₁-C₆ alkyl group as described above and a C₂-C₇ alkylcarbonyl group as described below and may be, for example, an acetyl(N-methyl)amino group, an acetyl(N-ethyl)amino group, an acetyl(N-propyl)amino group, an acetyl(N-butyl)amino group, an acetyl(N-pentyl)amino group, an acetyl(N-hexyl)amino group, a propionyl(N-methyl)amino group, a propionyl(N-ethyl)amino group, a propionyl(N-propyl)amino group, a butyryl(N-methyl)amino group, an isobutyryl(N-methyl)amino group, a pentanoyl(N-methyl)amino group or a hexanoyl(N-methyl)amino group; preferably it is a N-(C₂-C₅ alkylcarbonyl)-

N-(C₁-C₄ alkyl)amino group such as an acetyl(N-methyl)amino group, a propionyl(N-methyl)amino group, a butyryl(N-methyl)amino group or an isobutyryl(N-methyl)amino group; and more preferably it is an acetyl(N-methyl)amino group.

The "C₂-C₇ alkoxy-carbonylamino group" in the definition of R¹, R², R³ and substituent group β in formula (I) is a carbonylamino group (-CONH-) the carbon atom of which is substituted with a C₁-C₆ alkoxy group as described above and may be, for example, a methoxycarbonylamino group, an ethoxycarbonylamino group, an n-propoxycarbonylamino group, an isopropoxycarbonylamino group, an n-butoxycarbonylamino group, an isobutoxycarbonylamino group, an s-butoxycarbonylamino group, a tert-butoxycarbonylamino group, an n-pentyloxycarbonylamino group, an isopentyloxycarbonylamino group, a 2-methylbutoxycarbonylamino group, a neopentyloxycarbonylamino group, an n-hexyloxycarbonylamino group, a 4-methylpentyloxycarbonylamino group, a 3-methylpentyloxycarbonylamino group, a 2-methylpentyloxycarbonylamino group, a 3,3-dimethylbutoxycarbonylamino group, a 2,2-dimethylbutoxycarbonylamino group, a 1,1-dimethylbutoxycarbonylamino group, a 1,2-dimethylbutoxycarbonylamino group, a 1,3-dimethylbutoxycarbonylamino group or a 2,3-dimethylbutoxycarbonylamino group; preferably it is a C₂-C₅ alkoxy-carbonylamino group such as a methoxycarbonylamino group, an ethoxycarbonylamino group, an n-propoxycarbonylamino group or an n-butoxycarbonylamino group; and more preferably it is a methoxycarbonylamino group.

The "N-(C₂-C₇ alkoxy-carbonyl)-N-(C₁-C₆ alkyl)amino group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is an amino group substituted with a C₁-C₆ alkyl group as described above and a C₂-C₇ alkoxy-carbonyl group as described below and may be, for example, a methoxycarbonyl(N-methyl)amino group, an ethoxycarbonyl(N-methyl)amino group, an n-propoxycarbonyl(N-methyl)amino group, an isopropoxycarbonyl(N-methyl)amino group, an n-butoxycarbonyl(N-methyl)amino group, an isobutoxycarbonyl(N-methyl)amino group, an s-butoxycarbonyl(N-methyl)amino group, a tert-butoxycarbonyl(N-methyl)amino group, an n-pentyloxycarbonyl(N-methyl)amino group, an isopentyloxycarbonyl(N-methyl)amino group, a 2-methylbutoxycarbonyl(N-methyl)amino group, a neopentyloxycarbonyl(N-methyl)amino group, an n-hexyloxycarbonyl(N-methyl)amino group, a 4-methylpentyloxycarbonyl(N-methyl)amino group, a 3-methylpentyloxycarbonyl(N-methyl)amino group, a 2-methylpentyloxycarbonyl(N-methyl)amino group, a 3,3-dimethylbutoxycarbonyl(N-methyl)amino group, a 2,2-dimethylbutoxycarbonyl(N-methyl)amino group, a 1,1-dimethylbutoxycarbonyl(N-methyl)amino group, a 1,2-dimethylbutoxycarbonyl(N-methyl)amino group, a 1,3-dimethylbutoxycarbonyl(N-methyl)amino group or a 2,3-dimethylbutoxycarbonyl(N-methyl)amino group; preferably it is a C₂-C₅ (alkyloxy-carbonyl)-N-(C₁-C₄ alkyl)amino group such as a

methoxycarbonyl(N-methyl)amino group, an ethoxycarbonyl(N-methyl)amino group, an n-propoxycarbonyl(N-methyl)amino group or an n-butoxycarbonyl(N-methyl)amino group; and more preferably it is a methoxycarbonyl(N-methyl)amino group.

The "C₁-C₆ alkylsulfonylamino group" in the definitions of R¹, R², R³, substituent group α and substituent group β in formula (I) is an amino group substituted with a C₁-C₆ alkylsulfonyl group as described above and may be, for example, a methanesulfonylamino group, an ethanesulfonylamino group, an n-propanesulfonylamino group, an isopropanesulfonylamino group, an n-butanesulfonylamino group, an isobutanesulfonylamino group, an s-butanesulfonylamino group, a tert-butanesulfonylamino group, an n-pentanesulfonylamino group, an isopentanesulfonylamino group, a 2-methylbutanesulfonylamino group, a neopentanesulfonylamino group, a 1-ethylpropanesulfonylamino group, an n-hexanesulfonylamino group, an isohexanesulfonylamino group, a 4-methylpentanesulfonylamino group, a 3-methylpentanesulfonylamino group, a 2-methylpentanesulfonylamino group, a 1-methylpentanesulfonylamino group, a 3,3-dimethylbutanesulfonylamino group, a 2,2-dimethylbutanesulfonylamino group, a 1,1-dimethylbutanesulfonylamino group, a 1,2-dimethylbutanesulfonylamino group, a 1,3-dimethylbutanesulfonylamino group, a 2,3-dimethylbutanesulfonylamino group or a 2-ethylbutanesulfonylamino group; preferably it is a C₁-C₄ alkylsulfonylamino group such as a methanesulfonylamino group, an ethanesulfonylamino group, an n-propanesulfonylamino group, an isopropanesulfonylamino group, or an n-butanesulfonylamino group; and more preferably it is a methanesulfonylamino group or an ethanesulfonylamino group.

The "N-(C₁-C₆ alkylsulfonyl)-N-(C₁-C₆ alkyl)amino group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is an amino group substituted with a C₁-C₆ alkyl group as described above and a C₁-C₆ alkylsulfonyl group described above and may be, for example, a methanesulfonyl(N-methyl)amino group, a methanesulfonyl(N-ethyl)amino group, a methanesulfonyl(N-propyl)amino group, an ethanesulfonyl(N-methyl)amino group, an n-propanesulfonyl(N-methyl)amino group, an isopropanesulfonyl(N-methyl)amino group, an n-butanesulfonyl(N-methyl)amino group, an isobutanesulfonyl(N-methyl)amino group, an s-butanesulfonyl(N-methyl)amino group, a tert-butanesulfonyl(N-methyl)amino group, an n-pentanesulfonyl(N-methyl)amino group, an isopentanesulfonyl(N-methyl)amino group, a 2-methylbutanesulfonyl(N-methyl)amino group, a neopentanesulfonyl(N-methyl)amino group, a 1-ethylpropanesulfonyl(N-methyl)amino group, an n-hexanesulfonyl(N-methyl)amino group, an isohexanesulfonyl(N-methyl)amino group, a 4-methylpentanesulfonyl(N-methyl)amino group, a 3-methylpentanesulfonyl(N-methyl)amino group, a 2-methylpentanesulfonyl(N-methyl)amino group, a 1-methylpentanesulfonyl(N-

methyl)amino group, a 3,3-dimethylbutanesulfonyl(N-methyl)amino group, a 2,2-dimethylbutanesulfonyl(N-methyl)amino group, a 1,1-dimethylbutanesulfonyl(N-methyl)amino group, a 1,2-dimethylbutanesulfonyl(N-methyl)amino group, a 1,3-dimethylbutanesulfonyl(N-methyl)amino group, a 2,3-dimethylbutanesulfonyl(N-methyl)amino group or a 2-ethylbutanesulfonyl(N-methyl)amino group; preferably it is an N-(C₁-C₄ alkylsulfonyl)-N-(C₁-C₄ alkyl)amino group such as a methanesulfonyl(N-methyl)amino group, an ethanesulfonyl(N-methyl)amino group, an n-propanesulfonyl(N-methyl)amino group, an isopropanesulfonyl(N-methyl)amino group, or an n-butanesulfonyl(N-methyl)amino group; and more preferably it is a methanesulfonyl(N-methyl)amino group or an ethanesulfonyl(N-methyl)amino group.

The "C₁-C₆ haloalkylsulfonylamino group" in the definitions of R¹, R², R³, substituent group α and substituent group β in formula (I) is a C₁-C₆ alkylsulfonylamino group as described above the alkyl group of which is substituted with from 1 to 7 halogen atoms as described above and may be, for example, a trifluoromethanesulfonylamino group, a trichloromethanesulfonylamino group, a difluoromethanesulfonylamino group, a dichloromethanesulfonylamino group, a dibromomethanesulfonylamino group, a fluoromethanesulfonylamino group, a 2,2,2-trifluoroethanesulfonylamino group, a 2,2,2-trichloroethanesulfonylamino group, a 2-bromoethanesulfonylamino group, a 2-chloroethanesulfonylamino group, a 2-fluoroethanesulfonylamino group, a 2-iodoethanesulfonylamino group, a 3-chloropropanesulfonylamino group, a 4-fluorobutanesulfonylamino group, a 6-iodohexanesulfonylamino group, a 2,2-dibromoethanesulfonylamino group or a pentafluoroethanesulfonylamino group; preferably it is a trifluoromethanesulfonylamino group, a trichloromethanesulfonylamino group, a difluoromethanesulfonylamino group or a pentafluoroethanesulfonylamino group; more preferably it is a trifluoromethanesulfonylamino group.

The "N-(C₁-C₆ haloalkylsulfonyl)-N-(C₁-C₆ alkyl)amino group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is an N-(C₁-C₆ alkylsulfonyl)-N-(C₁-C₆ alkyl)amino group as described above in which the alkylsulfonyl moiety is substituted with from 1 to 7 halogen atoms as described above and may be, for example, a trifluoromethanesulfonyl(N-methyl)amino group, a trifluoromethanesulfonyl(N-ethyl)amino group, a trifluoromethanesulfonyl(N-propyl)amino group, a trichloromethanesulfonyl(N-methyl)amino group, a difluoromethanesulfonyl(N-methyl)amino group, a dichloromethanesulfonyl(N-methyl)amino group, a dibromomethanesulfonyl(N-methyl)amino group, a fluoromethanesulfonyl(N-methyl)amino group, a 2,2,2-trifluoroethanesulfonyl(N-methyl)amino group, a 2,2,2-trichloroethanesulfonyl(N-methyl)amino group, a 2-bromoethanesulfonyl(N-methyl)amino group, a 2-chloroethanesulfonyl(N-methyl)amino group, a 2-fluoroethanesulfonyl(N-methyl)amino group, an 2-iodoethanesulfonyl(N-methyl)amino

group; a 3-chloropropanesulfonyl(N-methyl)amino group, a 4-fluorobutanesulfonyl(N-methyl)amino group, a 6-iodohexanesulfonyl(N-methyl)amino group, a 2,2-dibromoethanesulfonyl(N-methyl)amino group or a pentafluoroethanesulfonyl(N-methyl)amino group; preferably it is an N-(C₁-C₄ alkylsulfonyl)-N-(C₁-C₄ alkyl)amino group substituted with fluorine or chlorine atoms such as a trifluoromethanesulfonyl(N-methyl)amino group, a trichloromethanesulfonyl(N-methyl)amino group, a difluoromethanesulfonyl(N-methyl)amino group or a pentafluoroethanesulfonyl(N-methyl)amino group; more preferably it is a trifluoromethanesulfonyl(N-methyl)amino group.

The "C₂-C₇ alkylcarbonyl group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is a carbonyl group (-CO-) substituted with a C₁-C₆ alkyl group as described above and may be, for example, an acetyl group, a propionyl group, a butyryl group, an isobutyryl group, a pentanoyl group, a pivaloyl group, or a hexanoyl group; preferably it is a C₂-C₅ alkylcarbonyl group such as an acetyl group, a propionyl group or a butyryl group; and more preferably it is an acetyl group.

The "C₂-C₇ alkoxy carbonyl group" in the definitions of R¹, R², R³, R⁴, R⁵ and substituent group β in formula (I) is a carbonyl group (-CO-) substituted with a C₁-C₆ alkoxy group as described above and may be, for example, a methoxycarbonyl group, an ethoxycarbonyl group, an n-propoxycarbonyl group, an isopropoxycarbonyl group, a n-butoxycarbonyl group, an isobutoxycarbonyl group, an s-butoxycarbonyl group, a tert-butoxycarbonyl group, an n-pentyloxycarbonyl group, an isopentyloxycarbonyl group, a 2-methylbutoxycarbonyl group, a neopentyloxycarbonyl group, an n-hexyloxycarbonyl group, a 4-methylpentyloxycarbonyl group, a 3-methylpentyloxycarbonyl group, a 2-methylpentyloxycarbonyl group, a 3,3-dimethylbutoxycarbonyl group, a 2,2-dimethylbutoxycarbonyl group, a 1,1-dimethylbutoxycarbonyl group, a 1,2-dimethylbutoxycarbonyl group, a 1,3-dimethylbutoxycarbonyl group or a 2,3-dimethylbutoxycarbonyl group; preferably it is a C₂-C₅ alkoxy carbonyl group such as a methoxycarbonyl group, an ethoxycarbonyl group, an n-propoxycarbonyl group or an n-butoxycarbonyl group; and more preferably it is a methoxycarbonyl group.

The "C₂-C₇ alkylaminocarbonyl group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is a carbonyl group (-CO-) substituted with a C₁-C₆ alkylamino group as described above and may be, for example, a methylaminocarbonyl group, an ethylaminocarbonyl group, an n-propylaminocarbonyl group, an isopropylaminocarbonyl group, an n-butylaminocarbonyl group, an isobutylaminocarbonyl group, an s-butylaminocarbonyl group, a tert-butylaminocarbonyl group, an n-pentylaminocarbonyl group, an isopentylaminocarbonyl group, a 2-methylbutylaminocarbonyl group, a neopentylaminocarbonyl group, a 1-ethylpropylaminocarbonyl group, an n-hexylaminocarbonyl group, an

isohexylaminocarbonyl group, a 4-methylpentylaminocarbonyl group, a 3-methylpentylaminocarbonyl group, a 2-methylpentylaminocarbonyl group, a 1-methylpentylaminocarbonyl group, a 3,3-dimethylbutylaminocarbonyl group, a 2,2-dimethylbutylaminocarbonyl group, a 1,1-dimethylbutylaminocarbonyl group, a 1,2-dimethylbutylaminocarbonyl group, a 1,3-dimethylbutylaminocarbonyl group, a 2,3-dimethylbutylaminocarbonyl group or a 2-ethylbutylaminocarbonyl group; preferably it is a C₂-C₅ alkylaminocarbonyl group such as a methylaminocarbonyl group, an ethylaminocarbonyl group, an n-propylaminocarbonyl group, an isopropylaminocarbonyl group or an n-butylaminocarbonyl group; and more preferably it is a methylaminocarbonyl group.

The "di(C₁-C₆ alkyl)aminocarbonyl group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is a carbonyl group (-CO-) substituted with a di(C₁-C₆ alkyl)amino group wherein the alkyl groups may be the same or different as described above and may be, for example, a dimethylaminocarbonyl group, a methylethylaminocarbonyl group, a diethylaminocarbonyl group, a di(n-propyl)aminocarbonyl group, a diisopropylaminocarbonyl group, an N-(n-propyl)-N-ethylaminocarbonyl group, a di(n-butyl)aminocarbonyl group, a diisobutylaminocarbonyl group, a di(s-butyl)aminocarbonyl group, a di(tert-butyl)aminocarbonyl group, a di(n-pentyl)aminocarbonyl group, a diisopentylaminocarbonyl group, a di(2-methylbutyl)aminocarbonyl group, a dineopentylaminocarbonyl group, a di(1-ethylpropyl)aminocarbonyl group, a di(n-hexyl)aminocarbonyl group, a diisohexylaminocarbonyl group, a di(4-methyl)pentylaminocarbonyl group, a di(3-methylpentyl)aminocarbonyl group, a di(2-methylpentyl)aminocarbonyl group, a di(1-methylpentyl)aminocarbonyl group, a di(3,3-dimethylbutyl)aminocarbonyl group, a di(2,2-dimethylbutyl)aminocarbonyl group, a di(1,1-dimethylbutyl)aminocarbonyl group, a di(1,2-dimethylbutyl)aminocarbonyl group, a di(1,3-dimethylbutyl)aminocarbonyl group, a di(2,3-dimethylbutyl)aminocarbonyl group or a di(2-ethylbutyl)aminocarbonyl group; preferably it is a di-(C₁-C₄ alkyl)aminocarbonyl group such as a dimethylaminocarbonyl group, a methylethylaminocarbonyl group, a diethylaminocarbonyl group, a di(n-propyl)aminocarbonyl group, a diisopropylaminocarbonyl group, an N-(n-propyl)-N-ethylaminocarbonyl group, a di(n-butyl)aminocarbonyl group, a diisobutylaminocarbonyl group, a di(s-butyl)aminocarbonyl group or a di(tert-butyl)aminocarbonyl group; and more preferably it is a dimethylaminocarbonyl group.

The "C₁-C₄ alkylenedioxy group" in the definitions of R¹, R², R³ and substituent group β in formula (I) is an alkylenedioxy group having from 1 to 4 carbon atoms and may be, for example, a methylenedioxy group, an ethylene-1,2-dioxy group, a 1-methylmethylenedioxy group, a propylene-1,3-dioxy group, a 1-methylethylene-1,2-

dioxy group, a 2-methylethylene-1,2-dioxy group, a 1-ethylmethylenedioxy group, a butylene-1,4-dioxy group, a 1-methylpropylene-1,3-dioxy group, a 2-methylpropylene-1,3-dioxy group, a 3-methylpropylene-1,3-dioxy group, a 1-ethylethylene-1,2-dioxy group, a 2-ethylethylene-1,2-dioxy group, a 1,2-dimethylethylene-1,2-dioxy group or a 1-propylmethylenedioxy group; preferably it is a methylenedioxy group, an ethylene-1,2-dioxy group or a 1-methylmethylenedioxy group; and more preferably it is a methylenedioxy group.

The "C₁-C₆ alkoxy group substituted with from 1 to 7 halogen atoms" in the definitions of X, substituent group α and substituent group β in formula (I) is a C₁-C₆ alkoxy group as described above substituted with from 1 to 7 halogen atoms as described above and may be, for example, a trifluoromethoxy group, a trichloromethoxy group, a difluoromethoxy group, a dichloromethoxy group, a dibromomethoxy group, a fluoromethoxy group, a 2,2,2-trifluoroethoxy group, a 2,2,2-trichloroethoxy group, a 2-bromoethoxy group, a 2-chloroethoxy group, a 2-fluoroethoxy group, a 2-iodoethoxy group, a 3-chloropropoxy group, a 4-fluorobutoxy group, a 6-iodohexyloxy group, a 2,2-dibromoethoxy group or a pentafluoroethoxy group; preferably it is a C₁-C₄ alkoxy group substituted with fluorine or chlorine atoms such as a trifluoromethoxy group, a trichloromethoxy group, a difluoromethoxy group or a pentafluoroethoxy group; and more preferably it is a trifluoromethoxy group.

The "C₃-C₁₀ cycloalkyl group" in the definition of Y in formula (I) may be, for example, a cyclopropyl group, a cyclobutyl group, a cyclopentyl group, a cyclohexyl group, a cycloheptyl group, a norbornyl group or an adamantyl group; preferably it is a C₃-C₆ cycloalkyl group such as a cyclopropyl group, a cyclobutyl group, a cyclopentyl group or a cyclohexyl group; and more preferably it is a cyclobutyl group or a cyclohexyl group.

The "5- to 9-membered heterocyclyl group" in the definition of Y in formula (I) is a 5- to 9-membered heterocyclic group containing from 1 to 4 atoms selected from a group consisting of a nitrogen atom, an oxygen atom and a sulfur atom and may be, for example, an unsaturated heterocyclic group such as a furyl group, a thienyl group, a pyrrolyl group, an azepinyl group, a pyrazolyl group, an imidazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, an isothiazolyl group, a 1,2,3-oxadiazolyl group, a triazolyl group, a tetrazolyl group, a thiadiazolyl group, a pyranyl group, a pyridyl group, a pyridazinyl group, a pyrimidinyl group, a pyrazinyl group, an azepinyl group, an azocinyl group or an azoninyl group; or a group wherein the unsaturated heterocyclic groups described above are partially or completely reduced, such as a morpholinyl group, a thiomorpholinyl group, a pyrrolidinyl group, a pyrrolinyl group, a imidazolidinyl group, a imidazolinyl group, a pyrazolidinyl group, a pyrazolinyl group, a piperidyl group, a piperazinyl group, a perhydroazepinyl group, a perhydroazocinyl

group or a perhydroazoninyl group; preferably it is a 5- to 7-membered heterocyclic group containing one or more nitrogen atom and optionally containing an oxygen atom and/or a sulfur atom, which is, for example, an unsaturated heterocyclic group such as a pyrrolyl group, an azepinyl group, a pyrazolyl group, an imidazolyl group, an oxazolyl group, an isoxazolyl group, a thiazolyl group, an isothiazolyl group, a 1,2,3-oxadiazolyl group, a triazolyl group, a tetrazolyl group, a thiadiazolyl group, a pyridyl group, a pyridazinyl group, a pyrimidinyl group or a pyrazinyl group; or a group wherein this unsaturated heterocyclic group is partially or completely reduced, such as a morpholinyl group, a thiomorpholinyl group, a pyrrolidinyl group, a pyrrolinyl group, a imidazolidinyl group, a imidazolinyl group, a pyrazolidinyl group, a pyrazolinyl group, a piperidyl group or a piperazinyl group; and more preferably it is a pyridyl group.

The "C₆-C₁₀ aryl group" in the definitions of Y and substituent group β in formula (I) is an aromatic hydrocarbon group having from 6 to 10 carbon atoms and may be, for example, a phenyl group, an indenyl group, a naphthyl group, a phenanthryl group or an anthryl group; preferably it is a phenyl group or a naphthyl group; and more preferably it is a phenyl group.

The "C₄-C₁₄ cycloalkylalkyl group" in the definition of Y in formula (I) is a C₁-C₄ alkyl group substituted with a C₃-C₁₀ cycloalkyl group as described above and may be, for example, a cyclopropylmethyl group, a cyclopropylethyl group, a cyclopropylpropyl group, a cyclobutylmethyl group, a cyclopentylmethyl group, a cyclohexylmethyl group, a cyclohexylethyl group, a cyclohexylpropyl group, a cyclohexylbutyl group, a cycloheptylmethyl group, a norbornylmethyl group or an adamantylmethyl group; preferably it is a C₄-C₈ cycloalkylalkyl group such as a cyclopropylmethyl group, a cyclopropylethyl group, a cyclobutylmethyl group, a cyclopentylmethyl group, a cyclohexylmethyl group, or a cyclohexylethyl group; and more preferably it is a cyclopentylmethyl group or a cyclohexylmethyl group.

The "(5- to 9-membered-heterocyclyl)-(C₁-C₄ alkyl) group" in the definition of Y in formula (I) is a C₁-C₄ alkyl group substituted with a 5- to 9-membered heterocyclyl group as described above and may be, for example, a furylmethyl group, a thienylmethyl group, a pyrrolylmethyl group, an azepinylmethyl group, a pyrazolylmethyl group, an imidazolylmethyl group, an oxazolylmethyl group, an isoxazolylmethyl group, a thiazolylmethyl group, a thienylmethyl group, an isothiazolylmethyl group, an 1,2,3-oxadiazolylmethyl group, a triazolylmethyl group, a tetrazolylmethyl group, a thiadiazolylmethyl group, a pyranylmethyl group, a pyridylmethyl group, a pyridylethyl group, a pyridylpropyl group, a pyridylbutyl group, a pyridazinylmethyl group, a pyrimidinylmethyl group, a pyrazinylmethyl group, a morpholinylmethyl group, a thiomorpholinylmethyl group, a pyrrolidinylmethyl group, a pyrrolinylmethyl group, a imidazolidinylmethyl group, an imidazolinylmethyl group, a pyrazolidinylmethyl group,

a pyrazolinylmethyl group, a piperidylmethyl group, a piperazinylmethyl group, perhydroazepinylmethyl group, a perhydroazocinylmethyl group or a perhydroazoninylmethyl group; preferably it is a thienylmethyl group, a pyrazolylmethyl group, a morpholinylmethyl group, a pyridylmethyl group, a perhydroazepinylmethyl group or a pyridylethyl group; and more preferably a pyridylmethyl group.

The "C₇-C₁₄ aralkyl group" in the definition of Y in formula (I) is a C₁-C₆ alkyl group as described above substituted with a C₆ aryl group or a C₁-C₄ alkyl group substituted with a C₉-C₁₀ aryl group and may be, for example, a benzyl group, an 1-naphthylmethyl group, a 2-naphthylmethyl group, an indenylmethyl group, a 1-phenethyl group, a 2-phenethyl group, a 1-naphthylethyl group, a 2-naphthylethyl group, a 1-phenylpropyl group, a 2-phenylpropyl group, a 3-phenylpropyl group, a 1-naphthylpropyl group, a 2-naphthylpropyl group, a 3-naphthylpropyl group, a 1-phenylbutyl group, a 2-phenylbutyl group, a 3-phenylbutyl group, a 4-phenylbutyl group, a 1-naphthylbutyl group, a 2-naphthylbutyl group, a 3-naphthylbutyl group, a 4-naphthylbutyl group, a 1-phenylpentyl group, a 2-phenylpentyl group, a 3-phenylpentyl group, a 4-phenylpentyl group, a 5-phenylpentyl group, a 1-phenylhexyl group, a 2-phenylhexyl group, a 3-phenylhexyl group, a 4-phenylhexyl group, a 5-phenylhexyl group or a 6-phenylhexyl group; preferably it is a C₁-C₄ alkyl group substituted with a C₆-C₁₀ aryl group such as a benzyl group, an 1-naphthylmethyl group, a 2-naphthylmethyl group, a 1-phenethyl group, a 2-phenethyl group, a 1-naphthylethyl group, a 2-naphthylethyl group, a 1-phenylpropyl group, a 2-phenylpropyl group, a 3-phenylpropyl group or a 1-naphthylpropyl group; and more preferably it is a benzyl group.

The "C₇-C₁₄ aralkyloxy group" in the definition of substituent group β in formula (I) is a hydroxy group substituted with a C₇-C₁₄ aralkyl group as described above and may be, for example, a benzyloxy group, an 1-naphthylmethyloxy group, a 2-naphthylmethyloxy group, an indenylmethyloxy group, a 1-phenethyloxy group, a 2-phenethyloxy group, a 1-naphthylethyloxy group, a 2-naphthylethyloxy group, a 1-phenylpropyloxy group, a 2-phenylpropyloxy group, a 3-phenylpropyloxy group, a 1-naphthylpropyloxy group, a 2-naphthylpropyloxy group, a 3-naphthylpropyloxy group, a 1-phenylbutyloxy group, a 2-phenylbutyloxy group, a 3-phenylbutyloxy group, a 4-phenylbutyloxy group, a 1-naphthylbutyloxy group, a 2-naphthylbutyloxy group, a 3-naphthylbutyloxy group, a 4-naphthylbutyloxy group, a 1-phenylpentyloxy group, a 2-phenylpentyloxy group, a 3-phenylpentyloxy group, a 4-phenylpentyloxy group, a 5-phenylpentyloxy group, a 1-phenylhexyloxy group, a 2-phenylhexyloxy group, a 3-phenylhexyloxy group, a 4-phenylhexyloxy group, a 5-phenylhexyloxy group or a 6-phenylhexyloxy group; preferably it is a C₁-C₄ alkoxy group substituted with a C₆-C₁₀ aryl group such as a benzyloxy group, an 1-naphthylmethyloxy group, a 2-naphthylmethyloxy group, a 1-phenethyloxy group, a 2-phenethyloxy group, a 1-

naphthylethyloxy group, a 2-naphthylethyloxy group, a 1-phenylpropyloxy group, a 2-phenylpropyloxy group, a 3-phenylpropyloxy group or a 1-naphthylpropyloxy group; and more preferably a benzyloxy group.

Where the compound of formula (I) of the present invention or a pharmacologically acceptable ester thereof has a basic group, the compound can be converted to a salt by reacting it with an acid, and in the case where the compound of formula (I) of the present invention or a pharmacologically acceptable ester thereof has an acidic group, the compound can be converted to a salt by reacting it with a base. The compounds of the present invention encompass such salts. Where said salts are to be used for a therapeutic use, they must be pharmacologically acceptable.

Preferred examples of the salts formed with a basic group present in the compound of formula (I) of the present invention include inorganic acid salts such as hydrohalogenated acid salts (e.g. hydrochlorides, hydrobromides and hydroiodides), nitrates, perchlorates, sulfates and phosphates; organic acid salts such as C₁-C₆ alkanesulfonates optionally substituted with fluorine atoms in which the C₁-C₆ alkyl moiety thereof is as defined above (e.g. methanesulfonates, trifluoromethanesulfonates and ethanesulfonates), C₆-C₁₀ arylsulfonates in which the C₆-C₁₀ aryl moiety thereof is as defined above (e.g. benzenesulfonate or p-toluenesulfonate), acetates, malates, fumarates, succinates, citrates, ascorbates, tartrates, oxalates and maleates; and amino acid salts such as glycine salts, lysine salts, arginine salts, ornithine salts, glutamates and aspartates. Hydrohalogenated acid salts are particularly preferred.

Preferred example of the salts formed with an acidic group present in the compound of formula (I) of the present invention include metal salts such as alkali metal salts (e.g. sodium salts, potassium salts and lithium salts), alkali earth metal salts (e.g. calcium salts and magnesium salts), aluminum salts, iron salts, zinc salts, copper salts, nickel salts, and cobalt salts; amine salts such as inorganic amine salts (e.g. ammonium salts) and organic amine salts (e.g. t-octylamine salts, dibenzylamine salts, morpholine salts, glucosamine salts, phenylglycinealkyl ester salts, ethylenediamine salts, N-methylglucamine salts, guanidine salts, diethylamine salts, triethylamine salts, dicyclohexylamine salts, N,N'-dibenzylethylenediamine salts, chlorprocaine salts, procaine salts, diethanolamine salts, N-benzylphenethylamine salts, piperazine salts, tetramethylammonium salts and tris(hydroxymethyl)aminomethane salts); and amino acid salts such as glycine salts, lysine salts, arginine salts, ornithine salts, glutamates and aspartates. Alkali metal salts are particularly preferred.

The compounds of formula (I) and pharmacologically acceptable salts and esters thereof of the present invention can sometimes take up water upon exposure to the atmosphere or when recrystallized to absorb water or to form a hydrate and such hydrates

are also included within the scope of the present invention. Additionally, certain other solvents may be taken up by the compounds of the present invention to produce solvates, which also form a part of the present invention.

The compounds of formula (I) of the present invention sometimes contain one or more asymmetric centres, and can therefore form optical isomers (including diastereoisomers). For the compounds of the present invention, each of said isomers and mixture of said isomers are depicted by a single formula, i.e. the formula (I). Accordingly, the present invention covers both the individual isomers and mixtures thereof in any proportion, including racemic mixtures.

The present invention encompasses esters of the compounds of formula (I). These esters are compounds of formula (I) in which a hydroxyl group or a carboxy group of said compound of formula (I) is modified by the addition of a protecting group using conventional techniques well-known in the art (see, for example, "Protective Groups in Organic Synthesis, Second Edition", Theodora W. Greene and Peter G.M. Wuts, 1991, John Wiley & Sons, Inc.).

There is no particular restriction on the nature of this protecting group, provided that, where the ester is intended for therapeutic purposes, it must be pharmacologically acceptable, i.e. the protecting group must be capable of being removed by a metabolic process (e.g. hydrolysis) on administration of said compound to the body of a live mammal to give a compound of formula (I) or a salt thereof. In other words, the pharmacologically acceptable esters are pro-drugs of the compounds of formula (I) of the present invention. Where, however, the ester of the compound of formula (I) of the present invention is intended for non-therapeutic purposes (e.g. as an intermediate in the preparation of other compounds), then the requirement that said ester is pharmacologically acceptable does not apply.

Whether an ester of a compound of formula (I) of the present invention is pharmacologically acceptable can be easily determined. The compound under investigation is intravenously administered to an experimental animal such as a rat or mouse and the body fluids of the animal are thereafter studied. If a compound of formula (I) or a pharmacologically acceptable salt thereof can be detected in the body fluids, the compound under investigation is judged to be a pharmacologically acceptable ester.

The compounds of formula (I) of the present invention can be converted to an ester, examples of which include a compound of formula (I) in which a hydroxyl group present therein is esterified. The ester residue may be a general protecting group where the esterified compound is to be used as an intermediate or a protecting group which is capable of being removed by a metabolic process (e.g. hydrolysis) *in vivo* where the esterified compound is one which is pharmacologically acceptable.

The general ester protecting group referred to above is an ester protecting group which is removable by a chemical process such as hydrolysis, hydrogenolysis, electrolysis or photolysis. Preferred examples of such a general protecting group used to synthesise a compound of formula (I) in which a hydroxyl residue therein is modified include the following:

(i) aliphatic acyl groups, examples of which include

alkylcarbonyl groups having from 1 to 25 carbon atoms, examples of which include formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, pivaloyl, valeryl, isovaleryl, octanoyl, nonanoyl, decanoyl, 3-methylnonanoyl, 8-methylnonanoyl, 3-ethyloctanoyl, 3,7-dimethyloctanoyl, undecanoyl, dodecanoyl, tridecanoyl, tetradecanoyl, pentadecanoyl, hexadecanoyl, 1-methylpentadecanoyl, 14-methylpentadecanoyl, 13,13-dimethyltetradecanoyl, heptadecanoyl, 15-methylhexadecanoyl, octadecanoyl, 1-methylheptadecanoyl, nonadecanoyl, eicosanoyl and heneicosanoyl groups,

ester forming residues of a saturated or unsaturated C₂-C₁₀ aliphatic di-carboxylic acids such as a fumarate, a maleate, oxalate, malonate or succinate,

halogenated alkylcarbonyl groups having from 1 to 25 carbons in which the alkyl moiety thereof is substituted by at least one halogen atom, examples of which include chloroacetyl, dichloroacetyl, trichloroacetyl and trifluoroacetyl groups,

lower alkoxyalkylcarbonyl groups which comprise an alkylcarbonyl group having from 1 to 25 carbon atoms in which the alkyl moiety thereof is substituted with at least one C₁-C₆ alkoxy group as defined above, examples of said lower alkoxyalkylcarbonyl groups including methoxyacetyl groups, and

unsaturated alkylcarbonyl groups having from 1 to 25 carbon atoms, examples of which include acryloyl, propioloyl, methacryloyl, crotonoyl, isocrotonoyl and (E)-2-methyl-2-butenoyl groups;

of these, alkylcarbonyl groups having from 1 to 6 carbon atoms are preferred;

(ii) aromatic acyl groups, examples of which include

arylcabonyl groups which comprise a carbonyl group which is substituted with an aryl group as defined above, examples of which include benzoyl, 1-naphthoyl and 2-naphthoyl groups,

halogenated arylcarbonyl groups which comprise an arylcarbonyl group as defined above which is substituted with at least one halogen atom, examples of which include 2-bromobenzoyl, 4-chlorobenzoyl and 2,4,6-trifluorobenzoyl groups,

lower alkylated arylcarbonyl groups which comprise an arylcarbonyl group as defined above which is substituted with at least one C₁-C₆ alkyl group as defined above, examples of which include 2,4,6-trimethylbenzoyl and 4-toluoyl groups,

lower alkoxyated arylcarbonyl groups which comprise an arylcarbonyl group as defined above which is substituted with at least one C₁-C₆ alkoxy group as defined above, examples of which include 4-anisoyl groups,

nitrated arylcarbonyl groups which comprise an arylcarbonyl group as defined above which is substituted with at least one nitro group, examples of which include 4-nitrobenzoyl and 2-nitrobenzoyl groups,

lower alkoxy carbonylated arylcarbonyl groups which comprise an arylcarbonyl group as defined above which is substituted with a carbonyl group which is itself substituted with a C₁-C₆ alkoxy group as defined above, examples of which include 2-(methoxycarbonyl)benzoyl groups, and

arylated arylcarbonyl groups which comprise an arylcarbonyl group as defined above which is substituted with at least one aryl group as defined above, examples of which include 4-phenylbenzoyl groups;

(iii) alkoxy carbonyl groups, examples of which include

lower alkoxy carbonyl groups which comprise a carbonyl group substituted with a C₁-C₆ alkoxy group as defined above, examples of which include methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, s-butoxycarbonyl, t-butoxycarbonyl and isobutoxycarbonyl groups, and

lower alkoxy carbonyl groups as defined above which are substituted with at least one substituent selected from the group consisting of halogen atoms and tri(C₁-C₆ alkyl)silyl groups (wherein said C₁-C₆ alkyl groups are as defined above), examples of which include 2,2,2-trichloroethoxycarbonyl and 2-trimethylsilylethoxycarbonyl groups;

(iv) tetrahydropyranyl or tetrahydrothiopyranyl groups which may optionally be substituted with at least one substituent selected from C₁-C₆ alkyl groups as defined above, halogen atoms and C₁-C₆ alkoxy groups as defined above, examples of which include tetrahydropyran-2-yl, 3-bromotetrahydropyran-2-yl, 4-methoxytetrahydropyran-4-yl, tetrahydrothiopyran-2-yl and 4-methoxytetrahydrothiopyran-4-yl groups;

(v) tetrahydrofuran-yl or tetrahydrothiofuran-yl groups which may optionally be substituted with at least one substituent selected from C₁-C₆ alkyl groups as defined above, halogen atoms and C₁-C₆ alkoxy groups as defined above, examples of which include tetrahydrofuran-2-yl and tetrahydrothiofuran-2-yl groups;

(vi) silyl groups, examples of which include

tri(C₁-C₆ alkyl)silyl groups (wherein said C₁-C₆ alkyl groups are as defined above), examples of which include trimethylsilyl, triethylsilyl, isopropyl dimethylsilyl, t-butyl dimethylsilyl, methyl diisopropylsilyl, methyl-di-t-butylsilyl and triisopropylsilyl groups, and

tri(C₁-C₆ alkyl)silyl groups in which at least one of said C₁-C₆ alkyl groups is replaced with 1 or 2 aryl groups as defined above, examples of which include

diphenylmethylsilyl, diphenylbutylsilyl, diphenylisopropylsilyl and phenyldiisopropylsilyl groups;

(vii) alkoxyethyl groups, examples of which include

(C₁-C₆ alkoxy)methyl groups which comprise a methyl group which is substituted with a C₁-C₆ alkoxy group as defined above, examples of which include methoxymethyl, 1,1-dimethyl-1-methoxymethyl, ethoxymethyl, propoxymethyl, isopropoxymethyl, butoxymethyl and t-butoxymethyl groups,

C₁-C₆ alkoxyethyl (C₁-C₆ alkoxy)methyl groups which comprise a (C₁-C₆ alkoxy)methyl group as defined above in which the alkoxy moiety thereof is substituted with a C₁-C₆ alkoxy group as defined above, examples of which include 2-methoxyethoxymethyl groups, and

halogeno (C₁-C₆ alkoxy)methyl groups which comprise a (C₁-C₆ alkoxy)methyl group as defined above in which the alkoxy moiety thereof is substituted with at least one halogen atom, examples of which include 2,2,2-trichloroethoxymethyl and bis(2-chloroethoxy)methyl groups;

(viii) substituted ethyl groups, examples of which include

C₁-C₆ alkoxyethyl groups which comprise an ethyl group which is substituted with a C₁-C₆ alkoxy group as defined above, examples of which include 1-ethoxyethyl and 1-(isopropoxy)ethyl groups, and

halogenated ethyl groups such as 2,2,2-trichloroethyl groups;

(ix) aralkyl groups as defined above, examples of which include

C₁-C₆ alkyl groups as defined above which are substituted with from 1 to 3 aryl groups as defined above, examples of which include benzyl, 1-naphthylmethyl, 2-naphthylmethyl, diphenylmethyl, triphenylmethyl, 1-naphthyldiphenylmethyl and 9-anthrylmethyl groups, and

C₁-C₆ alkyl groups as defined above which are substituted with from 1 to 3 aryl groups as defined above in which said aryl moiety is substituted with at least one substituent selected from the group consisting of C₁-C₆ alkyl groups as defined above, C₁-C₆ alkoxy groups as defined above, nitro groups, halogen atoms and cyano groups, examples of which include 4-methylbenzyl, 2,4,6-trimethylbenzyl, 3,4,5-trimethylbenzyl, 4-methoxybenzyl, 4-methoxyphenyldiphenylmethyl, 2-nitrobenzyl, 4-nitrobenzyl, 4-chlorobenzyl, 4-bromobenzyl and 4-cyanobenzyl groups;

(x) alkenyloxycarbonyl groups which comprise a carbonyl group which is substituted with an alkenyloxy group having from 2 to 6 carbon atoms, examples of which include vinyloxycarbonyl and allyloxycarbonyl groups;

(xi) aralkyloxycarbonyl groups which comprise a carbonyl group which is substituted with an aralkyloxy group (which is an oxygen atom substituted with an aralkyl group as defined above), in which the aryl moiety thereof may optionally be substituted with one

or two substituents selected from C₁-C₆ alkoxy groups as defined above and nitro groups, examples of which include benzyloxycarbonyl, 4-methoxybenzyloxycarbonyl, 3,4-dimethoxybenzyloxycarbonyl, 2-nitrobenzyloxycarbonyl and 4-nitro-benzyloxycarbonyl groups;

(xii) ester forming residues of a C₁-C₁₀ sulfonic acid such as a methanesulfonyl, trifluoromethanesulfonyl, ethanesulfonyl, benzenesulfonyl or toluenesulfonyl group;

(xiii) a carbonate;

(xiv) an ester with an mono- or di-(C₁-C₆ alkyl) ester of carbonic acid such as monomethyl carbonate, dimethyl carbonate, monoethyl carbonate, diethyl carbonate, monopropyl carbonate or monobutyl carbonate;

(xv) an ester with an mono- or di-(C₆-C₁₀ aromatic hydrocarbon) ester of carbonic acid such as monophenyl carbonate or diphenyl carbonate;

(xvi) a phosphate;

(xvii) an ester with an mono- or di-(C₁-C₆ alkyl) ester of phosphoric acid such as monomethyl phosphate, dimethyl phosphate, monoethyl phosphate or diethyl phosphate; and

(xviii) an ester with an mono- or di-(C₆-C₁₀ aromatic hydrocarbon) ester of phosphoric acid such as monophenyl phosphate or diphenyl phosphate.

The ester group which is capable of being removed by a metabolic process (e.g. hydrolysis) *in vivo* is one, which on administration to the body of a live mammal is removable by a metabolic process (e.g. hydrolysis) to give a compound of formula (I) or a salt thereof. Preferred examples of such a protecting group as an ester residue include the following:

(i) 1-(acyloxy)-(C₁-C₆ alkyl) groups, examples of which include

1-(aliphatic acyloxy)-(C₁-C₆ alkyl) groups which comprise a C₁-C₆ alkyl group as defined above which is substituted with an alkylcarbonyloxy group having from 1 to 6 carbon atoms, examples of which include formyloxymethyl, acetoxymethyl, propionyloxymethyl, butyryloxymethyl, pivaloyloxymethyl, valeryloxymethyl, isovaleryloxymethyl, hexanoyloxymethyl, 1-formyloxyethyl, 1-acetoxyethyl, 1-propionyloxyethyl, 1-butyryloxyethyl, 1-pivaloyloxyethyl, 1-valeryloxyethyl, 1-isovaleryloxyethyl, 1-hexanoyloxyethyl, 1-formyloxypropyl, 1-acetoxypropyl, 1-propionyloxypropyl, 1-butyryloxypropyl, 1-pivaloyloxypropyl, 1-valeryloxypropyl, 1-isovaleryloxypropyl, 1-hexanoyloxypropyl, 1-acetoxybutyl, 1-propionyloxybutyl, 1-butyryloxybutyl, 1-pivaloyloxybutyl, 1-acetoxypentyl, 1-propionyloxypropyl, 1-butyryloxypropyl, 1-pivaloyloxypropyl and 1-pivaloyloxyhexyl groups,

1-(cycloalkylcarbonyloxy)-(C₁-C₆ alkyl) groups which comprise a C₁-C₆ alkyl group as defined above which is substituted with a cycloalkylcarbonyloxy group in

which a carbonyloxy group is substituted with a cycloalkyl group as defined above, examples of which include cyclopentylcarbonyloxymethyl, cyclohexylcarbonyloxymethyl, 1-cyclopentylcarbonyloxyethyl, 1-cyclohexylcarbonyloxyethyl, 1-cyclopentylcarbonyloxypropyl, 1-cyclohexylcarbonyloxypropyl, 1-cyclopentyl-carbonyloxybutyl and 1-cyclohexylcarbonyloxybutyl groups, and

1-(aromatic acyloxy)-(C₁-C₆ alkyl) groups which comprise a C₁-C₆ alkyl group as defined above which is substituted with an arylcarbonyloxy group which comprises an oxygen atom which is substituted with an arylcarbonyl group, examples of which include benzoyloxymethyl groups;

(ii) substituted carbonyloxyalkyl groups, examples of which include

(C₁-C₆ alkoxy)carbonyloxyalkyl groups which comprise a C₁-C₆ alkyl group as defined above or a cycloalkyl group as defined above which is substituted with a (C₁-C₆ alkoxy)carbonyloxy group which comprises a carbonyloxy group substituted with a C₁-C₆ alkoxy group as defined above or a cycloalkoxy group, examples of which include methoxycarbonyloxymethyl, ethoxycarbonyloxymethyl, propoxycarbonyloxymethyl, isopropoxycarbonyloxymethyl, butoxycarbonyloxymethyl, isobutoxycarbonyloxymethyl, pentyloxycarbonyloxymethyl, hexyloxycarbonyloxymethyl, cyclohexyloxycarbonyloxymethyl, cyclohexyloxycarbonyloxy(cyclohexyl)methyl, 1-(methoxycarbonyloxy)ethyl, 1-(ethoxycarbonyloxy)ethyl, 1-(propoxycarbonyloxy)ethyl, 1-(isopropoxycarbonyloxy)ethyl, 1-(butoxycarbonyloxy)ethyl, 1-(isobutoxycarbonyloxy)ethyl, 1-(t-butoxycarbonyloxy)ethyl, 1-(pentyloxy-carbonyloxy)ethyl, 1-(hexyloxycarbonyloxy)ethyl, 1-(cyclopentyloxycarbonyloxy)-ethyl, 1-(cyclopentyloxycarbonyloxy)propyl, 1-(cyclohexyloxycarbonyloxy)propyl, 1-(cyclopentyloxycarbonyloxy)butyl, 1-(cyclohexyloxycarbonyloxy)butyl, 1-(cyclohexyloxycarbonyloxy)ethyl, 1-(methoxycarbonyloxy)propyl, 1-(ethoxycarbonyloxy)propyl, 1-(propoxycarbonyloxy)propyl, 1-(isopropoxycarbonyloxy)propyl, 1-(butoxycarbonyloxy)propyl, 1-(isobutoxy-carbonyloxy)propyl, 1-(pentyloxycarbonyloxy)propyl, 1-(hexyloxycarbonyloxy)-propyl, 1-(methoxycarbonyloxy)butyl, 1-(ethoxycarbonyloxy)butyl, 1-(propoxy-carbonyloxy)butyl, 1-(isopropoxycarbonyloxy)butyl, 1-(butoxycarbonyloxy)butyl, 1-(isobutoxycarbonyloxy)butyl, 1-(methoxycarbonyloxy)pentyl, 1-(ethoxy-carbonyloxy)pentyl, 1-(methoxycarbonyloxy)hexyl and 1-(ethoxycarbonyloxy)hexyl groups, and oxodioxolenylmethyl groups, which comprise a methyl group which is substituted with an oxodioxolenyl group which itself may optionally be substituted with a group selected from the group consisting of C₁-C₆ alkyl groups as defined above and aryl groups as defined above which may optionally be substituted with at least one C₁-C₆ alkyl group as defined above, C₁-C₆ alkoxy group as defined above or halogen atom,

examples of which include (5-phenyl-2-oxo-1,3-dioxolen-4-yl)methyl, [5-(4-methylphenyl)-2-oxo-1,3-dioxolen-4-yl]methyl, [5-(4-methoxyphenyl)-2-oxo-1,3-dioxolen-4-yl]methyl, [5-(4-fluorophenyl)-2-oxo-1,3-dioxolen-4-yl]methyl, [5-(4-chlorophenyl)-2-oxo-1,3-dioxolen-4-yl]methyl, (2-oxo-1,3-dioxolen-4-yl)methyl, (5-methyl-2-oxo-1,3-dioxolen-4-yl)methyl, (5-ethyl-2-oxo-1,3-dioxolen-4-yl)methyl, (5-propyl-2-oxo-1,3-dioxolen-4-yl)methyl, (5-isopropyl-2-oxo-1,3-dioxolen-4-yl)methyl and (5-butyl-2-oxo-1,3-dioxolen-4-yl)methyl groups;

(iii) phthalidyl groups which comprise a phthalidyl group which may optionally be substituted with a substituent selected from the group consisting of lower alkyl groups as defined above and lower alkoxy groups as defined above, examples of which include phthalidyl, dimethylphthalidyl and dimethoxyphthalidyl groups;

(iv) aliphatic acyl groups as defined and exemplified above in relation to the general protecting group for a hydroxyl group;

(v) aromatic acyl groups as defined and exemplified above in relation to the general protecting group for a hydroxyl group;

(vi) half-ester salt residues of succinic acid;

(vii) phosphate ester salt residues ;

(viii) ester-forming residues of an amino acid such as glutamate and aspartate;

(ix) carbamoyl groups which may optionally be substituted with 1 or 2 lower alkyl groups as defined above; and

(x) 1-(acyloxy)alkoxycarbonyl groups which comprise a lower alkoxycarbonyl group as defined above in which the lower alkoxy moiety is substituted with an aliphatic acyloxy group as defined above or an aromatic acyloxy group as defined above, examples of which include pivaloyloxymethyloxycarbonyl groups.

Of the above protecting groups which are capable of being removed by a metabolic process (e.g. hydrolysis) *in vivo* which are used to synthesise a compound of formula (I) in which a hydroxyl residue therein is modified, the C₁-C₂₅ alkylcarbonyl groups and substituted carbonyloxyalkyl groups are preferred.

Specific examples of compounds of formula (I) include the following compounds in Tables 1 to 8 below. The compounds of the present invention are not limited to these compounds.

In Tables 1 to 8 the following abbreviations are used:

Ac represents an acetyl group,

Azc represents a perhydroazocin-1-yl group,

Azn represents a perhydroazonin-1-yl group,

Azp represents a perhydroazepin-1-yl group,

Bu represents an n-butyl group,
Bz represents a benzyl group,
Car represents a carbamoyl group,
cBu represents a cyclobutyl group,
cHx represents a cyclohexyl group,
COOMe represents a methoxycarbonyl group,
cPn represents a cyclopentyl group,
cPr represents a cyclopropyl group,
diEtCar represents a N,N-diethylcarbamoyl group,
diMeCar represents a N,N-dimethylcarbamoyl group.
diMeN represents a dimethylamino group,
diMePro represents a 2,2-dimethylpropanoylamino group,
diMeTcr represents a N,N-dimethylthiocarbamoyl group,
diPrCar represents a N,N-diisopropylcarbamoyl group,
Et represents an ethyl group,
Fur represents a furan-3-yl group,
Hx represents a hexyl group,
iPr represents an isopropyl group,
Isox represents an isoxazol-3-yl group,
Me represents a methyl group,
MeEtCar represents a N-methyl-N-ethylcarbamoyl group,
MeEtN represents a methylethylamino group,
Mor represents a morpholin-1-yl group,
Mtdo represents a methylenedioxy group,
Nap represents a naphthyl group,
Oxa represents an oxazol-2-yl group,
pentaFPh represents a pentafluorophenyl group,
Ph represents a phenyl group,
Pip represents a piperidin-1-yl group,
Pr represents a propyl group,
2-Pyr represents a 2-pyridinyl group,
3-Pyr represents a 3-pyridinyl group,
4-Pyr represents a 4-pyridinyl group,
Pyrđ represents a pyrrolidin-1-yl group,
Pyrm represents a pyrimidinyl group,
Pyzi represents a pyrazinyl group,
Pyzo represents a pyrazol-2-yl group,
t-Bu represents a t-butyl group,

2,2,3,3-tetraMe-cPr represents a 2,2,3,3-tetramethylcyclopropyl group,

Tfm represents a trifluoromethyl group,

Thi represents a 2-thienyl group,

Thiz-2 represents a 1,3-thiazol-2-yl group,

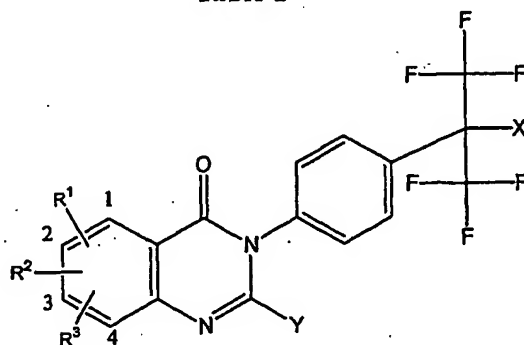
Thiz-4 represents a 1,3-thiazol-4-yl group,

Thiz-5 represents a 1,3-thiazol-5-yl group,

Exemp. Comp. No. represents exemplification compound number, and

Sub. Pos. represents substitution position.

Table 1



| Exemp. Comp. No. | R ¹ | R ² | R ³ | X | Y |
|---------------------|----------------|----------------|----------------|----|-------------------------|
| 1-1 | H | H | H | OH | Me |
| 1-2 | H | H | H | OH | Et |
| 1-3 | H | H | H | OH | Pr |
| 1-4 | H | H | H | OH | iPr |
| 1-5 | H | H | H | OH | Bu |
| 1-6 | H | H | H | OH | tBu |
| 1-7 | H | H | H | OH | pentyl |
| 1-8 | H | H | H | OH | -(CH ₂)-tBu |
| 1-9 | H | H | H | OH | Hx |
| 1-10 | H | H | H | OH | Tfm |
| 1-11 | H | H | H | OH | fluoromethyl |
| 1-12 | H | H | H | OH | difluoromethyl |
| 1-13 | H | H | H | OH | chloromethyl |
| 1-14 | H | H | H | OH | dichloromethyl |
| 1-15 | H | H | H | OH | bromomethyl |
| 1-16 | H | H | H | OH | dibromomethyl |

| | | | | | |
|------|------|---|---|----|-------------------------|
| 1-17 | H | H | H | OH | 1-bromoethyl |
| 1-18 | H | H | H | OH | 1-chloroethyl |
| 1-19 | H | H | H | OH | pentafluoroethyl |
| 1-20 | H | H | H | OH | aminomethyl |
| 1-21 | H | H | H | OH | N,N-dimethylaminomethyl |
| 1-22 | H | H | H | OH | N,N-diethylaminomethyl |
| 1-23 | 1-Cl | H | H | OH | Me |
| 1-24 | 1-Cl | H | H | OH | Et |
| 1-25 | 1-Cl | H | H | OH | Pr |
| 1-26 | 1-Cl | H | H | OH | iPr |
| 1-27 | 1-Cl | H | H | OH | Bu |
| 1-28 | 1-Cl | H | H | OH | tBu |
| 1-29 | 1-Cl | H | H | OH | pentyl |
| 1-30 | 1-Cl | H | H | OH | -(CH ₂)-tBu |
| 1-31 | 1-Cl | H | H | OH | Hx |
| 1-32 | 1-Cl | H | H | OH | Tfm |
| 1-33 | 1-Cl | H | H | OH | fluoromethyl |
| 1-34 | 1-Cl | H | H | OH | difluoromethyl |
| 1-35 | 1-Cl | H | H | OH | chloromethyl |
| 1-36 | 1-Cl | H | H | OH | dichloromethyl |
| 1-37 | 1-Cl | H | H | OH | bromomethyl |
| 1-38 | 1-Cl | H | H | OH | dibromomethyl |
| 1-39 | 1-Cl | H | H | OH | 1-bromoethyl |
| 1-40 | 1-Cl | H | H | OH | 1-chloroethyl |
| 1-41 | 1-Cl | H | H | OH | pentafluoroethyl |
| 1-42 | 1-Cl | H | H | OH | aminomethyl |
| 1-43 | 1-Cl | H | H | OH | N,N-dimethylaminomethyl |
| 1-44 | 1-Cl | H | H | OH | N,N-diethylaminomethyl |
| 1-45 | 2-Br | H | H | OH | Me |
| 1-46 | 2-Br | H | H | OH | Et |
| 1-47 | 2-Br | H | H | OH | Pr |
| 1-48 | 2-Br | H | H | OH | iPr |
| 1-49 | 2-Br | H | H | OH | Bu |
| 1-50 | 2-Br | H | H | OH | tBu |
| 1-51 | 2-Br | H | H | OH | pentyl |
| 1-52 | 2-Br | H | H | OH | -(CH ₂)-tBu |
| 1-53 | 2-Br | H | H | OH | Hx |

| | | | | | |
|------|------|---|---|----|-------------------------|
| 1-54 | 2-Br | H | H | OH | Tfm |
| 1-55 | 2-Br | H | H | OH | fluoromethyl |
| 1-56 | 2-Br | H | H | OH | difluoromethyl |
| 1-57 | 2-Br | H | H | OH | chloromethyl |
| 1-58 | 2-Br | H | H | OH | dichloromethyl |
| 1-59 | 2-Br | H | H | OH | bromomethyl |
| 1-60 | 2-Br | H | H | OH | dibromomethyl |
| 1-61 | 2-Br | H | H | OH | 1-bromoethyl |
| 1-62 | 2-Br | H | H | OH | 1-chloroethyl |
| 1-63 | 2-Br | H | H | OH | pentafluoroethyl |
| 1-64 | 2-Br | H | H | OH | aminomethyl |
| 1-65 | 2-Br | H | H | OH | N,N-dimethylaminomethyl |
| 1-66 | 2-Br | H | H | OH | N,N-diethylaminomethyl |
| 1-67 | 2-Cl | H | H | OH | Me |
| 1-68 | 2-Cl | H | H | OH | Et |
| 1-69 | 2-Cl | H | H | OH | Pr |
| 1-70 | 2-Cl | H | H | OH | iPr |
| 1-71 | 2-Cl | H | H | OH | Bu |
| 1-72 | 2-Cl | H | H | OH | tBu |
| 1-73 | 2-Cl | H | H | OH | pentyl |
| 1-74 | 2-Cl | H | H | OH | -(CH ₂)-tBu |
| 1-75 | 2-Cl | H | H | OH | Hx |
| 1-76 | 2-Cl | H | H | OH | Tfm |
| 1-77 | 2-Cl | H | H | OH | fluoromethyl |
| 1-78 | 2-Cl | H | H | OH | difluoromethyl |
| 1-79 | 2-Cl | H | H | OH | chloromethyl |
| 1-80 | 2-Cl | H | H | OH | dichloromethyl |
| 1-81 | 2-Cl | H | H | OH | bromomethyl |
| 1-82 | 2-Cl | H | H | OH | dibromomethyl |
| 1-83 | 2-Cl | H | H | OH | 1-bromoethyl |
| 1-84 | 2-Cl | H | H | OH | 1-chloroethyl |
| 1-85 | 2-Cl | H | H | OH | pentafluoroethyl |
| 1-86 | 2-Cl | H | H | OH | aminomethyl |
| 1-87 | 2-Cl | H | H | OH | N,N-dimethylaminomethyl |
| 1-88 | 2-Cl | H | H | OH | N,N-diethylaminomethyl |
| 1-89 | 2-Cl | H | H | OH | Me |
| 1-90 | 2-F | H | H | OH | Et |

| | | | | | |
|-------|------|---|---|----|-------------------------|
| 1-91 | 2-F | H | H | OH | Pr |
| 1-92 | 2-F | H | H | OH | iPr |
| 1-93 | 2-F | H | H | OH | Bu |
| 1-94 | 2-F | H | H | OH | tBu |
| 1-95 | 2-F | H | H | OH | pentyl |
| 1-96 | 2-F | H | H | OH | -(CH ₂)-tBu |
| 1-97 | 2-F | H | H | OH | Hx |
| 1-98 | 2-F | H | H | OH | Tfm |
| 1-99 | 2-F | H | H | OH | fluoromethyl |
| 1-100 | 2-F | H | H | OH | difluoromethyl |
| 1-101 | 2-F | H | H | OH | chloromethyl |
| 1-102 | 2-F | H | H | OH | dichloromethyl |
| 1-103 | 2-F | H | H | OH | bromomethyl |
| 1-104 | 2-F | H | H | OH | dibromomethyl |
| 1-105 | 2-F | H | H | OH | 1-bromoethyl |
| 1-106 | 2-F | H | H | OH | 1-chloroethyl |
| 1-107 | 2-F | H | H | OH | pentafluoroethyl |
| 1-108 | 2-F | H | H | OH | aminomethyl |
| 1-109 | 2-F | H | H | OH | N,N-dimethylaminomethyl |
| 1-110 | 2-F | H | H | OH | N,N-diethylaminomethyl |
| 1-111 | 2-OH | H | H | OH | Me |
| 1-112 | 2-OH | H | H | OH | Et |
| 1-113 | 2-OH | H | H | OH | Pr |
| 1-114 | 2-OH | H | H | OH | iPr |
| 1-115 | 2-OH | H | H | OH | Bu |
| 1-116 | 2-OH | H | H | OH | tBu |
| 1-117 | 2-OH | H | H | OH | pentyl |
| 1-118 | 2-OH | H | H | OH | -(CH ₂)-tBu |
| 1-119 | 2-OH | H | H | OH | Hx |
| 1-120 | 2-OH | H | H | OH | Tfm |
| 1-121 | 2-OH | H | H | OH | fluoromethyl |
| 1-122 | 2-OH | H | H | OH | difluoromethyl |
| 1-123 | 2-OH | H | H | OH | chloromethyl |
| 1-124 | 2-OH | H | H | OH | dichloromethyl |
| 1-125 | 2-OH | H | H | OH | bromomethyl |
| 1-126 | 2-OH | H | H | OH | dibromomethyl |
| 1-127 | 2-OH | H | H | OH | 1-bromoethyl |

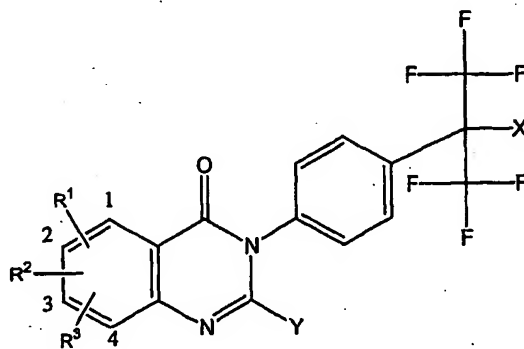
| | | | | | |
|-------|--------|---|---|----|-------------------------|
| 1-128 | 2-OH | H | H | OH | 1-chloroethyl |
| 1-129 | 2-OH | H | H | OH | pentafluoroethyl |
| 1-130 | 2-OH | H | H | OH | aminomethyl |
| 1-131 | 2-OH | H | H | OH | N,N-dimethylaminomethyl |
| 1-132 | 2-OH | H | H | OH | N,N-diethylaminomethyl |
| 1-133 | 2-OMe | H | H | OH | Me |
| 1-134 | 2-OMe | H | H | OH | Et |
| 1-135 | 2-OMe | H | H | OH | Pr |
| 1-136 | 2-OMe | H | H | OH | iPr |
| 1-137 | 2-OMe | H | H | OH | Bu |
| 1-138 | 2-OMe | H | H | OH | tBu |
| 1-139 | 2-OMe | H | H | OH | pentyl |
| 1-140 | 2-OMe | H | H | OH | -(CH ₂)-tBu |
| 1-141 | 2-OMe | H | H | OH | Hx |
| 1-142 | 2-OMe | H | H | OH | Tfm |
| 1-143 | 2-OMe | H | H | OH | fluoromethyl |
| 1-144 | 2-OMe | H | H | OH | difluoromethyl |
| 1-145 | 2-OMe | H | H | OH | chloromethyl |
| 1-146 | 2-OMe | H | H | OH | dichloromethyl |
| 1-147 | 2-OMe | H | H | OH | bromomethyl |
| 1-148 | 2-OMe | H | H | OH | dibromomethyl |
| 1-149 | 2-OMe | H | H | OH | 1-bromoethyl |
| 1-150 | 2-OMe | H | H | OH | 1-chloroethyl |
| 1-151 | 2-OMe | H | H | OH | pentafluoroethyl |
| 1-152 | 2-OMe | H | H | OH | aminomethyl |
| 1-153 | 2-OMe | H | H | OH | N,N-dimethylaminomethyl |
| 1-154 | 2-OMe | H | H | OH | N,N-diethylaminomethyl |
| 1-155 | 2-AcNH | H | H | OH | Me |
| 1-156 | 2-AcNH | H | H | OH | Et |
| 1-157 | 2-AcNH | H | H | OH | Pr |
| 1-158 | 2-AcNH | H | H | OH | iPr |
| 1-159 | 2-AcNH | H | H | OH | Bu |
| 1-160 | 2-AcNH | H | H | OH | tBu |
| 1-161 | 2-AcNH | H | H | OH | pentyl |
| 1-162 | 2-AcNH | H | H | OH | -(CH ₂)-tBu |
| 1-163 | 2-AcNH | H | H | OH | Hx |
| 1-164 | 2-AcNH | H | H | OH | Tfm |

| | | | | | |
|-------|----------|-------|---|----|-------------------------|
| 1-165 | 2-AcNH | H | H | OH | fluoromethyl |
| 1-166 | 2-AcNH | H | H | OH | difluoromethyl |
| 1-167 | 2-AcNH | H | H | OH | chloromethyl |
| 1-168 | 2-AcNH | H | H | OH | dichloromethyl |
| 1-169 | 2-AcNH | H | H | OH | bromomethyl |
| 1-170 | 2-AcNH | H | H | OH | dibromomethyl |
| 1-171 | 2-AcNH | H | H | OH | 1-bromoethyl |
| 1-172 | 2-AcNH | H | H | OH | 1-chloroethyl |
| 1-173 | 2-AcNH | H | H | OH | pentafluoroethyl |
| 1-174 | 2-AcNH | H | H | OH | aminomethyl |
| 1-175 | 2-AcNH | H | H | OH | N,N-dimethylaminomethyl |
| 1-176 | 2-AcNH | H | H | OH | N,N-diethylaminomethyl |
| 1-177 | 2-OMe | 3-OMe | H | OH | Me |
| 1-178 | 2-OMe | 3-OMe | H | OH | Et |
| 1-179 | 2-OMe | 3-OMe | H | OH | Pr |
| 1-180 | 2-OMe | 3-OMe | H | OH | iPr |
| 1-181 | 2-OMe | 3-OMe | H | OH | Bu |
| 1-182 | 2-OMe | 3-OMe | H | OH | tBu |
| 1-183 | 2-OMe | 3-OMe | H | OH | pentyl |
| 1-184 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-tBu |
| 1-185 | 2-OMe | 3-OMe | H | OH | Hx |
| 1-186 | 2-OMe | 3-OMe | H | OH | Tfm |
| 1-187 | 2-OMe | 3-OMe | H | OH | fluoromethyl |
| 1-188 | 2-OMe | 3-OMe | H | OH | difluoromethyl |
| 1-189 | 2-OMe | 3-OMe | H | OH | chloromethyl |
| 1-190 | 2-OMe | 3-OMe | H | OH | dichloromethyl |
| 1-191 | 2-OMe | 3-OMe | H | OH | bromomethyl |
| 1-192 | 2-OMe | 3-OMe | H | OH | dibromomethyl |
| 1-193 | 2-OMe | 3-OMe | H | OH | 1-bromoethyl |
| 1-194 | 2-OMe | 3-OMe | H | OH | 1-chloroethyl |
| 1-195 | 2-OMe | 3-OMe | H | OH | pentafluoroethyl |
| 1-196 | 2-OMe | 3-OMe | H | OH | aminomethyl |
| 1-197 | 2-OMe | 3-OMe | H | OH | N,N-dimethylaminomethyl |
| 1-198 | 2-OMe | 3-OMe | H | OH | N,N-diethylaminomethyl |
| 1-199 | 2,3-Mtdo | | H | OH | Me |
| 1-200 | 2,3-Mtdo | | H | OH | Et |
| 1-201 | 2,3-Mtdo | | H | OH | Pr |

| | | | | |
|-------|----------|------|------|----------------------------|
| 1-202 | 2,3-Mtdo | H | OH | iPr |
| 1-203 | 2,3-Mtdo | H | OH | Bu |
| 1-204 | 2,3-Mtdo | H | OH | tBu |
| 1-205 | 2,3-Mtdo | H | OH | pentyl |
| 1-206 | 2,3-Mtdo | H | OH | -(CH ₂)-tBu |
| 1-207 | 2,3-Mtdo | H | OH | Hx |
| 1-208 | 2,3-Mtdo | H | OH | Tfm |
| 1-209 | 2,3-Mtdo | H | OH | fluoromethyl |
| 1-210 | 2,3-Mtdo | H | OH | difluoromethyl |
| 1-211 | 2,3-Mtdo | H | OH | chloromethyl |
| 1-212 | 2,3-Mtdo | H | OH | dichloromethyl |
| 1-213 | 2,3-Mtdo | H | OH | bromomethyl |
| 1-214 | 2,3-Mtdo | H | OH | dibromomethyl |
| 1-215 | 2,3-Mtdo | H | OH | 1-bromoethyl |
| 1-216 | 2,3-Mtdo | H | OH | 1-chloroethyl |
| 1-217 | 2,3-Mtdo | H | OH | pentafluoroethyl |
| 1-218 | 2,3-Mtdo | H | OH | aminomethyl |
| 1-219 | 2,3-Mtdo | H | OH | N,N-dimethylaminomethyl |
| 1-220 | 2,3-Mtdo | H | OH | N,N-diethylaminomethyl |
| 1-221 | 1-Cl | 2-Cl | 3-Cl | OH Me |
| 1-222 | 1-Cl | 2-Cl | 3-Cl | OH Et |
| 1-223 | 1-Cl | 2-Cl | 3-Cl | OH Pr |
| 1-224 | 1-Cl | 2-Cl | 3-Cl | OH iPr |
| 1-225 | 1-Cl | 2-Cl | 3-Cl | OH Bu |
| 1-226 | 1-Cl | 2-Cl | 3-Cl | OH tBu |
| 1-227 | 1-Cl | 2-Cl | 3-Cl | OH pentyl |
| 1-228 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂)-tBu |
| 1-229 | 1-Cl | 2-Cl | 3-Cl | OH Hx |
| 1-230 | 1-Cl | 2-Cl | 3-Cl | OH Tfm |
| 1-231 | 1-Cl | 2-Cl | 3-Cl | OH fluoromethyl |
| 1-232 | 1-Cl | 2-Cl | 3-Cl | OH difluoromethyl |
| 1-233 | 1-Cl | 2-Cl | 3-Cl | OH chloromethyl |
| 1-234 | 1-Cl | 2-Cl | 3-Cl | OH dichloromethyl |
| 1-235 | 1-Cl | 2-Cl | 3-Cl | OH bromomethyl |
| 1-236 | 1-Cl | 2-Cl | 3-Cl | OH dibromomethyl |
| 1-237 | 1-Cl | 2-Cl | 3-Cl | OH 1-bromoethyl |
| 1-238 | 1-Cl | 2-Cl | 3-Cl | OH 1-chloroethyl |

| | | | | | |
|-------|------|------|------|----|-------------------------|
| 1-239 | 1-Cl | 2-Cl | 3-Cl | OH | pentafluoroethyl |
| 1-240 | 1-Cl | 2-Cl | 3-Cl | OH | aminomethyl |
| 1-241 | 1-Cl | 2-Cl | 3-Cl | OH | N,N-dimethylaminomethyl |
| 1-242 | 1-Cl | 2-Cl | 3-Cl | OH | N,N-diethylaminomethyl |

Table 2



| Exemp. Comp. No. | R ¹ | R ² | R ³ | X | Y |
|---------------------|----------------|----------------|----------------|----|---------------------------------------|
| 2-1 | H | H | H | OH | cPr |
| 2-2 | H | H | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-3 | H | H | H | OH | 2-Ph-cPr |
| 2-4 | H | H | H | OH | cBu |
| 2-5 | H | H | H | OH | cPn |
| 2-6 | H | H | H | OH | cHx |
| 2-7 | H | H | H | OH | -(CH ₂)-cPr |
| 2-8 | H | H | H | OH | -(CH ₂)-cBu |
| 2-9 | H | H | H | OH | -(CH ₂)-cPn |
| 2-10 | H | H | H | OH | -(CH ₂)-cHx |
| 2-11 | H | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-12 | H | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-13 | H | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-14 | H | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-15 | H | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-16 | H | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-17 | H | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-18 | H | H | H | OH | -(CH ₂) ₃ -cHx |

| | | | | | |
|------|---|---|---|----|--|
| 2-19 | H | H | H | OH | -(CH ₂) ₄ -cPr |
| 2-20 | H | H | H | OH | -(CH ₂) ₄ -cBu |
| 2-21 | H | H | H | OH | -(CH ₂) ₄ -cPn |
| 2-22 | H | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-23 | H | H | H | OH | Pyrd |
| 2-24 | H | H | H | OH | Pip |
| 2-25 | H | H | H | OH | Azp |
| 2-26 | H | H | H | OH | Azc |
| 2-27 | H | H | H | OH | Azn |
| 2-28 | H | H | H | OH | Mor |
| 2-29 | H | H | H | OH | -(CH ₂)-Pyrd |
| 2-30 | H | H | H | OH | -(CH ₂)-Pip |
| 2-31 | H | H | H | OH | -(CH ₂)-Azp |
| 2-32 | H | H | H | OH | -(CH ₂)-Azc |
| 2-33 | H | H | H | OH | -(CH ₂)-Azn |
| 2-34 | H | H | H | OH | -(CH ₂)-Mor |
| 2-35 | H | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-36 | H | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-37 | H | H | H | OH | -(CH ₂) ₂ -Azp |
| 2-38 | H | H | H | OH | -(CH ₂) ₂ -Azc |
| 2-39 | H | H | H | OH | -(CH ₂) ₂ -Azn |
| 2-40 | H | H | H | OH | -(CH ₂) ₂ -Mor |
| 2-41 | H | H | H | OH | -(CH ₂) ₃ -Pyrd |
| 2-42 | H | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-43 | H | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-44 | H | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-45 | H | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-46 | H | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-47 | H | H | H | OH | -(CH ₂) ₄ -Pyrd |
| 2-48 | H | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-49 | H | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-50 | H | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-51 | H | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-52 | H | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-53 | H | H | H | OH | Thi |
| 2-54 | H | H | H | OH | 2-Pyr |
| 2-55 | H | H | H | OH | 3-Pyr |

| | | | | | |
|------|---|---|---|----|---|
| 2-56 | H | H | H | OH | 4-Pyr |
| 2-57 | H | H | H | OH | Pyzo |
| 2-58 | H | H | H | OH | Oxa |
| 2-59 | H | H | H | OH | Isox |
| 2-60 | H | H | H | OH | Fur |
| 2-61 | H | H | H | OH | -(CH ₂)-Thi |
| 2-62 | H | H | H | OH | -(CH ₂)-2-Pyr |
| 2-63 | H | H | H | OH | -(CH ₂)-3-Pyr |
| 2-64 | H | H | H | OH | -(CH ₂)-4-Pyr |
| 2-65 | H | H | H | OH | -(CH ₂)-Pyzo |
| 2-66 | H | H | H | OH | -(CH ₂)-Oxa |
| 2-67 | H | H | H | OH | -(CH ₂)-Isox |
| 2-68 | H | H | H | OH | -(CH ₂)-Fur |
| 2-69 | H | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-70 | H | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-71 | H | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-72 | H | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-73 | H | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-74 | H | H | H | OH | -(CH ₂) ₂ -Oxa |
| 2-75 | H | H | H | OH | -(CH ₂) ₂ -Isox |
| 2-76 | H | H | H | OH | -(CH ₂) ₂ -Fur |
| 2-77 | H | H | H | OH | -(CH ₂) ₃ -Thi |
| 2-78 | H | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-79 | H | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-80 | H | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-81 | H | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-82 | H | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-83 | H | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-84 | H | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-85 | H | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-86 | H | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-87 | H | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-88 | H | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-89 | H | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-90 | H | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-91 | H | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-92 | H | H | H | OH | -(CH ₂) ₄ -Fur |

| | | | | | |
|-------|------|---|---|----|--|
| 2-93 | 1-Cl | H | H | OH | cPr |
| 2-94 | 1-Cl | H | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-95 | 1-Cl | H | H | OH | 2-Ph-cPr |
| 2-96 | 1-Cl | H | H | OH | cBu |
| 2-97 | 1-Cl | H | H | OH | cPn |
| 2-98 | 1-Cl | H | H | OH | cHx |
| 2-99 | 1-Cl | H | H | OH | -(CH ₂)-cPr |
| 2-100 | 1-Cl | H | H | OH | -(CH ₂)-cBu |
| 2-101 | 1-Cl | H | H | OH | -(CH ₂)-cPn |
| 2-102 | 1-Cl | H | H | OH | -(CH ₂)-cHx |
| 2-103 | 1-Cl | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-104 | 1-Cl | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-105 | 1-Cl | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-106 | 1-Cl | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-107 | 1-Cl | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-108 | 1-Cl | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-109 | 1-Cl | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-110 | 1-Cl | H | H | OH | -(CH ₂) ₃ -cHx |
| 2-111 | 1-Cl | H | H | OH | -(CH ₂) ₄ -cPr |
| 2-112 | 1-Cl | H | H | OH | -(CH ₂) ₄ -cBu |
| 2-113 | 1-Cl | H | H | OH | -(CH ₂) ₄ -cPn |
| 2-114 | 1-Cl | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-115 | 1-Cl | H | H | OH | Pyrd |
| 2-116 | 1-Cl | H | H | OH | Pip |
| 2-117 | 1-Cl | H | H | OH | Azp |
| 2-118 | 1-Cl | H | H | OH | Azc |
| 2-119 | 1-Cl | H | H | OH | Azn |
| 2-120 | 1-Cl | H | H | OH | Mor |
| 2-121 | 1-Cl | H | H | OH | -(CH ₂)-Pyrd |
| 2-122 | 1-Cl | H | H | OH | -(CH ₂)-Pip |
| 2-123 | 1-Cl | H | H | OH | -(CH ₂)-Azp |
| 2-124 | 1-Cl | H | H | OH | -(CH ₂)-Azc |
| 2-125 | 1-Cl | H | H | OH | -(CH ₂)-Azn |
| 2-126 | 1-Cl | H | H | OH | -(CH ₂)-Mor |
| 2-127 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-128 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-129 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Azp |

| | | | | | |
|-------|------|---|---|----|---|
| 2-130 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Azc |
| 2-131 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Azn |
| 2-132 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Mor |
| 2-133 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Pyrđ |
| 2-134 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-135 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-136 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-137 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-138 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-139 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Pyrđ |
| 2-140 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-141 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-142 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-143 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-144 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-145 | 1-Cl | H | H | OH | Thi |
| 2-146 | 1-Cl | H | H | OH | 2-Pyr |
| 2-147 | 1-Cl | H | H | OH | 3-Pyr |
| 2-148 | 1-Cl | H | H | OH | 4-Pyr |
| 2-149 | 1-Cl | H | H | OH | Pyzo |
| 2-150 | 1-Cl | H | H | OH | Oxa |
| 2-151 | 1-Cl | H | H | OH | Isox |
| 2-152 | 1-Cl | H | H | OH | Fur |
| 2-153 | 1-Cl | H | H | OH | -(CH ₂)-Thi |
| 2-154 | 1-Cl | H | H | OH | -(CH ₂)-2-Pyr |
| 2-155 | 1-Cl | H | H | OH | -(CH ₂)-3-Pyr |
| 2-156 | 1-Cl | H | H | OH | -(CH ₂)-4-Pyr |
| 2-157 | 1-Cl | H | H | OH | -(CH ₂)-Pyzo |
| 2-158 | 1-Cl | H | H | OH | -(CH ₂)-Oxa |
| 2-159 | 1-Cl | H | H | OH | -(CH ₂)-Isox |
| 2-160 | 1-Cl | H | H | OH | -(CH ₂)-Fur |
| 2-161 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-162 | 1-Cl | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-163 | 1-Cl | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-164 | 1-Cl | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-165 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-166 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Oxa |

| | | | | | |
|-------|------|---|---|----|---|
| 2-167 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Isox |
| 2-168 | 1-Cl | H | H | OH | -(CH ₂) ₂ -Fur |
| 2-169 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Thi |
| 2-170 | 1-Cl | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-171 | 1-Cl | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-172 | 1-Cl | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-173 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-174 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-175 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-176 | 1-Cl | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-177 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-178 | 1-Cl | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-179 | 1-Cl | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-180 | 1-Cl | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-181 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-182 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-183 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-184 | 1-Cl | H | H | OH | -(CH ₂) ₄ -Fur |
| 2-185 | 2-Br | H | H | OH | cPr |
| 2-186 | 2-Br | H | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-187 | 2-Br | H | H | OH | 2-Ph-cPr |
| 2-188 | 2-Br | H | H | OH | cBu |
| 2-189 | 2-Br | H | H | OH | cPn |
| 2-190 | 2-Br | H | H | OH | cHx |
| 2-191 | 2-Br | H | H | OH | -(CH ₂)-cPr |
| 2-192 | 2-Br | H | H | OH | -(CH ₂)-cBu |
| 2-193 | 2-Br | H | H | OH | -(CH ₂)-cPn |
| 2-194 | 2-Br | H | H | OH | -(CH ₂)-cHx |
| 2-195 | 2-Br | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-196 | 2-Br | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-197 | 2-Br | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-198 | 2-Br | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-199 | 2-Br | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-200 | 2-Br | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-201 | 2-Br | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-202 | 2-Br | H | H | OH | -(CH ₂) ₃ -cHx |
| 2-203 | 2-Br | H | H | OH | -(CH ₂) ₄ -cPr |

| | | | | | |
|-------|------|---|---|----|--|
| 2-204 | 2-Br | H | H | OH | -(CH ₂) ₄ -cBu |
| 2-205 | 2-Br | H | H | OH | -(CH ₂) ₄ -cPn |
| 2-206 | 2-Br | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-207 | 2-Br | H | H | OH | Pyrd |
| 2-208 | 2-Br | H | H | OH | Pip |
| 2-209 | 2-Br | H | H | OH | Azp |
| 2-210 | 2-Br | H | H | OH | Azc |
| 2-211 | 2-Br | H | H | OH | Azn |
| 2-212 | 2-Br | H | H | OH | Mor |
| 2-213 | 2-Br | H | H | OH | -(CH ₂)-Pyrd |
| 2-214 | 2-Br | H | H | OH | -(CH ₂)-Pip |
| 2-215 | 2-Br | H | H | OH | -(CH ₂)-Azp |
| 2-216 | 2-Br | H | H | OH | -(CH ₂)-Azc |
| 2-217 | 2-Br | H | H | OH | -(CH ₂)-Azn |
| 2-218 | 2-Br | H | H | OH | -(CH ₂)-Mor |
| 2-219 | 2-Br | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-220 | 2-Br | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-221 | 2-Br | H | H | OH | -(CH ₂) ₂ -Azp |
| 2-222 | 2-Br | H | H | OH | -(CH ₂) ₂ -Azc |
| 2-223 | 2-Br | H | H | OH | -(CH ₂) ₂ -Azn |
| 2-224 | 2-Br | H | H | OH | -(CH ₂) ₂ -Mor |
| 2-225 | 2-Br | H | H | OH | -(CH ₂) ₃ -Pyrd |
| 2-226 | 2-Br | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-227 | 2-Br | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-228 | 2-Br | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-229 | 2-Br | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-230 | 2-Br | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-231 | 2-Br | H | H | OH | -(CH ₂) ₄ -Pyrd |
| 2-232 | 2-Br | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-233 | 2-Br | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-234 | 2-Br | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-235 | 2-Br | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-236 | 2-Br | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-237 | 2-Br | H | H | OH | Thi |
| 2-238 | 2-Br | H | H | OH | 2-Pyr |
| 2-239 | 2-Br | H | H | OH | 3-Pyr |
| 2-240 | 2-Br | H | H | OH | 4-Pyr |

| | | | | | |
|-------|------|---|---|----|---|
| 2-241 | 2-Br | H | H | OH | Pyzo |
| 2-242 | 2-Br | H | H | OH | Oxa |
| 2-243 | 2-Br | H | H | OH | Isox |
| 2-244 | 2-Br | H | H | OH | Fur |
| 2-245 | 2-Br | H | H | OH | -(CH ₂)-Thi |
| 2-246 | 2-Br | H | H | OH | -(CH ₂)-2-Pyr |
| 2-247 | 2-Br | H | H | OH | -(CH ₂)-3-Pyr |
| 2-248 | 2-Br | H | H | OH | -(CH ₂)-4-Pyr |
| 2-249 | 2-Br | H | H | OH | -(CH ₂)-Pyzo |
| 2-250 | 2-Br | H | H | OH | -(CH ₂)-Oxa |
| 2-251 | 2-Br | H | H | OH | -(CH ₂)-Isox |
| 2-252 | 2-Br | H | H | OH | -(CH ₂)-Fur |
| 2-253 | 2-Br | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-254 | 2-Br | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-255 | 2-Br | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-256 | 2-Br | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-257 | 2-Br | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-258 | 2-Br | H | H | OH | -(CH ₂) ₂ -Oxa |
| 2-259 | 2-Br | H | H | OH | -(CH ₂) ₂ -Isox |
| 2-260 | 2-Br | H | H | OH | -(CH ₂) ₂ -Fur |
| 2-261 | 2-Br | H | H | OH | -(CH ₂) ₃ -Thi |
| 2-262 | 2-Br | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-263 | 2-Br | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-264 | 2-Br | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-265 | 2-Br | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-266 | 2-Br | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-267 | 2-Br | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-268 | 2-Br | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-269 | 2-Br | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-270 | 2-Br | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-271 | 2-Br | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-272 | 2-Br | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-273 | 2-Br | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-274 | 2-Br | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-275 | 2-Br | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-276 | 2-Br | H | H | OH | -(CH ₂) ₄ -Fur |
| 2-277 | 2-Cl | H | H | OH | cPr |

| | | | | | |
|-------|------|---|---|----|--|
| 2-278 | 2-Cl | H | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-279 | 2-Cl | H | H | OH | 2-Ph-cPr |
| 2-280 | 2-Cl | H | H | OH | cBu |
| 2-281 | 2-Cl | H | H | OH | cPn |
| 2-282 | 2-Cl | H | H | OH | cHx |
| 2-283 | 2-Cl | H | H | OH | -(CH ₂)-cPr |
| 2-284 | 2-Cl | H | H | OH | -(CH ₂)-cBu |
| 2-285 | 2-Cl | H | H | OH | -(CH ₂)-cPn |
| 2-286 | 2-Cl | H | H | OH | -(CH ₂)-cHx |
| 2-287 | 2-Cl | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-288 | 2-Cl | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-289 | 2-Cl | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-290 | 2-Cl | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-291 | 2-Cl | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-292 | 2-Cl | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-293 | 2-Cl | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-294 | 2-Cl | H | H | OH | -(CH ₂) ₃ -cHx |
| 2-295 | 2-Cl | H | H | OH | -(CH ₂) ₄ -cPr |
| 2-296 | 2-Cl | H | H | OH | -(CH ₂) ₄ -cBu |
| 2-297 | 2-Cl | H | H | OH | -(CH ₂) ₄ -cPn |
| 2-298 | 2-Cl | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-299 | 2-Cl | H | H | OH | Pyrd |
| 2-300 | 2-Cl | H | H | OH | Pip |
| 2-301 | 2-Cl | H | H | OH | Azp |
| 2-302 | 2-Cl | H | H | OH | Azc |
| 2-303 | 2-Cl | H | H | OH | Azn |
| 2-304 | 2-Cl | H | H | OH | Mor |
| 2-305 | 2-Cl | H | H | OH | -(CH ₂)-Pyrd |
| 2-306 | 2-Cl | H | H | OH | -(CH ₂)-Pip |
| 2-307 | 2-Cl | H | H | OH | -(CH ₂)-Azp |
| 2-308 | 2-Cl | H | H | OH | -(CH ₂)-Azc |
| 2-309 | 2-Cl | H | H | OH | -(CH ₂)-Azn |
| 2-310 | 2-Cl | H | H | OH | -(CH ₂)-Mor |
| 2-311 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-312 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-313 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Azp |
| 2-314 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Azc |

| | | | | | |
|-------|------|---|---|----|---|
| 2-315 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Azn |
| 2-316 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Mor |
| 2-317 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Pyr |
| 2-318 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-319 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-320 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-321 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-322 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-323 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Pyr |
| 2-324 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-325 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-326 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-327 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-328 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-329 | 2-Cl | H | H | OH | Thi |
| 2-330 | 2-Cl | H | H | OH | 2-Pyr |
| 2-331 | 2-Cl | H | H | OH | 3-Pyr |
| 2-332 | 2-Cl | H | H | OH | 4-Pyr |
| 2-333 | 2-Cl | H | H | OH | Pyzo |
| 2-334 | 2-Cl | H | H | OH | Oxa |
| 2-335 | 2-Cl | H | H | OH | Isox |
| 2-336 | 2-Cl | H | H | OH | Fur |
| 2-337 | 2-Cl | H | H | OH | -(CH ₂)-Thi |
| 2-338 | 2-Cl | H | H | OH | -(CH ₂)-2-Pyr |
| 2-339 | 2-Cl | H | H | OH | -(CH ₂)-3-Pyr |
| 2-340 | 2-Cl | H | H | OH | -(CH ₂)-4-Pyr |
| 2-341 | 2-Cl | H | H | OH | -(CH ₂)-Pyzo |
| 2-342 | 2-Cl | H | H | OH | -(CH ₂)-Oxa |
| 2-343 | 2-Cl | H | H | OH | -(CH ₂)-Isox |
| 2-344 | 2-Cl | H | H | OH | -(CH ₂)-Fur |
| 2-345 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-346 | 2-Cl | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-347 | 2-Cl | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-348 | 2-Cl | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-349 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-350 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Oxa |
| 2-351 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Isox |

| | | | | | |
|-------|------|---|---|----|---|
| 2-352 | 2-Cl | H | H | OH | -(CH ₂) ₂ -Fur |
| 2-353 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Thi |
| 2-354 | 2-Cl | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-355 | 2-Cl | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-356 | 2-Cl | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-357 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-358 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-359 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-360 | 2-Cl | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-361 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-362 | 2-Cl | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-363 | 2-Cl | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-364 | 2-Cl | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-365 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-366 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-367 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-368 | 2-Cl | H | H | OH | -(CH ₂) ₄ -Fur |
| 2-369 | 2-F | H | H | OH | cPr |
| 2-370 | 2-F | H | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-371 | 2-F | H | H | OH | 2-Ph-cPr |
| 2-372 | 2-F | H | H | OH | cBu |
| 2-373 | 2-F | H | H | OH | cPn |
| 2-374 | 2-F | H | H | OH | cHx |
| 2-375 | 2-F | H | H | OH | -(CH ₂)-cPr |
| 2-376 | 2-F | H | H | OH | -(CH ₂)-cBu |
| 2-377 | 2-F | H | H | OH | -(CH ₂)-cPn |
| 2-378 | 2-F | H | H | OH | -(CH ₂)-cHx |
| 2-379 | 2-F | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-380 | 2-F | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-381 | 2-F | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-382 | 2-F | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-383 | 2-F | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-384 | 2-F | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-385 | 2-F | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-386 | 2-F | H | H | OH | -(CH ₂) ₃ -cHx |
| 2-387 | 2-F | H | H | OH | -(CH ₂) ₄ -cPr |
| 2-388 | 2-F | H | H | OH | -(CH ₂) ₄ -cBu |

| | | | | | |
|-------|-----|---|---|----|--|
| 2-389 | 2-F | H | H | OH | -(CH ₂) ₄ -cPn |
| 2-390 | 2-F | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-391 | 2-F | H | H | OH | Pyrd |
| 2-392 | 2-F | H | H | OH | Pip |
| 2-393 | 2-F | H | H | OH | Azp |
| 2-394 | 2-F | H | H | OH | Azc |
| 2-395 | 2-F | H | H | OH | Azn |
| 2-396 | 2-F | H | H | OH | Mor |
| 2-397 | 2-F | H | H | OH | -(CH ₂)-Pyrd |
| 2-398 | 2-F | H | H | OH | -(CH ₂)-Pip |
| 2-399 | 2-F | H | H | OH | -(CH ₂)-Azp |
| 2-400 | 2-F | H | H | OH | -(CH ₂)-Azc |
| 2-401 | 2-F | H | H | OH | -(CH ₂)-Azn |
| 2-402 | 2-F | H | H | OH | -(CH ₂)-Mor |
| 2-403 | 2-F | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-404 | 2-F | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-405 | 2-F | H | H | OH | -(CH ₂) ₂ -Azp |
| 2-406 | 2-F | H | H | OH | -(CH ₂) ₂ -Azc |
| 2-407 | 2-F | H | H | OH | -(CH ₂) ₂ -Azn |
| 2-408 | 2-F | H | H | OH | -(CH ₂) ₂ -Mor |
| 2-409 | 2-F | H | H | OH | -(CH ₂) ₃ -Pyrd |
| 2-410 | 2-F | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-411 | 2-F | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-412 | 2-F | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-413 | 2-F | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-414 | 2-F | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-415 | 2-F | H | H | OH | -(CH ₂) ₄ -Pyrd |
| 2-416 | 2-F | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-417 | 2-F | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-418 | 2-F | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-419 | 2-F | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-420 | 2-F | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-421 | 2-F | H | H | OH | Thi |
| 2-422 | 2-F | H | H | OH | 2-Pyr |
| 2-423 | 2-F | H | H | OH | 3-Pyr |
| 2-424 | 2-F | H | H | OH | 4-Pyr |
| 2-425 | 2-F | H | H | OH | Pyzo |

| | | | | | |
|-------|------|---|---|----|---|
| 2-426 | 2-F | H | H | OH | Oxa |
| 2-427 | 2-F | H | H | OH | Isox |
| 2-428 | 2-F | H | H | OH | Fur |
| 2-429 | 2-F | H | H | OH | -(CH ₂)-Thi |
| 2-430 | 2-F | H | H | OH | -(CH ₂)-2-Pyr |
| 2-431 | 2-F | H | H | OH | -(CH ₂)-3-Pyr |
| 2-432 | 2-F | H | H | OH | -(CH ₂)-4-Pyr |
| 2-433 | 2-F | H | H | OH | -(CH ₂)-Pyzo |
| 2-434 | 2-F | H | H | OH | -(CH ₂)-Oxa |
| 2-435 | 2-F | H | H | OH | -(CH ₂)-Isox |
| 2-436 | 2-F | H | H | OH | -(CH ₂)-Fur |
| 2-437 | 2-F | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-438 | 2-F | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-439 | 2-F | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-440 | 2-F | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-441 | 2-F | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-442 | 2-F | H | H | OH | -(CH ₂) ₂ -Oxa |
| 2-443 | 2-F | H | H | OH | -(CH ₂) ₂ -Isox |
| 2-444 | 2-F | H | H | OH | -(CH ₂) ₂ -Fur |
| 2-445 | 2-F | H | H | OH | -(CH ₂) ₃ -Thi |
| 2-446 | 2-F | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-447 | 2-F | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-448 | 2-F | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-449 | 2-F | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-450 | 2-F | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-451 | 2-F | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-452 | 2-F | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-453 | 2-F | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-454 | 2-F | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-455 | 2-F | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-456 | 2-F | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-457 | 2-F | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-458 | 2-F | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-459 | 2-F | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-460 | 2-F | H | H | OH | -(CH ₂) ₄ -Fur |
| 2-461 | 2-OH | H | H | OH | cPr |
| 2-462 | 2-OH | H | H | OH | 2,2,3,3-tetraMe-cPr |

| | | | | | |
|-------|------|---|---|----|--|
| 2-463 | 2-OH | H | H | OH | 2-Ph-cPr |
| 2-464 | 2-OH | H | H | OH | cBu |
| 2-465 | 2-OH | H | H | OH | cPn |
| 2-466 | 2-OH | H | H | OH | cHx |
| 2-467 | 2-OH | H | H | OH | -(CH ₂)-cPr |
| 2-468 | 2-OH | H | H | OH | -(CH ₂)-cBu |
| 2-469 | 2-OH | H | H | OH | -(CH ₂)-cPn |
| 2-470 | 2-OH | H | H | OH | -(CH ₂)-cHx |
| 2-471 | 2-OH | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-472 | 2-OH | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-473 | 2-OH | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-474 | 2-OH | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-475 | 2-OH | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-476 | 2-OH | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-477 | 2-OH | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-478 | 2-OH | H | H | OH | -(CH ₂) ₃ -cHx |
| 2-479 | 2-OH | H | H | OH | -(CH ₂) ₄ -cPr |
| 2-480 | 2-OH | H | H | OH | -(CH ₂) ₄ -cBu |
| 2-481 | 2-OH | H | H | OH | -(CH ₂) ₄ -cPn |
| 2-482 | 2-OH | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-483 | 2-OH | H | H | OH | Pyrd |
| 2-484 | 2-OH | H | H | OH | Pip |
| 2-485 | 2-OH | H | H | OH | Azp |
| 2-486 | 2-OH | H | H | OH | Azc |
| 2-487 | 2-OH | H | H | OH | Azn |
| 2-488 | 2-OH | H | H | OH | Mor |
| 2-489 | 2-OH | H | H | OH | -(CH ₂)-Pyrd |
| 2-490 | 2-OH | H | H | OH | -(CH ₂)-Pip |
| 2-491 | 2-OH | H | H | OH | -(CH ₂)-Azp |
| 2-492 | 2-OH | H | H | OH | -(CH ₂)-Azc |
| 2-493 | 2-OH | H | H | OH | -(CH ₂)-Azn |
| 2-494 | 2-OH | H | H | OH | -(CH ₂)-Mor |
| 2-495 | 2-OH | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-496 | 2-OH | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-497 | 2-OH | H | H | OH | -(CH ₂) ₂ -Azp |
| 2-498 | 2-OH | H | H | OH | -(CH ₂) ₂ -Azc |
| 2-499 | 2-OH | H | H | OH | -(CH ₂) ₂ -Azn |

| | | | | | |
|-------|------|---|---|----|---|
| 2-500 | 2-OH | H | H | OH | -(CH ₂) ₂ -Mor |
| 2-501 | 2-OH | H | H | OH | -(CH ₂) ₃ -Pyr |
| 2-502 | 2-OH | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-503 | 2-OH | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-504 | 2-OH | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-505 | 2-OH | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-506 | 2-OH | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-507 | 2-OH | H | H | OH | -(CH ₂) ₄ -Pyr |
| 2-508 | 2-OH | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-509 | 2-OH | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-510 | 2-OH | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-511 | 2-OH | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-512 | 2-OH | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-513 | 2-OH | H | H | OH | Thi |
| 2-514 | 2-OH | H | H | OH | 2-Pyr |
| 2-515 | 2-OH | H | H | OH | 3-Pyr |
| 2-516 | 2-OH | H | H | OH | 4-Pyr |
| 2-517 | 2-OH | H | H | OH | Pyzo |
| 2-518 | 2-OH | H | H | OH | Oxa |
| 2-519 | 2-OH | H | H | OH | Isox |
| 2-520 | 2-OH | H | H | OH | Fur |
| 2-521 | 2-OH | H | H | OH | -(CH ₂)-Thi |
| 2-522 | 2-OH | H | H | OH | -(CH ₂)-2-Pyr |
| 2-523 | 2-OH | H | H | OH | -(CH ₂)-3-Pyr |
| 2-524 | 2-OH | H | H | OH | -(CH ₂)-4-Pyr |
| 2-525 | 2-OH | H | H | OH | -(CH ₂)-Pyzo |
| 2-526 | 2-OH | H | H | OH | -(CH ₂)-Oxa |
| 2-527 | 2-OH | H | H | OH | -(CH ₂)-Isox |
| 2-528 | 2-OH | H | H | OH | -(CH ₂)-Fur |
| 2-529 | 2-OH | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-530 | 2-OH | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-531 | 2-OH | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-532 | 2-OH | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-533 | 2-OH | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-534 | 2-OH | H | H | OH | -(CH ₂) ₂ -Oxa |
| 2-535 | 2-OH | H | H | OH | -(CH ₂) ₂ -Isox |
| 2-536 | 2-OH | H | H | OH | -(CH ₂) ₂ -Fur |

| | | | | | |
|-------|-------|---|---|----|---|
| 2-537 | 2-OH | H | H | OH | -(CH ₂) ₃ -Thi |
| 2-538 | 2-OH | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-539 | 2-OH | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-540 | 2-OH | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-541 | 2-OH | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-542 | 2-OH | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-543 | 2-OH | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-544 | 2-OH | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-545 | 2-OH | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-546 | 2-OH | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-547 | 2-OH | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-548 | 2-OH | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-549 | 2-OH | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-550 | 2-OH | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-551 | 2-OH | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-552 | 2-OH | H | H | OH | -(CH ₂) ₄ -Fur |
| 2-553 | 2-OMe | H | H | OH | cPr |
| 2-554 | 2-OMe | H | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-555 | 2-OMe | H | H | OH | 2-Ph-cPr |
| 2-556 | 2-OMe | H | H | OH | cBu |
| 2-557 | 2-OMe | H | H | OH | cPn |
| 2-558 | 2-OMe | H | H | OH | cHx |
| 2-559 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-560 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-561 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-562 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-563 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-564 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-565 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-566 | 2-OMe | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-567 | 2-OMe | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-568 | 2-OMe | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-569 | 2-OMe | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-570 | 2-OMe | H | H | OH | -(CH ₂) ₃ -cHx |
| 2-571 | 2-OMe | H | H | OH | -(CH ₂) ₄ -cPr |
| 2-572 | 2-OMe | H | H | OH | -(CH ₂) ₄ -cBu |
| 2-573 | 2-OMe | H | H | OH | -(CH ₂) ₄ -cPn |

| | | | | | |
|-------|-------|---|---|----|--|
| 2-574 | 2-OMe | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-575 | 2-OMe | H | H | OH | Pyrd |
| 2-576 | 2-OMe | H | H | OH | Pip |
| 2-577 | 2-OMe | H | H | OH | Azp |
| 2-578 | 2-OMe | H | H | OH | Azc |
| 2-579 | 2-OMe | H | H | OH | Azn |
| 2-580 | 2-OMe | H | H | OH | Mor |
| 2-581 | 2-OMe | H | H | OH | -(CH ₂)-Pyrd |
| 2-582 | 2-OMe | H | H | OH | -(CH ₂)-Pip |
| 2-583 | 2-OMe | H | H | OH | -(CH ₂)-Azp |
| 2-584 | 2-OMe | H | H | OH | -(CH ₂)-Azc |
| 2-585 | 2-OMe | H | H | OH | -(CH ₂)-Azn |
| 2-586 | 2-OMe | H | H | OH | -(CH ₂)-Mor |
| 2-587 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-588 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-589 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Azp |
| 2-590 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Azc |
| 2-591 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Azn |
| 2-592 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Mor |
| 2-593 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Pyrd |
| 2-594 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-595 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-596 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-597 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-598 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-599 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Pyrd |
| 2-600 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-601 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-602 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-603 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-604 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-605 | 2-OMe | H | H | OH | Thi |
| 2-606 | 2-OMe | H | H | OH | 2-Pyr |
| 2-607 | 2-OMe | H | H | OH | 3-Pyr |
| 2-608 | 2-OMe | H | H | OH | 4-Pyr |
| 2-609 | 2-OMe | H | H | OH | Pyzo |
| 2-610 | 2-OMe | H | H | OH | Oxa |

| | | | | | |
|-------|--------|---|---|----|---|
| 2-611 | 2-OMe | H | H | OH | Isox |
| 2-612 | 2-OMe | H | H | OH | Fur |
| 2-613 | 2-OMe | H | H | OH | -(CH ₂)-Thi |
| 2-614 | 2-OMe | H | H | OH | -(CH ₂)-2-Pyr |
| 2-615 | 2-OMe | H | H | OH | -(CH ₂)-3-Pyr |
| 2-616 | 2-OMe | H | H | OH | -(CH ₂)-4-Pyr |
| 2-617 | 2-OMe | H | H | OH | -(CH ₂)-Pyzo |
| 2-618 | 2-OMe | H | H | OH | -(CH ₂)-Oxa |
| 2-619 | 2-OMe | H | H | OH | -(CH ₂)-Isox |
| 2-620 | 2-OMe | H | H | OH | -(CH ₂)-Fur |
| 2-621 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-622 | 2-OMe | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-623 | 2-OMe | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-624 | 2-OMe | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-625 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-626 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Oxa |
| 2-627 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Isox |
| 2-628 | 2-OMe | H | H | OH | -(CH ₂) ₂ -Fur |
| 2-629 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Thi |
| 2-630 | 2-OMe | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-631 | 2-OMe | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-632 | 2-OMe | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-633 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-634 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-635 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-636 | 2-OMe | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-637 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-638 | 2-OMe | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-639 | 2-OMe | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-640 | 2-OMe | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-641 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-642 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-643 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-644 | 2-OMe | H | H | OH | -(CH ₂) ₄ -Fur |
| 2-645 | 2-AcNH | H | H | OH | cPr |
| 2-646 | 2-AcNH | H | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-647 | 2-AcNH | H | H | OH | 2-Ph-cPr |

| | | | | | |
|-------|--------|---|---|----|--|
| 2-648 | 2-AcNH | H | H | OH | cBu |
| 2-649 | 2-AcNH | H | H | OH | cPn |
| 2-650 | 2-AcNH | H | H | OH | cHx |
| 2-651 | 2-AcNH | H | H | OH | -(CH ₂)-cPr |
| 2-652 | 2-AcNH | H | H | OH | -(CH ₂)-cBu |
| 2-653 | 2-AcNH | H | H | OH | -(CH ₂)-cPn |
| 2-654 | 2-AcNH | H | H | OH | -(CH ₂)-cHx |
| 2-655 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -cPr |
| 2-656 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -cBu |
| 2-657 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -cPn |
| 2-658 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -cHx |
| 2-659 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -cPr |
| 2-660 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -cBu |
| 2-661 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -cPn |
| 2-662 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -cHx |
| 2-663 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -cPr |
| 2-664 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -cBu |
| 2-665 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -cPn |
| 2-666 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -cHx |
| 2-667 | 2-AcNH | H | H | OH | Pyrd |
| 2-668 | 2-AcNH | H | H | OH | Pip |
| 2-669 | 2-AcNH | H | H | OH | Azp |
| 2-670 | 2-AcNH | H | H | OH | Azc |
| 2-671 | 2-AcNH | H | H | OH | Azn |
| 2-672 | 2-AcNH | H | H | OH | Mor |
| 2-673 | 2-AcNH | H | H | OH | -(CH ₂)-Pyrd |
| 2-674 | 2-AcNH | H | H | OH | -(CH ₂)-Pip |
| 2-675 | 2-AcNH | H | H | OH | -(CH ₂)-Azp |
| 2-676 | 2-AcNH | H | H | OH | -(CH ₂)-Azc |
| 2-677 | 2-AcNH | H | H | OH | -(CH ₂)-Azn |
| 2-678 | 2-AcNH | H | H | OH | -(CH ₂)-Mor |
| 2-679 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-680 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Pip |
| 2-681 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Azp |
| 2-682 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Azc |
| 2-683 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Azn |
| 2-684 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Mor |

| | | | | | |
|-------|--------|---|---|----|---|
| 2-685 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Pyrd |
| 2-686 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Pip |
| 2-687 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Azp |
| 2-688 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Azc |
| 2-689 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Azn |
| 2-690 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Mor |
| 2-691 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Pyrd |
| 2-692 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Pip |
| 2-693 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Azp |
| 2-694 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Azc |
| 2-695 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Azn |
| 2-696 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Mor |
| 2-697 | 2-AcNH | H | H | OH | Thi |
| 2-698 | 2-AcNH | H | H | OH | 2-Pyr |
| 2-699 | 2-AcNH | H | H | OH | 3-Pyr |
| 2-700 | 2-AcNH | H | H | OH | 4-Pyr |
| 2-701 | 2-AcNH | H | H | OH | Pyzo |
| 2-702 | 2-AcNH | H | H | OH | Oxa |
| 2-703 | 2-AcNH | H | H | OH | Isox |
| 2-704 | 2-AcNH | H | H | OH | Fur |
| 2-705 | 2-AcNH | H | H | OH | -(CH ₂)-Thi |
| 2-706 | 2-AcNH | H | H | OH | -(CH ₂)-2-Pyr |
| 2-707 | 2-AcNH | H | H | OH | -(CH ₂)-3-Pyr |
| 2-708 | 2-AcNH | H | H | OH | -(CH ₂)-4-Pyr |
| 2-709 | 2-AcNH | H | H | OH | -(CH ₂)-Pyzo |
| 2-710 | 2-AcNH | H | H | OH | -(CH ₂)-Oxa |
| 2-711 | 2-AcNH | H | H | OH | -(CH ₂)-Isox |
| 2-712 | 2-AcNH | H | H | OH | -(CH ₂)-Fur |
| 2-713 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Thi |
| 2-714 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-715 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-716 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-717 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-718 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Oxa |
| 2-719 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Isox |
| 2-720 | 2-AcNH | H | H | OH | -(CH ₂) ₂ -Fur |
| 2-721 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Thi |

| | | | | | |
|-------|--------|-------|---|----|---|
| 2-722 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-723 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-724 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-725 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-726 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Oxa |
| 2-727 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Isox |
| 2-728 | 2-AcNH | H | H | OH | -(CH ₂) ₃ -Fur |
| 2-729 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Thi |
| 2-730 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-731 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-732 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-733 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-734 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Oxa |
| 2-735 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Isox |
| 2-736 | 2-AcNH | H | H | OH | -(CH ₂) ₄ -Fur |
| 2-737 | 2-OMe | 3-OMe | H | OH | cPr |
| 2-738 | 2-OMe | 3-OMe | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-739 | 2-OMe | 3-OMe | H | OH | 2-Ph-cPr |
| 2-740 | 2-OMe | 3-OMe | H | OH | cBu |
| 2-741 | 2-OMe | 3-OMe | H | OH | cPn |
| 2-742 | 2-OMe | 3-OMe | H | OH | cHx |
| 2-743 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-cPr |
| 2-744 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-cBu |
| 2-745 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-cPn |
| 2-746 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-cHx |
| 2-747 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -cPr |
| 2-748 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -cBu |
| 2-749 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -cPn |
| 2-750 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -cHx |
| 2-751 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -cPr |
| 2-752 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -cBu |
| 2-753 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -cPn |
| 2-754 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -cHx |
| 2-755 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -cPr |
| 2-756 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -cBu |
| 2-757 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -cPn |
| 2-758 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -cHx |

| | | | | | |
|-------|-------|-------|---|----|--|
| 2-759 | 2-OMe | 3-OMe | H | OH | Pyrd |
| 2-760 | 2-OMe | 3-OMe | H | OH | Pip |
| 2-761 | 2-OMe | 3-OMe | H | OH | Azp |
| 2-762 | 2-OMe | 3-OMe | H | OH | Azc |
| 2-763 | 2-OMe | 3-OMe | H | OH | Azn |
| 2-764 | 2-OMe | 3-OMe | H | OH | Mor |
| 2-765 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Pyrd |
| 2-766 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Pip |
| 2-767 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Azp |
| 2-768 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Azc |
| 2-769 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Azn |
| 2-770 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Mor |
| 2-771 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-772 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Pip |
| 2-773 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Azp |
| 2-774 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Azc |
| 2-775 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Azn |
| 2-776 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Mor |
| 2-777 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Pyrd |
| 2-778 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Pip |
| 2-779 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Azp |
| 2-780 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Azc |
| 2-781 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Azn |
| 2-782 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Mor |
| 2-783 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Pyrd |
| 2-784 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Pip |
| 2-785 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Azp |
| 2-786 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Azc |
| 2-787 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Azn |
| 2-788 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Mor |
| 2-789 | 2-OMe | 3-OMe | H | OH | Thi |
| 2-790 | 2-OMe | 3-OMe | H | OH | 2-Pyr |
| 2-791 | 2-OMe | 3-OMe | H | OH | 3-Pyr |
| 2-792 | 2-OMe | 3-OMe | H | OH | 4-Pyr |
| 2-793 | 2-OMe | 3-OMe | H | OH | Pyzo |
| 2-794 | 2-OMe | 3-OMe | H | OH | Oxa |
| 2-795 | 2-OMe | 3-OMe | H | OH | Isox |

| | | | | | |
|-------|----------|-------|---|----|---|
| 2-796 | 2-OMe | 3-OMe | H | OH | Fur |
| 2-797 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Thi |
| 2-798 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-2-Pyr |
| 2-799 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-3-Pyr |
| 2-800 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-4-Pyr |
| 2-801 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Pyzo |
| 2-802 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Oxa |
| 2-803 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Isox |
| 2-804 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-Fur |
| 2-805 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Thi |
| 2-806 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-807 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-808 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-809 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-810 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Oxa |
| 2-811 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Isox |
| 2-812 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -Fur |
| 2-813 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Thi |
| 2-814 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -2-Pyr |
| 2-815 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-816 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-817 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-818 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Oxa |
| 2-819 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Isox |
| 2-820 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₃ -Fur |
| 2-821 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Thi |
| 2-822 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-823 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-824 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-825 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-826 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Oxa |
| 2-827 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Isox |
| 2-828 | 2-OMe | 3-OMe | H | OH | -(CH ₂) ₄ -Fur |
| 2-829 | 2,3-Mtdo | | H | OH | cPr |
| 2-830 | 2,3-Mtdo | | H | OH | 2,2,3,3-tetraMe-cPr |
| 2-831 | 2,3-Mtdo | | H | OH | 2-Ph-cPr |
| 2-832 | 2,3-Mtdo | | H | OH | cBu |

| | | | | |
|-------|----------|---|----|--|
| 2-833 | 2,3-Mtdo | H | OH | cPn |
| 2-834 | 2,3-Mtdo | H | OH | cHx |
| 2-835 | 2,3-Mtdo | H | OH | -(CH ₂)-cPr |
| 2-836 | 2,3-Mtdo | H | OH | -(CH ₂)-cBu |
| 2-837 | 2,3-Mtdo | H | OH | -(CH ₂)-cPn |
| 2-838 | 2,3-Mtdo | H | OH | -(CH ₂)-cHx |
| 2-839 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -cPr |
| 2-840 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -cBu |
| 2-841 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -cPn |
| 2-842 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -cHx |
| 2-843 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -cPr |
| 2-844 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -cBu |
| 2-845 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -cPn |
| 2-846 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -cHx |
| 2-847 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -cPr |
| 2-848 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -cBu |
| 2-849 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -cPn |
| 2-850 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -cHx |
| 2-851 | 2,3-Mtdo | H | OH | Pyrd |
| 2-852 | 2,3-Mtdo | H | OH | Pip |
| 2-853 | 2,3-Mtdo | H | OH | Azp |
| 2-854 | 2,3-Mtdo | H | OH | Azc |
| 2-855 | 2,3-Mtdo | H | OH | Azn |
| 2-856 | 2,3-Mtdo | H | OH | Mor |
| 2-857 | 2,3-Mtdo | H | OH | -(CH ₂)-Pyrd |
| 2-858 | 2,3-Mtdo | H | OH | -(CH ₂)-Pip |
| 2-859 | 2,3-Mtdo | H | OH | -(CH ₂)-Azp |
| 2-860 | 2,3-Mtdo | H | OH | -(CH ₂)-Azc |
| 2-861 | 2,3-Mtdo | H | OH | -(CH ₂)-Azn |
| 2-862 | 2,3-Mtdo | H | OH | -(CH ₂)-Mor |
| 2-863 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Pyrd |
| 2-864 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Pip |
| 2-865 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Azp |
| 2-866 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Azc |
| 2-867 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Azn |
| 2-868 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Mor |
| 2-869 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Pyrd |

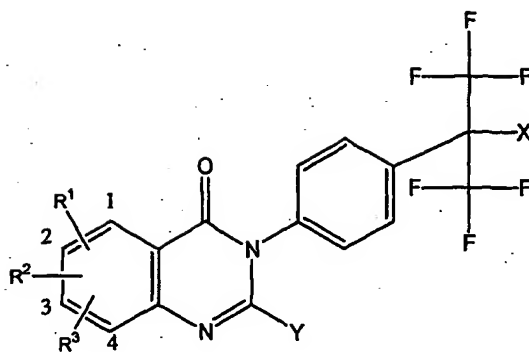
| | | | | |
|-------|----------|---|----|---|
| 2-870 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Pip |
| 2-871 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Azp |
| 2-872 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Azc |
| 2-873 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Azn |
| 2-874 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Mor |
| 2-875 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Pyr |
| 2-876 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Pip |
| 2-877 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Azp |
| 2-878 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Azc |
| 2-879 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Azn |
| 2-880 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Mor |
| 2-881 | 2,3-Mtdo | H | OH | Thi |
| 2-882 | 2,3-Mtdo | H | OH | 2-Pyr |
| 2-883 | 2,3-Mtdo | H | OH | 3-Pyr |
| 2-884 | 2,3-Mtdo | H | OH | 4-Pyr |
| 2-885 | 2,3-Mtdo | H | OH | Pyzo |
| 2-886 | 2,3-Mtdo | H | OH | Oxa |
| 2-887 | 2,3-Mtdo | H | OH | Isox |
| 2-888 | 2,3-Mtdo | H | OH | Fur |
| 2-889 | 2,3-Mtdo | H | OH | -(CH ₂)-Thi |
| 2-890 | 2,3-Mtdo | H | OH | -(CH ₂)-2-Pyr |
| 2-891 | 2,3-Mtdo | H | OH | -(CH ₂)-3-Pyr |
| 2-892 | 2,3-Mtdo | H | OH | -(CH ₂)-4-Pyr |
| 2-893 | 2,3-Mtdo | H | OH | -(CH ₂)-Pyzo |
| 2-894 | 2,3-Mtdo | H | OH | -(CH ₂)-Oxa |
| 2-895 | 2,3-Mtdo | H | OH | -(CH ₂)-Isox |
| 2-896 | 2,3-Mtdo | H | OH | -(CH ₂)-Fur |
| 2-897 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Thi |
| 2-898 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -2-Pyr |
| 2-899 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -3-Pyr |
| 2-900 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -4-Pyr |
| 2-901 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Pyzo |
| 2-902 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Oxa |
| 2-903 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Isox |
| 2-904 | 2,3-Mtdo | H | OH | -(CH ₂) ₂ -Fur |
| 2-905 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Thi |
| 2-906 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -2-Pyr |

| | | | | |
|-------|----------|------|------|--|
| 2-907 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -3-Pyr |
| 2-908 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -4-Pyr |
| 2-909 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Pyzo |
| 2-910 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Oxa |
| 2-911 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Isox |
| 2-912 | 2,3-Mtdo | H | OH | -(CH ₂) ₃ -Fur |
| 2-913 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Thi |
| 2-914 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -2-Pyr |
| 2-915 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -3-Pyr |
| 2-916 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -4-Pyr |
| 2-917 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Pyzo |
| 2-918 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Oxa |
| 2-919 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Isox |
| 2-920 | 2,3-Mtdo | H | OH | -(CH ₂) ₄ -Fur |
| 2-921 | 1-Cl | 2-Cl | 3-Cl | OH cPr |
| 2-922 | 1-Cl | 2-Cl | 3-Cl | OH 2,2,3,3-tetraMe-cPr |
| 2-923 | 1-Cl | 2-Cl | 3-Cl | OH 2-Ph-cPr |
| 2-924 | 1-Cl | 2-Cl | 3-Cl | OH cBu |
| 2-925 | 1-Cl | 2-Cl | 3-Cl | OH cPn |
| 2-926 | 1-Cl | 2-Cl | 3-Cl | OH cHx |
| 2-927 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂)-cPr |
| 2-928 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂)-cBu |
| 2-929 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂)-cPn |
| 2-930 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂)-cHx |
| 2-931 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₂ -cPr |
| 2-932 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₂ -cBu |
| 2-933 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₂ -cPn |
| 2-934 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₂ -cHx |
| 2-935 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₃ -cPr |
| 2-936 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₃ -cBu |
| 2-937 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₃ -cPn |
| 2-938 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₃ -cHx |
| 2-939 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₄ -cPr |
| 2-940 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₄ -cBu |
| 2-941 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₄ -cPn |
| 2-942 | 1-Cl | 2-Cl | 3-Cl | OH -(CH ₂) ₄ -cHx |
| 2-943 | 1-Cl | 2-Cl | 3-Cl | OH Pyrd |

| | | | | | |
|-------|------|------|------|----|---------------------------------------|
| 2-944 | 1-Cl | 2-Cl | 3-Cl | OH | Pip |
| 2-945 | 1-Cl | 2-Cl | 3-Cl | OH | Azp |
| 2-946 | 1-Cl | 2-Cl | 3-Cl | OH | Azc |
| 2-947 | 1-Cl | 2-Cl | 3-Cl | OH | Azn |
| 2-948 | 1-Cl | 2-Cl | 3-Cl | OH | Mor |
| 2-949 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Pyr |
| 2-950 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Pip |
| 2-951 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Azp |
| 2-952 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Azc |
| 2-953 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Azn |
| 2-954 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Mor |
| 2-955 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Pyr |
| 2-956 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Pip |
| 2-957 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Azp |
| 2-958 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Azc |
| 2-959 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Azn |
| 2-960 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Mor |
| 2-961 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Pyr |
| 2-962 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Pip |
| 2-963 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Azp |
| 2-964 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Azc |
| 2-965 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Azn |
| 2-966 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Mor |
| 2-967 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Pyr |
| 2-968 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Pip |
| 2-969 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Azp |
| 2-970 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Azc |
| 2-971 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Azn |
| 2-972 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Mor |
| 2-973 | 1-Cl | 2-Cl | 3-Cl | OH | Thi |
| 2-974 | 1-Cl | 2-Cl | 3-Cl | OH | 2-Pyr |
| 2-975 | 1-Cl | 2-Cl | 3-Cl | OH | 3-Pyr |
| 2-976 | 1-Cl | 2-Cl | 3-Cl | OH | 4-Pyr |
| 2-977 | 1-Cl | 2-Cl | 3-Cl | OH | Pyzo |
| 2-978 | 1-Cl | 2-Cl | 3-Cl | OH | Oxa |
| 2-979 | 1-Cl | 2-Cl | 3-Cl | OH | Isox |
| 2-980 | 1-Cl | 2-Cl | 3-Cl | OH | Fur |

| | | | | | |
|--------|------|------|------|----|---|
| 2-981 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Thi |
| 2-982 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-2-Pyr |
| 2-983 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-3-Pyr |
| 2-984 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-4-Pyr |
| 2-985 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Pyzo |
| 2-986 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Oxa |
| 2-987 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Isox |
| 2-988 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-Fur |
| 2-989 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Thi |
| 2-990 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -2-Pyr |
| 2-991 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -3-Pyr |
| 2-992 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -4-Pyr |
| 2-993 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Pyzo |
| 2-994 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Oxa |
| 2-995 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Isox |
| 2-996 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₂ -Fur |
| 2-997 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Thi |
| 2-998 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -2-Pyr |
| 2-999 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -3-Pyr |
| 2-1000 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -4-Pyr |
| 2-1001 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Pyzo |
| 2-1002 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Oxa |
| 2-1003 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Isox |
| 2-1004 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₃ -Fur |
| 2-1005 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Thi |
| 2-1006 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -2-Pyr |
| 2-1007 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -3-Pyr |
| 2-1008 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -4-Pyr |
| 2-1009 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Pyzo |
| 2-1010 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Oxa |
| 2-1011 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Isox |
| 2-1012 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂) ₄ -Fur |

Table 3



| Exemp. Comp. No. | R ¹ | R ² | R ³ | X | Y |
|---------------------|----------------|----------------|----------------|------|---|
| 3-1 | H | H | H | H | Bz |
| 3-2 | H | H | H | OMe | Bz |
| 3-3 | H | H | H | OTfm | Bz |
| 3-4 | H | H | H | OH | Ph |
| 3-5 | H | H | H | OH | 1-Nap |
| 3-6 | H | H | H | OH | 2-Nap |
| 3-7 | H | H | H | OH | Bz |
| 3-8 | H | H | H | OH | -CH(Me)-Ph |
| 3-9 | H | H | H | OH | -CH(NH ₂)-Ph |
| 3-10 | H | H | H | OH | -CH(NHMe)-Ph |
| 3-11 | H | H | H | OH | -CF ₂ -Ph |
| 3-12 | H | H | H | OH | -CH(OH)-Ph |
| 3-13 | H | H | H | OH | -CH(OMe)-Ph |
| 3-14 | H | H | H | OH | -(CH ₂)-1-Nap |
| 3-15 | H | H | H | OH | -(CH ₂)-2-Nap |
| 3-16 | H | H | H | OH | -(CH ₂) ₂ -Ph |
| 3-17 | H | H | H | OH | -(CHPh)-(CH ₂)-Ph |
| 3-18 | H | H | H | OH | -(CH ₂) ₂ -1-Nap |
| 3-19 | H | H | H | OH | -(CH ₂) ₂ -2-Nap |
| 3-20 | H | H | H | OH | -(CH ₂) ₃ -Ph |
| 3-21 | H | H | H | OH | -(CH ₂) ₃ -1-Nap |
| 3-22 | H | H | H | OH | -(CH ₂) ₃ -2-Nap |
| 3-23 | H | H | H | OH | -(CH ₂) ₄ -Ph |
| 3-24 | H | H | H | OH | -(CH ₂) ₄ -1-Nap |

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|------|---|---|---|----|---|
| 3-25 | H | H | H | OH | -(CH ₂) ₄ -2-Nap |
| 3-26 | H | H | H | OH | -CH ₂ -2-Me-Ph |
| 3-27 | H | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-28 | H | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-29 | H | H | H | OH | -CH ₂ -2-Br-Ph |
| 3-30 | H | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-31 | H | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-32 | H | H | H | OH | -CH ₂ -2-Cl-Ph |
| 3-33 | H | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-34 | H | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-35 | H | H | H | OH | -CH ₂ -2-F-Ph |
| 3-36 | H | H | H | OH | -CH ₂ -3-F-Ph |
| 3-37 | H | H | H | OH | -CH ₂ -4-F-Ph |
| 3-38 | H | H | H | OH | -CH ₂ -2-Tfm-Ph |
| 3-39 | H | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-40 | H | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-41 | H | H | H | OH | -CH ₂ -2-OH-Ph |
| 3-42 | H | H | H | OH | -CH ₂ -3-OH-Ph |
| 3-43 | H | H | H | OH | -CH ₂ -4-OH-Ph |
| 3-44 | H | H | H | OH | -CH ₂ -2-OMe-Ph |
| 3-45 | H | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-46 | H | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-47 | H | H | H | OH | -CH ₂ -2-NO ₂ -Ph |
| 3-48 | H | H | H | OH | -CH ₂ -3-NO ₂ -Ph |
| 3-49 | H | H | H | OH | -CH ₂ -4-NO ₂ -Ph |
| 3-50 | H | H | H | OH | -CH ₂ -2-Et-Ph |
| 3-51 | H | H | H | OH | -CH ₂ -3-Et-Ph |
| 3-52 | H | H | H | OH | -CH ₂ -4-Et-Ph |
| 3-53 | H | H | H | OH | -CH ₂ -2-iPr-Ph |
| 3-54 | H | H | H | OH | -CH ₂ -3-iPr-Ph |
| 3-55 | H | H | H | OH | -CH ₂ -4-iPr-Ph |
| 3-56 | H | H | H | OH | -CH ₂ -2-CN-Ph |
| 3-57 | H | H | H | OH | -CH ₂ -3-CN-Ph |
| 3-58 | H | H | H | OH | -CH ₂ -4-CN-Ph |
| 3-59 | H | H | H | OH | -CH ₂ -2-NH ₂ -Ph |
| 3-60 | H | H | H | OH | -CH ₂ -3-NH ₂ -Ph |
| 3-61 | H | H | H | OH | -CH ₂ -4-NH ₂ -Ph |

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| 3-62 | H | H | H | OH | -CH ₂ -2-SMe-Ph |
| 3-63 | H | H | H | OH | -CH ₂ -3-SMe-Ph |
| 3-64 | H | H | H | OH | -CH ₂ -4-SMe-Ph |
| 3-65 | H | H | H | OH | -CH ₂ -4-NHMe-Ph |
| 3-66 | H | H | H | OH | -CH ₂ -4-NMe ₂ -Ph |
| 3-67 | H | H | H | OH | -CH ₂ -4-SOMe-Ph |
| 3-68 | H | H | H | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 3-69 | H | H | H | OH | -CH ₂ -4-AcNH-Ph |
| 3-70 | H | H | H | OH | -CH ₂ -3-AcNH-Ph |
| 3-71 | H | H | H | OH | -CH ₂ -4-tBuOC(=O)NH-Ph |
| 3-72 | H | H | H | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 3-73 | H | H | H | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |
| 3-74 | H | H | H | OH | -CH ₂ -4-Ac-Ph |
| 3-75 | H | H | H | OH | -CH ₂ -4-AcO-Ph |
| 3-76 | H | H | H | OH | -CH ₂ -4-MeCar-Ph |
| 3-77 | H | H | H | OH | -CH ₂ -4-diMeCar-Ph |
| 3-78 | H | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-79 | H | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-80 | H | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-81 | H | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-82 | H | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-83 | H | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-84 | H | H | H | OH | -CH ₂ -2,3-diCl-Ph |
| 3-85 | H | H | H | OH | -CH ₂ -2,4-diCl-Ph |
| 3-86 | H | H | H | OH | -CH ₂ -2,5-diCl-Ph |
| 3-87 | H | H | H | OH | -CH ₂ -2,6-diCl-Ph |
| 3-88 | H | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-89 | H | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-90 | H | H | H | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 3-91 | H | H | H | OH | -CH ₂ -2-Cl-4-F-Ph |
| 3-92 | H | H | H | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 3-93 | H | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-94 | H | H | H | OH | -CH ₂ -2-Me-4-F-Ph |
| 3-95 | H | H | H | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 3-96 | H | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-97 | H | H | H | OH | -CH ₂ -3-Cl-4-Me-Ph |
| 3-98 | H | H | H | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |

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|-------|------|---|---|------|--|
| 3-99 | H | H | H | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 3-100 | H | H | H | OH | -CH ₂ -2,3-diOH-Ph |
| 3-101 | H | H | H | OH | -CH ₂ -2,4-diOH-Ph |
| 3-102 | H | H | H | OH | -CH ₂ -2,5-diOH-Ph |
| 3-103 | H | H | H | OH | -CH ₂ -2,6-diOH-Ph |
| 3-104 | H | H | H | OH | -CH ₂ -3,4-diOH-Ph |
| 3-105 | H | H | H | OH | -CH ₂ -3,5-diOH-Ph |
| 3-106 | H | H | H | OH | -CH ₂ -2,3-diOMe-Ph |
| 3-107 | H | H | H | OH | -CH ₂ -2,4-diOMe-Ph |
| 3-108 | H | H | H | OH | -CH ₂ -2,5-diOMe-Ph |
| 3-109 | H | H | H | OH | -CH ₂ -2,6-diOMe-Ph |
| 3-110 | H | H | H | OH | -CH ₂ -3,4-diOMe-Ph |
| 3-111 | H | H | H | OH | -CH ₂ -3,5-diOMe-Ph |
| 3-112 | H | H | H | OH | -CH ₂ -2,3-Mtdo-Ph |
| 3-113 | H | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-114 | H | H | H | OH | -CH ₂ -2,3-diMe-Ph |
| 3-115 | H | H | H | OH | -CH ₂ -2,4-diMe-Ph |
| 3-116 | H | H | H | OH | -CH ₂ -2,5-diMe-Ph |
| 3-117 | H | H | H | OH | -CH ₂ -2,6-diMe-Ph |
| 3-118 | H | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-119 | H | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-120 | H | H | H | OH | -CH ₂ -2,4,5-triF-Ph |
| 3-121 | H | H | H | OH | -CH ₂ -pentaFPh |
| 3-125 | H | H | H | OH | -CH ₂ -(4-Ph)-Ph |
| 3-126 | H | H | H | H | -CH ₂ -4-Tfm-Ph |
| 3-127 | H | H | H | OMe | -CH ₂ -4-Tfm-Ph |
| 3-128 | H | H | H | OTfm | -CH ₂ -4-Tfm-Ph |
| 3-129 | 1-Me | H | H | OH | Ph |
| 3-130 | 1-Me | H | H | OH | 1-Nap |
| 3-131 | 1-Me | H | H | OH | 2-Nap |
| 3-132 | 1-Me | H | H | OH | Bz |
| 3-133 | 1-Me | H | H | OH | -CF ₂ -Ph |
| 3-134 | 1-Me | H | H | OH | -(CH ₂)-2-Nap |
| 3-135 | 1-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-136 | 1-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-137 | 1-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-138 | 1-Me | H | H | OH | -CH ₂ -4-Br-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-139 | 1-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-140 | 1-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-141 | 1-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 3-142 | 1-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 3-143 | 1-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-144 | 1-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-145 | 1-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-146 | 1-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-147 | 1-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-148 | 1-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-149 | 1-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-150 | 1-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-151 | 1-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-152 | 1-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-153 | 1-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-154 | 1-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-155 | 1-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-156 | 1-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-157 | 1-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-158 | 1-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-159 | 1-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-160 | 1-Cl | H | H | OH | Ph |
| 3-161 | 1-Cl | H | H | OH | 1-Nap |
| 3-162 | 1-Cl | H | H | OH | 2-Nap |
| 3-163 | 1-Cl | H | H | OH | Bz |
| 3-164 | 1-Cl | H | H | OH | -CF ₂ -Ph |
| 3-165 | 1-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 3-166 | 1-Cl | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-167 | 1-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-168 | 1-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-169 | 1-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-170 | 1-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-171 | 1-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-172 | 1-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 3-173 | 1-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 3-174 | 1-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-175 | 1-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |

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| 3-176 | 1-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-177 | 1-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-178 | 1-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-179 | 1-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-180 | 1-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-181 | 1-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-182 | 1-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-183 | 1-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-184 | 1-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-185 | 1-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-186 | 1-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-187 | 1-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-188 | 1-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-189 | 1-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-190 | 1-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-191 | 1-Br | H | H | OH | Ph |
| 3-192 | 1-Br | H | H | OH | 1-Nap |
| 3-193 | 1-Br | H | H | OH | 2-Nap |
| 3-194 | 1-Br | H | H | OH | Bz |
| 3-195 | 1-Br | H | H | OH | -CF ₂ -Ph |
| 3-196 | 1-Br | H | H | OH | -(CH ₂)-2-Nap |
| 3-197 | 1-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-198 | 1-Br | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-199 | 1-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-200 | 1-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-201 | 1-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-202 | 1-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-203 | 1-Br | H | H | OH | -CH ₂ -3-F-Ph |
| 3-204 | 1-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 3-205 | 1-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-206 | 1-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-207 | 1-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-208 | 1-Br | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-209 | 1-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-210 | 1-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-211 | 1-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-212 | 1-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-213 | 1-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-214 | 1-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-215 | 1-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-216 | 1-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-217 | 1-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-218 | 1-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-219 | 1-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-220 | 1-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-221 | 1-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-222 | 1-OH | H | H | OH | Ph |
| 3-223 | 1-OH | H | H | OH | 1-Nap |
| 3-224 | 1-OH | H | H | OH | 2-Nap |
| 3-225 | 1-OH | H | H | OH | Bz |
| 3-226 | 1-OH | H | H | OH | -CF ₂ -Ph |
| 3-227 | 1-OH | H | H | OH | -(CH ₂)-2-Nap |
| 3-228 | 1-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-229 | 1-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-230 | 1-OH | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-231 | 1-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-232 | 1-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-233 | 1-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-234 | 1-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-235 | 1-OH | H | H | OH | -CH ₂ -4-F-Ph |
| 3-236 | 1-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-237 | 1-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-238 | 1-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-239 | 1-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-240 | 1-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-241 | 1-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-242 | 1-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-243 | 1-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-244 | 1-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-245 | 1-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-246 | 1-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-247 | 1-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-248 | 1-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-249 | 1-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 3-250 | 1-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-251 | 1-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-252 | 1-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-253 | 1-Tfm | H | H | OH | Ph |
| 3-254 | 1-Tfm | H | H | OH | 1-Nap |
| 3-255 | 1-Tfm | H | H | OH | 2-Nap |
| 3-256 | 1-Tfm | H | H | OH | Bz |
| 3-257 | 1-Tfm | H | H | OH | -CF ₂ -Ph |
| 3-258 | 1-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 3-259 | 1-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-260 | 1-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-261 | 1-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-262 | 1-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-263 | 1-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-264 | 1-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-265 | 1-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 3-266 | 1-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 3-267 | 1-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-268 | 1-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-269 | 1-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-270 | 1-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-271 | 1-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-272 | 1-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-273 | 1-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-274 | 1-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-275 | 1-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-276 | 1-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-277 | 1-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-278 | 1-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-279 | 1-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-280 | 1-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-281 | 1-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-282 | 1-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-283 | 1-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-284 | 1-OMe | H | H | OH | Ph |
| 3-285 | 1-OMe | H | H | OH | 1-Nap |
| 3-286 | 1-OMe | H | H | OH | 2-Nap |

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|-------|--------|---|---|----|--------------------------------|
| 3-287 | 1-OMe | H | H | OH | Bz |
| 3-288 | 1-OMe | H | H | OH | -CF ₂ -Ph |
| 3-289 | 1-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 3-290 | 1-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-291 | 1-OMe | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-292 | 1-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-293 | 1-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-294 | 1-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-295 | 1-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-296 | 1-OMe | H | H | OH | -CH ₂ -3-F-Ph |
| 3-297 | 1-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 3-298 | 1-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-299 | 1-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-300 | 1-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-301 | 1-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-302 | 1-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-303 | 1-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-304 | 1-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-305 | 1-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-306 | 1-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-307 | 1-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-308 | 1-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-309 | 1-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-310 | 1-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-311 | 1-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-312 | 1-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-313 | 1-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-314 | 1-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-315 | 1-AcNH | H | H | OH | Ph |
| 3-316 | 1-AcNH | H | H | OH | 1-Nap |
| 3-317 | 1-AcNH | H | H | OH | 2-Nap |
| 3-318 | 1-AcNH | H | H | OH | Bz |
| 3-319 | 1-AcNH | H | H | OH | -CF ₂ -Ph |
| 3-320 | 1-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 3-321 | 1-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-322 | 1-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-323 | 1-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |

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|-------|--------|---|---|----|--------------------------------|
| 3-324 | 1-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-325 | 1-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-326 | 1-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-327 | 1-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-328 | 1-AcNH | H | H | OH | -CH ₂ -4-F-Ph |
| 3-329 | 1-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-330 | 1-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-331 | 1-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-332 | 1-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-333 | 1-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-334 | 1-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-335 | 1-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-336 | 1-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-337 | 1-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-338 | 1-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-339 | 1-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-340 | 1-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-341 | 1-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-342 | 1-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-343 | 1-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-344 | 1-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-345 | 1-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-346 | 2-Me | H | H | OH | Ph |
| 3-347 | 2-Me | H | H | OH | 1-Nap |
| 3-348 | 2-Me | H | H | OH | 2-Nap |
| 3-349 | 2-Me | H | H | OH | Bz |
| 3-350 | 2-Me | H | H | OH | -CF ₂ -Ph |
| 3-351 | 2-Me | H | H | OH | -(CH ₂)-2-Nap |
| 3-352 | 2-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-353 | 2-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-354 | 2-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-355 | 2-Me | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-356 | 2-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-357 | 2-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-358 | 2-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 3-359 | 2-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 3-360 | 2-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-361 | 2-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-362 | 2-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-363 | 2-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-364 | 2-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-365 | 2-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-366 | 2-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-367 | 2-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-368 | 2-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-369 | 2-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-370 | 2-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-371 | 2-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-372 | 2-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-373 | 2-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-374 | 2-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-375 | 2-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-376 | 2-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-377 | 2-Cl | H | H | OH | Ph |
| 3-378 | 2-Cl | H | H | OH | 1-Nap |
| 3-379 | 2-Cl | H | H | OH | 2-Nap |
| 3-380 | 2-Cl | H | H | OH | Bz |
| 3-381 | 2-Cl | H | H | OH | -CF ₂ -Ph |
| 3-382 | 2-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 3-383 | 2-Cl | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-384 | 2-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-385 | 2-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-386 | 2-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-387 | 2-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-388 | 2-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-389 | 2-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 3-390 | 2-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 3-391 | 2-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-392 | 2-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-393 | 2-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-394 | 2-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-395 | 2-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-396 | 2-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-397 | 2-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-398 | 2-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-399 | 2-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-400 | 2-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-401 | 2-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-402 | 2-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-403 | 2-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-404 | 2-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-405 | 2-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-406 | 2-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-407 | 2-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-408 | 2-Br | H | H | OH | Ph |
| 3-409 | 2-Br | H | H | OH | 1-Nap |
| 3-410 | 2-Br | H | H | OH | 2-Nap |
| 3-411 | 2-Br | H | H | OH | Bz |
| 3-412 | 2-Br | H | H | OH | -CF ₂ -Ph |
| 3-413 | 2-Br | H | H | OH | -(CH ₂)-2-Nap |
| 3-414 | 2-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-415 | 2-Br | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-416 | 2-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-417 | 2-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-418 | 2-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-419 | 2-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-420 | 2-Br | H | H | OH | -CH ₂ -3-F-Ph |
| 3-421 | 2-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 3-422 | 2-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-423 | 2-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-424 | 2-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-425 | 2-Br | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-426 | 2-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-427 | 2-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-428 | 2-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-429 | 2-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-430 | 2-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-431 | 2-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-432 | 2-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-433 | 2-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-434 | 2-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 3-435 | 2-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-436 | 2-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-437 | 2-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-438 | 2-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-439 | 2-OH | H | H | OH | Ph |
| 3-440 | 2-OH | H | H | OH | 1-Nap |
| 3-441 | 2-OH | H | H | OH | 2-Nap |
| 3-442 | 2-OH | H | H | OH | Bz |
| 3-443 | 2-OH | H | H | OH | -CF ₂ -Ph |
| 3-444 | 2-OH | H | H | OH | -(CH ₂)-2-Nap |
| 3-445 | 2-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-446 | 2-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-447 | 2-OH | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-448 | 2-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-449 | 2-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-450 | 2-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-451 | 2-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-452 | 2-OH | H | H | OH | -CH ₂ -4-F-Ph |
| 3-453 | 2-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-454 | 2-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-455 | 2-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-456 | 2-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-457 | 2-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-458 | 2-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-459 | 2-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-460 | 2-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-461 | 2-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-462 | 2-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-463 | 2-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-464 | 2-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-465 | 2-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-466 | 2-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-467 | 2-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-468 | 2-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-469 | 2-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-470 | 2-Tfm | H | H | OH | Ph |
| 3-471 | 2-Tfm | H | H | OH | 1-Nap |

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| 3-472 | 2-Tfm | H | H | OH | 2-Nap |
| 3-473 | 2-Tfm | H | H | OH | Bz |
| 3-474 | 2-Tfm | H | H | OH | -CF ₂ -Ph |
| 3-475 | 2-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 3-476 | 2-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-477 | 2-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-478 | 2-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-479 | 2-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-480 | 2-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-481 | 2-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-482 | 2-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 3-483 | 2-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 3-484 | 2-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-485 | 2-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-486 | 2-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-487 | 2-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-488 | 2-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-489 | 2-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-490 | 2-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-491 | 2-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-492 | 2-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-493 | 2-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-494 | 2-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-495 | 2-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-496 | 2-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-497 | 2-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-498 | 2-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-499 | 2-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-500 | 2-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-501 | 2-OMe | H | H | OH | Ph |
| 3-502 | 2-OMe | H | H | OH | 1-Nap |
| 3-503 | 2-OMe | H | H | OH | 2-Nap |
| 3-504 | 2-OMe | H | H | OH | Bz |
| 3-505 | 2-OMe | H | H | OH | -CF ₂ -Ph |
| 3-506 | 2-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 3-507 | 2-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-508 | 2-OMe | H | H | OH | -CH ₂ -4-Me-Ph |

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|-------|--------|---|---|----|--------------------------------|
| 3-509 | 2-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-510 | 2-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-511 | 2-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-512 | 2-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-513 | 2-OMe | H | H | OH | -CH ₂ -3-F-Ph |
| 3-514 | 2-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 3-515 | 2-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-516 | 2-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-517 | 2-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-518 | 2-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-519 | 2-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-520 | 2-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-521 | 2-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-522 | 2-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-523 | 2-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-524 | 2-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-525 | 2-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-526 | 2-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-527 | 2-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-528 | 2-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-529 | 2-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-530 | 2-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-531 | 2-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-532 | 2-AcNH | H | H | OH | Ph |
| 3-533 | 2-AcNH | H | H | OH | 1-Nap |
| 3-534 | 2-AcNH | H | H | OH | 2-Nap |
| 3-535 | 2-AcNH | H | H | OH | Bz |
| 3-536 | 2-AcNH | H | H | OH | -CF ₂ -Ph |
| 3-537 | 2-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 3-538 | 2-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-539 | 2-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-540 | 2-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-541 | 2-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-542 | 2-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-543 | 2-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-544 | 2-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-545 | 2-AcNH | H | H | OH | -CH ₂ -4-F-Ph |

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|-------|--------|---|---|----|--------------------------------|
| 3-546 | 2-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-547 | 2-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-548 | 2-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-549 | 2-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-550 | 2-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-551 | 2-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-552 | 2-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-553 | 2-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-554 | 2-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-555 | 2-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-556 | 2-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-557 | 2-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-558 | 2-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-559 | 2-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-560 | 2-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-561 | 2-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-562 | 2-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-563 | 3-Me | H | H | OH | Ph |
| 3-564 | 3-Me | H | H | OH | 1-Nap |
| 3-565 | 3-Me | H | H | OH | 2-Nap |
| 3-566 | 3-Me | H | H | OH | Bz |
| 3-567 | 3-Me | H | H | OH | -CF ₂ -Ph |
| 3-568 | 3-Me | H | H | OH | -(CH ₂)-2-Nap |
| 3-569 | 3-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-570 | 3-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-571 | 3-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-572 | 3-Me | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-573 | 3-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-574 | 3-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-575 | 3-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 3-576 | 3-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 3-577 | 3-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-578 | 3-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-579 | 3-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-580 | 3-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-581 | 3-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-582 | 3-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-583 | 3-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-584 | 3-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-585 | 3-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-586 | 3-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-587 | 3-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-588 | 3-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-589 | 3-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-590 | 3-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-591 | 3-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-592 | 3-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-593 | 3-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-594 | 3-Cl | H | H | OH | Ph |
| 3-595 | 3-Cl | H | H | OH | 1-Nap |
| 3-596 | 3-Cl | H | H | OH | 2-Nap |
| 3-597 | 3-Cl | H | H | OH | Bz |
| 3-598 | 3-Cl | H | H | OH | -CF ₂ -Ph |
| 3-599 | 3-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 3-600 | 3-Cl | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-601 | 3-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-602 | 3-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-603 | 3-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-604 | 3-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-605 | 3-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-606 | 3-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 3-607 | 3-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 3-608 | 3-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-609 | 3-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-610 | 3-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-611 | 3-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-612 | 3-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-613 | 3-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-614 | 3-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-615 | 3-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-616 | 3-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-617 | 3-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-618 | 3-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-619 | 3-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-620 | 3-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-621 | 3-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-622 | 3-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-623 | 3-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-624 | 3-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-625 | 3-Br | H | H | OH | Ph |
| 3-626 | 3-Br | H | H | OH | 1-Nap |
| 3-627 | 3-Br | H | H | OH | 2-Nap |
| 3-628 | 3-Br | H | H | OH | Bz |
| 3-629 | 3-Br | H | H | OH | -CF ₂ -Ph |
| 3-630 | 3-Br | H | H | OH | -(CH ₂)-2-Nap |
| 3-631 | 3-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-632 | 3-Br | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-633 | 3-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-634 | 3-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-635 | 3-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-636 | 3-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-637 | 3-Br | H | H | OH | -CH ₂ -3-F-Ph |
| 3-638 | 3-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 3-639 | 3-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-640 | 3-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-641 | 3-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-642 | 3-Br | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-643 | 3-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-644 | 3-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-645 | 3-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-646 | 3-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-647 | 3-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-648 | 3-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-649 | 3-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-650 | 3-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-651 | 3-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-652 | 3-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-653 | 3-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-654 | 3-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-655 | 3-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-656 | 3-OH | H | H | OH | Ph |

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|-------|-------|---|---|----|--------------------------------|
| 3-657 | 3-OH | H | H | OH | 1-Nap |
| 3-658 | 3-OH | H | H | OH | 2-Nap |
| 3-659 | 3-OH | H | H | OH | Bz |
| 3-660 | 3-OH | H | H | OH | -CF ₂ -Ph |
| 3-661 | 3-OH | H | H | OH | -(CH ₂)-2-Nap |
| 3-662 | 3-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-663 | 3-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-664 | 3-OH | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-665 | 3-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-666 | 3-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-667 | 3-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-668 | 3-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-669 | 3-OH | H | H | OH | -CH ₂ -4-F-Ph |
| 3-670 | 3-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-671 | 3-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-672 | 3-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-673 | 3-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-674 | 3-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-675 | 3-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-676 | 3-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-677 | 3-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-678 | 3-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-679 | 3-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-680 | 3-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-681 | 3-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-682 | 3-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-683 | 3-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-684 | 3-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-685 | 3-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-686 | 3-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-687 | 3-Tfm | H | H | OH | Ph |
| 3-688 | 3-Tfm | H | H | OH | 1-Nap |
| 3-689 | 3-Tfm | H | H | OH | 2-Nap |
| 3-690 | 3-Tfm | H | H | OH | Bz |
| 3-691 | 3-Tfm | H | H | OH | -CF ₂ -Ph |
| 3-692 | 3-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 3-693 | 3-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 3-694 | 3-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-695 | 3-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-696 | 3-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-697 | 3-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-698 | 3-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-699 | 3-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 3-700 | 3-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 3-701 | 3-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-702 | 3-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-703 | 3-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-704 | 3-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-705 | 3-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-706 | 3-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-707 | 3-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-708 | 3-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-709 | 3-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-710 | 3-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-711 | 3-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-712 | 3-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-713 | 3-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-714 | 3-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-715 | 3-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-716 | 3-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-717 | 3-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-718 | 3-OMe | H | H | OH | Ph |
| 3-719 | 3-OMe | H | H | OH | 1-Nap |
| 3-720 | 3-OMe | H | H | OH | 2-Nap |
| 3-721 | 3-OMe | H | H | OH | Bz |
| 3-722 | 3-OMe | H | H | OH | -CF ₂ -Ph |
| 3-723 | 3-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 3-724 | 3-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-725 | 3-OMe | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-726 | 3-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-727 | 3-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-728 | 3-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-729 | 3-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-730 | 3-OMe | H | H | OH | -CH ₂ -3-F-Ph |

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| 3-731 | 3-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 3-732 | 3-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-733 | 3-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-734 | 3-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-735 | 3-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-736 | 3-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-737 | 3-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-738 | 3-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-739 | 3-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-740 | 3-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-741 | 3-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-742 | 3-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-743 | 3-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-744 | 3-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-745 | 3-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-746 | 3-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-747 | 3-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-748 | 3-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-749 | 3-AcNH | H | H | OH | Ph |
| 3-750 | 3-AcNH | H | H | OH | 1-Nap |
| 3-751 | 3-AcNH | H | H | OH | 2-Nap |
| 3-752 | 3-AcNH | H | H | OH | Bz |
| 3-753 | 3-AcNH | H | H | OH | -CF ₂ -Ph |
| 3-754 | 3-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 3-755 | 3-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-756 | 3-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-757 | 3-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-758 | 3-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-759 | 3-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-760 | 3-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-761 | 3-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-762 | 3-AcNH | H | H | OH | -CH ₂ -4-F-Ph |
| 3-763 | 3-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-764 | 3-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-765 | 3-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-766 | 3-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-767 | 3-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |

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|-------|--------|---|---|----|--------------------------------|
| 3-768 | 3-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-769 | 3-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-770 | 3-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-771 | 3-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-772 | 3-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-773 | 3-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-774 | 3-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-775 | 3-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-776 | 3-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-777 | 3-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-778 | 3-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-779 | 3-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-780 | 4-Me | H | H | OH | Ph |
| 3-781 | 4-Me | H | H | OH | 1-Nap |
| 3-782 | 4-Me | H | H | OH | 2-Nap |
| 3-783 | 4-Me | H | H | OH | Bz |
| 3-784 | 4-Me | H | H | OH | -CF ₂ -Ph |
| 3-785 | 4-Me | H | H | OH | -(CH ₂)-2-Nap |
| 3-786 | 4-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-787 | 4-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-788 | 4-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-789 | 4-Me | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-790 | 4-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-791 | 4-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-792 | 4-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 3-793 | 4-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 3-794 | 4-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-795 | 4-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-796 | 4-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-797 | 4-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-798 | 4-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-799 | 4-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-800 | 4-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-801 | 4-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-802 | 4-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-803 | 4-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-804 | 4-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-805 | 4-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-806 | 4-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-807 | 4-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-808 | 4-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-809 | 4-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-810 | 4-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-811 | 4-Cl | H | H | OH | Ph |
| 3-812 | 4-Cl | H | H | OH | 1-Nap |
| 3-813 | 4-Cl | H | H | OH | 2-Nap |
| 3-814 | 4-Cl | H | H | OH | Bz |
| 3-815 | 4-Cl | H | H | OH | -CF ₂ -Ph |
| 3-816 | 4-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 3-817 | 4-Cl | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-818 | 4-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-819 | 4-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-820 | 4-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-821 | 4-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-822 | 4-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-823 | 4-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 3-824 | 4-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 3-825 | 4-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-826 | 4-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-827 | 4-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-828 | 4-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-829 | 4-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-830 | 4-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-831 | 4-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-832 | 4-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-833 | 4-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-834 | 4-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-835 | 4-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-836 | 4-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-837 | 4-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-838 | 4-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-839 | 4-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-840 | 4-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-841 | 4-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |

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|-------|------|---|---|----|--------------------------------|
| 3-842 | 4-Br | H | H | OH | Ph |
| 3-843 | 4-Br | H | H | OH | 1-Nap |
| 3-844 | 4-Br | H | H | OH | 2-Nap |
| 3-845 | 4-Br | H | H | OH | Bz |
| 3-846 | 4-Br | H | H | OH | -CF ₂ -Ph |
| 3-847 | 4-Br | H | H | OH | -(CH ₂)-2-Nap |
| 3-848 | 4-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-849 | 4-Br | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-850 | 4-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-851 | 4-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-852 | 4-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-853 | 4-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-854 | 4-Br | H | H | OH | -CH ₂ -3-F-Ph |
| 3-855 | 4-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 3-856 | 4-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-857 | 4-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-858 | 4-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-859 | 4-Br | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-860 | 4-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-861 | 4-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-862 | 4-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-863 | 4-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-864 | 4-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-865 | 4-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-866 | 4-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-867 | 4-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-868 | 4-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-869 | 4-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-870 | 4-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-871 | 4-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-872 | 4-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-873 | 4-OH | H | H | OH | Ph |
| 3-874 | 4-OH | H | H | OH | 1-Nap |
| 3-875 | 4-OH | H | H | OH | 2-Nap |
| 3-876 | 4-OH | H | H | OH | Bz |
| 3-877 | 4-OH | H | H | OH | -CF ₂ -Ph |
| 3-878 | 4-OH | H | H | OH | -(CH ₂)-2-Nap |

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| 3-879 | 4-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-880 | 4-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-881 | 4-OH | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-882 | 4-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-883 | 4-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-884 | 4-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-885 | 4-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-886 | 4-OH | H | H | OH | -CH ₂ -4-F-Ph |
| 3-887 | 4-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-888 | 4-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-889 | 4-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-890 | 4-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-891 | 4-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-892 | 4-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-893 | 4-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-894 | 4-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-895 | 4-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-896 | 4-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-897 | 4-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-898 | 4-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-899 | 4-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-900 | 4-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-901 | 4-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-902 | 4-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-903 | 4-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-904 | 4-Tfm | H | H | OH | Ph |
| 3-905 | 4-Tfm | H | H | OH | 1-Nap |
| 3-906 | 4-Tfm | H | H | OH | 2-Nap |
| 3-907 | 4-Tfm | H | H | OH | Bz |
| 3-908 | 4-Tfm | H | H | OH | -CF ₂ -Ph |
| 3-909 | 4-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 3-910 | 4-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-911 | 4-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-912 | 4-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-913 | 4-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-914 | 4-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-915 | 4-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 3-916 | 4-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 3-917 | 4-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 3-918 | 4-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-919 | 4-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-920 | 4-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-921 | 4-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-922 | 4-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-923 | 4-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-924 | 4-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-925 | 4-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-926 | 4-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-927 | 4-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-928 | 4-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-929 | 4-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-930 | 4-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-931 | 4-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-932 | 4-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-933 | 4-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-934 | 4-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-935 | 4-OMe | H | H | OH | Ph |
| 3-936 | 4-OMe | H | H | OH | 1-Nap |
| 3-937 | 4-OMe | H | H | OH | 2-Nap |
| 3-938 | 4-OMe | H | H | OH | Bz |
| 3-939 | 4-OMe | H | H | OH | -CF ₂ -Ph |
| 3-940 | 4-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 3-941 | 4-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-942 | 4-OMe | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-943 | 4-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-944 | 4-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-945 | 4-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-946 | 4-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-947 | 4-OMe | H | H | OH | -CH ₂ -3-F-Ph |
| 3-948 | 4-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 3-949 | 4-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-950 | 4-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-951 | 4-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-952 | 4-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |

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|-------|--------|---|---|----|--------------------------------|
| 3-953 | 4-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-954 | 4-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-955 | 4-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-956 | 4-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-957 | 4-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-958 | 4-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-959 | 4-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-960 | 4-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-961 | 4-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-962 | 4-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-963 | 4-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-964 | 4-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-965 | 4-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-966 | 4-AcNH | H | H | OH | Ph |
| 3-967 | 4-AcNH | H | H | OH | 1-Nap |
| 3-968 | 4-AcNH | H | H | OH | 2-Nap |
| 3-969 | 4-AcNH | H | H | OH | Bz |
| 3-970 | 4-AcNH | H | H | OH | -CF ₂ -Ph |
| 3-971 | 4-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 3-972 | 4-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-973 | 4-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-974 | 4-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-975 | 4-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-976 | 4-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-977 | 4-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-978 | 4-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 3-979 | 4-AcNH | H | H | OH | -CH ₂ -4-F-Ph |
| 3-980 | 4-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-981 | 4-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-982 | 4-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-983 | 4-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-984 | 4-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-985 | 4-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-986 | 4-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-987 | 4-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-988 | 4-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-989 | 4-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |

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| 3-990 | 4-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-991 | 4-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-992 | 4-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-993 | 4-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-994 | 4-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-995 | 4-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-996 | 4-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-997 | 1-F | H | H | OH | Ph |
| 3-998 | 1-F | H | H | OH | 1-Nap |
| 3-999 | 1-F | H | H | OH | 2-Nap |
| 3-1000 | 1-F | H | H | OH | Bz |
| 3-1001 | 1-F | H | H | OH | -CF ₂ -Ph |
| 3-1002 | 1-F | H | H | OH | -(CH ₂)-2-Nap |
| 3-1003 | 1-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-1004 | 1-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-1005 | 1-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-1006 | 1-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-1007 | 1-F | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1008 | 1-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1009 | 1-F | H | H | OH | -CH ₂ -3-F-Ph |
| 3-1010 | 1-F | H | H | OH | -CH ₂ -4-F-Ph |
| 3-1011 | 1-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1012 | 1-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1013 | 1-F | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1014 | 1-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1015 | 1-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1016 | 1-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1017 | 1-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1018 | 1-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1019 | 1-F | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1020 | 1-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1021 | 1-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1022 | 1-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1023 | 1-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1024 | 1-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1025 | 1-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1026 | 1-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |

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| 3-1027 | 1-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1028 | 2-F | H | H | OH | Ph |
| 3-1029 | 2-F | H | H | OH | 1-Nap |
| 3-1030 | 2-F | H | H | OH | 2-Nap |
| 3-1031 | 2-F | H | H | OH | Bz |
| 3-1032 | 2-F | H | H | OH | -CF ₂ -Ph |
| 3-1033 | 2-F | H | H | OH | -(CH ₂)-2-Nap |
| 3-1034 | 2-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-1035 | 2-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-1036 | 2-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-1037 | 2-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-1038 | 2-F | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1039 | 2-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1040 | 2-F | H | H | OH | -CH ₂ -3-F-Ph |
| 3-1041 | 2-F | H | H | OH | -CH ₂ -4-F-Ph |
| 3-1042 | 2-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1043 | 2-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1044 | 2-F | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1045 | 2-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1046 | 2-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1047 | 2-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1048 | 2-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1049 | 2-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1050 | 2-F | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1051 | 2-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1052 | 2-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1053 | 2-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1054 | 2-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1055 | 2-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1056 | 2-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1057 | 2-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1058 | 2-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1059 | 3-F | H | H | OH | Ph |
| 3-1060 | 3-F | H | H | OH | 1-Nap |
| 3-1061 | 3-F | H | H | OH | 2-Nap |
| 3-1062 | 3-F | H | H | OH | Bz |
| 3-1063 | 3-F | H | H | OH | -CF ₂ -Ph |

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|--------|-----|---|---|----|--------------------------------|
| 3-1064 | 3-F | H | H | OH | -(CH ₂)-2-Nap |
| 3-1065 | 3-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-1066 | 3-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-1067 | 3-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-1068 | 3-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-1069 | 3-F | H | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1070 | 3-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1071 | 3-F | H | H | OH | -CH ₂ -3-F-Ph |
| 3-1072 | 3-F | H | H | OH | -CH ₂ -4-F-Ph |
| 3-1073 | 3-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1074 | 3-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1075 | 3-F | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1076 | 3-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1077 | 3-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1078 | 3-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1079 | 3-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1080 | 3-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1081 | 3-F | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1082 | 3-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1083 | 3-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1084 | 3-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1085 | 3-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1086 | 3-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1087 | 3-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1088 | 3-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1089 | 3-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1090 | 4-F | H | H | OH | Ph |
| 3-1091 | 4-F | H | H | OH | 1-Nap |
| 3-1092 | 4-F | H | H | OH | 2-Nap |
| 3-1093 | 4-F | H | H | OH | Bz |
| 3-1094 | 4-F | H | H | OH | -CF ₂ -Ph |
| 3-1095 | 4-F | H | H | OH | -(CH ₂)-2-Nap |
| 3-1096 | 4-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 3-1097 | 4-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 3-1098 | 4-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 3-1099 | 4-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 3-1100 | 4-F | H | H | OH | -CH ₂ -3-Cl-Ph |

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| 3-1101 | 4-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1102 | 4-F | H | H | OH | -CH ₂ -3-F-Ph |
| 3-1103 | 4-F | H | H | OH | -CH ₂ -4-F-Ph |
| 3-1104 | 4-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1105 | 4-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1106 | 4-F | H | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1107 | 4-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1108 | 4-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1109 | 4-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1110 | 4-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1111 | 4-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1112 | 4-F | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1113 | 4-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1114 | 4-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1115 | 4-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1116 | 4-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1117 | 4-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1118 | 4-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1119 | 4-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1120 | 4-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1121 | 1-OMe | 2-OMe | H | OH | Ph |
| 3-1122 | 1-OMe | 2-OMe | H | OH | 1-Nap |
| 3-1123 | 1-OMe | 2-OMe | H | OH | 2-Nap |
| 3-1124 | 1-OMe | 2-OMe | H | OH | Bz |
| 3-1125 | 1-OMe | 2-OMe | H | OH | -CF ₂ -Ph |
| 3-1126 | 1-OMe | 2-OMe | H | OH | -(CH ₂)-2-Nap |
| 3-1127 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1128 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1129 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1130 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 3-1131 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1132 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1133 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1134 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 3-1135 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1136 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1137 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |

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| 3-1138 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1139 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1140 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1141 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1142 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1143 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1144 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1145 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1146 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1147 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1148 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1149 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1150 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1151 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1152 | 2-OMe | 3-OMe | H | OH | Ph |
| 3-1153 | 2-OMe | 3-OMe | H | OH | 1-Nap |
| 3-1154 | 2-OMe | 3-OMe | H | OH | 2-Nap |
| 3-1155 | 2-OMe | 3-OMe | H | OH | Bz |
| 3-1156 | 2-OMe | 3-OMe | H | OH | -CF ₂ -Ph |
| 3-1157 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-2-Nap |
| 3-1158 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1159 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1160 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1161 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 3-1162 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1163 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1164 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1165 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-F-Ph |
| 3-1166 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1167 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1168 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1169 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1170 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1171 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1172 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1173 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1174 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |

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| 3-1175 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1176 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1177 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1178 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1179 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1180 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1181 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1182 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1183 | 1,2-Mtdo | | H | OH | Ph |
| 3-1184 | 1,2-Mtdo | | H | OH | 1-Nap |
| 3-1185 | 1,2-Mtdo | | H | OH | 2-Nap |
| 3-1186 | 1,2-Mtdo | | H | OH | Bz |
| 3-1187 | 1,2-Mtdo | | H | OH | -CF ₂ -Ph |
| 3-1188 | 1,2-Mtdo | | H | OH | -(CH ₂)-2-Nap |
| 3-1189 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Me-Ph |
| 3-1190 | 1,2-Mtdo | | H | OH | -CH ₂ -4-Me-Ph |
| 3-1191 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Br-Ph |
| 3-1192 | 1,2-Mtdo | | H | OH | -CH ₂ -4-Br-Ph |
| 3-1193 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1194 | 1,2-Mtdo | | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1195 | 1,2-Mtdo | | H | OH | -CH ₂ -3-F-Ph |
| 3-1196 | 1,2-Mtdo | | H | OH | -CH ₂ -4-F-Ph |
| 3-1197 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1198 | 1,2-Mtdo | | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1199 | 1,2-Mtdo | | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1200 | 1,2-Mtdo | | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1201 | 1,2-Mtdo | | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1202 | 1,2-Mtdo | | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1203 | 1,2-Mtdo | | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1204 | 1,2-Mtdo | | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1205 | 1,2-Mtdo | | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1206 | 1,2-Mtdo | | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1207 | 1,2-Mtdo | | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1208 | 1,2-Mtdo | | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1209 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1210 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1211 | 1,2-Mtdo | | H | OH | -CH ₂ -3,4-Mtdo-Ph |

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| 3-1212 | 1,2-Mtdo | H | OH | -CH ₂ -3,4-diMe-Ph | |
| 3-1213 | 1,2-Mtdo | H | OH | -CH ₂ -3,5-diMe-Ph | |
| 3-1214 | 2,3-Mtdo | H | OH | Ph | |
| 3-1215 | 2,3-Mtdo | H | OH | 1-Nap | |
| 3-1216 | 2,3-Mtdo | H | OH | 2-Nap | |
| 3-1217 | 2,3-Mtdo | H | OH | Bz | |
| 3-1218 | 2,3-Mtdo | H | OH | -CF ₂ -Ph | |
| 3-1219 | 2,3-Mtdo | H | OH | -(CH ₂)-2-Nap | |
| 3-1220 | 2,3-Mtdo | H | OH | -CH ₂ -3-Me-Ph | |
| 3-1221 | 2,3-Mtdo | H | OH | -CH ₂ -4-Me-Ph | |
| 3-1222 | 2,3-Mtdo | H | OH | -CH ₂ -3-Br-Ph | |
| 3-1223 | 2,3-Mtdo | H | OH | -CH ₂ -4-Br-Ph | |
| 3-1224 | 2,3-Mtdo | H | OH | -CH ₂ -3-Cl-Ph | |
| 3-1225 | 2,3-Mtdo | H | OH | -CH ₂ -4-Cl-Ph | |
| 3-1226 | 2,3-Mtdo | H | OH | -CH ₂ -3-F-Ph | |
| 3-1227 | 2,3-Mtdo | H | OH | -CH ₂ -4-F-Ph | |
| 3-1228 | 2,3-Mtdo | H | OH | -CH ₂ -3-Tfm-Ph | |
| 3-1229 | 2,3-Mtdo | H | OH | -CH ₂ -4-Tfm-Ph | |
| 3-1230 | 2,3-Mtdo | H | OH | -CH ₂ -3-OMe-Ph | |
| 3-1231 | 2,3-Mtdo | H | OH | -CH ₂ -4-OMe-Ph | |
| 3-1232 | 2,3-Mtdo | H | OH | -CH ₂ -2,3-diF-Ph | |
| 3-1233 | 2,3-Mtdo | H | OH | -CH ₂ -2,4-diF-Ph | |
| 3-1234 | 2,3-Mtdo | H | OH | -CH ₂ -2,5-diF-Ph | |
| 3-1235 | 2,3-Mtdo | H | OH | -CH ₂ -2,6-diF-Ph | |
| 3-1236 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-diF-Ph | |
| 3-1237 | 2,3-Mtdo | H | OH | -CH ₂ -3,5-diF-Ph | |
| 3-1238 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-diCl-Ph | |
| 3-1239 | 2,3-Mtdo | H | OH | -CH ₂ -3,5-diCl-Ph | |
| 3-1240 | 2,3-Mtdo | H | OH | -CH ₂ -3-Cl-4-F-Ph | |
| 3-1241 | 2,3-Mtdo | H | OH | -CH ₂ -3-Me-4-Cl-Ph | |
| 3-1242 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-Mtdo-Ph | |
| 3-1243 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-diMe-Ph | |
| 3-1244 | 2,3-Mtdo | H | OH | -CH ₂ -3,5-diMe-Ph | |
| 3-1245 | 2-F | 3-F | H | OH | Ph |
| 3-1246 | 2-F | 3-F | H | OH | 1-Nap |
| 3-1247 | 2-F | 3-F | H | OH | 2-Nap |
| 3-1248 | 2-F | 3-F | H | OH | Bz |

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| 3-1249 | 2-F | 3-F | H | OH | -CF ₂ -Ph |
| 3-1250 | 2-F | 3-F | H | OH | -(CH ₂)-2-Nap |
| 3-1251 | 2-F | 3-F | H | OH | -CH ₂ -3-Me-Ph |
| 3-1252 | 2-F | 3-F | H | OH | -CH ₂ -4-Me-Ph |
| 3-1253 | 2-F | 3-F | H | OH | -CH ₂ -3-Br-Ph |
| 3-1254 | 2-F | 3-F | H | OH | -CH ₂ -4-Br-Ph |
| 3-1255 | 2-F | 3-F | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1256 | 2-F | 3-F | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1257 | 2-F | 3-F | H | OH | -CH ₂ -3-F-Ph |
| 3-1258 | 2-F | 3-F | H | OH | -CH ₂ -4-F-Ph |
| 3-1259 | 2-F | 3-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1260 | 2-F | 3-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1261 | 2-F | 3-F | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1262 | 2-F | 3-F | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1263 | 2-F | 3-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1264 | 2-F | 3-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1265 | 2-F | 3-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1266 | 2-F | 3-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1267 | 2-F | 3-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1268 | 2-F | 3-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1269 | 2-F | 3-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1270 | 2-F | 3-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1271 | 2-F | 3-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1272 | 2-F | 3-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1273 | 2-F | 3-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1274 | 2-F | 3-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1275 | 2-F | 3-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1276 | 1-Cl | 2-OMe | H | OH | Ph |
| 3-1277 | 1-Cl | 2-OMe | H | OH | 1-Nap |
| 3-1278 | 1-Cl | 2-OMe | H | OH | 2-Nap |
| 3-1279 | 1-Cl | 2-OMe | H | OH | Bz |
| 3-1280 | 1-Cl | 2-OMe | H | OH | -CF ₂ -Ph |
| 3-1281 | 1-Cl | 2-OMe | H | OH | -(CH ₂)-2-Nap |
| 3-1282 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1283 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1284 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1285 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |

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| 3-1286 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1287 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1288 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1289 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 3-1290 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1291 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1292 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1293 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1294 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1295 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1296 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1297 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1298 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1299 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1300 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1301 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1302 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1303 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1304 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1305 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1306 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1307 | 1-OMe | 2-Cl | H | OH | Ph |
| 3-1308 | 1-OMe | 2-Cl | H | OH | 1-Nap |
| 3-1309 | 1-OMe | 2-Cl | H | OH | 2-Nap |
| 3-1310 | 1-OMe | 2-Cl | H | OH | Bz |
| 3-1311 | 1-OMe | 2-Cl | H | OH | -CF ₂ -Ph |
| 3-1312 | 1-OMe | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1313 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-1314 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-1315 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-1316 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1317 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1318 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1319 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-1320 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-1321 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1322 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |

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| 3-1323 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1324 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1325 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1326 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1327 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1328 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1329 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1330 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1331 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1332 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1333 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1334 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1335 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1336 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1337 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1338 | 1-OMe | 2-Me | H | OH | Ph |
| 3-1339 | 1-OMe | 2-Me | H | OH | 1-Nap |
| 3-1340 | 1-OMe | 2-Me | H | OH | 2-Nap |
| 3-1341 | 1-OMe | 2-Me | H | OH | Bz |
| 3-1342 | 1-OMe | 2-Me | H | OH | -CF ₂ -Ph |
| 3-1343 | 1-OMe | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1344 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1345 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1346 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1347 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1348 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1349 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1350 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1351 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1352 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1353 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1354 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1355 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1356 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1357 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1358 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1359 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |

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| 3-1360 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1361 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1362 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1363 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1364 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1365 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1366 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1367 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1368 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1369 | 1-OMe | 3-OMe | H | OH | Ph |
| 3-1370 | 1-OMe | 3-OMe | H | OH | 1-Nap |
| 3-1371 | 1-OMe | 3-OMe | H | OH | 2-Nap |
| 3-1372 | 1-OMe | 3-OMe | H | OH | Bz |
| 3-1373 | 1-OMe | 3-OMe | H | OH | -CF ₂ -Ph |
| 3-1374 | 1-OMe | 3-OMe | H | OH | -(CH ₂) ₂ -2-Nap |
| 3-1375 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1376 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1377 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1378 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 3-1379 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1380 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1381 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1382 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-F-Ph |
| 3-1383 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1384 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1385 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1386 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1387 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1388 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1389 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1390 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1391 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1392 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1393 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1394 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1395 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1396 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |

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| 3-1397 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1398 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1399 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1400 | 1-Me | 2-Me | H | OH | Ph |
| 3-1401 | 1-Me | 2-Me | H | OH | 1-Nap |
| 3-1402 | 1-Me | 2-Me | H | OH | 2-Nap |
| 3-1403 | 1-Me | 2-Me | H | OH | Bz |
| 3-1404 | 1-Me | 2-Me | H | OH | -CF ₂ -Ph |
| 3-1405 | 1-Me | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1406 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1407 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1408 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1409 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1410 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1411 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1412 | 1-Me | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1413 | 1-Me | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1414 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1415 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1416 | 1-Me | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1417 | 1-Me | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1418 | 1-Me | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1419 | 1-Me | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1420 | 1-Me | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1421 | 1-Me | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1422 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1423 | 1-Me | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1424 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1425 | 1-Me | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1426 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1427 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1428 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1429 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1430 | 1-Me | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1431 | 1-Me | 3-Me | H | OH | Ph |
| 3-1432 | 1-Me | 3-Me | H | OH | 1-Nap |
| 3-1433 | 1-Me | 3-Me | H | OH | 2-Nap |

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| 3-1434 | 1-Me | 3-Me | H | OH | Bz |
| 3-1435 | 1-Me | 3-Me | H | OH | -CF ₂ -Ph |
| 3-1436 | 1-Me | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1437 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1438 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1439 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1440 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1441 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1442 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1443 | 1-Me | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1444 | 1-Me | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1445 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1446 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1447 | 1-Me | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1448 | 1-Me | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1449 | 1-Me | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1450 | 1-Me | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1451 | 1-Me | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1452 | 1-Me | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1453 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1454 | 1-Me | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1455 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1456 | 1-Me | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1457 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1458 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1459 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1460 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1461 | 1-Me | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1462 | 1-Me | 2-Cl | H | OH | Ph |
| 3-1463 | 1-Me | 2-Cl | H | OH | 1-Nap |
| 3-1464 | 1-Me | 2-Cl | H | OH | 2-Nap |
| 3-1465 | 1-Me | 2-Cl | H | OH | Bz |
| 3-1466 | 1-Me | 2-Cl | H | OH | -CF ₂ -Ph |
| 3-1467 | 1-Me | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1468 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-1469 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-1470 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |

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| 3-1471 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1472 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1473 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1474 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-1475 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-1476 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1477 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1478 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1479 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1480 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1481 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1482 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1483 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1484 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1485 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1486 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1487 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1488 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1489 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1490 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1491 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1492 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1493 | 1-Me | 2-OMe | H | OH | Ph |
| 3-1494 | 1-Me | 2-OMe | H | OH | 1-Nap |
| 3-1495 | 1-Me | 2-OMe | H | OH | 2-Nap |
| 3-1496 | 1-Me | 2-OMe | H | OH | Bz |
| 3-1497 | 1-Me | 2-OMe | H | OH | -CF ₂ -Ph |
| 3-1498 | 1-Me | 2-OMe | H | OH | -(CH ₂)-2-Nap |
| 3-1499 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1500 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1501 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1502 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 3-1503 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1504 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1505 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1506 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 3-1507 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |

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| 3-1508 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1509 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1510 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1511 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1512 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1513 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1514 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1515 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1516 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1517 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1518 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1519 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1520 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1521 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1522 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1523 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1524 | 1-Cl | 2-Me | H | OH | Ph |
| 3-1525 | 1-Cl | 2-Me | H | OH | 1-Nap |
| 3-1526 | 1-Cl | 2-Me | H | OH | 2-Nap |
| 3-1527 | 1-Cl | 2-Me | H | OH | Bz |
| 3-1528 | 1-Cl | 2-Me | H | OH | -CF ₂ -Ph |
| 3-1529 | 1-Cl | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1530 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1531 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1532 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1533 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1534 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1535 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1536 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1537 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1538 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1539 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1540 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1541 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1542 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1543 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1544 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |

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| 3-1545 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1546 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1547 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1548 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1549 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1550 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1551 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1552 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1553 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1554 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1555 | 1-Cl | 2-Cl | H | OH | Ph |
| 3-1556 | 1-Cl | 2-Cl | H | OH | 1-Nap |
| 3-1557 | 1-Cl | 2-Cl | H | OH | 2-Nap |
| 3-1558 | 1-Cl | 2-Cl | H | OH | Bz |
| 3-1559 | 1-Cl | 2-Cl | H | OH | -CF ₂ -Ph |
| 3-1560 | 1-Cl | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1561 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-1562 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-1563 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-1564 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1565 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1566 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1567 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-1568 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-1569 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1570 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1571 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1572 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1573 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1574 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1575 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1576 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1577 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1578 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1579 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1580 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1581 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |

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| 3-1582 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1583 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1584 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1585 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1586 | 1-Cl | 3-Cl | H | OH | Ph |
| 3-1587 | 1-Cl | 3-Cl | H | OH | 1-Nap |
| 3-1588 | 1-Cl | 3-Cl | H | OH | 2-Nap |
| 3-1589 | 1-Cl | 3-Cl | H | OH | Bz |
| 3-1590 | 1-Cl | 3-Cl | H | OH | -CF ₂ -Ph |
| 3-1591 | 1-Cl | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1592 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-1593 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-1594 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-1595 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1596 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1597 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1598 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-1599 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-1600 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1601 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1602 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1603 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1604 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1605 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1606 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1607 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1608 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1609 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1610 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1611 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1612 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1613 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1614 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1615 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1616 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1617 | 2-Me | 3-Me | H | OH | Ph |
| 3-1618 | 2-Me | 3-Me | H | OH | 1-Nap |

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| 3-1619 | 2-Me | 3-Me | H | OH | 2-Nap |
| 3-1620 | 2-Me | 3-Me | H | OH | Bz |
| 3-1621 | 2-Me | 3-Me | H | OH | -CF ₂ -Ph |
| 3-1622 | 2-Me | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1623 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1624 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1625 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1626 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1627 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1628 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1629 | 2-Me | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1630 | 2-Me | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1631 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1632 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1633 | 2-Me | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1634 | 2-Me | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1635 | 2-Me | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1636 | 2-Me | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1637 | 2-Me | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1638 | 2-Me | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1639 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1640 | 2-Me | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1641 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1642 | 2-Me | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1643 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1644 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1645 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1646 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1647 | 2-Me | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1648 | 2-Me | 3-Cl | H | OH | Ph |
| 3-1649 | 2-Me | 3-Cl | H | OH | 1-Nap |
| 3-1650 | 2-Me | 3-Cl | H | OH | 2-Nap |
| 3-1651 | 2-Me | 3-Cl | H | OH | Bz |
| 3-1652 | 2-Me | 3-Cl | H | OH | -CF ₂ -Ph |
| 3-1653 | 2-Me | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1654 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-1655 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |

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| 3-1656 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-1657 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1658 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1659 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1660 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-1661 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-1662 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1663 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1664 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1665 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1666 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1667 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1668 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1669 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1670 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1671 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1672 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1673 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1674 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1675 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1676 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1677 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1678 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1679 | 2-Me | 3-OMe | H | OH | Ph |
| 3-1680 | 2-Me | 3-OMe | H | OH | 1-Nap |
| 3-1681 | 2-Me | 3-OMe | H | OH | 2-Nap |
| 3-1682 | 2-Me | 3-OMe | H | OH | Bz |
| 3-1683 | 2-Me | 3-OMe | H | OH | -CF ₂ -Ph |
| 3-1684 | 2-Me | 3-OMe | H | OH | -(CH ₂)-2-Nap |
| 3-1685 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1686 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1687 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1688 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 3-1689 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1690 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1691 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1692 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-F-Ph |

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| 3-1693 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1694 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1695 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1696 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1697 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1698 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1699 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1700 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1701 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1702 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1703 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1704 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1705 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1706 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1707 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1708 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1709 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1710 | 2-Cl | 3-Me | H | OH | Ph |
| 3-1711 | 2-Cl | 3-Me | H | OH | 1-Nap |
| 3-1712 | 2-Cl | 3-Me | H | OH | 2-Nap |
| 3-1713 | 2-Cl | 3-Me | H | OH | Bz |
| 3-1714 | 2-Cl | 3-Me | H | OH | -CF ₂ -Ph |
| 3-1715 | 2-Cl | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1716 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1717 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1718 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1719 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1720 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1721 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1722 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1723 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1724 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1725 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1726 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1727 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1728 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1729 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |

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| 3-1730 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1731 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1732 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1733 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1734 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1735 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1736 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1737 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1738 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1739 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1740 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1741 | 2-Cl | 3-Cl | H | OH | Ph |
| 3-1742 | 2-Cl | 3-Cl | H | OH | 1-Nap |
| 3-1743 | 2-Cl | 3-Cl | H | OH | 2-Nap |
| 3-1744 | 2-Cl | 3-Cl | H | OH | Bz |
| 3-1745 | 2-Cl | 3-Cl | H | OH | -CF ₂ -Ph |
| 3-1746 | 2-Cl | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1747 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-1748 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-1749 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-1750 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1751 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1752 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1753 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-1754 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-1755 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1756 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1757 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1758 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1759 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1760 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1761 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1762 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1763 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1764 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1765 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1766 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |

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| 3-1767 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1768 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1769 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1770 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1771 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1772 | 2-Cl | 3-OMe | H | OH | Ph |
| 3-1773 | 2-Cl | 3-OMe | H | OH | 1-Nap |
| 3-1774 | 2-Cl | 3-OMe | H | OH | 2-Nap |
| 3-1775 | 2-Cl | 3-OMe | H | OH | Bz |
| 3-1776 | 2-Cl | 3-OMe | H | OH | -CF ₂ -Ph |
| 3-1777 | 2-Cl | 3-OMe | H | OH | -(CH ₂)-2-Nap |
| 3-1778 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1779 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1780 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1781 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 3-1782 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1783 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1784 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1785 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-F-Ph |
| 3-1786 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1787 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1788 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1789 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1790 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1791 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1792 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1793 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1794 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1795 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1796 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1797 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1798 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1799 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1800 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1801 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1802 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1803 | 2-OMe | 3-Me | H | OH | Ph |

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| 3-1804 | 2-OMe | 3-Me | H | OH | 1-Nap |
| 3-1805 | 2-OMe | 3-Me | H | OH | 2-Nap |
| 3-1806 | 2-OMe | 3-Me | H | OH | Bz |
| 3-1807 | 2-OMe | 3-Me | H | OH | -CF ₂ -Ph |
| 3-1808 | 2-OMe | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1809 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1810 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1811 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1812 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1813 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1814 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1815 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1816 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1817 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1818 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1819 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1820 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1821 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1822 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1823 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1824 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1825 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1826 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1827 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1828 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1829 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1830 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1831 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1832 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1833 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1834 | 2-OMe | 3-Cl | H | OH | Ph |
| 3-1835 | 2-OMe | 3-Cl | H | OH | 1-Nap |
| 3-1836 | 2-OMe | 3-Cl | H | OH | 2-Nap |
| 3-1837 | 2-OMe | 3-Cl | H | OH | Bz |
| 3-1838 | 2-OMe | 3-Cl | H | OH | -CF ₂ -Ph |
| 3-1839 | 2-OMe | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1840 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |

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| 3-1841 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-1842 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-1843 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1844 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1845 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1846 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-1847 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-1848 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1849 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1850 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1851 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1852 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1853 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1854 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1855 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1856 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1857 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1858 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1859 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1860 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1861 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1862 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1863 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1864 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1865 | 1-F | 2-F | H | OH | Ph |
| 3-1866 | 1-F | 2-F | H | OH | 1-Nap |
| 3-1867 | 1-F | 2-F | H | OH | 2-Nap |
| 3-1868 | 1-F | 2-F | H | OH | Bz |
| 3-1869 | 1-F | 2-F | H | OH | -CF ₂ -Ph |
| 3-1870 | 1-F | 2-F | H | OH | -(CH ₂)-2-Nap |
| 3-1871 | 1-F | 2-F | H | OH | -CH ₂ -3-Me-Ph |
| 3-1872 | 1-F | 2-F | H | OH | -CH ₂ -4-Me-Ph |
| 3-1873 | 1-F | 2-F | H | OH | -CH ₂ -3-Br-Ph |
| 3-1874 | 1-F | 2-F | H | OH | -CH ₂ -4-Br-Ph |
| 3-1875 | 1-F | 2-F | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1876 | 1-F | 2-F | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1877 | 1-F | 2-F | H | OH | -CH ₂ -3-F-Ph |

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| 3-1878 | 1-F | 2-F | H | OH | -CH ₂ -4-F-Ph |
| 3-1879 | 1-F | 2-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1880 | 1-F | 2-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1881 | 1-F | 2-F | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1882 | 1-F | 2-F | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1883 | 1-F | 2-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1884 | 1-F | 2-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1885 | 1-F | 2-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1886 | 1-F | 2-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1887 | 1-F | 2-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1888 | 1-F | 2-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1889 | 1-F | 2-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1890 | 1-F | 2-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1891 | 1-F | 2-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1892 | 1-F | 2-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1893 | 1-F | 2-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1894 | 1-F | 2-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1895 | 1-F | 2-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1896 | 1-F | 2-Me | H | OH | Ph |
| 3-1897 | 1-F | 2-Me | H | OH | 1-Nap |
| 3-1898 | 1-F | 2-Me | H | OH | 2-Nap |
| 3-1899 | 1-F | 2-Me | H | OH | Bz |
| 3-1900 | 1-F | 2-Me | H | OH | -CF ₂ -Ph |
| 3-1901 | 1-F | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 3-1902 | 1-F | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-1903 | 1-F | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-1904 | 1-F | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-1905 | 1-F | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-1906 | 1-F | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1907 | 1-F | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1908 | 1-F | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-1909 | 1-F | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-1910 | 1-F | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1911 | 1-F | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1912 | 1-F | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1913 | 1-F | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1914 | 1-F | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |

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| 3-1915 | 1-F | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1916 | 1-F | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1917 | 1-F | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1918 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1919 | 1-F | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1920 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1921 | 1-F | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1922 | 1-F | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1923 | 1-F | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1924 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1925 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1926 | 1-F | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1927 | 1-F | 2-OMe | H | OH | Ph |
| 3-1928 | 1-F | 2-OMe | H | OH | 1-Nap |
| 3-1929 | 1-F | 2-OMe | H | OH | 2-Nap |
| 3-1930 | 1-F | 2-OMe | H | OH | Bz |
| 3-1931 | 1-F | 2-OMe | H | OH | -CF ₂ -Ph |
| 3-1932 | 1-F | 2-OMe | H | OH | -(CH ₂)-2-Nap |
| 3-1933 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 3-1934 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 3-1935 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 3-1936 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 3-1937 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1938 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1939 | 1-F | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 3-1940 | 1-F | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 3-1941 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1942 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1943 | 1-F | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1944 | 1-F | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1945 | 1-F | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1946 | 1-F | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1947 | 1-F | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1948 | 1-F | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1949 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1950 | 1-F | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1951 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |

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| 3-1952 | 1-F | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1953 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1954 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1955 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1956 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1957 | 1-F | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-1958 | 1-F | 2-Br | H | OH | Ph |
| 3-1959 | 1-F | 2-Br | H | OH | 1-Nap |
| 3-1960 | 1-F | 2-Br | H | OH | 2-Nap |
| 3-1961 | 1-F | 2-Br | H | OH | Bz |
| 3-1962 | 1-F | 2-Br | H | OH | -CF ₂ -Ph |
| 3-1963 | 1-F | 2-Br | H | OH | -(CH ₂)-2-Nap |
| 3-1964 | 1-F | 2-Br | H | OH | -CH ₂ -3-Me-Ph |
| 3-1965 | 1-F | 2-Br | H | OH | -CH ₂ -4-Me-Ph |
| 3-1966 | 1-F | 2-Br | H | OH | -CH ₂ -3-Br-Ph |
| 3-1967 | 1-F | 2-Br | H | OH | -CH ₂ -4-Br-Ph |
| 3-1968 | 1-F | 2-Br | H | OH | -CH ₂ -3-Cl-Ph |
| 3-1969 | 1-F | 2-Br | H | OH | -CH ₂ -4-Cl-Ph |
| 3-1970 | 1-F | 2-Br | H | OH | -CH ₂ -3-F-Ph |
| 3-1971 | 1-F | 2-Br | H | OH | -CH ₂ -4-F-Ph |
| 3-1972 | 1-F | 2-Br | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-1973 | 1-F | 2-Br | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-1974 | 1-F | 2-Br | H | OH | -CH ₂ -3-OMe-Ph |
| 3-1975 | 1-F | 2-Br | H | OH | -CH ₂ -4-OMe-Ph |
| 3-1976 | 1-F | 2-Br | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-1977 | 1-F | 2-Br | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-1978 | 1-F | 2-Br | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-1979 | 1-F | 2-Br | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-1980 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-1981 | 1-F | 2-Br | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-1982 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-1983 | 1-F | 2-Br | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-1984 | 1-F | 2-Br | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-1985 | 1-F | 2-Br | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-1986 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-1987 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-1988 | 1-F | 2-Br | H | OH | -CH ₂ -3,5-diMe-Ph |

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| 3-1989 | 2-F | 3-Cl | H | OH | Ph |
| 3-1990 | 2-F | 3-Cl | H | OH | 1-Nap |
| 3-1991 | 2-F | 3-Cl | H | OH | 2-Nap |
| 3-1992 | 2-F | 3-Cl | H | OH | Bz |
| 3-1993 | 2-F | 3-Cl | H | OH | -CF ₂ -Ph |
| 3-1994 | 2-F | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-1995 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-1996 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-1997 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-1998 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-1999 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-2000 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-2001 | 2-F | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-2002 | 2-F | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-2003 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-2004 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-2005 | 2-F | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-2006 | 2-F | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-2007 | 2-F | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-2008 | 2-F | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-2009 | 2-F | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-2010 | 2-F | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-2011 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-2012 | 2-F | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-2013 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2014 | 2-F | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2015 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2016 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2017 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2018 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2019 | 2-F | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2020 | 2-F | 3-Me | H | OH | Ph |
| 3-2021 | 2-F | 3-Me | H | OH | 1-Nap |
| 3-2022 | 2-F | 3-Me | H | OH | 2-Nap |
| 3-2023 | 2-F | 3-Me | H | OH | Bz |
| 3-2024 | 2-F | 3-Me | H | OH | -CF ₂ -Ph |
| 3-2025 | 2-F | 3-Me | H | OH | -(CH ₂)-2-Nap |

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| 3-2026 | 2-F | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 3-2027 | 2-F | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 3-2028 | 2-F | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 3-2029 | 2-F | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 3-2030 | 2-F | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 3-2031 | 2-F | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 3-2032 | 2-F | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 3-2033 | 2-F | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 3-2034 | 2-F | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-2035 | 2-F | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-2036 | 2-F | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 3-2037 | 2-F | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 3-2038 | 2-F | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-2039 | 2-F | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-2040 | 2-F | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-2041 | 2-F | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-2042 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-2043 | 2-F | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-2044 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2045 | 2-F | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2046 | 2-F | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2047 | 2-F | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2048 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2049 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2050 | 2-F | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2051 | 1-Me | 2-F | H | OH | Ph |
| 3-2052 | 1-Me | 2-F | H | OH | 1-Nap |
| 3-2053 | 1-Me | 2-F | H | OH | 2-Nap |
| 3-2054 | 1-Me | 2-F | H | OH | Bz |
| 3-2055 | 1-Me | 2-F | H | OH | -CF ₂ -Ph |
| 3-2056 | 1-Me | 2-F | H | OH | -(CH ₂)-2-Nap |
| 3-2057 | 1-Me | 2-F | H | OH | -CH ₂ -3-Me-Ph |
| 3-2058 | 1-Me | 2-F | H | OH | -CH ₂ -4-Me-Ph |
| 3-2059 | 1-Me | 2-F | H | OH | -CH ₂ -3-Br-Ph |
| 3-2060 | 1-Me | 2-F | H | OH | -CH ₂ -4-Br-Ph |
| 3-2061 | 1-Me | 2-F | H | OH | -CH ₂ -3-Cl-Ph |
| 3-2062 | 1-Me | 2-F | H | OH | -CH ₂ -4-Cl-Ph |

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|--------|------|-----|---|----|--------------------------------|
| 3-2063 | 1-Me | 2-F | H | OH | -CH ₂ -3-F-Ph |
| 3-2064 | 1-Me | 2-F | H | OH | -CH ₂ -4-F-Ph |
| 3-2065 | 1-Me | 2-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-2066 | 1-Me | 2-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-2067 | 1-Me | 2-F | H | OH | -CH ₂ -3-OMe-Ph |
| 3-2068 | 1-Me | 2-F | H | OH | -CH ₂ -4-OMe-Ph |
| 3-2069 | 1-Me | 2-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-2070 | 1-Me | 2-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-2071 | 1-Me | 2-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-2072 | 1-Me | 2-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-2073 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-2074 | 1-Me | 2-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-2075 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2076 | 1-Me | 2-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2077 | 1-Me | 2-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2078 | 1-Me | 2-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2079 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2080 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2081 | 1-Me | 2-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2082 | 2-Me | 3-F | H | OH | Ph |
| 3-2083 | 2-Me | 3-F | H | OH | 1-Nap |
| 3-2084 | 2-Me | 3-F | H | OH | 2-Nap |
| 3-2085 | 2-Me | 3-F | H | OH | Bz |
| 3-2086 | 2-Me | 3-F | H | OH | -CF ₂ -Ph |
| 3-2087 | 2-Me | 3-F | H | OH | -(CH ₂)-2-Nap |
| 3-2088 | 2-Me | 3-F | H | OH | -CH ₂ -3-Me-Ph |
| 3-2089 | 2-Me | 3-F | H | OH | -CH ₂ -4-Me-Ph |
| 3-2090 | 2-Me | 3-F | H | OH | -CH ₂ -3-Br-Ph |
| 3-2091 | 2-Me | 3-F | H | OH | -CH ₂ -4-Br-Ph |
| 3-2092 | 2-Me | 3-F | H | OH | -CH ₂ -3-Cl-Ph |
| 3-2093 | 2-Me | 3-F | H | OH | -CH ₂ -4-Cl-Ph |
| 3-2094 | 2-Me | 3-F | H | OH | -CH ₂ -3-F-Ph |
| 3-2095 | 2-Me | 3-F | H | OH | -CH ₂ -4-F-Ph |
| 3-2096 | 2-Me | 3-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-2097 | 2-Me | 3-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-2098 | 2-Me | 3-F | H | OH | -CH ₂ -3-OMe-Ph |
| 3-2099 | 2-Me | 3-F | H | OH | -CH ₂ -4-OMe-Ph |

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| 3-2100 | 2-Me | 3-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-2101 | 2-Me | 3-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-2102 | 2-Me | 3-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-2103 | 2-Me | 3-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-2104 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-2105 | 2-Me | 3-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-2106 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2107 | 2-Me | 3-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2108 | 2-Me | 3-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2109 | 2-Me | 3-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2110 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2111 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2112 | 2-Me | 3-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2113 | 1-Cl | 2-F | H | OH | Ph |
| 3-2114 | 1-Cl | 2-F | H | OH | 1-Nap |
| 3-2115 | 1-Cl | 2-F | H | OH | 2-Nap |
| 3-2116 | 1-Cl | 2-F | H | OH | Bz |
| 3-2117 | 1-Cl | 2-F | H | OH | -CF ₂ -Ph |
| 3-2118 | 1-Cl | 2-F | H | OH | -(CH ₂)-2-Nap |
| 3-2119 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Me-Ph |
| 3-2120 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Me-Ph |
| 3-2121 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Br-Ph |
| 3-2122 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Br-Ph |
| 3-2123 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Cl-Ph |
| 3-2124 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Cl-Ph |
| 3-2125 | 1-Cl | 2-F | H | OH | -CH ₂ -3-F-Ph |
| 3-2126 | 1-Cl | 2-F | H | OH | -CH ₂ -4-F-Ph |
| 3-2127 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-2128 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-2129 | 1-Cl | 2-F | H | OH | -CH ₂ -3-OMe-Ph |
| 3-2130 | 1-Cl | 2-F | H | OH | -CH ₂ -4-OMe-Ph |
| 3-2131 | 1-Cl | 2-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-2132 | 1-Cl | 2-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-2133 | 1-Cl | 2-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-2134 | 1-Cl | 2-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-2135 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-2136 | 1-Cl | 2-F | H | OH | -CH ₂ -3,5-diF-Ph |

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| 3-2137 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2138 | 1-Cl | 2-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2139 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2140 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2141 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2142 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2143 | 1-Cl | 2-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2144 | 1-Tfm | 2-Cl | H | OH | Ph |
| 3-2145 | 1-Tfm | 2-Cl | H | OH | 1-Nap |
| 3-2146 | 1-Tfm | 2-Cl | H | OH | 2-Nap |
| 3-2147 | 1-Tfm | 2-Cl | H | OH | Bz |
| 3-2148 | 1-Tfm | 2-Cl | H | OH | -CF ₂ -Ph |
| 3-2149 | 1-Tfm | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 3-2150 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 3-2151 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 3-2152 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 3-2153 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 3-2154 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 3-2155 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 3-2156 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 3-2157 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 3-2158 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 3-2159 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 3-2160 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 3-2161 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 3-2162 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 3-2163 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 3-2164 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 3-2165 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 3-2166 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 3-2167 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 3-2168 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2169 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2170 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2171 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2172 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2173 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |

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|--------|-------|-------|-------|----|--------------------------------|
| 3-2174 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2175 | 1-OMe | 2-OMe | 3-OMe | OH | Ph |
| 3-2176 | 1-OMe | 2-OMe | 3-OMe | OH | 1-Nap |
| 3-2177 | 1-OMe | 2-OMe | 3-OMe | OH | 2-Nap |
| 3-2178 | 1-OMe | 2-OMe | 3-OMe | OH | Bz |
| 3-2179 | 1-OMe | 2-OMe | 3-OMe | OH | -CF ₂ -Ph |
| 3-2180 | 1-OMe | 2-OMe | 3-OMe | OH | -(CH ₂)-2-Nap |
| 3-2181 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-Ph |
| 3-2182 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Me-Ph |
| 3-2183 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Br-Ph |
| 3-2184 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Br-Ph |
| 3-2185 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-Ph |
| 3-2186 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Cl-Ph |
| 3-2187 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-F-Ph |
| 3-2188 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-F-Ph |
| 3-2189 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Tfm-Ph |
| 3-2190 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Tfm-Ph |
| 3-2191 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-OMe-Ph |
| 3-2192 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-OMe-Ph |
| 3-2193 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,3-diF-Ph |
| 3-2194 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,4-diF-Ph |
| 3-2195 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,5-diF-Ph |
| 3-2196 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,6-diF-Ph |
| 3-2197 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diF-Ph |
| 3-2198 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diF-Ph |
| 3-2199 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2200 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2201 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2202 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2203 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2204 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2205 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2206 | 1-Cl | 2-OMe | 3-OMe | OH | Ph |
| 3-2207 | 1-Cl | 2-OMe | 3-OMe | OH | 1-Nap |
| 3-2208 | 1-Cl | 2-OMe | 3-OMe | OH | 2-Nap |
| 3-2209 | 1-Cl | 2-OMe | 3-OMe | OH | Bz |
| 3-2210 | 1-Cl | 2-OMe | 3-OMe | OH | -CF ₂ -Ph |

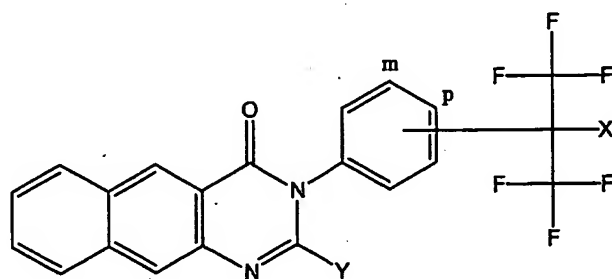
| | | | | | |
|--------|------|-------|-------|----|--------------------------------|
| 3-2211 | 1-Cl | 2-OMe | 3-OMe | OH | -(CH ₂)-2-Nap |
| 3-2212 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-Ph |
| 3-2213 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Me-Ph |
| 3-2214 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Br-Ph |
| 3-2215 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Br-Ph |
| 3-2216 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-Ph |
| 3-2217 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Cl-Ph |
| 3-2218 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-F-Ph |
| 3-2219 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-F-Ph |
| 3-2220 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Tfm-Ph |
| 3-2221 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Tfm-Ph |
| 3-2222 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-OMe-Ph |
| 3-2223 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-OMe-Ph |
| 3-2224 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,3-diF-Ph |
| 3-2225 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,4-diF-Ph |
| 3-2226 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,5-diF-Ph |
| 3-2227 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,6-diF-Ph |
| 3-2228 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diF-Ph |
| 3-2229 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diF-Ph |
| 3-2230 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2231 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2232 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2233 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2234 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2235 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2236 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2237 | 1-Cl | 2-Cl | 3-Cl | OH | Ph |
| 3-2238 | 1-Cl | 2-Cl | 3-Cl | OH | 1-Nap |
| 3-2239 | 1-Cl | 2-Cl | 3-Cl | OH | 2-Nap |
| 3-2240 | 1-Cl | 2-Cl | 3-Cl | OH | Bz |
| 3-2241 | 1-Cl | 2-Cl | 3-Cl | OH | -CF ₂ -Ph |
| 3-2242 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-2-Nap |
| 3-2243 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Me-Ph |
| 3-2244 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Me-Ph |
| 3-2245 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Br-Ph |
| 3-2246 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Br-Ph |
| 3-2247 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Cl-Ph |

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| 3-2248 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Cl-Ph |
| 3-2249 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-F-Ph |
| 3-2250 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-F-Ph |
| 3-2251 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Tfm-Ph |
| 3-2252 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Tfm-Ph |
| 3-2253 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-OMe-Ph |
| 3-2254 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-OMe-Ph |
| 3-2255 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,3-diF-Ph |
| 3-2256 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,4-diF-Ph |
| 3-2257 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,5-diF-Ph |
| 3-2258 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,6-diF-Ph |
| 3-2259 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-diF-Ph |
| 3-2260 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,5-diF-Ph |
| 3-2261 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2262 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2263 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2264 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2265 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2266 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2267 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2268 | 1-Me | 2-OMe | 3-Me | OH | Ph |
| 3-2269 | 1-Me | 2-OMe | 3-Me | OH | 1-Nap |
| 3-2270 | 1-Me | 2-OMe | 3-Me | OH | 2-Nap |
| 3-2271 | 1-Me | 2-OMe | 3-Me | OH | Bz |
| 3-2272 | 1-Me | 2-OMe | 3-Me | OH | -CF ₂ -Ph |
| 3-2273 | 1-Me | 2-OMe | 3-Me | OH | -(CH ₂)-2-Nap |
| 3-2274 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Me-Ph |
| 3-2275 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Me-Ph |
| 3-2276 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Br-Ph |
| 3-2277 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Br-Ph |
| 3-2278 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Cl-Ph |
| 3-2279 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Cl-Ph |
| 3-2280 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-F-Ph |
| 3-2281 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-F-Ph |
| 3-2282 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Tfm-Ph |
| 3-2283 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Tfm-Ph |
| 3-2284 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-OMe-Ph |

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|--------|-------------------|-------|------|----|---|
| 3-2285 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-OMe-Ph |
| 3-2286 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,3-diF-Ph |
| 3-2287 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,4-diF-Ph |
| 3-2288 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,5-diF-Ph |
| 3-2289 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,6-diF-Ph |
| 3-2290 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-diF-Ph |
| 3-2291 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,5-diF-Ph |
| 3-2292 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-diCl-Ph |
| 3-2293 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,5-diCl-Ph |
| 3-2294 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Cl-4-F-Ph |
| 3-2295 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 3-2296 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-Mtdo-Ph |
| 3-2297 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-diMe-Ph |
| 3-2298 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,5-diMe-Ph |
| 3-2299 | H | H | H | OH | -CH ₂ -2-BzO-Ph |
| 3-2300 | 2-NO ₂ | H | H | OH | Bz |
| 3-2301 | 1-OEt | H | H | OH | Bz |
| 3-2302 | 2-NH ₂ | H | H | OH | Bz |
| 3-2303 | 1-Cl | 2-OH | H | OH | Bz |
| 3-2304 | 1-Cl | 2-OMe | 3-Cl | OH | Bz |
| 3-2305 | 1-Br | 2-Cl | H | OH | Bz |
| 3-2306 | 2-OH | 3-OH | H | OH | Bz |
| 3-2307 | 2-Cl | 3-Br | H | OH | Bz |
| 3-2308 | 2-OMe | 3-F | H | OH | Bz |
| 3-2309 | 2-OiPr | H | H | OH | Bz |
| 3-2310 | 2-OH | 3-OMe | H | OH | Bz |
| 3-2311 | 2-OiPr | 3-OMe | H | OH | Bz |
| 3-2312 | 2-I | H | H | OH | Bz |
| 3-2313 | 2-OEt | H | H | OH | Bz |
| 3-2314 | 2-OMe | 3-OH | H | OH | Bz |
| 3-2315 | 2-CN | H | H | OH | Bz |
| 3-2316 | 2-OEt | 3-OMe | H | OH | Bz |
| 3-2317 | 1-OH | 2-OH | H | OH | Bz |
| 3-2318 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-NO ₂ -Ph |
| 3-2319 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-SMe-Ph |
| 3-2320 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-NH ₂ -Ph |
| 3-2321 | 2-OMe | 3-OEt | H | OH | Bz |

| | | | | | |
|--------|-----------|--------|---|----|-------------------------------|
| 3-2322 | 2-OMe | 3-OiPr | H | OH | Bz |
| 3-2323 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2-Me-Thiz-4 |
| 3-2324 | 2-OMe | 3-OMe | H | OH | -CH ₂ -6-Me-3-Pyr |
| 3-2325 | 2-diMePro | H | H | OH | Bz |
| 3-2326 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Me-Thiz-2 |
| 3-2327 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Me-Thiz-2 |
| 3-2328 | 2-Pr | H | H | OH | Bz |
| 3-2329 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-CN-Ph |
| 3-2330 | 2-OMe | 3-OMe | H | OH | -CH ₂ -CN |
| 3-2331 | 2-OMe | H | H | OH | -CH ₂ -2-Me-Thiz-5 |
| 3-2332 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2-Me-Thiz-5 |
| 3-2333 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2-Me-Thiz-5 |
| 3-2334 | 2-OMe | H | H | OH | -CH ₂ -6-Me-3-Pyr |
| 3-2335 | 2-Cl | 3-OMe | H | OH | -CH ₂ -6-Me-3-Pyr |
| 3-2336 | 2-COOMe | H | H | OH | Bz |
| 3-2337 | 2-NHiPr | H | H | OH | Bz |
| 3-2338 | 2-COOH | H | H | OH | Bz |
| 3-2339 | 2-OMe | H | H | OH | -CH ₂ -2-Me-Thiz-4 |
| 3-2340 | 2-OMe | H | H | OH | -CH ₂ -5-Me-2-Pyzi |
| 3-2341 | 2-OMe | H | H | OH | -CH ₂ -2-Me-5-Pyrm |

Table 4



| Exemp. | Sub. | X | Y |
|-----------|------|----|-------|
| Comp. No. | Pos. | | |
| 4-1 | m | OH | Ph |
| 4-2 | m | OH | 1-Nap |
| 4-3 | m | OH | 2-Nap |

| | | | |
|------|---|----|---|
| 4-4 | m | OH | Bz |
| 4-5 | m | OH | -CH(Me)-Ph |
| 4-6 | m | OH | -CH(NH ₂)-Ph |
| 4-7 | m | OH | -CH(NHMe)-Ph |
| 4-8 | m | OH | -CF ₂ -Ph |
| 4-9 | m | OH | -CH(OH)-Ph |
| 4-10 | m | OH | -CH(OMe)-Ph |
| 4-11 | m | OH | -(CH ₂)-1-Nap |
| 4-12 | m | OH | -(CH ₂)-2-Nap |
| 4-13 | m | OH | -(CH ₂) ₂ -Ph |
| 4-14 | m | OH | -(CHPh)-(CH ₂)-Ph |
| 4-15 | m | OH | -(CH ₂) ₂ -1-Nap |
| 4-16 | m | OH | -(CH ₂) ₂ -2-Nap |
| 4-17 | m | OH | -(CH ₂) ₃ -Ph |
| 4-18 | m | OH | -(CH ₂) ₃ -1-Nap |
| 4-19 | m | OH | -(CH ₂) ₃ -2-Nap |
| 4-20 | m | OH | -(CH ₂) ₄ -Ph |
| 4-21 | m | OH | -(CH ₂) ₄ -1-Nap |
| 4-22 | m | OH | -(CH ₂) ₄ -2-Nap |
| 4-23 | m | OH | -CH ₂ -2-Me-Ph |
| 4-24 | m | OH | -CH ₂ -3-Me-Ph |
| 4-25 | m | OH | -CH ₂ -4-Me-Ph |
| 4-26 | m | OH | -CH ₂ -2-Br-Ph |
| 4-27 | m | OH | -CH ₂ -3-Br-Ph |
| 4-28 | m | OH | -CH ₂ -4-Br-Ph |
| 4-29 | m | OH | -CH ₂ -2-Cl-Ph |
| 4-30 | m | OH | -CH ₂ -3-Cl-Ph |
| 4-31 | m | OH | -CH ₂ -4-Cl-Ph |
| 4-32 | m | OH | -CH ₂ -2-F-Ph |
| 4-33 | m | OH | -CH ₂ -3-F-Ph |
| 4-34 | m | OH | -CH ₂ -4-F-Ph |
| 4-35 | m | OH | -CH ₂ -2-Tfm-Ph |
| 4-36 | m | OH | -CH ₂ -3-Tfm-Ph |
| 4-37 | m | OH | -CH ₂ -4-Tfm-Ph |
| 4-38 | m | OH | -CH ₂ -2-OH-Ph |
| 4-39 | m | OH | -CH ₂ -3-OH-Ph |
| 4-40 | m | OH | -CH ₂ -4-OH-Ph |

| | | | |
|------|---|----|--|
| 4-41 | m | OH | -CH ₂ -2-OMe-Ph |
| 4-42 | m | OH | -CH ₂ -3-OMe-Ph |
| 4-43 | m | OH | -CH ₂ -4-OMe-Ph |
| 4-44 | m | OH | -CH ₂ -2-NO ₂ -Ph |
| 4-45 | m | OH | -CH ₂ -3-NO ₂ -Ph |
| 4-46 | m | OH | -CH ₂ -4-NO ₂ -Ph |
| 4-47 | m | OH | -CH ₂ -2-Et-Ph |
| 4-48 | m | OH | -CH ₂ -3-Et-Ph |
| 4-49 | m | OH | -CH ₂ -4-Et-Ph |
| 4-50 | m | OH | -CH ₂ -2-iPr-Ph |
| 4-51 | m | OH | -CH ₂ -3-iPr-Ph |
| 4-52 | m | OH | -CH ₂ -4-iPr-Ph |
| 4-53 | m | OH | -CH ₂ -2-CN-Ph |
| 4-54 | m | OH | -CH ₂ -3-CN-Ph |
| 4-55 | m | OH | -CH ₂ -4-CN-Ph |
| 4-56 | m | OH | -CH ₂ -2-NH ₂ -Ph |
| 4-57 | m | OH | -CH ₂ -3-NH ₂ -Ph |
| 4-58 | m | OH | -CH ₂ -4-NH ₂ -Ph |
| 4-59 | m | OH | -CH ₂ -2-SMe-Ph |
| 4-60 | m | OH | -CH ₂ -3-SMe-Ph |
| 4-61 | m | OH | -CH ₂ -4-SMe-Ph |
| 4-62 | m | OH | -CH ₂ -4-NHMe-Ph |
| 4-63 | m | OH | -CH ₂ -4-NMe ₂ -Ph |
| 4-64 | m | OH | -CH ₂ -4-SOMe-Ph |
| 4-65 | m | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 4-66 | m | OH | -CH ₂ -4-AcNH-Ph |
| 4-67 | m | OH | -CH ₂ -4-AcN(Me)-Ph |
| 4-68 | m | OH | -CH ₂ -4-tBuOC(=O)NH-Phh |
| 4-69 | m | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 4-70 | m | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |
| 4-71 | m | OH | -CH ₂ -4-Ac-Ph |
| 4-72 | m | OH | -CH ₂ -4-AcO-Ph |
| 4-73 | m | OH | -CH ₂ -4-MeCar-Ph |
| 4-74 | m | OH | -CH ₂ -4-diMeCar-Ph |
| 4-75 | m | OH | -CH ₂ -2,3-diF-Ph |
| 4-76 | m | OH | -CH ₂ -2,4-diF-Ph |
| 4-77 | m | OH | -CH ₂ -2,5-diF-Ph |

| | | | |
|-------|---|----|--|
| 4-78 | m | OH | -CH ₂ -2,6-diF-Ph |
| 4-79 | m | OH | -CH ₂ -3,4-diF-Ph |
| 4-80 | m | OH | -CH ₂ -3,5-diF-Ph |
| 4-81 | m | OH | -CH ₂ -2,3-diCl-Ph |
| 4-82 | m | OH | -CH ₂ -2,4-diCl-Ph |
| 4-83 | m | OH | -CH ₂ -2,5-diCl-Ph |
| 4-84 | m | OH | -CH ₂ -2,6-diCl-Ph |
| 4-85 | m | OH | -CH ₂ -3,4-diCl-Ph |
| 4-86 | m | OH | -CH ₂ -3,5-diCl-Ph |
| 4-87 | m | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 4-88 | m | OH | -CH ₂ -2-Cl-4-F-Ph |
| 4-89 | m | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 4-90 | m | OH | -CH ₂ -3-Cl-4-F-Ph |
| 4-91 | m | OH | -CH ₂ -2-Me-4-F-Ph |
| 4-92 | m | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 4-93 | m | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 4-94 | m | OH | -CH ₂ -3-Me-4-Me-Ph |
| 4-95 | m | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |
| 4-96 | m | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 4-97 | m | OH | -CH ₂ -2,3-diOH-Ph |
| 4-98 | m | OH | -CH ₂ -2,4-diOH-Ph |
| 4-99 | m | OH | -CH ₂ -2,5-diOH-Ph |
| 4-100 | m | OH | -CH ₂ -2,6-diOH-Ph |
| 4-101 | m | OH | -CH ₂ -3,4-diOH-Ph |
| 4-102 | m | OH | -CH ₂ -3,5-diOH-Ph |
| 4-103 | m | OH | -CH ₂ -2,3-diOMe-Ph |
| 4-104 | m | OH | -CH ₂ -2,4-diOMe-Ph |
| 4-105 | m | OH | -CH ₂ -2,5-diOMe-Ph |
| 4-106 | m | OH | -CH ₂ -2,6-diOMe-Ph |
| 4-107 | m | OH | -CH ₂ -3,4-diOMe-Ph |
| 4-108 | m | OH | -CH ₂ -3,5-diOMe-Ph |
| 4-109 | m | OH | -CH ₂ -2,3-Mtdo-Ph |
| 4-110 | m | OH | -CH ₂ -3,4-Mtdo-Ph |
| 4-111 | m | OH | -CH ₂ -2,3-diMe-Ph |
| 4-112 | m | OH | -CH ₂ -2,4-diMe-Ph |
| 4-113 | m | OH | -CH ₂ -2,5-diMe-Ph |
| 4-114 | m | OH | -CH ₂ -2,6-diMe-Ph |

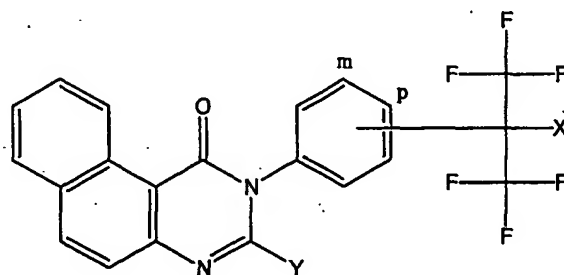
| | | | |
|-------|---|----|---|
| 4-115 | m | OH | -CH ₂ -3,4-diMe-Ph |
| 4-116 | m | OH | -CH ₂ -3,5-diMe-Ph |
| 4-117 | m | OH | -CH ₂ -2,4,5-triF-Ph |
| 4-118 | m | OH | -CH ₂ -pentaFPh |
| 4-119 | p | OH | Ph |
| 4-120 | p | OH | 1-Nap |
| 4-121 | p | OH | 2-Nap |
| 4-122 | p | OH | Bz |
| 4-123 | p | OH | -CH(Me) -Ph |
| 4-124 | p | OH | -CH(NH ₂) -Ph |
| 4-125 | p | OH | -CH(NHMe) -Ph |
| 4-126 | p | OH | -CF ₂ -Ph |
| 4-127 | p | OH | -CH(OH) -Ph |
| 4-128 | p | OH | -CH(OMe) -Ph |
| 4-129 | p | OH | -(CH ₂)-1-Nap |
| 4-130 | p | OH | -(CH ₂)-2-Nap |
| 4-131 | p | OH | -(CH ₂) ₂ -Ph |
| 4-132 | p | OH | -(CHPh)-(CH ₂)-Ph |
| 4-133 | p | OH | -(CH ₂) ₂ -1-Nap |
| 4-134 | p | OH | -(CH ₂) ₂ -2-Nap |
| 4-135 | p | OH | -(CH ₂) ₃ -Ph |
| 4-136 | p | OH | -(CH ₂) ₃ -1-Nap |
| 4-137 | p | OH | -(CH ₂) ₃ -2-Nap |
| 4-138 | p | OH | -(CH ₂) ₄ -Ph |
| 4-139 | p | OH | -(CH ₂) ₄ -1-Nap |
| 4-140 | p | OH | -(CH ₂) ₄ -2-Nap |
| 4-141 | p | OH | -CH ₂ -2-Me-Ph |
| 4-142 | p | OH | -CH ₂ -3-Me-Ph |
| 4-143 | p | OH | -CH ₂ -4-Me-Ph |
| 4-144 | p | OH | -CH ₂ -2-Br-Ph |
| 4-145 | p | OH | -CH ₂ -3-Br-Ph |
| 4-146 | p | OH | -CH ₂ -4-Br-Ph |
| 4-147 | p | OH | -CH ₂ -2-Cl-Ph |
| 4-148 | p | OH | -CH ₂ -3-Cl-Ph |
| 4-149 | p | OH | -CH ₂ -4-Cl-Ph |
| 4-150 | p | OH | -CH ₂ -2-F-Ph |
| 4-151 | p | OH | -CH ₂ -3-F-Ph |

| | | | |
|-------|---|----|--|
| 4-152 | p | OH | -CH ₂ -4-F-Ph |
| 4-153 | p | OH | -CH ₂ -2-Tfm-Ph |
| 4-154 | p | OH | -CH ₂ -3-Tfm-Ph |
| 4-155 | p | OH | -CH ₂ -4-Tfm-Ph |
| 4-156 | p | OH | -CH ₂ -2-OH-Ph |
| 4-157 | p | OH | -CH ₂ -3-OH-Ph |
| 4-158 | p | OH | -CH ₂ -4-OH-Ph |
| 4-159 | p | OH | -CH ₂ -2-OMe-Ph |
| 4-160 | p | OH | -CH ₂ -3-OMe-Ph |
| 4-161 | p | OH | -CH ₂ -4-OMe-Ph |
| 4-162 | p | OH | -CH ₂ -2-NO ₂ -Ph |
| 4-163 | p | OH | -CH ₂ -3-NO ₂ -Ph |
| 4-164 | p | OH | -CH ₂ -4-NO ₂ -Ph |
| 4-165 | p | OH | -CH ₂ -2-Et-Ph |
| 4-166 | p | OH | -CH ₂ -3-Et-Ph |
| 4-167 | p | OH | -CH ₂ -4-Et-Ph |
| 4-168 | p | OH | -CH ₂ -2-iPr-Ph |
| 4-169 | p | OH | -CH ₂ -3-iPr-Ph |
| 4-170 | p | OH | -CH ₂ -4-iPr-Ph |
| 4-171 | p | OH | -CH ₂ -2-CN-Ph |
| 4-172 | p | OH | -CH ₂ -3-CN-Ph |
| 4-173 | p | OH | -CH ₂ -4-CN-Ph |
| 4-174 | p | OH | -CH ₂ -2-NH ₂ -Ph |
| 4-175 | p | OH | -CH ₂ -3-NH ₂ -Ph |
| 4-176 | p | OH | -CH ₂ -4-NH ₂ -Ph |
| 4-177 | p | OH | -CH ₂ -2-SMe-Ph |
| 4-178 | p | OH | -CH ₂ -3-SMe-Ph |
| 4-179 | p | OH | -CH ₂ -4-SMe-Ph |
| 4-180 | p | OH | -CH ₂ -4-NHMe-Ph |
| 4-181 | p | OH | -CH ₂ -4-NMe ₂ -Ph |
| 4-182 | p | OH | -CH ₂ -4-SOMe-Ph |
| 4-183 | p | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 4-184 | p | OH | -CH ₂ -4-AcNH-Ph |
| 4-185 | p | OH | -CH ₂ -4-AcN(Me)-Ph |
| 4-186 | p | OH | -CH ₂ -4-tBuOC(=O)NH-Phh |
| 4-187 | p | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 4-188 | p | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |

| | | | |
|-------|---|----|--|
| 4-189 | p | OH | -CH ₂ -4-Ac-Ph |
| 4-190 | p | OH | -CH ₂ -4-AcO-Ph |
| 4-191 | p | OH | -CH ₂ -4-MeCar-Ph |
| 4-192 | p | OH | -CH ₂ -4-diMeCar-Ph |
| 4-193 | p | OH | -CH ₂ -2,3-diF-Ph |
| 4-194 | p | OH | -CH ₂ -2,4-diF-Ph |
| 4-195 | p | OH | -CH ₂ -2,5-diF-Ph |
| 4-196 | p | OH | -CH ₂ -2,6-diF-Ph |
| 4-197 | p | OH | -CH ₂ -3,4-diF-Ph |
| 4-198 | p | OH | -CH ₂ -3,5-diF-Ph |
| 4-199 | p | OH | -CH ₂ -2,3-diCl-Ph |
| 4-200 | p | OH | -CH ₂ -2,4-diCl-Ph |
| 4-201 | p | OH | -CH ₂ -2,5-diCl-Ph |
| 4-202 | p | OH | -CH ₂ -2,6-diCl-Ph |
| 4-203 | p | OH | -CH ₂ -3,4-diCl-Ph |
| 4-204 | p | OH | -CH ₂ -3,5-diCl-Ph |
| 4-205 | p | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 4-206 | p | OH | -CH ₂ -2-Cl-4-F-Ph |
| 4-207 | p | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 4-208 | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 4-209 | p | OH | -CH ₂ -2-Me-4-F-Ph |
| 4-210 | p | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 4-211 | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 4-212 | p | OH | -CH ₂ -3-Me-4-Me-Ph |
| 4-213 | p | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |
| 4-214 | p | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 4-215 | p | OH | -CH ₂ -2,3-diOH-Ph |
| 4-216 | p | OH | -CH ₂ -2,4-diOH-Ph |
| 4-217 | p | OH | -CH ₂ -2,5-diOH-Ph |
| 4-218 | p | OH | -CH ₂ -2,6-diOH-Ph |
| 4-219 | p | OH | -CH ₂ -3,4-diOH-Ph |
| 4-220 | p | OH | -CH ₂ -3,5-diOH-Ph |
| 4-221 | p | OH | -CH ₂ -2,3-diOMe-Ph |
| 4-222 | p | OH | -CH ₂ -2,4-diOMe-Ph |
| 4-223 | p | OH | -CH ₂ -2,5-diOMe-Ph |
| 4-224 | p | OH | -CH ₂ -2,6-diOMe-Ph |
| 4-225 | p | OH | -CH ₂ -3,4-diOMe-Ph |

| | | | |
|-------|---|----|---------------------------------|
| 4-226 | p | OH | -CH ₂ -3,5-diOMe-Ph |
| 4-227 | p | OH | -CH ₂ -2,3-Mtdo-Ph |
| 4-228 | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 4-229 | p | OH | -CH ₂ -2,3-diMe-Ph |
| 4-230 | p | OH | -CH ₂ -2,4-diMe-Ph |
| 4-231 | p | OH | -CH ₂ -2,5-diMe-Ph |
| 4-232 | p | OH | -CH ₂ -2,6-diMe-Ph |
| 4-233 | p | OH | -CH ₂ -3,4-diMe-Ph |
| 4-234 | p | OH | -CH ₂ -3,5-diMe-Ph |
| 4-235 | p | OH | -CH ₂ -2,4,5-triF-Ph |
| 4-236 | p | OH | -CH ₂ -pentaFPh |

Table 5



| Exemp. Comp. No. | Sub. Pos. | X | Y |
|---------------------|--------------|----|---------------------------|
| 5-1 | m | OH | Ph |
| 5-2 | m | OH | 1-Nap |
| 5-3 | m | OH | 2-Nap |
| 5-4 | m | OH | Bz |
| 5-5 | m | OH | -CH(Me)-Ph |
| 5-6 | m | OH | -CH(NH ₂)-Ph |
| 5-7 | m | OH | -CH(NHMe)-Ph |
| 5-8 | m | OH | -CF ₂ -Ph |
| 5-9 | m | OH | -CH(OH)-Ph |
| 5-10 | m | OH | -CH(OMe)-Ph |
| 5-11 | m | OH | -(CH ₂)-1-Nap |
| 5-12 | m | OH | -(CH ₂)-2-Nap |

| | | | |
|------|---|----|---|
| 5-13 | m | OH | -(CH ₂) ₂ -Ph |
| 5-14 | m | OH | -(CHPh)-(CH ₂)-Ph |
| 5-15 | m | OH | -(CH ₂) ₂ -1-Nap |
| 5-16 | m | OH | -(CH ₂) ₂ -2-Nap |
| 5-17 | m | OH | -(CH ₂) ₃ -Ph |
| 5-18 | m | OH | -(CH ₂) ₃ -1-Nap |
| 5-19 | m | OH | -(CH ₂) ₃ -2-Nap |
| 5-20 | m | OH | -(CH ₂) ₄ -Ph |
| 5-21 | m | OH | -(CH ₂) ₄ -1-Nap |
| 5-22 | m | OH | -(CH ₂) ₄ -2-Nap |
| 5-23 | m | OH | -CH ₂ -2-Me-Ph |
| 5-24 | m | OH | -CH ₂ -3-Me-Ph |
| 5-25 | m | OH | -CH ₂ -4-Me-Ph |
| 5-26 | m | OH | -CH ₂ -2-Br-Ph |
| 5-27 | m | OH | -CH ₂ -3-Br-Ph |
| 5-28 | m | OH | -CH ₂ -4-Br-Ph |
| 5-29 | m | OH | -CH ₂ -2-Cl-Ph |
| 5-30 | m | OH | -CH ₂ -3-Cl-Ph |
| 5-31 | m | OH | -CH ₂ -4-Cl-Ph |
| 5-32 | m | OH | -CH ₂ -2-F-Ph |
| 5-33 | m | OH | -CH ₂ -3-F-Ph |
| 5-34 | m | OH | -CH ₂ -4-F-Ph |
| 5-35 | m | OH | -CH ₂ -2-Tfm-Ph |
| 5-36 | m | OH | -CH ₂ -3-Tfm-Ph |
| 5-37 | m | OH | -CH ₂ -4-Tfm-Ph |
| 5-38 | m | OH | -CH ₂ -2-OH-Ph |
| 5-39 | m | OH | -CH ₂ -3-OH-Ph |
| 5-40 | m | OH | -CH ₂ -4-OH-Ph |
| 5-41 | m | OH | -CH ₂ -2-OMe-Ph |
| 5-42 | m | OH | -CH ₂ -3-OMe-Ph |
| 5-43 | m | OH | -CH ₂ -4-OMe-Ph |
| 5-44 | m | OH | -CH ₂ -2-NO ₂ -Ph |
| 5-45 | m | OH | -CH ₂ -3-NO ₂ -Ph |
| 5-46 | m | OH | -CH ₂ -4-NO ₂ -Ph |
| 5-47 | m | OH | -CH ₂ -2-Et-Ph |
| 5-48 | m | OH | -CH ₂ -3-Et-Ph |
| 5-49 | m | OH | -CH ₂ -4-Et-Ph |

| | | | |
|------|---|----|--|
| 5-50 | m | OH | -CH ₂ -2-iPr-Ph |
| 5-51 | m | OH | -CH ₂ -3-iPr-Ph |
| 5-52 | m | OH | -CH ₂ -4-iPr-Ph |
| 5-53 | m | OH | -CH ₂ -2-CN-Ph |
| 5-54 | m | OH | -CH ₂ -3-CN-Ph |
| 5-55 | m | OH | -CH ₂ -4-CN-Ph |
| 5-56 | m | OH | -CH ₂ -2-NH ₂ -Ph |
| 5-57 | m | OH | -CH ₂ -3-NH ₂ -Ph |
| 5-58 | m | OH | -CH ₂ -4-NH ₂ -Ph |
| 5-59 | m | OH | -CH ₂ -2-SMe-Ph |
| 5-60 | m | OH | -CH ₂ -3-SMe-Ph |
| 5-61 | m | OH | -CH ₂ -4-SMe-Ph |
| 5-62 | m | OH | -CH ₂ -4-NHMe-Ph |
| 5-63 | m | OH | -CH ₂ -4-NMe ₂ -Ph |
| 5-64 | m | OH | -CH ₂ -4-SOMe-Ph |
| 5-65 | m | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 5-66 | m | OH | -CH ₂ -4-AcNH-Ph |
| 5-67 | m | OH | -CH ₂ -4-AcN(Me)-Ph |
| 5-68 | m | OH | -CH ₂ -4-tBuOC(=O)NH-Phh |
| 5-69 | m | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 5-70 | m | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |
| 5-71 | m | OH | -CH ₂ -4-Ac-Ph |
| 5-72 | m | OH | -CH ₂ -4-AcO-Ph |
| 5-73 | m | OH | -CH ₂ -4-MeCar-Ph |
| 5-74 | m | OH | -CH ₂ -4-diMeCar-Ph |
| 5-75 | m | OH | -CH ₂ -2,3-diF-Ph |
| 5-76 | m | OH | -CH ₂ -2,4-diF-Ph |
| 5-77 | m | OH | -CH ₂ -2,5-diF-Ph |
| 5-78 | m | OH | -CH ₂ -2,6-diF-Ph |
| 5-79 | m | OH | -CH ₂ -3,4-diF-Ph |
| 5-80 | m | OH | -CH ₂ -3,5-diF-Ph |
| 5-81 | m | OH | -CH ₂ -2,3-diCl-Ph |
| 5-82 | m | OH | -CH ₂ -2,4-diCl-Ph |
| 5-83 | m | OH | -CH ₂ -2,5-diCl-Ph |
| 5-84 | m | OH | -CH ₂ -2,6-diCl-Ph |
| 5-85 | m | OH | -CH ₂ -3,4-diCl-Ph |
| 5-86 | m | OH | -CH ₂ -3,5-diCl-Ph |

| | | | |
|-------|---|----|--|
| 5-87 | m | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 5-88 | m | OH | -CH ₂ -2-Cl-4-F-Ph |
| 5-89 | m | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 5-90 | m | OH | -CH ₂ -3-Cl-4-F-Ph |
| 5-91 | m | OH | -CH ₂ -2-Me-4-F-Ph |
| 5-92 | m | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 5-93 | m | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 5-94 | m | OH | -CH ₂ -3-Me-4-Me-Ph |
| 5-95 | m | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |
| 5-96 | m | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 5-97 | m | OH | -CH ₂ -2,3-diOH-Ph |
| 5-98 | m | OH | -CH ₂ -2,4-diOH-Ph |
| 5-99 | m | OH | -CH ₂ -2,5-diOH-Ph |
| 5-100 | m | OH | -CH ₂ -2,6-diOH-Ph |
| 5-101 | m | OH | -CH ₂ -3,4-diOH-Ph |
| 5-102 | m | OH | -CH ₂ -3,5-diOH-Ph |
| 5-103 | m | OH | -CH ₂ -2,3-diOMe-Ph |
| 5-104 | m | OH | -CH ₂ -2,4-diOMe-Ph |
| 5-105 | m | OH | -CH ₂ -2,5-diOMe-Ph |
| 5-106 | m | OH | -CH ₂ -2,6-diOMe-Ph |
| 5-107 | m | OH | -CH ₂ -3,4-diOMe-Ph |
| 5-108 | m | OH | -CH ₂ -3,5-diOMe-Ph |
| 5-109 | m | OH | -CH ₂ -2,3-Mtdo-Ph |
| 5-110 | m | OH | -CH ₂ -3,4-Mtdo-Ph |
| 5-111 | m | OH | -CH ₂ -2,3-diMe-Ph |
| 5-112 | m | OH | -CH ₂ -2,4-diMe-Ph |
| 5-113 | m | OH | -CH ₂ -2,5-diMe-Ph |
| 5-114 | m | OH | -CH ₂ -2,6-diMe-Ph |
| 5-115 | m | OH | -CH ₂ -3,4-diMe-Ph |
| 5-116 | m | OH | -CH ₂ -3,5-diMe-Ph |
| 5-117 | m | OH | -CH ₂ -2,4,5-triF-Ph |
| 5-118 | m | OH | -CH ₂ -pentaFPh |
| 5-119 | p | OH | Ph |
| 5-120 | p | OH | 1-Nap |
| 5-121 | p | OH | 2-Nap |
| 5-122 | p | OH | Bz |
| 5-123 | p | OH | -CH(Me)-Ph |

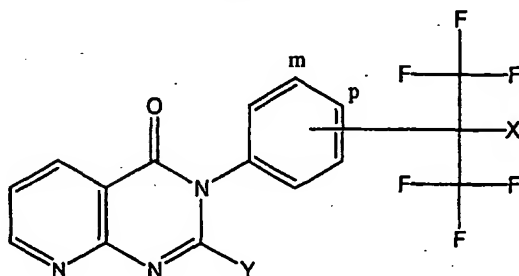
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|-------|---|----|---|
| 5-124 | p | OH | -CH(NH ₂) -Ph |
| 5-125 | p | OH | -CH(NHMe) -Ph |
| 5-126 | p | OH | -CF ₂ -Ph |
| 5-127 | p | OH | -CH(OH) -Ph |
| 5-128 | p | OH | -CH(OMe) -Ph |
| 5-129 | p | OH | -(CH ₂)-1-Nap |
| 5-130 | p | OH | -(CH ₂)-2-Nap |
| 5-131 | p | OH | -(CH ₂) ₂ -Ph |
| 5-132 | p | OH | -(CHPh)-(CH ₂)-Ph |
| 5-133 | p | OH | -(CH ₂) ₂ -1-Nap |
| 5-134 | p | OH | -(CH ₂) ₂ -2-Nap |
| 5-135 | p | OH | -(CH ₂) ₃ -Ph |
| 5-136 | p | OH | -(CH ₂) ₃ -1-Nap |
| 5-137 | p | OH | -(CH ₂) ₃ -2-Nap |
| 5-138 | p | OH | -(CH ₂) ₄ -Ph |
| 5-139 | p | OH | -(CH ₂) ₄ -1-Nap |
| 5-140 | p | OH | -(CH ₂) ₄ -2-Nap |
| 5-141 | p | OH | -CH ₂ -2-Me-Ph |
| 5-142 | p | OH | -CH ₂ -3-Me-Ph |
| 5-143 | p | OH | -CH ₂ -4-Me-Ph |
| 5-144 | p | OH | -CH ₂ -2-Br-Ph |
| 5-145 | p | OH | -CH ₂ -3-Br-Ph |
| 5-146 | p | OH | -CH ₂ -4-Br-Ph |
| 5-147 | p | OH | -CH ₂ -2-Cl-Ph |
| 5-148 | p | OH | -CH ₂ -3-Cl-Ph |
| 5-149 | p | OH | -CH ₂ -4-Cl-Ph |
| 5-150 | p | OH | -CH ₂ -2-F-Ph |
| 5-151 | p | OH | -CH ₂ -3-F-Ph |
| 5-152 | p | OH | -CH ₂ -4-F-Ph |
| 5-153 | p | OH | -CH ₂ -2-Tfm-Ph |
| 5-154 | p | OH | -CH ₂ -3-Tfm-Ph |
| 5-155 | p | OH | -CH ₂ -4-Tfm-Ph |
| 5-156 | p | OH | -CH ₂ -2-OH-Ph |
| 5-157 | p | OH | -CH ₂ -3-OH-Ph |
| 5-158 | p | OH | -CH ₂ -4-OH-Ph |
| 5-159 | p | OH | -CH ₂ -2-OMe-Ph |
| 5-160 | p | OH | -CH ₂ -3-OMe-Ph |

| | | | |
|-------|---|----|--|
| 5-161 | p | OH | -CH ₂ -4-OMe-Ph |
| 5-162 | p | OH | -CH ₂ -2-NO ₂ -Ph |
| 5-163 | p | OH | -CH ₂ -3-NO ₂ -Ph |
| 5-164 | p | OH | -CH ₂ -4-NO ₂ -Ph |
| 5-165 | p | OH | -CH ₂ -2-Et-Ph |
| 5-166 | p | OH | -CH ₂ -3-Et-Ph |
| 5-167 | p | OH | -CH ₂ -4-Et-Ph |
| 5-168 | p | OH | -CH ₂ -2-iPr-Ph |
| 5-169 | p | OH | -CH ₂ -3-iPr-Ph |
| 5-170 | p | OH | -CH ₂ -4-iPr-Ph |
| 5-171 | p | OH | -CH ₂ -2-CN-Ph |
| 5-172 | p | OH | -CH ₂ -3-CN-Ph |
| 5-173 | p | OH | -CH ₂ -4-CN-Ph |
| 5-174 | p | OH | -CH ₂ -2-NH ₂ -Ph |
| 5-175 | p | OH | -CH ₂ -3-NH ₂ -Ph |
| 5-176 | p | OH | -CH ₂ -4-NH ₂ -Ph |
| 5-177 | p | OH | -CH ₂ -2-SMe-Ph |
| 5-178 | p | OH | -CH ₂ -3-SMe-Ph |
| 5-179 | p | OH | -CH ₂ -4-SMe-Ph |
| 5-180 | p | OH | -CH ₂ -4-NHMe-Ph |
| 5-181 | p | OH | -CH ₂ -4-NMe ₂ -Ph |
| 5-182 | p | OH | -CH ₂ -4-SOMe-Ph |
| 5-183 | p | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 5-184 | p | OH | -CH ₂ -4-AcNH-Ph |
| 5-185 | p | OH | -CH ₂ -4-AcN(Me)-Ph |
| 5-186 | p | OH | -CH ₂ -4-tBuOC(=O)NH-Phh |
| 5-187 | p | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 5-188 | p | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |
| 5-189 | p | OH | -CH ₂ -4-Ac-Ph |
| 5-190 | p | OH | -CH ₂ -4-AcO-Ph |
| 5-191 | p | OH | -CH ₂ -4-MeCar-Ph |
| 5-192 | p | OH | -CH ₂ -4-diMeCar-Ph |
| 5-193 | p | OH | -CH ₂ -2,3-diF-Ph |
| 5-194 | p | OH | -CH ₂ -2,4-diF-Ph |
| 5-195 | p | OH | -CH ₂ -2,5-diF-Ph |
| 5-196 | p | OH | -CH ₂ -2,6-diF-Ph |
| 5-197 | p | OH | -CH ₂ -3,4-diF-Ph |

| | | | |
|-------|---|----|--|
| 5-198 | p | OH | -CH ₂ -3,5-diF-Ph |
| 5-199 | p | OH | -CH ₂ -2,3-diCl-Ph |
| 5-200 | p | OH | -CH ₂ -2,4-diCl-Ph |
| 5-201 | p | OH | -CH ₂ -2,5-diCl-Ph |
| 5-202 | p | OH | -CH ₂ -2,6-diCl-Ph |
| 5-203 | p | OH | -CH ₂ -3,4-diCl-Ph |
| 5-204 | p | OH | -CH ₂ -3,5-diCl-Ph |
| 5-205 | p | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 5-206 | p | OH | -CH ₂ -2-Cl-4-F-Ph |
| 5-207 | p | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 5-208 | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 5-209 | p | OH | -CH ₂ -2-Me-4-F-Ph |
| 5-210 | p | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 5-211 | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 5-212 | p | OH | -CH ₂ -3-Me-4-Me-Ph |
| 5-213 | p | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |
| 5-214 | p | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 5-215 | p | OH | -CH ₂ -2,3-diOH-Ph |
| 5-216 | p | OH | -CH ₂ -2,4-diOH-Ph |
| 5-217 | p | OH | -CH ₂ -2,5-diOH-Ph |
| 5-218 | p | OH | -CH ₂ -2,6-diOH-Ph |
| 5-219 | p | OH | -CH ₂ -3,4-diOH-Ph |
| 5-220 | p | OH | -CH ₂ -3,5-diOH-Ph |
| 5-221 | p | OH | -CH ₂ -2,3-diOMe-Ph |
| 5-222 | p | OH | -CH ₂ -2,4-diOMe-Ph |
| 5-223 | p | OH | -CH ₂ -2,5-diOMe-Ph |
| 5-224 | p | OH | -CH ₂ -2,6-diOMe-Ph |
| 5-225 | p | OH | -CH ₂ -3,4-diOMe-Ph |
| 5-226 | p | OH | -CH ₂ -3,5-diOMe-Ph |
| 5-227 | p | OH | -CH ₂ -2,3-Mtdo-Ph |
| 5-228 | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 5-229 | p | OH | -CH ₂ -2,3-diMe-Ph |
| 5-230 | p | OH | -CH ₂ -2,4-diMe-Ph |
| 5-231 | p | OH | -CH ₂ -2,5-diMe-Ph |
| 5-232 | p | OH | -CH ₂ -2,6-diMe-Ph |
| 5-233 | p | OH | -CH ₂ -3,4-diMe-Ph |
| 5-234 | p | OH | -CH ₂ -3,5-diMe-Ph |

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|-------|---|----|---------------------------------|
| 5-235 | p | OH | -CH ₂ -2,4,5-triF-Ph |
| 5-236 | p | OH | -CH ₂ -pentaFPh |

Table 6



| Exemp. Comp. No. | Sub. Pos. | X | Y |
|---------------------|--------------|----|---|
| 6-1 | m | OH | Ph |
| 6-2 | m | OH | 1-Nap |
| 6-3 | m | OH | 2-Nap |
| 6-4 | m | OH | Bz |
| 6-5 | m | OH | -CH(Me) -Ph |
| 6-6 | m | OH | -CH(NH ₂) -Ph |
| 6-7 | m | OH | -CH(NHMe) -Ph |
| 6-8 | m | OH | -CF ₂ -Ph |
| 6-9 | m | OH | -CH(OH) -Ph |
| 6-10 | m | OH | -CH(OMe) -Ph |
| 6-11 | m | OH | -(CH ₂)-1-Nap |
| 6-12 | m | OH | -(CH ₂)-2-Nap |
| 6-13 | m | OH | -(CH ₂) ₂ -Ph |
| 6-14 | m | OH | -(CHPh)-(CH ₂)-Ph |
| 6-15 | m | OH | -(CH ₂) ₂ -1-Nap |
| 6-16 | m | OH | -(CH ₂) ₂ -2-Nap |
| 6-17 | m | OH | -(CH ₂) ₃ -Ph |
| 6-18 | m | OH | -(CH ₂) ₃ -1-Nap |
| 6-19 | m | OH | -(CH ₂) ₃ -2-Nap |
| 6-20 | m | OH | -(CH ₂) ₄ -Ph |
| 6-21 | m | OH | -(CH ₂) ₄ -1-Nap |

| | | | |
|------|---|----|---|
| 6-22 | m | OH | -(CH ₂) ₄ -2-Nap |
| 6-23 | m | OH | -CH ₂ -2-Me-Ph |
| 6-24 | m | OH | -CH ₂ -3-Me-Ph |
| 6-25 | m | OH | -CH ₂ -4-Me-Ph |
| 6-26 | m | OH | -CH ₂ -2-Br-Ph |
| 6-27 | m | OH | -CH ₂ -3-Br-Ph |
| 6-28 | m | OH | -CH ₂ -4-Br-Ph |
| 6-29 | m | OH | -CH ₂ -2-Cl-Ph |
| 6-30 | m | OH | -CH ₂ -3-Cl-Ph |
| 6-31 | m | OH | -CH ₂ -4-Cl-Ph |
| 6-32 | m | OH | -CH ₂ -2-F-Ph |
| 6-33 | m | OH | -CH ₂ -3-F-Ph |
| 6-34 | m | OH | -CH ₂ -4-F-Ph |
| 6-35 | m | OH | -CH ₂ -2-Tfm-Ph |
| 6-36 | m | OH | -CH ₂ -3-Tfm-Ph |
| 6-37 | m | OH | -CH ₂ -4-Tfm-Ph |
| 6-38 | m | OH | -CH ₂ -2-OH-Ph |
| 6-39 | m | OH | -CH ₂ -3-OH-Ph |
| 6-40 | m | OH | -CH ₂ -4-OH-Ph |
| 6-41 | m | OH | -CH ₂ -2-OMe-Ph |
| 6-42 | m | OH | -CH ₂ -3-OMe-Ph |
| 6-43 | m | OH | -CH ₂ -4-OMe-Ph |
| 6-44 | m | OH | -CH ₂ -2-NO ₂ -Ph |
| 6-45 | m | OH | -CH ₂ -3-NO ₂ -Ph |
| 6-46 | m | OH | -CH ₂ -4-NO ₂ -Ph |
| 6-47 | m | OH | -CH ₂ -2-Et-Ph |
| 6-48 | m | OH | -CH ₂ -3-Et-Ph |
| 6-49 | m | OH | -CH ₂ -4-Et-Ph |
| 6-50 | m | OH | -CH ₂ -2-iPr-Ph |
| 6-51 | m | OH | -CH ₂ -3-iPr-Ph |
| 6-52 | m | OH | -CH ₂ -4-iPr-Ph |
| 6-53 | m | OH | -CH ₂ -2-CN-Ph |
| 6-54 | m | OH | -CH ₂ -3-CN-Ph |
| 6-55 | m | OH | -CH ₂ -4-CN-Ph |
| 6-56 | m | OH | -CH ₂ -2-NH ₂ -Ph |
| 6-57 | m | OH | -CH ₂ -3-NH ₂ -Ph |
| 6-58 | m | OH | -CH ₂ -4-NH ₂ -Ph |

| | | | |
|------|---|----|--|
| 6-59 | m | OH | -CH ₂ -2-SMe-Ph |
| 6-60 | m | OH | -CH ₂ -3-SMe-Ph |
| 6-61 | m | OH | -CH ₂ -4-SMe-Ph |
| 6-62 | m | OH | -CH ₂ -4-NHMe-Ph |
| 6-63 | m | OH | -CH ₂ -4-NMe ₂ -Ph |
| 6-64 | m | OH | -CH ₂ -4-SOMe-Ph |
| 6-65 | m | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 6-66 | m | OH | -CH ₂ -4-AcNH-Ph |
| 6-67 | m | OH | -CH ₂ -4-AcN(Me)-Ph |
| 6-68 | m | OH | -CH ₂ -4-tBuOC(=O)NH-Phh |
| 6-69 | m | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 6-70 | m | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |
| 6-71 | m | OH | -CH ₂ -4-Ac-Ph |
| 6-72 | m | OH | -CH ₂ -4-AcO-Ph |
| 6-73 | m | OH | -CH ₂ -4-MeCar-Ph |
| 6-74 | m | OH | -CH ₂ -4-diMeCar-Ph |
| 6-75 | m | OH | -CH ₂ -2,3-diF-Ph |
| 6-76 | m | OH | -CH ₂ -2,4-diF-Ph |
| 6-77 | m | OH | -CH ₂ -2,5-diF-Ph |
| 6-78 | m | OH | -CH ₂ -2,6-diF-Ph |
| 6-79 | m | OH | -CH ₂ -3,4-diF-Ph |
| 6-80 | m | OH | -CH ₂ -3,5-diF-Ph |
| 6-81 | m | OH | -CH ₂ -2,3-diCl-Ph |
| 6-82 | m | OH | -CH ₂ -2,4-diCl-Ph |
| 6-83 | m | OH | -CH ₂ -2,5-diCl-Ph |
| 6-84 | m | OH | -CH ₂ -2,6-diCl-Ph |
| 6-85 | m | OH | -CH ₂ -3,4-diCl-Ph |
| 6-86 | m | OH | -CH ₂ -3,5-diCl-Ph |
| 6-87 | m | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 6-88 | m | OH | -CH ₂ -2-Cl-4-F-Ph |
| 6-89 | m | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 6-90 | m | OH | -CH ₂ -3-Cl-4-F-Ph |
| 6-91 | m | OH | -CH ₂ -2-Me-4-F-Ph |
| 6-92 | m | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 6-93 | m | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 6-94 | m | OH | -CH ₂ -3-Me-4-Me-Ph |
| 6-95 | m | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |

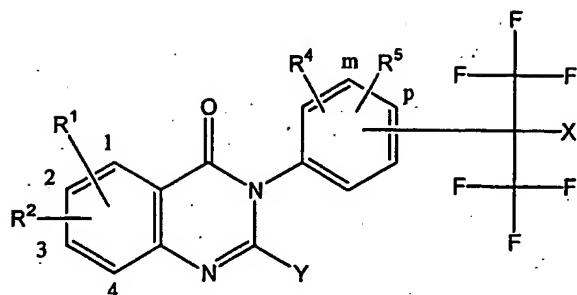
| | | | |
|-------|---|----|--|
| 6-96 | m | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 6-97 | m | OH | -CH ₂ -2,3-diOH-Ph |
| 6-98 | m | OH | -CH ₂ -2,4-diOH-Ph |
| 6-99 | m | OH | -CH ₂ -2,5-diOH-Ph |
| 6-100 | m | OH | -CH ₂ -2,6-diOH-Ph |
| 6-101 | m | OH | -CH ₂ -3,4-diOH-Ph |
| 6-102 | m | OH | -CH ₂ -3,5-diOH-Ph |
| 6-103 | m | OH | -CH ₂ -2,3-diOMe-Ph |
| 6-104 | m | OH | -CH ₂ -2,4-diOMe-Ph |
| 6-105 | m | OH | -CH ₂ -2,5-diOMe-Ph |
| 6-106 | m | OH | -CH ₂ -2,6-diOMe-Ph |
| 6-107 | m | OH | -CH ₂ -3,4-diOMe-Ph |
| 6-108 | m | OH | -CH ₂ -3,5-diOMe-Ph |
| 6-109 | m | OH | -CH ₂ -2,3-Mtdo-Ph |
| 6-110 | m | OH | -CH ₂ -3,4-Mtdo-Ph |
| 6-111 | m | OH | -CH ₂ -2,3-diMe-Ph |
| 6-112 | m | OH | -CH ₂ -2,4-diMe-Ph |
| 6-113 | m | OH | -CH ₂ -2,5-diMe-Ph |
| 6-114 | m | OH | -CH ₂ -2,6-diMe-Ph |
| 6-115 | m | OH | -CH ₂ -3,4-diMe-Ph |
| 6-116 | m | OH | -CH ₂ -3,5-diMe-Ph |
| 6-117 | m | OH | -CH ₂ -2,4,5-triF-Ph |
| 6-118 | m | OH | -CH ₂ -pentaFPh |
| 6-119 | p | OH | Ph |
| 6-120 | p | OH | 1-Nap |
| 6-121 | p | OH | 2-Nap |
| 6-122 | p | OH | Bz |
| 6-123 | p | OH | -CH(Me) -Ph |
| 6-124 | p | OH | -CH(NH ₂) -Ph |
| 6-125 | p | OH | -CH(NHMe) -Ph |
| 6-126 | p | OH | -CF ₂ -Ph |
| 6-127 | p | OH | -CH(OH) -Ph |
| 6-128 | p | OH | -CH(OMe) -Ph |
| 6-129 | p | OH | -(CH ₂)-1-Nap |
| 6-130 | p | OH | -(CH ₂)-2-Nap |
| 6-131 | p | OH | -(CH ₂) ₂ -Ph |
| 6-132 | p | OH | -(CHPh)-(CH ₂)-Ph |

| | | | |
|-------|---|----|---|
| 6-133 | p | OH | -(CH ₂) ₂ -1-Nap |
| 6-134 | p | OH | -(CH ₂) ₂ -2-Nap |
| 6-135 | p | OH | -(CH ₂) ₃ -Ph |
| 6-136 | p | OH | -(CH ₂) ₃ -1-Nap |
| 6-137 | p | OH | -(CH ₂) ₃ -2-Nap |
| 6-138 | p | OH | -(CH ₂) ₄ -Ph |
| 6-139 | p | OH | -(CH ₂) ₄ -1-Nap |
| 6-140 | p | OH | -(CH ₂) ₄ -2-Nap |
| 6-141 | p | OH | -CH ₂ -2-Me-Ph |
| 6-142 | p | OH | -CH ₂ -3-Me-Ph |
| 6-143 | p | OH | -CH ₂ -4-Me-Ph |
| 6-144 | p | OH | -CH ₂ -2-Br-Ph |
| 6-145 | p | OH | -CH ₂ -3-Br-Ph |
| 6-146 | p | OH | -CH ₂ -4-Br-Ph |
| 6-147 | p | OH | -CH ₂ -2-Cl-Ph |
| 6-148 | p | OH | -CH ₂ -3-Cl-Ph |
| 6-149 | p | OH | -CH ₂ -4-Cl-Ph |
| 6-150 | p | OH | -CH ₂ -2-F-Ph |
| 6-151 | p | OH | -CH ₂ -3-F-Ph |
| 6-152 | p | OH | -CH ₂ -4-F-Ph |
| 6-153 | p | OH | -CH ₂ -2-Tfm-Ph |
| 6-154 | p | OH | -CH ₂ -3-Tfm-Ph |
| 6-155 | p | OH | -CH ₂ -4-Tfm-Ph |
| 6-156 | p | OH | -CH ₂ -2-OH-Ph |
| 6-157 | p | OH | -CH ₂ -3-OH-Ph |
| 6-158 | p | OH | -CH ₂ -4-OH-Ph |
| 6-159 | p | OH | -CH ₂ -2-OMe-Ph |
| 6-160 | p | OH | -CH ₂ -3-OMe-Ph |
| 6-161 | p | OH | -CH ₂ -4-OMe-Ph |
| 6-162 | p | OH | -CH ₂ -2-NO ₂ -Ph |
| 6-163 | p | OH | -CH ₂ -3-NO ₂ -Ph |
| 6-164 | p | OH | -CH ₂ -4-NO ₂ -Ph |
| 6-165 | p | OH | -CH ₂ -2-Et-Ph |
| 6-166 | p | OH | -CH ₂ -3-Et-Ph |
| 6-167 | p | OH | -CH ₂ -4-Et-Ph |
| 6-168 | p | OH | -CH ₂ -2-iPr-Ph |
| 6-169 | p | OH | -CH ₂ -3-iPr-Ph |

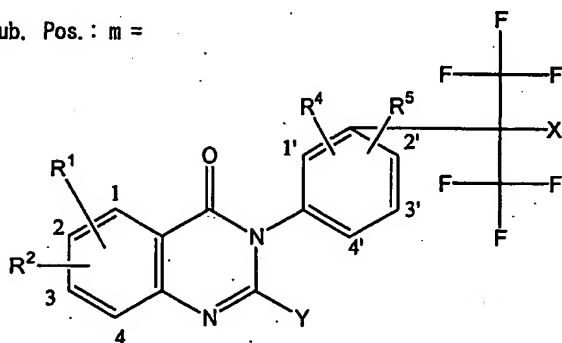
| | | | |
|-------|---|----|--|
| 6-170 | p | OH | -CH ₂ -4-iPr-Ph |
| 6-171 | p | OH | -CH ₂ -2-CN-Ph |
| 6-172 | p | OH | -CH ₂ -3-CN-Ph |
| 6-173 | p | OH | -CH ₂ -4-CN-Ph |
| 6-174 | p | OH | -CH ₂ -2-NH ₂ -Ph |
| 6-175 | p | OH | -CH ₂ -3-NH ₂ -Ph |
| 6-176 | p | OH | -CH ₂ -4-NH ₂ -Ph |
| 6-177 | p | OH | -CH ₂ -2-SMe-Ph |
| 6-178 | p | OH | -CH ₂ -3-SMe-Ph |
| 6-179 | p | OH | -CH ₂ -4-SMe-Ph |
| 6-180 | p | OH | -CH ₂ -4-NHMe-Ph |
| 6-181 | p | OH | -CH ₂ -4-NMe ₂ -Ph |
| 6-182 | p | OH | -CH ₂ -4-SOMe-Ph |
| 6-183 | p | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 6-184 | p | OH | -CH ₂ -4-AcNH-Ph |
| 6-185 | p | OH | -CH ₂ -4-AcN(Me)-Ph |
| 6-186 | p | OH | -CH ₂ -4-tBuOC(=O)NH-Phh |
| 6-187 | p | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 6-188 | p | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |
| 6-189 | p | OH | -CH ₂ -4-Ac-Ph |
| 6-190 | p | OH | -CH ₂ -4-AcO-Ph |
| 6-191 | p | OH | -CH ₂ -4-MeCar-Ph |
| 6-192 | p | OH | -CH ₂ -4-diMeCar-Ph |
| 6-193 | p | OH | -CH ₂ -2,3-diF-Ph |
| 6-194 | p | OH | -CH ₂ -2,4-diF-Ph |
| 6-195 | p | OH | -CH ₂ -2,5-diF-Ph |
| 6-196 | p | OH | -CH ₂ -2,6-diF-Ph |
| 6-197 | p | OH | -CH ₂ -3,4-diF-Ph |
| 6-198 | p | OH | -CH ₂ -3,5-diF-Ph |
| 6-199 | p | OH | -CH ₂ -2,3-diCl-Ph |
| 6-200 | p | OH | -CH ₂ -2,4-diCl-Ph |
| 6-201 | p | OH | -CH ₂ -2,5-diCl-Ph |
| 6-202 | p | OH | -CH ₂ -2,6-diCl-Ph |
| 6-203 | p | OH | -CH ₂ -3,4-diCl-Ph |
| 6-204 | p | OH | -CH ₂ -3,5-diCl-Ph |
| 6-205 | p | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 6-206 | p | OH | -CH ₂ -2-Cl-4-F-Ph |

| | | | |
|-------|---|----|--|
| 6-207 | p | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 6-208 | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 6-209 | p | OH | -CH ₂ -2-Me-4-F-Ph |
| 6-210 | p | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 6-211 | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 6-212 | p | OH | -CH ₂ -3-Me-4-Me-Ph |
| 6-213 | p | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |
| 6-214 | p | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 6-215 | p | OH | -CH ₂ -2,3-diOH-Ph |
| 6-216 | p | OH | -CH ₂ -2,4-diOH-Ph |
| 6-217 | p | OH | -CH ₂ -2,5-diOH-Ph |
| 6-218 | p | OH | -CH ₂ -2,6-diOH-Ph |
| 6-219 | p | OH | -CH ₂ -3,4-diOH-Ph |
| 6-220 | p | OH | -CH ₂ -3,5-diOH-Ph |
| 6-221 | p | OH | -CH ₂ -2,3-diOMe-Ph |
| 6-222 | p | OH | -CH ₂ -2,4-diOMe-Ph |
| 6-223 | p | OH | -CH ₂ -2,5-diOMe-Ph |
| 6-224 | p | OH | -CH ₂ -2,6-diOMe-Ph |
| 6-225 | p | OH | -CH ₂ -3,4-diOMe-Ph |
| 6-226 | p | OH | -CH ₂ -3,5-diOMe-Ph |
| 6-227 | p | OH | -CH ₂ -2,3-Mtdo-Ph |
| 6-228 | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 6-229 | p | OH | -CH ₂ -2,3-diMe-Ph |
| 6-230 | p | OH | -CH ₂ -2,4-diMe-Ph |
| 6-231 | p | OH | -CH ₂ -2,5-diMe-Ph |
| 6-232 | p | OH | -CH ₂ -2,6-diMe-Ph |
| 6-233 | p | OH | -CH ₂ -3,4-diMe-Ph |
| 6-234 | p | OH | -CH ₂ -3,5-diMe-Ph |
| 6-235 | p | OH | -CH ₂ -2,4,5-triF-Ph |
| 6-236 | p | OH | -CH ₂ -pentaFPh |

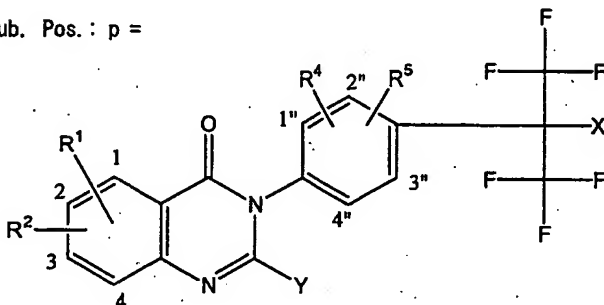
Table 7



Sub. Pos. : m =



Sub. Pos. : p =



| Exemp. Comp. No. | R ¹ | R ² | R ⁴ | R ⁵ | Sub. Pos. | X | Y |
|---------------------|----------------|----------------|----------------|----------------|--------------|----|---------------------------|
| 7-1 | H | H | 4'-Me | H | m | OH | Ph |
| 7-2 | H | H | 4'-Me | H | m | OH | 1-Nap |
| 7-3 | H | H | 4'-Me | H | m | OH | 2-Nap |
| 7-4 | H | H | 4'-Me | H | m | OH | Bz |
| 7-5 | H | H | 4'-Me | H | m | OH | -CF ₂ -Ph |
| 7-6 | H | H | 4'-Me | H | m | OH | -(CH ₂)-2-Nap |
| 7-7 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-Me-Ph |
| 7-8 | H | H | 4'-Me | H | m | OH | -CH ₂ -4-Me-Ph |
| 7-9 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-Br-Ph |
| 7-10 | H | H | 4'-Me | H | m | OH | -CH ₂ -4-Br-Ph |

| | | | | | | | |
|------|---|---|-------|---|---|----|--------------------------------|
| 7-11 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-Cl-Ph |
| 7-12 | H | H | 4'-Me | H | m | OH | -CH ₂ -4-Cl-Ph |
| 7-13 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-F-Ph |
| 7-14 | H | H | 4'-Me | H | m | OH | -CH ₂ -4-F-Ph |
| 7-15 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-Tfm-Ph |
| 7-16 | H | H | 4'-Me | H | m | OH | -CH ₂ -4-Tfm-Ph |
| 7-17 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-OMe-Ph |
| 7-18 | H | H | 4'-Me | H | m | OH | -CH ₂ -4-OMe-Ph |
| 7-19 | H | H | 4'-Me | H | m | OH | -CH ₂ -2,3-diF-Ph |
| 7-20 | H | H | 4'-Me | H | m | OH | -CH ₂ -2,4-diF-Ph |
| 7-21 | H | H | 4'-Me | H | m | OH | -CH ₂ -2,5-diF-Ph |
| 7-22 | H | H | 4'-Me | H | m | OH | -CH ₂ -2,6-diF-Ph |
| 7-23 | H | H | 4'-Me | H | m | OH | -CH ₂ -3,4-diF-Ph |
| 7-24 | H | H | 4'-Me | H | m | OH | -CH ₂ -3,5-diF-Ph |
| 7-25 | H | H | 4'-Me | H | m | OH | -CH ₂ -3,4-diCl-Ph |
| 7-26 | H | H | 4'-Me | H | m | OH | -CH ₂ -3,5-diCl-Ph |
| 7-27 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-28 | H | H | 4'-Me | H | m | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-29 | H | H | 4'-Me | H | m | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-30 | H | H | 4'-Me | H | m | OH | -CH ₂ -3,4-diMe-Ph |
| 7-31 | H | H | 4'-Me | H | m | OH | -CH ₂ -3,5-diMe-Ph |
| 7-32 | H | H | 4'-Cl | H | m | OH | Ph |
| 7-33 | H | H | 4'-Cl | H | m | OH | 1-Nap |
| 7-34 | H | H | 4'-Cl | H | m | OH | 2-Nap |
| 7-35 | H | H | 4'-Cl | H | m | OH | Bz |
| 7-36 | H | H | 4'-Cl | H | m | OH | -CF ₂ -Ph |
| 7-37 | H | H | 4'-Cl | H | m | OH | -(CH ₂)-2-Nap |
| 7-38 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-Me-Ph |
| 7-39 | H | H | 4'-Cl | H | m | OH | -CH ₂ -4-Me-Ph |
| 7-40 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-Br-Ph |
| 7-41 | H | H | 4'-Cl | H | m | OH | -CH ₂ -4-Br-Ph |
| 7-42 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-Cl-Ph |
| 7-43 | H | H | 4'-Cl | H | m | OH | -CH ₂ -4-Cl-Ph |
| 7-44 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-F-Ph |
| 7-45 | H | H | 4'-Cl | H | m | OH | -CH ₂ -4-F-Ph |
| 7-46 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-Tfm-Ph |
| 7-47 | H | H | 4'-Cl | H | m | OH | -CH ₂ -4-Tfm-Ph |

| | | | | | | | |
|------|---|---|-------|---|---|----|--------------------------------|
| 7-48 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-OMe-Ph |
| 7-49 | H | H | 4'-Cl | H | m | OH | -CH ₂ -4-OMe-Ph |
| 7-50 | H | H | 4'-Cl | H | m | OH | -CH ₂ -2,3-diF-Ph |
| 7-51 | H | H | 4'-Cl | H | m | OH | -CH ₂ -2,4-diF-Ph |
| 7-52 | H | H | 4'-Cl | H | m | OH | -CH ₂ -2,5-diF-Ph |
| 7-53 | H | H | 4'-Cl | H | m | OH | -CH ₂ -2,6-diF-Ph |
| 7-54 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-diF-Ph |
| 7-55 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3,5-diF-Ph |
| 7-56 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-diCl-Ph |
| 7-57 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3,5-diCl-Ph |
| 7-58 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-59 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-60 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-61 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-diMe-Ph |
| 7-62 | H | H | 4'-Cl | H | m | OH | -CH ₂ -3,5-diMe-Ph |
| 7-63 | H | H | 1"-Me | H | p | OH | Ph |
| 7-64 | H | H | 1"-Me | H | p | OH | 1-Nap |
| 7-65 | H | H | 1"-Me | H | p | OH | 2-Nap |
| 7-66 | H | H | 1"-Me | H | p | OH | Bz |
| 7-67 | H | H | 1"-Me | H | p | OH | -CF ₂ -Ph |
| 7-68 | H | H | 1"-Me | H | p | OH | -(CH ₂)-2-Nap |
| 7-69 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-70 | H | H | 1"-Me | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-71 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-72 | H | H | 1"-Me | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-73 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-74 | H | H | 1"-Me | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-75 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-F-Ph |
| 7-76 | H | H | 1"-Me | H | p | OH | -CH ₂ -4-F-Ph |
| 7-77 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-78 | H | H | 1"-Me | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-79 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-80 | H | H | 1"-Me | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-81 | H | H | 1"-Me | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-82 | H | H | 1"-Me | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-83 | H | H | 1"-Me | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-84 | H | H | 1"-Me | H | p | OH | -CH ₂ -2,6-diF-Ph |

| | | | | | | | |
|-------|---|---|-------|---|---|----|--------------------------------|
| 7-85 | H | H | 1"-Me | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-86 | H | H | 1"-Me | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-87 | H | H | 1"-Me | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-88 | H | H | 1"-Me | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-89 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-90 | H | H | 1"-Me | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-91 | H | H | 1"-Me | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-92 | H | H | 1"-Me | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-93 | H | H | 1"-Me | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-94 | H | H | 1"-Cl | H | p | OH | Ph |
| 7-95 | H | H | 1"-Cl | H | p | OH | 1-Nap |
| 7-96 | H | H | 1"-Cl | H | p | OH | 2-Nap |
| 7-97 | H | H | 1"-Cl | H | p | OH | Bz |
| 7-98 | H | H | 1"-Cl | H | p | OH | -CF ₂ -Ph |
| 7-99 | H | H | 1"-Cl | H | p | OH | -(CH ₂)-2-Nap |
| 7-100 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-101 | H | H | 1"-Cl | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-102 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-103 | H | H | 1"-Cl | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-104 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-105 | H | H | 1"-Cl | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-106 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-F-Ph |
| 7-107 | H | H | 1"-Cl | H | p | OH | -CH ₂ -4-F-Ph |
| 7-108 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-109 | H | H | 1"-Cl | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-110 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-111 | H | H | 1"-Cl | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-112 | H | H | 1"-Cl | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-113 | H | H | 1"-Cl | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-114 | H | H | 1"-Cl | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-115 | H | H | 1"-Cl | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-116 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-117 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-118 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-119 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-120 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-121 | H | H | 1"-Cl | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |

| | | | | | | |
|-------|---|---|----------|---|----|--------------------------------|
| 7-122 | H | H | 1"-Cl H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-123 | H | H | 1"-Cl H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-124 | H | H | 1"-Cl H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-125 | H | H | 1"-MeO H | p | OH | Ph |
| 7-126 | H | H | 1"-MeO H | p | OH | 1-Nap |
| 7-127 | H | H | 1"-MeO H | p | OH | 2-Nap |
| 7-128 | H | H | 1"-MeO H | p | OH | Bz |
| 7-129 | H | H | 1"-MeO H | p | OH | -CF ₂ -Ph |
| 7-130 | H | H | 1"-MeO H | p | OH | -(CH ₂)-2-Nap |
| 7-131 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-Me-Ph |
| 7-132 | H | H | 1"-MeO H | p | OH | -CH ₂ -4-Me-Ph |
| 7-133 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-Br-Ph |
| 7-134 | H | H | 1"-MeO H | p | OH | -CH ₂ -4-Br-Ph |
| 7-135 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-136 | H | H | 1"-MeO H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-137 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-F-Ph |
| 7-138 | H | H | 1"-MeO H | p | OH | -CH ₂ -4-F-Ph |
| 7-139 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-140 | H | H | 1"-MeO H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-141 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-142 | H | H | 1"-MeO H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-143 | H | H | 1"-MeO H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-144 | H | H | 1"-MeO H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-145 | H | H | 1"-MeO H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-146 | H | H | 1"-MeO H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-147 | H | H | 1"-MeO H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-148 | H | H | 1"-MeO H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-149 | H | H | 1"-MeO H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-150 | H | H | 1"-MeO H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-151 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-152 | H | H | 1"-MeO H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-153 | H | H | 1"-MeO H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-154 | H | H | 1"-MeO H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-155 | H | H | 1"-MeO H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-156 | H | H | 2"-Me H | p | OH | Ph |
| 7-157 | H | H | 2"-Me H | p | OH | 1-Nap |
| 7-158 | H | H | 2"-Me H | p | OH | 2-Nap |

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| 7-159 | H | H | 2"-Me | H | p | OH | Bz |
| 7-160 | H | H | 2"-Me | H | p | OH | -CF ₂ -Ph |
| 7-161 | H | H | 2"-Me | H | p | OH | -(CH ₂)-2-Nap |
| 7-162 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-163 | H | H | 2"-Me | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-164 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-165 | H | H | 2"-Me | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-166 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-167 | H | H | 2"-Me | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-168 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-F-Ph |
| 7-169 | H | H | 2"-Me | H | p | OH | -CH ₂ -4-F-Ph |
| 7-170 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-171 | H | H | 2"-Me | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-172 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-173 | H | H | 2"-Me | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-174 | H | H | 2"-Me | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-175 | H | H | 2"-Me | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-176 | H | H | 2"-Me | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-177 | H | H | 2"-Me | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-178 | H | H | 2"-Me | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-179 | H | H | 2"-Me | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-180 | H | H | 2"-Me | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-181 | H | H | 2"-Me | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-182 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-183 | H | H | 2"-Me | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-184 | H | H | 2"-Me | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-185 | H | H | 2"-Me | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-186 | H | H | 2"-Me | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-187 | H | H | 2"-Cl | H | p | OH | Ph |
| 7-188 | H | H | 2"-Cl | H | p | OH | 1-Nap |
| 7-189 | H | H | 2"-Cl | H | p | OH | 2-Nap |
| 7-190 | H | H | 2"-Cl | H | p | OH | Bz |
| 7-191 | H | H | 2"-Cl | H | p | OH | -CF ₂ -Ph |
| 7-192 | H | H | 2"-Cl | H | p | OH | -(CH ₂)-2-Nap |
| 7-193 | H | H | 2"-Cl | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-194 | H | H | 2"-Cl | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-195 | H | H | 2"-Cl | H | p | OH | -CH ₂ -3-Br-Ph |

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| 7-196 | H | H | 2''-Cl | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-197 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-198 | H | H | 2''-Cl | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-199 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3-F-Ph |
| 7-200 | H | H | 2''-Cl | H | p | OH | -CH ₂ -4-F-Ph |
| 7-201 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-202 | H | H | 2''-Cl | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-203 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-204 | H | H | 2''-Cl | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-205 | H | H | 2''-Cl | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-206 | H | H | 2''-Cl | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-207 | H | H | 2''-Cl | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-208 | H | H | 2''-Cl | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-209 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-210 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-211 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-212 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-213 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-214 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-215 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-216 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-217 | H | H | 2''-Cl | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-218 | H | H | 2''-MeO | H | p | OH | Ph |
| 7-219 | H | H | 2''-MeO | H | p | OH | 1-Nap |
| 7-220 | H | H | 2''-MeO | H | p | OH | 2-Nap |
| 7-221 | H | H | 2''-MeO | H | p | OH | Bz |
| 7-222 | H | H | 2''-MeO | H | p | OH | -CF ₂ -Ph |
| 7-223 | H | H | 2''-MeO | H | p | OH | -(CH ₂)-2-Nap |
| 7-224 | H | H | 2''-MeO | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-225 | H | H | 2''-MeO | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-226 | H | H | 2''-MeO | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-227 | H | H | 2''-MeO | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-228 | H | H | 2''-MeO | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-229 | H | H | 2''-MeO | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-230 | H | H | 2''-MeO | H | p | OH | -CH ₂ -3-F-Ph |
| 7-231 | H | H | 2''-MeO | H | p | OH | -CH ₂ -4-F-Ph |
| 7-232 | H | H | 2''-MeO | H | p | OH | -CH ₂ -3-Tfm-Ph |

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|-------|---|---|---------------|---|----|---------------------------------------|
| 7-233 | H | H | 2''-MeO H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-234 | H | H | 2''-MeO H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-235 | H | H | 2''-MeO H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-236 | H | H | 2''-MeO H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-237 | H | H | 2''-MeO H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-238 | H | H | 2''-MeO H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-239 | H | H | 2''-MeO H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-240 | H | H | 2''-MeO H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-241 | H | H | 2''-MeO H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-242 | H | H | 2''-MeO H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-243 | H | H | 2''-MeO H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-244 | H | H | 2''-MeO H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-245 | H | H | 2''-MeO H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-246 | H | H | 2''-MeO H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-247 | H | H | 2''-MeO H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-248 | H | H | 2''-MeO H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-249 | H | H | 1''-Me 4''-Me | p | OH | Ph |
| 7-250 | H | H | 1''-Me 4''-Me | p | OH | 1-Nap |
| 7-251 | H | H | 1''-Me 4''-Me | p | OH | 2-Nap |
| 7-252 | H | H | 1''-Me 4''-Me | p | OH | Bz |
| 7-253 | H | H | 1''-Me 4''-Me | p | OH | -CF ₂ -Ph |
| 7-254 | H | H | 1''-Me 4''-Me | p | OH | -(CH ₂) ₂ -Nap |
| 7-255 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -3-Me-Ph |
| 7-256 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -4-Me-Ph |
| 7-257 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -3-Br-Ph |
| 7-258 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -4-Br-Ph |
| 7-259 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -3-Cl-Ph |
| 7-260 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -4-Cl-Ph |
| 7-261 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -3-F-Ph |
| 7-262 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -4-F-Ph |
| 7-263 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-264 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-265 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -3-OMe-Ph |
| 7-266 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -4-OMe-Ph |
| 7-267 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-268 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-269 | H | H | 1''-Me 4''-Me | p | OH | -CH ₂ -2,5-diF-Ph |

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| 7-270 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-271 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-272 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-273 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-274 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-275 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-276 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-277 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-Mido-Ph |
| 7-278 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-279 | H | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-280 | 1-Cl | H | 4'-Me | H | m | OH | Ph |
| 7-281 | 1-Cl | H | 4'-Me | H | m | OH | 1-Nap |
| 7-282 | 1-Cl | H | 4'-Me | H | m | OH | 2-Nap |
| 7-283 | 1-Cl | H | 4'-Me | H | m | OH | Bz |
| 7-284 | 1-Cl | H | 4'-Me | H | m | OH | -CF ₂ -Ph |
| 7-285 | 1-Cl | H | 4'-Me | H | m | OH | -(CH ₂)-2-Nap |
| 7-286 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-Me-Ph |
| 7-287 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -4-Me-Ph |
| 7-288 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-Br-Ph |
| 7-289 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -4-Br-Ph |
| 7-290 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-Cl-Ph |
| 7-291 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -4-Cl-Ph |
| 7-292 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-F-Ph |
| 7-293 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -4-F-Ph |
| 7-294 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-Tfm-Ph |
| 7-295 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -4-Tfm-Ph |
| 7-296 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-OMe-Ph |
| 7-297 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -4-OMe-Ph |
| 7-298 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -2,3-diF-Ph |
| 7-299 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -2,4-diF-Ph |
| 7-300 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -2,5-diF-Ph |
| 7-301 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -2,6-diF-Ph |
| 7-302 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3,4-diF-Ph |
| 7-303 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3,5-diF-Ph |
| 7-304 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3,4-diCl-Ph |
| 7-305 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3,5-diCl-Ph |
| 7-306 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-Cl-4-F-Ph |

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| 7-307 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-308 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-309 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3,4-diMe-Ph |
| 7-310 | 1-Cl | H | 4'-Me | H | m | OH | -CH ₂ -3,5-diMe-Ph |
| 7-311 | 1-Cl | H | 4'-Cl | H | m | OH | Ph |
| 7-312 | 1-Cl | H | 4'-Cl | H | m | OH | 1-Nap |
| 7-313 | 1-Cl | H | 4'-Cl | H | m | OH | 2-Nap |
| 7-314 | 1-Cl | H | 4'-Cl | H | m | OH | Bz |
| 7-315 | 1-Cl | H | 4'-Cl | H | m | OH | -CF ₂ -Ph |
| 7-316 | 1-Cl | H | 4'-Cl | H | m | OH | -(CH ₂)-2-Nap |
| 7-317 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-Me-Ph |
| 7-318 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -4-Me-Ph |
| 7-319 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-Br-Ph |
| 7-320 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -4-Br-Ph |
| 7-321 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-Cl-Ph |
| 7-322 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -4-Cl-Ph |
| 7-323 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-F-Ph |
| 7-324 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -4-F-Ph |
| 7-325 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-Tfm-Ph |
| 7-326 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -4-Tfm-Ph |
| 7-327 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-OMe-Ph |
| 7-328 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -4-OMe-Ph |
| 7-329 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -2,3-diF-Ph |
| 7-330 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -2,4-diF-Ph |
| 7-331 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -2,5-diF-Ph |
| 7-332 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -2,6-diF-Ph |
| 7-333 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-diF-Ph |
| 7-334 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3,5-diF-Ph |
| 7-335 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-diCl-Ph |
| 7-336 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3,5-diCl-Ph |
| 7-337 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-338 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-339 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-340 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3,4-diMe-Ph |
| 7-341 | 1-Cl | H | 4'-Cl | H | m | OH | -CH ₂ -3,5-diMe-Ph |
| 7-342 | 1-Cl | H | 1"-Me | H | p | OH | Ph |
| 7-343 | 1-Cl | H | 1"-Me | H | p | OH | 1-Nap |

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| 7-344 | 1-Cl | H | 1"-Me | H | p | OH | 2-Nap |
| 7-345 | 1-Cl | H | 1"-Me | H | p | OH | Bz |
| 7-346 | 1-Cl | H | 1"-Me | H | p | OH | -CF ₂ -Ph |
| 7-347 | 1-Cl | H | 1"-Me | H | p | OH | -(CH ₂)-2-Nap |
| 7-348 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-349 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-350 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-351 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-352 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-353 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-354 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-F-Ph |
| 7-355 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -4-F-Ph |
| 7-356 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-357 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-358 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-359 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-360 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-361 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-362 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-363 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-364 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-365 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-366 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-367 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-368 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-369 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-370 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-371 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-372 | 1-Cl | H | 1"-Me | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-373 | 1-Cl | H | 1"-Cl | H | p | OH | Ph |
| 7-374 | 1-Cl | H | 1"-Cl | H | p | OH | 1-Nap |
| 7-375 | 1-Cl | H | 1"-Cl | H | p | OH | 2-Nap |
| 7-376 | 1-Cl | H | 1"-Cl | H | p | OH | Bz |
| 7-377 | 1-Cl | H | 1"-Cl | H | p | OH | -CF ₂ -Ph |
| 7-378 | 1-Cl | H | 1"-Cl | H | p | OH | -(CH ₂)-2-Nap |
| 7-379 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-380 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -4-Me-Ph |

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|-------|------|---|--------|---|---|----|--------------------------------|
| 7-381 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-382 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-383 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-384 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-385 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-F-Ph |
| 7-386 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -4-F-Ph |
| 7-387 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-388 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-389 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-390 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-391 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-392 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-393 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-394 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-395 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-396 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-397 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-398 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-399 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-400 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-401 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-402 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-403 | 1-Cl | H | 1"-Cl | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-404 | 1-Cl | H | 1"-MeO | H | p | OH | Ph |
| 7-405 | 1-Cl | H | 1"-MeO | H | p | OH | 1-Nap |
| 7-406 | 1-Cl | H | 1"-MeO | H | p | OH | 2-Nap |
| 7-407 | 1-Cl | H | 1"-MeO | H | p | OH | Bz |
| 7-408 | 1-Cl | H | 1"-MeO | H | p | OH | -CF ₂ -Ph |
| 7-409 | 1-Cl | H | 1"-MeO | H | p | OH | -(CH ₂)-2-Nap |
| 7-410 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-411 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-412 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-413 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-414 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-415 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-416 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -3-F-Ph |
| 7-417 | 1-Cl | H | 1"-MeO | H | p | OH | -CH ₂ -4-F-Ph |

| | | | | | | |
|-------|------|---|----------|---|----|--------------------------------|
| 7-418 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-419 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-420 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-421 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-422 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-423 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-424 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-425 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-426 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-427 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-428 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-429 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-430 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-431 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-432 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-433 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-434 | 1-Cl | H | 1"-MeO H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-435 | 1-Cl | H | 2"-Me H | p | OH | Ph |
| 7-436 | 1-Cl | H | 2"-Me H | p | OH | 1-Nap |
| 7-437 | 1-Cl | H | 2"-Me H | p | OH | 2-Nap |
| 7-438 | 1-Cl | H | 2"-Me H | p | OH | Bz |
| 7-439 | 1-Cl | H | 2"-Me H | p | OH | -CF ₂ -Ph |
| 7-440 | 1-Cl | H | 2"-Me H | p | OH | -(CH ₂)-2-Nap |
| 7-441 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -3-Me-Ph |
| 7-442 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -4-Me-Ph |
| 7-443 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -3-Br-Ph |
| 7-444 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -4-Br-Ph |
| 7-445 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-446 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-447 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -3-F-Ph |
| 7-448 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -4-F-Ph |
| 7-449 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-450 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-451 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-452 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-453 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-454 | 1-Cl | H | 2"-Me H | p | OH | -CH ₂ -2,4-diF-Ph |

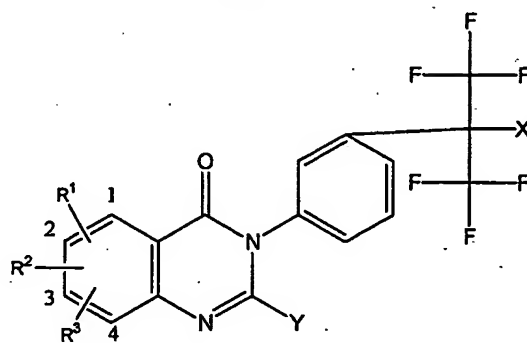
| | | | | | | | |
|-------|------|---|-------|---|---|----|--------------------------------|
| 7-455 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-456 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-457 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-458 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-459 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-460 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-461 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-462 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-463 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-464 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-465 | 1-Cl | H | 2"-Me | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-466 | 1-Cl | H | 2"-Cl | H | p | OH | Ph |
| 7-467 | 1-Cl | H | 2"-Cl | H | p | OH | 1-Nap |
| 7-468 | 1-Cl | H | 2"-Cl | H | p | OH | 2-Nap |
| 7-469 | 1-Cl | H | 2"-Cl | H | p | OH | Bz |
| 7-470 | 1-Cl | H | 2"-Cl | H | p | OH | -CF ₂ -Ph |
| 7-471 | 1-Cl | H | 2"-Cl | H | p | OH | -(CH ₂)-2-Nap |
| 7-472 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-473 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-474 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-475 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-476 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-477 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-478 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3-F-Ph |
| 7-479 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -4-F-Ph |
| 7-480 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-481 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-482 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-483 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-484 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-485 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-486 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-487 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-488 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-489 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-490 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-491 | 1-Cl | H | 2"-Cl | H | p | OH | -CH ₂ -3,5-diCl-Ph |

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|-------|------|---|---------|--------|---|----|--------------------------------|
| 7-492 | 1-Cl | H | 2''-Cl | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-493 | 1-Cl | H | 2''-Cl | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-494 | 1-Cl | H | 2''-Cl | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-495 | 1-Cl | H | 2''-Cl | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-496 | 1-Cl | H | 2''-Cl | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-497 | 1-Cl | H | 2''-MeO | H | p | OH | Ph |
| 7-498 | 1-Cl | H | 2''-MeO | H | p | OH | 1-Nap |
| 7-499 | 1-Cl | H | 2''-MeO | H | p | OH | 2-Nap |
| 7-500 | 1-Cl | H | 2''-MeO | H | p | OH | Bz |
| 7-501 | 1-Cl | H | 2''-MeO | H | p | OH | -CF ₂ -Ph |
| 7-502 | 1-Cl | H | 2''-MeO | H | p | OH | -(CH ₂)-2-Nap |
| 7-503 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-Me-Ph |
| 7-504 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -4-Me-Ph |
| 7-505 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-Br-Ph |
| 7-506 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -4-Br-Ph |
| 7-507 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-Cl-Ph |
| 7-508 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -4-Cl-Ph |
| 7-509 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-F-Ph |
| 7-510 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -4-F-Ph |
| 7-511 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-512 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-513 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-OMe-Ph |
| 7-514 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -4-OMe-Ph |
| 7-515 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-516 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-517 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-518 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-519 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-520 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-521 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-522 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-523 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-524 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-525 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-526 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-527 | 1-Cl | H | 2''-MeO | H | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-528 | 1-Cl | H | 1''-Me | 4''-Me | p | OH | Ph |

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|-------|-------------|-------|--------|-------|---|----|--------------------------------|
| 7-529 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | 1-Nap |
| 7-530 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | 2-Nap |
| 7-531 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | Bz |
| 7-532 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CF ₂ -Ph |
| 7-533 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -(CH ₂)-2-Nap |
| 7-534 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Me-Ph |
| 7-535 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -4-Me-Ph |
| 7-536 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Br-Ph |
| 7-537 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -4-Br-Ph |
| 7-538 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Cl-Ph |
| 7-539 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -4-Cl-Ph |
| 7-540 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-F-Ph |
| 7-541 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -4-F-Ph |
| 7-542 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Tfm-Ph |
| 7-543 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -4-Tfm-Ph |
| 7-544 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-OMe-Ph |
| 7-545 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -4-OMe-Ph |
| 7-546 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -2,3-diF-Ph |
| 7-547 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -2,4-diF-Ph |
| 7-548 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -2,5-diF-Ph |
| 7-549 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -2,6-diF-Ph |
| 7-550 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-diF-Ph |
| 7-551 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,5-diF-Ph |
| 7-552 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-diCl-Ph |
| 7-553 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,5-diCl-Ph |
| 7-554 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Cl-4-F-Ph |
| 7-555 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 7-556 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-Mtdo-Ph |
| 7-557 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,4-diMe-Ph |
| 7-558 | 1-Cl | H | 1"-Me | 4"-Me | p | OH | -CH ₂ -3,5-diMe-Ph |
| 7-559 | 2-MeO | H | 1"-Me | H | p | OH | Bz |
| 7-560 | 2-MeO | H | 1"-Et | H | p | OH | Bz |
| 7-561 | 2-MeO | H | 1"-Pr | H | p | OH | Bz |
| 7-562 | 2-MeO | H | 1"-iPr | H | p | OH | Bz |
| 7-563 | 2-MeO | H | 1"-Cl | H | p | OH | Bz |
| 7-564 | 2-MeO | H | 1"-Br | H | p | OH | Bz |
| 7-565 | 2-MeO 3-MeO | 1"-Me | H | | p | OH | Bz |

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|-------|-------|-------|--------------------|-------|---|----|-------------------------------|
| 7-566 | 2-MeO | 3-MeO | 1"-Et | H | p | OH | Bz |
| 7-567 | 2-MeO | 3-MeO | 1"-Pr | H | p | OH | Bz |
| 7-568 | 2-MeO | 3-MeO | 1"-iPr | H | p | OH | Bz |
| 7-569 | 2-MeO | 3-MeO | 1"-Cl | H | p | OH | Bz |
| 7-570 | 2-MeO | 3-MeO | 1"-Br | H | p | OH | Bz |
| 7-571 | 2-Cl | 3-MeO | 1"-Me | H | p | OH | Bz |
| 7-572 | 2-Cl | 3-MeO | 1"-Et | H | p | OH | Bz |
| 7-573 | 2-Cl | 3-MeO | 1"-Pr | H | p | OH | Bz |
| 7-574 | 2-Cl | 3-MeO | 1"-iPr | H | p | OH | Bz |
| 7-575 | 2-Cl | 3-MeO | 1"-Cl | H | p | OH | Bz |
| 7-576 | 2-Cl | 3-MeO | 1"-Br | H | p | OH | Bz |
| 7-577 | 2-OMe | H | 4'-Me | H | m | OH | Bz |
| 7-578 | 2-OMe | H | 3'-NH ₂ | 4'-Me | m | OH | Bz |
| 7-579 | 2-I | H | 1"-Me | H | p | OH | Bz |
| 7-580 | 2-OMe | H | 1"-Me | H | p | OH | -CH ₂ -5-Me-2-Pyzi |
| 7-581 | 2-OMe | H | 1"-COOMe | H | p | OH | -Bz |

Table 8



| Exemp. Comp. No. | R ¹ | R ² | R ³ | X | Y |
|---------------------|----------------|----------------|----------------|------|-------|
| 8-1 | H | H | H | H | Bz |
| 8-2 | H | H | H | OMe | Bz |
| 8-3 | H | H | H | OTfm | Bz |
| 8-4 | H | H | H | OH | Ph |
| 8-5 | H | H | H | OH | 1-Nap |

| | | | | | |
|------|---|---|---|----|---|
| 8-6 | H | H | H | OH | 2-Nap |
| 8-7 | H | H | H | OH | Bz |
| 8-8 | H | H | H | OH | -CH(Me) -Ph |
| 8-9 | H | H | H | OH | -CH(NH ₂) -Ph |
| 8-10 | H | H | H | OH | -CH(NHMe) -Ph |
| 8-11 | H | H | H | OH | -CF ₂ -Ph |
| 8-12 | H | H | H | OH | -CH(OH) -Ph |
| 8-13 | H | H | H | OH | -CH(OMe) -Ph |
| 8-14 | H | H | H | OH | -(CH ₂)-1-Nap |
| 8-15 | H | H | H | OH | -(CH ₂)-2-Nap |
| 8-16 | H | H | H | OH | -(CH ₂) ₂ -Ph |
| 8-17 | H | H | H | OH | -(CHPh)-(CH ₂)-Ph |
| 8-18 | H | H | H | OH | -(CH ₂) ₂ -1-Nap |
| 8-19 | H | H | H | OH | -(CH ₂) ₂ -2-Nap |
| 8-20 | H | H | H | OH | -(CH ₂) ₃ -Ph |
| 8-21 | H | H | H | OH | -(CH ₂) ₃ -1-Nap |
| 8-22 | H | H | H | OH | -(CH ₂) ₃ -2-Nap |
| 8-23 | H | H | H | OH | -(CH ₂) ₄ -Ph |
| 8-24 | H | H | H | OH | -(CH ₂) ₄ -1-Nap |
| 8-25 | H | H | H | OH | -(CH ₂) ₄ -2-Nap |
| 8-26 | H | H | H | OH | -CH ₂ -2-Me-Ph |
| 8-27 | H | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-28 | H | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-29 | H | H | H | OH | -CH ₂ -2-Br-Ph |
| 8-30 | H | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-31 | H | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-32 | H | H | H | OH | -CH ₂ -2-Cl-Ph |
| 8-33 | H | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-34 | H | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-35 | H | H | H | OH | -CH ₂ -2-F-Ph |
| 8-36 | H | H | H | OH | -CH ₂ -3-F-Ph |
| 8-37 | H | H | H | OH | -CH ₂ -4-F-Ph |
| 8-38 | H | H | H | OH | -CH ₂ -2-Tfm-Ph |
| 8-39 | H | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-40 | H | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-41 | H | H | H | OH | -CH ₂ -2-OH-Ph |
| 8-42 | H | H | H | OH | -CH ₂ -3-OH-Ph |

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|------|---|---|---|----|--|
| 8-43 | H | H | H | OH | -CH ₂ -4-OH-Ph |
| 8-44 | H | H | H | OH | -CH ₂ -2-OMe-Ph |
| 8-45 | H | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-46 | H | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-47 | H | H | H | OH | -CH ₂ -2-NO ₂ -Ph |
| 8-48 | H | H | H | OH | -CH ₂ -3-NO ₂ -Ph |
| 8-49 | H | H | H | OH | -CH ₂ -4-NO ₂ -Ph |
| 8-50 | H | H | H | OH | -CH ₂ -2-Et-Ph |
| 8-51 | H | H | H | OH | -CH ₂ -3-Et-Ph |
| 8-52 | H | H | H | OH | -CH ₂ -4-Et-Ph |
| 8-53 | H | H | H | OH | -CH ₂ -2-iPr-Ph |
| 8-54 | H | H | H | OH | -CH ₂ -3-iPr-Ph |
| 8-55 | H | H | H | OH | -CH ₂ -4-iPr-Ph |
| 8-56 | H | H | H | OH | -CH ₂ -2-CN-Ph |
| 8-57 | H | H | H | OH | -CH ₂ -3-CN-Ph |
| 8-58 | H | H | H | OH | -CH ₂ -4-CN-Ph |
| 8-59 | H | H | H | OH | -CH ₂ -2-NH ₂ -Ph |
| 8-60 | H | H | H | OH | -CH ₂ -3-NH ₂ -Ph |
| 8-61 | H | H | H | OH | -CH ₂ -4-NH ₂ -Ph |
| 8-62 | H | H | H | OH | -CH ₂ -2-SMe-Ph |
| 8-63 | H | H | H | OH | -CH ₂ -3-SMe-Ph |
| 8-64 | H | H | H | OH | -CH ₂ -4-SMe-Ph |
| 8-65 | H | H | H | OH | -CH ₂ -4-NHMe-Ph |
| 8-66 | H | H | H | OH | -CH ₂ -4-NMe ₂ -Ph |
| 8-67 | H | H | H | OH | -CH ₂ -4-SOMe-Ph |
| 8-68 | H | H | H | OH | -CH ₂ -4-SO ₂ Me-Ph |
| 8-69 | H | H | H | OH | -CH ₂ -4-AcNH-Ph |
| 8-70 | H | H | H | OH | -CH ₂ -3-AcNH-Ph |
| 8-71 | H | H | H | OH | -CH ₂ -4-tBuOC(=O)NH-Ph |
| 8-72 | H | H | H | OH | -CH ₂ -4-MeSO ₂ NH-Ph |
| 8-73 | H | H | H | OH | -CH ₂ -4-TfmSO ₂ NH-Ph |
| 8-74 | H | H | H | OH | -CH ₂ -4-Ac-Ph |
| 8-75 | H | H | H | OH | -CH ₂ -4-AcO-Ph |
| 8-76 | H | H | H | OH | -CH ₂ -4-MeCar-Ph |
| 8-77 | H | H | H | OH | -CH ₂ -4-diMeCar-Ph |
| 8-78 | H | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-79 | H | H | H | OH | -CH ₂ -2,4-diF-Ph |

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|-------|---|---|---|----|--|
| 8-80 | H | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-81 | H | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-82 | H | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-83 | H | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-84 | H | H | H | OH | -CH ₂ -2,3-diCl-Ph |
| 8-85 | H | H | H | OH | -CH ₂ -2,4-diCl-Ph |
| 8-86 | H | H | H | OH | -CH ₂ -2,5-diCl-Ph |
| 8-87 | H | H | H | OH | -CH ₂ -2,6-diCl-Ph |
| 8-88 | H | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-89 | H | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-90 | H | H | H | OH | -CH ₂ -2-F-4-NO ₂ -Ph |
| 8-91 | H | H | H | OH | -CH ₂ -2-Cl-4-F-Ph |
| 8-92 | H | H | H | OH | -CH ₂ -2-Cl-4-NO ₂ -Ph |
| 8-93 | H | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-94 | H | H | H | OH | -CH ₂ -2-Me-4-F-Ph |
| 8-95 | H | H | H | OH | -CH ₂ -2-Me-4-Cl-Ph |
| 8-96 | H | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-97 | H | H | H | OH | -CH ₂ -3-Cl-4-Me-Ph |
| 8-98 | H | H | H | OH | -CH ₂ -3-Me-4-NO ₂ -Ph |
| 8-99 | H | H | H | OH | -CH ₂ -3-NO ₂ -4-Cl-Ph |
| 8-100 | H | H | H | OH | -CH ₂ -2,3-diOH-Ph |
| 8-101 | H | H | H | OH | -CH ₂ -2,4-diOH-Ph |
| 8-102 | H | H | H | OH | -CH ₂ -2,5-diOH-Ph |
| 8-103 | H | H | H | OH | -CH ₂ -2,6-diOH-Ph |
| 8-104 | H | H | H | OH | -CH ₂ -3,4-diOH-Ph |
| 8-105 | H | H | H | OH | -CH ₂ -3,5-diOH-Ph |
| 8-106 | H | H | H | OH | -CH ₂ -2,3-diOMe-Ph |
| 8-107 | H | H | H | OH | -CH ₂ -2,4-diOMe-Ph |
| 8-108 | H | H | H | OH | -CH ₂ -2,5-diOMe-Ph |
| 8-109 | H | H | H | OH | -CH ₂ -2,6-diOMe-Ph |
| 8-110 | H | H | H | OH | -CH ₂ -3,4-diOMe-Ph |
| 8-111 | H | H | H | OH | -CH ₂ -3,5-diOMe-Ph |
| 8-112 | H | H | H | OH | -CH ₂ -2,3-Mtdo-Ph |
| 8-113 | H | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-114 | H | H | H | OH | -CH ₂ -2,3-diMe-Ph |
| 8-115 | H | H | H | OH | -CH ₂ -2,4-diMe-Ph |
| 8-116 | H | H | H | OH | -CH ₂ -2,5-diMe-Ph |

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|-------|------|---|---|------|---------------------------------|
| 8-117 | H | H | H | OH | -CH ₂ -2,6-diMe-Ph |
| 8-118 | H | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-119 | H | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-120 | H | H | H | OH | -CH ₂ -2,4,5-triF-Ph |
| 8-121 | H | H | H | OH | -CH ₂ -pentaFPh |
| 8-125 | H | H | H | OH | -CH ₂ -(4-Ph)-Ph |
| 8-126 | H | H | H | H | -CH ₂ -4-Tfm-Ph |
| 8-127 | H | H | H | OMe | -CH ₂ -4-Tfm-Ph |
| 8-128 | H | H | H | OTfm | -CH ₂ -4-Tfm-Ph |
| 8-129 | 1-Me | H | H | OH | Ph |
| 8-130 | 1-Me | H | H | OH | 1-Nap |
| 8-131 | 1-Me | H | H | OH | 2-Nap |
| 8-132 | 1-Me | H | H | OH | Bz |
| 8-133 | 1-Me | H | H | OH | -CF ₂ -Ph |
| 8-134 | 1-Me | H | H | OH | -(CH ₂)-2-Nap |
| 8-135 | 1-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-136 | 1-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-137 | 1-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-138 | 1-Me | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-139 | 1-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-140 | 1-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-141 | 1-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 8-142 | 1-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 8-143 | 1-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-144 | 1-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-145 | 1-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-146 | 1-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-147 | 1-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-148 | 1-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-149 | 1-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-150 | 1-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-151 | 1-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-152 | 1-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-153 | 1-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-154 | 1-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-155 | 1-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-156 | 1-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |

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|-------|------|---|---|----|--------------------------------|
| 8-157 | 1-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-158 | 1-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-159 | 1-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-160 | 1-Cl | H | H | OH | Ph |
| 8-161 | 1-Cl | H | H | OH | 1-Nap |
| 8-162 | 1-Cl | H | H | OH | 2-Nap |
| 8-163 | 1-Cl | H | H | OH | Bz |
| 8-164 | 1-Cl | H | H | OH | -CF ₂ -Ph |
| 8-165 | 1-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 8-166 | 1-Cl | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-167 | 1-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-168 | 1-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-169 | 1-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-170 | 1-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-171 | 1-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-172 | 1-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 8-173 | 1-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 8-174 | 1-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-175 | 1-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-176 | 1-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-177 | 1-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-178 | 1-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-179 | 1-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-180 | 1-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-181 | 1-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-182 | 1-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-183 | 1-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-184 | 1-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-185 | 1-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-186 | 1-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-187 | 1-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-188 | 1-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-189 | 1-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-190 | 1-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-191 | 1-Br | H | H | OH | Ph |
| 8-192 | 1-Br | H | H | OH | 1-Nap |
| 8-193 | 1-Br | H | H | OH | 2-Nap |

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|-------|------|---|---|----|--------------------------------|
| 8-194 | 1-Br | H | H | OH | Bz |
| 8-195 | 1-Br | H | H | OH | -CF ₂ -Ph |
| 8-196 | 1-Br | H | H | OH | -(CH ₂)-2-Nap |
| 8-197 | 1-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-198 | 1-Br | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-199 | 1-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-200 | 1-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-201 | 1-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-202 | 1-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-203 | 1-Br | H | H | OH | -CH ₂ -3-F-Ph |
| 8-204 | 1-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 8-205 | 1-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-206 | 1-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-207 | 1-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-208 | 1-Br | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-209 | 1-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-210 | 1-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-211 | 1-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-212 | 1-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-213 | 1-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-214 | 1-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-215 | 1-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-216 | 1-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-217 | 1-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-218 | 1-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-219 | 1-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-220 | 1-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-221 | 1-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-222 | 1-OH | H | H | OH | Ph |
| 8-223 | 1-OH | H | H | OH | 1-Nap |
| 8-224 | 1-OH | H | H | OH | 2-Nap |
| 8-225 | 1-OH | H | H | OH | Bz |
| 8-226 | 1-OH | H | H | OH | -CF ₂ -Ph |
| 8-227 | 1-OH | H | H | OH | -(CH ₂)-2-Nap |
| 8-228 | 1-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-229 | 1-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-230 | 1-OH | H | H | OH | -CH ₂ -3-Br-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 8-231 | 1-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-232 | 1-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-233 | 1-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-234 | 1-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-235 | 1-OH | H | H | OH | -CH ₂ -4-F-Ph |
| 8-236 | 1-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-237 | 1-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-238 | 1-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-239 | 1-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-240 | 1-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-241 | 1-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-242 | 1-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-243 | 1-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-244 | 1-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-245 | 1-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-246 | 1-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-247 | 1-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-248 | 1-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-249 | 1-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-250 | 1-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-251 | 1-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-252 | 1-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-253 | 1-Tfm | H | H | OH | Ph |
| 8-254 | 1-Tfm | H | H | OH | 1-Nap |
| 8-255 | 1-Tfm | H | H | OH | 2-Nap |
| 8-256 | 1-Tfm | H | H | OH | Bz |
| 8-257 | 1-Tfm | H | H | OH | -CF ₂ -Ph |
| 8-258 | 1-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 8-259 | 1-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-260 | 1-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-261 | 1-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-262 | 1-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-263 | 1-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-264 | 1-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-265 | 1-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 8-266 | 1-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 8-267 | 1-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 8-268 | 1-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-269 | 1-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-270 | 1-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-271 | 1-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-272 | 1-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-273 | 1-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-274 | 1-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-275 | 1-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-276 | 1-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-277 | 1-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-278 | 1-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-279 | 1-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-280 | 1-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-281 | 1-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-282 | 1-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-283 | 1-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-284 | 1-OMe | H | H | OH | Ph |
| 8-285 | 1-OMe | H | H | OH | 1-Nap |
| 8-286 | 1-OMe | H | H | OH | 2-Nap |
| 8-287 | 1-OMe | H | H | OH | Bz |
| 8-288 | 1-OMe | H | H | OH | -CF ₂ -Ph |
| 8-289 | 1-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 8-290 | 1-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-291 | 1-OMe | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-292 | 1-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-293 | 1-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-294 | 1-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-295 | 1-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-296 | 1-OMe | H | H | OH | -CH ₂ -3-F-Ph |
| 8-297 | 1-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 8-298 | 1-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-299 | 1-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-300 | 1-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-301 | 1-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-302 | 1-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-303 | 1-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-304 | 1-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |

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| 8-305 | 1-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-306 | 1-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-307 | 1-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-308 | 1-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-309 | 1-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-310 | 1-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-311 | 1-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-312 | 1-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-313 | 1-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-314 | 1-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-315 | 1-AcNH | H | H | OH | Ph |
| 8-316 | 1-AcNH | H | H | OH | 1-Nap |
| 8-317 | 1-AcNH | H | H | OH | 2-Nap |
| 8-318 | 1-AcNH | H | H | OH | Bz |
| 8-319 | 1-AcNH | H | H | OH | -CF ₂ -Ph |
| 8-320 | 1-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 8-321 | 1-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-322 | 1-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-323 | 1-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-324 | 1-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-325 | 1-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-326 | 1-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-327 | 1-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-328 | 1-AcNH | H | H | OH | -CH ₂ -4-F-Ph |
| 8-329 | 1-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-330 | 1-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-331 | 1-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-332 | 1-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-333 | 1-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-334 | 1-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-335 | 1-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-336 | 1-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-337 | 1-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-338 | 1-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-339 | 1-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-340 | 1-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-341 | 1-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |

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| 8-342 | 1-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-343 | 1-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-344 | 1-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-345 | 1-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-346 | 2-Me | H | H | OH | Ph |
| 8-347 | 2-Me | H | H | OH | 1-Nap |
| 8-348 | 2-Me | H | H | OH | 2-Nap |
| 8-349 | 2-Me | H | H | OH | Bz |
| 8-350 | 2-Me | H | H | OH | -CF ₂ -Ph |
| 8-351 | 2-Me | H | H | OH | -(CH ₂)-2-Nap |
| 8-352 | 2-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-353 | 2-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-354 | 2-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-355 | 2-Me | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-356 | 2-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-357 | 2-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-358 | 2-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 8-359 | 2-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 8-360 | 2-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-361 | 2-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-362 | 2-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-363 | 2-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-364 | 2-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-365 | 2-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-366 | 2-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-367 | 2-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-368 | 2-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-369 | 2-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-370 | 2-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-371 | 2-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-372 | 2-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-373 | 2-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-374 | 2-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-375 | 2-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-376 | 2-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-377 | 2-Cl | H | H | OH | Ph |
| 8-378 | 2-Cl | H | H | OH | 1-Nap |

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| 8-379 | 2-Cl | H | H | OH | 2-Nap |
| 8-380 | 2-Cl | H | H | OH | Bz |
| 8-381 | 2-Cl | H | H | OH | -CF ₂ -Ph |
| 8-382 | 2-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 8-383 | 2-Cl | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-384 | 2-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-385 | 2-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-386 | 2-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-387 | 2-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-388 | 2-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-389 | 2-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 8-390 | 2-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 8-391 | 2-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-392 | 2-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-393 | 2-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-394 | 2-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-395 | 2-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-396 | 2-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-397 | 2-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-398 | 2-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-399 | 2-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-400 | 2-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-401 | 2-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-402 | 2-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-403 | 2-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-404 | 2-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-405 | 2-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-406 | 2-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-407 | 2-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-408 | 2-Br | H | H | OH | Ph |
| 8-409 | 2-Br | H | H | OH | 1-Nap |
| 8-410 | 2-Br | H | H | OH | 2-Nap |
| 8-411 | 2-Br | H | H | OH | Bz |
| 8-412 | 2-Br | H | H | OH | -CF ₂ -Ph |
| 8-413 | 2-Br | H | H | OH | -(CH ₂)-2-Nap |
| 8-414 | 2-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-415 | 2-Br | H | H | OH | -CH ₂ -4-Me-Ph |

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| 8-416 | 2-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-417 | 2-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-418 | 2-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-419 | 2-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-420 | 2-Br | H | H | OH | -CH ₂ -3-F-Ph |
| 8-421 | 2-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 8-422 | 2-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-423 | 2-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-424 | 2-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-425 | 2-Br | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-426 | 2-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-427 | 2-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-428 | 2-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-429 | 2-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-430 | 2-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-431 | 2-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-432 | 2-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-433 | 2-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-434 | 2-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-435 | 2-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-436 | 2-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-437 | 2-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-438 | 2-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-439 | 2-OH | H | H | OH | Ph |
| 8-440 | 2-OH | H | H | OH | 1-Nap |
| 8-441 | 2-OH | H | H | OH | 2-Nap |
| 8-442 | 2-OH | H | H | OH | Bz |
| 8-443 | 2-OH | H | H | OH | -CF ₂ -Ph |
| 8-444 | 2-OH | H | H | OH | -(CH ₂)-2-Nap |
| 8-445 | 2-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-446 | 2-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-447 | 2-OH | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-448 | 2-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-449 | 2-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-450 | 2-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-451 | 2-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-452 | 2-OH | H | H | OH | -CH ₂ -4-F-Ph |

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| 8-453 | 2-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-454 | 2-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-455 | 2-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-456 | 2-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-457 | 2-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-458 | 2-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-459 | 2-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-460 | 2-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-461 | 2-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-462 | 2-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-463 | 2-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-464 | 2-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-465 | 2-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-466 | 2-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-467 | 2-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-468 | 2-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-469 | 2-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-470 | 2-Tfm | H | H | OH | Ph |
| 8-471 | 2-Tfm | H | H | OH | 1-Nap |
| 8-472 | 2-Tfm | H | H | OH | 2-Nap |
| 8-473 | 2-Tfm | H | H | OH | Bz |
| 8-474 | 2-Tfm | H | H | OH | -CF ₂ -Ph |
| 8-475 | 2-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 8-476 | 2-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-477 | 2-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-478 | 2-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-479 | 2-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-480 | 2-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-481 | 2-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-482 | 2-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 8-483 | 2-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 8-484 | 2-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-485 | 2-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-486 | 2-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-487 | 2-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-488 | 2-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-489 | 2-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |

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| 8-490 | 2-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-491 | 2-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-492 | 2-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-493 | 2-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-494 | 2-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-495 | 2-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-496 | 2-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-497 | 2-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-498 | 2-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-499 | 2-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-500 | 2-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-501 | 2-OMe | H | H | OH | Ph |
| 8-502 | 2-OMe | H | H | OH | 1-Nap |
| 8-503 | 2-OMe | H | H | OH | 2-Nap |
| 8-504 | 2-OMe | H | H | OH | Bz |
| 8-505 | 2-OMe | H | H | OH | -CF ₂ -Ph |
| 8-506 | 2-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 8-507 | 2-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-508 | 2-OMe | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-509 | 2-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-510 | 2-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-511 | 2-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-512 | 2-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-513 | 2-OMe | H | H | OH | -CH ₂ -3-F-Ph |
| 8-514 | 2-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 8-515 | 2-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-516 | 2-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-517 | 2-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-518 | 2-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-519 | 2-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-520 | 2-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-521 | 2-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-522 | 2-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-523 | 2-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-524 | 2-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-525 | 2-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-526 | 2-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |

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|-------|--------|---|---|----|--------------------------------|
| 8-527 | 2-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-528 | 2-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-529 | 2-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-530 | 2-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-531 | 2-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-532 | 2-AcNH | H | H | OH | Ph |
| 8-533 | 2-AcNH | H | H | OH | 1-Nap |
| 8-534 | 2-AcNH | H | H | OH | 2-Nap |
| 8-535 | 2-AcNH | H | H | OH | Bz |
| 8-536 | 2-AcNH | H | H | OH | -CF ₂ -Ph |
| 8-537 | 2-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 8-538 | 2-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-539 | 2-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-540 | 2-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-541 | 2-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-542 | 2-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-543 | 2-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-544 | 2-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-545 | 2-AcNH | H | H | OH | -CH ₂ -4-F-Ph |
| 8-546 | 2-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-547 | 2-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-548 | 2-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-549 | 2-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-550 | 2-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-551 | 2-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-552 | 2-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-553 | 2-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-554 | 2-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-555 | 2-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-556 | 2-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-557 | 2-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-558 | 2-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-559 | 2-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-560 | 2-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-561 | 2-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-562 | 2-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-563 | 3-Me | H | H | OH | Ph |

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|-------|------|---|---|----|--------------------------------|
| 8-564 | 3-Me | H | H | OH | 1-Nap |
| 8-565 | 3-Me | H | H | OH | 2-Nap |
| 8-566 | 3-Me | H | H | OH | Bz |
| 8-567 | 3-Me | H | H | OH | -CF ₂ -Ph |
| 8-568 | 3-Me | H | H | OH | -(CH ₂)-2-Nap |
| 8-569 | 3-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-570 | 3-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-571 | 3-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-572 | 3-Me | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-573 | 3-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-574 | 3-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-575 | 3-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 8-576 | 3-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 8-577 | 3-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-578 | 3-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-579 | 3-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-580 | 3-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-581 | 3-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-582 | 3-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-583 | 3-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-584 | 3-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-585 | 3-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-586 | 3-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-587 | 3-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-588 | 3-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-589 | 3-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-590 | 3-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-591 | 3-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-592 | 3-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-593 | 3-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-594 | 3-Cl | H | H | OH | Ph |
| 8-595 | 3-Cl | H | H | OH | 1-Nap |
| 8-596 | 3-Cl | H | H | OH | 2-Nap |
| 8-597 | 3-Cl | H | H | OH | Bz |
| 8-598 | 3-Cl | H | H | OH | -CF ₂ -Ph |
| 8-599 | 3-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 8-600 | 3-Cl | H | H | OH | -CH ₂ -3-Me-Ph |

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|-------|------|---|---|----|--------------------------------|
| 8-601 | 3-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-602 | 3-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-603 | 3-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-604 | 3-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-605 | 3-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-606 | 3-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 8-607 | 3-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 8-608 | 3-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-609 | 3-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-610 | 3-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-611 | 3-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-612 | 3-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-613 | 3-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-614 | 3-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-615 | 3-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-616 | 3-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-617 | 3-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-618 | 3-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-619 | 3-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-620 | 3-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-621 | 3-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-622 | 3-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-623 | 3-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-624 | 3-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-625 | 3-Br | H | H | OH | Ph |
| 8-626 | 3-Br | H | H | OH | 1-Nap |
| 8-627 | 3-Br | H | H | OH | 2-Nap |
| 8-628 | 3-Br | H | H | OH | Bz |
| 8-629 | 3-Br | H | H | OH | -CF ₂ -Ph |
| 8-630 | 3-Br | H | H | OH | -(CH ₂)-2-Nap |
| 8-631 | 3-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-632 | 3-Br | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-633 | 3-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-634 | 3-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-635 | 3-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-636 | 3-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-637 | 3-Br | H | H | OH | -CH ₂ -3-F-Ph |

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|-------|------|---|---|----|--------------------------------|
| 8-638 | 3-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 8-639 | 3-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-640 | 3-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-641 | 3-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-642 | 3-Br | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-643 | 3-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-644 | 3-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-645 | 3-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-646 | 3-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-647 | 3-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-648 | 3-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-649 | 3-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-650 | 3-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-651 | 3-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-652 | 3-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-653 | 3-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-654 | 3-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-655 | 3-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-656 | 3-OH | H | H | OH | Ph |
| 8-657 | 3-OH | H | H | OH | 1-Nap |
| 8-658 | 3-OH | H | H | OH | 2-Nap |
| 8-659 | 3-OH | H | H | OH | Bz |
| 8-660 | 3-OH | H | H | OH | -CF ₂ -Ph |
| 8-661 | 3-OH | H | H | OH | -(CH ₂)-2-Nap |
| 8-662 | 3-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-663 | 3-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-664 | 3-OH | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-665 | 3-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-666 | 3-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-667 | 3-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-668 | 3-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-669 | 3-OH | H | H | OH | -CH ₂ -4-F-Ph |
| 8-670 | 3-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-671 | 3-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-672 | 3-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-673 | 3-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-674 | 3-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 8-675 | 3-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-676 | 3-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-677 | 3-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-678 | 3-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-679 | 3-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-680 | 3-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-681 | 3-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-682 | 3-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-683 | 3-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-684 | 3-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-685 | 3-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-686 | 3-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-687 | 3-Tfm | H | H | OH | Ph |
| 8-688 | 3-Tfm | H | H | OH | 1-Nap |
| 8-689 | 3-Tfm | H | H | OH | 2-Nap |
| 8-690 | 3-Tfm | H | H | OH | Bz |
| 8-691 | 3-Tfm | H | H | OH | -CF ₂ -Ph |
| 8-692 | 3-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 8-693 | 3-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-694 | 3-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-695 | 3-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-696 | 3-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-697 | 3-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-698 | 3-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-699 | 3-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 8-700 | 3-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 8-701 | 3-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-702 | 3-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-703 | 3-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-704 | 3-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-705 | 3-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-706 | 3-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-707 | 3-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-708 | 3-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-709 | 3-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-710 | 3-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-711 | 3-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |

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|-------|-------|---|---|----|--------------------------------|
| 8-712 | 3-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-713 | 3-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-714 | 3-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-715 | 3-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-716 | 3-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-717 | 3-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-718 | 3-OMe | H | H | OH | Ph |
| 8-719 | 3-OMe | H | H | OH | 1-Nap |
| 8-720 | 3-OMe | H | H | OH | 2-Nap |
| 8-721 | 3-OMe | H | H | OH | Bz |
| 8-722 | 3-OMe | H | H | OH | -CF ₂ -Ph |
| 8-723 | 3-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 8-724 | 3-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-725 | 3-OMe | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-726 | 3-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-727 | 3-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-728 | 3-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-729 | 3-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-730 | 3-OMe | H | H | OH | -CH ₂ -3-F-Ph |
| 8-731 | 3-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 8-732 | 3-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-733 | 3-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-734 | 3-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-735 | 3-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-736 | 3-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-737 | 3-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-738 | 3-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-739 | 3-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-740 | 3-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-741 | 3-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-742 | 3-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-743 | 3-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-744 | 3-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-745 | 3-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-746 | 3-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-747 | 3-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-748 | 3-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |

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|-------|--------|---|---|----|--------------------------------|
| 8-749 | 3-AcNH | H | H | OH | Ph |
| 8-750 | 3-AcNH | H | H | OH | 1-Nap |
| 8-751 | 3-AcNH | H | H | OH | 2-Nap |
| 8-752 | 3-AcNH | H | H | OH | Bz |
| 8-753 | 3-AcNH | H | H | OH | -CF ₂ -Ph |
| 8-754 | 3-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 8-755 | 3-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-756 | 3-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-757 | 3-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-758 | 3-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-759 | 3-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-760 | 3-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-761 | 3-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-762 | 3-AcNH | H | H | OH | -CH ₂ -4-F-Ph |
| 8-763 | 3-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-764 | 3-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-765 | 3-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-766 | 3-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-767 | 3-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-768 | 3-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-769 | 3-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-770 | 3-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-771 | 3-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-772 | 3-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-773 | 3-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-774 | 3-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-775 | 3-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-776 | 3-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-777 | 3-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-778 | 3-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-779 | 3-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-780 | 4-Me | H | H | OH | Ph |
| 8-781 | 4-Me | H | H | OH | 1-Nap |
| 8-782 | 4-Me | H | H | OH | 2-Nap |
| 8-783 | 4-Me | H | H | OH | Bz |
| 8-784 | 4-Me | H | H | OH | -CF ₂ -Ph |
| 8-785 | 4-Me | H | H | OH | -(CH ₂)-2-Nap |

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|-------|------|---|---|----|--------------------------------|
| 8-786 | 4-Me | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-787 | 4-Me | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-788 | 4-Me | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-789 | 4-Me | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-790 | 4-Me | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-791 | 4-Me | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-792 | 4-Me | H | H | OH | -CH ₂ -3-F-Ph |
| 8-793 | 4-Me | H | H | OH | -CH ₂ -4-F-Ph |
| 8-794 | 4-Me | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-795 | 4-Me | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-796 | 4-Me | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-797 | 4-Me | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-798 | 4-Me | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-799 | 4-Me | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-800 | 4-Me | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-801 | 4-Me | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-802 | 4-Me | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-803 | 4-Me | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-804 | 4-Me | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-805 | 4-Me | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-806 | 4-Me | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-807 | 4-Me | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-808 | 4-Me | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-809 | 4-Me | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-810 | 4-Me | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-811 | 4-Cl | H | H | OH | Ph |
| 8-812 | 4-Cl | H | H | OH | 1-Nap |
| 8-813 | 4-Cl | H | H | OH | 2-Nap |
| 8-814 | 4-Cl | H | H | OH | Bz |
| 8-815 | 4-Cl | H | H | OH | -CF ₂ -Ph |
| 8-816 | 4-Cl | H | H | OH | -(CH ₂)-2-Nap |
| 8-817 | 4-Cl | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-818 | 4-Cl | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-819 | 4-Cl | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-820 | 4-Cl | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-821 | 4-Cl | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-822 | 4-Cl | H | H | OH | -CH ₂ -4-Cl-Ph |

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| 8-823 | 4-Cl | H | H | OH | -CH ₂ -3-F-Ph |
| 8-824 | 4-Cl | H | H | OH | -CH ₂ -4-F-Ph |
| 8-825 | 4-Cl | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-826 | 4-Cl | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-827 | 4-Cl | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-828 | 4-Cl | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-829 | 4-Cl | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-830 | 4-Cl | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-831 | 4-Cl | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-832 | 4-Cl | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-833 | 4-Cl | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-834 | 4-Cl | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-835 | 4-Cl | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-836 | 4-Cl | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-837 | 4-Cl | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-838 | 4-Cl | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-839 | 4-Cl | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-840 | 4-Cl | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-841 | 4-Cl | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-842 | 4-Br | H | H | OH | Ph |
| 8-843 | 4-Br | H | H | OH | 1-Nap |
| 8-844 | 4-Br | H | H | OH | 2-Nap |
| 8-845 | 4-Br | H | H | OH | Bz |
| 8-846 | 4-Br | H | H | OH | -CF ₂ -Ph |
| 8-847 | 4-Br | H | H | OH | -(CH ₂)-2-Nap |
| 8-848 | 4-Br | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-849 | 4-Br | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-850 | 4-Br | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-851 | 4-Br | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-852 | 4-Br | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-853 | 4-Br | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-854 | 4-Br | H | H | OH | -CH ₂ -3-F-Ph |
| 8-855 | 4-Br | H | H | OH | -CH ₂ -4-F-Ph |
| 8-856 | 4-Br | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-857 | 4-Br | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-858 | 4-Br | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-859 | 4-Br | H | H | OH | -CH ₂ -4-OMe-Ph |

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| 8-860 | 4-Br | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-861 | 4-Br | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-862 | 4-Br | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-863 | 4-Br | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-864 | 4-Br | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-865 | 4-Br | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-866 | 4-Br | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-867 | 4-Br | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-868 | 4-Br | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-869 | 4-Br | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-870 | 4-Br | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-871 | 4-Br | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-872 | 4-Br | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-873 | 4-OH | H | H | OH | Ph |
| 8-874 | 4-OH | H | H | OH | 1-Nap |
| 8-875 | 4-OH | H | H | OH | 2-Nap |
| 8-876 | 4-OH | H | H | OH | Bz |
| 8-877 | 4-OH | H | H | OH | -CF ₂ -Ph |
| 8-878 | 4-OH | H | H | OH | -(CH ₂)-2-Nap |
| 8-879 | 4-OH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-880 | 4-OH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-881 | 4-OH | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-882 | 4-OH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-883 | 4-OH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-884 | 4-OH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-885 | 4-OH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-886 | 4-OH | H | H | OH | -CH ₂ -4-F-Ph |
| 8-887 | 4-OH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-888 | 4-OH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-889 | 4-OH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-890 | 4-OH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-891 | 4-OH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-892 | 4-OH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-893 | 4-OH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-894 | 4-OH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-895 | 4-OH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-896 | 4-OH | H | H | OH | -CH ₂ -3,5-diF-Ph |

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| 8-897 | 4-OH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-898 | 4-OH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-899 | 4-OH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-900 | 4-OH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-901 | 4-OH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-902 | 4-OH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-903 | 4-OH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-904 | 4-Tfm | H | H | OH | Ph |
| 8-905 | 4-Tfm | H | H | OH | 1-Nap |
| 8-906 | 4-Tfm | H | H | OH | 2-Nap |
| 8-907 | 4-Tfm | H | H | OH | Bz |
| 8-908 | 4-Tfm | H | H | OH | -CF ₂ -Ph |
| 8-909 | 4-Tfm | H | H | OH | -(CH ₂)-2-Nap |
| 8-910 | 4-Tfm | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-911 | 4-Tfm | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-912 | 4-Tfm | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-913 | 4-Tfm | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-914 | 4-Tfm | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-915 | 4-Tfm | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-916 | 4-Tfm | H | H | OH | -CH ₂ -3-F-Ph |
| 8-917 | 4-Tfm | H | H | OH | -CH ₂ -4-F-Ph |
| 8-918 | 4-Tfm | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-919 | 4-Tfm | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-920 | 4-Tfm | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-921 | 4-Tfm | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-922 | 4-Tfm | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-923 | 4-Tfm | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-924 | 4-Tfm | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-925 | 4-Tfm | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-926 | 4-Tfm | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-927 | 4-Tfm | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-928 | 4-Tfm | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-929 | 4-Tfm | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-930 | 4-Tfm | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-931 | 4-Tfm | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-932 | 4-Tfm | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-933 | 4-Tfm | H | H | OH | -CH ₂ -3,4-diMe-Ph |

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| 8-934 | 4-Tfm | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-935 | 4-OMe | H | H | OH | Ph |
| 8-936 | 4-OMe | H | H | OH | 1-Nap |
| 8-937 | 4-OMe | H | H | OH | 2-Nap |
| 8-938 | 4-OMe | H | H | OH | Bz |
| 8-939 | 4-OMe | H | H | OH | -CF ₂ -Ph |
| 8-940 | 4-OMe | H | H | OH | -(CH ₂)-2-Nap |
| 8-941 | 4-OMe | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-942 | 4-OMe | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-943 | 4-OMe | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-944 | 4-OMe | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-945 | 4-OMe | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-946 | 4-OMe | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-947 | 4-OMe | H | H | OH | -CH ₂ -3-F-Ph |
| 8-948 | 4-OMe | H | H | OH | -CH ₂ -4-F-Ph |
| 8-949 | 4-OMe | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-950 | 4-OMe | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-951 | 4-OMe | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-952 | 4-OMe | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-953 | 4-OMe | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-954 | 4-OMe | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-955 | 4-OMe | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-956 | 4-OMe | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-957 | 4-OMe | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-958 | 4-OMe | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-959 | 4-OMe | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-960 | 4-OMe | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-961 | 4-OMe | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-962 | 4-OMe | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-963 | 4-OMe | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-964 | 4-OMe | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-965 | 4-OMe | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-966 | 4-AcNH | H | H | OH | Ph |
| 8-967 | 4-AcNH | H | H | OH | 1-Nap |
| 8-968 | 4-AcNH | H | H | OH | 2-Nap |
| 8-969 | 4-AcNH | H | H | OH | Bz |
| 8-970 | 4-AcNH | H | H | OH | -CF ₂ -Ph |

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| 8-971 | 4-AcNH | H | H | OH | -(CH ₂)-2-Nap |
| 8-972 | 4-AcNH | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-973 | 4-AcNH | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-974 | 4-AcNH | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-975 | 4-AcNH | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-976 | 4-AcNH | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-977 | 4-AcNH | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-978 | 4-AcNH | H | H | OH | -CH ₂ -3-F-Ph |
| 8-979 | 4-AcNH | H | H | OH | -CH ₂ -4-F-Ph |
| 8-980 | 4-AcNH | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-981 | 4-AcNH | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-982 | 4-AcNH | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-983 | 4-AcNH | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-984 | 4-AcNH | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-985 | 4-AcNH | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-986 | 4-AcNH | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-987 | 4-AcNH | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-988 | 4-AcNH | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-989 | 4-AcNH | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-990 | 4-AcNH | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-991 | 4-AcNH | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-992 | 4-AcNH | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-993 | 4-AcNH | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-994 | 4-AcNH | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-995 | 4-AcNH | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-996 | 4-AcNH | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-997 | 1-F | H | H | OH | Ph |
| 8-998 | 1-F | H | H | OH | 1-Nap |
| 8-999 | 1-F | H | H | OH | 2-Nap |
| 8-1000 | 1-F | H | H | OH | Bz |
| 8-1001 | 1-F | H | H | OH | -CF ₂ -Ph |
| 8-1002 | 1-F | H | H | OH | -(CH ₂)-2-Nap |
| 8-1003 | 1-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-1004 | 1-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-1005 | 1-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-1006 | 1-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-1007 | 1-F | H | H | OH | -CH ₂ -3-Cl-Ph |

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| 8-1008 | 1-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1009 | 1-F | H | H | OH | -CH ₂ -3-F-Ph |
| 8-1010 | 1-F | H | H | OH | -CH ₂ -4-F-Ph |
| 8-1011 | 1-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1012 | 1-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1013 | 1-F | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1014 | 1-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1015 | 1-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1016 | 1-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1017 | 1-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1018 | 1-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1019 | 1-F | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1020 | 1-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1021 | 1-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1022 | 1-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1023 | 1-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1024 | 1-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1025 | 1-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1026 | 1-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1027 | 1-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1028 | 2-F | H | H | OH | Ph |
| 8-1029 | 2-F | H | H | OH | 1-Nap |
| 8-1030 | 2-F | H | H | OH | 2-Nap |
| 8-1031 | 2-F | H | H | OH | Bz |
| 8-1032 | 2-F | H | H | OH | -CF ₂ -Ph |
| 8-1033 | 2-F | H | H | OH | -(CH ₂)-2-Nap |
| 8-1034 | 2-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-1035 | 2-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-1036 | 2-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-1037 | 2-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-1038 | 2-F | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1039 | 2-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1040 | 2-F | H | H | OH | -CH ₂ -3-F-Ph |
| 8-1041 | 2-F | H | H | OH | -CH ₂ -4-F-Ph |
| 8-1042 | 2-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1043 | 2-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1044 | 2-F | H | H | OH | -CH ₂ -3-OMe-Ph |

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| 8-1045 | 2-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1046 | 2-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1047 | 2-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1048 | 2-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1049 | 2-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1050 | 2-F | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1051 | 2-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1052 | 2-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1053 | 2-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1054 | 2-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1055 | 2-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1056 | 2-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1057 | 2-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1058 | 2-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1059 | 3-F | H | H | OH | Ph |
| 8-1060 | 3-F | H | H | OH | 1-Nap |
| 8-1061 | 3-F | H | H | OH | 2-Nap |
| 8-1062 | 3-F | H | H | OH | Bz |
| 8-1063 | 3-F | H | H | OH | -CF ₂ -Ph |
| 8-1064 | 3-F | H | H | OH | -(CH ₂)-2-Nap |
| 8-1065 | 3-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-1066 | 3-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-1067 | 3-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-1068 | 3-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-1069 | 3-F | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1070 | 3-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1071 | 3-F | H | H | OH | -CH ₂ -3-F-Ph |
| 8-1072 | 3-F | H | H | OH | -CH ₂ -4-F-Ph |
| 8-1073 | 3-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1074 | 3-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1075 | 3-F | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1076 | 3-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1077 | 3-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1078 | 3-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1079 | 3-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1080 | 3-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1081 | 3-F | H | H | OH | -CH ₂ -3,4-diF-Ph |

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| 8-1082 | 3-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1083 | 3-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1084 | 3-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1085 | 3-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1086 | 3-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1087 | 3-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1088 | 3-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1089 | 3-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1090 | 4-F | H | H | OH | Ph |
| 8-1091 | 4-F | H | H | OH | 1-Nap |
| 8-1092 | 4-F | H | H | OH | 2-Nap |
| 8-1093 | 4-F | H | H | OH | Bz |
| 8-1094 | 4-F | H | H | OH | -CF ₂ -Ph |
| 8-1095 | 4-F | H | H | OH | -(CH ₂)-2-Nap |
| 8-1096 | 4-F | H | H | OH | -CH ₂ -3-Me-Ph |
| 8-1097 | 4-F | H | H | OH | -CH ₂ -4-Me-Ph |
| 8-1098 | 4-F | H | H | OH | -CH ₂ -3-Br-Ph |
| 8-1099 | 4-F | H | H | OH | -CH ₂ -4-Br-Ph |
| 8-1100 | 4-F | H | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1101 | 4-F | H | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1102 | 4-F | H | H | OH | -CH ₂ -3-F-Ph |
| 8-1103 | 4-F | H | H | OH | -CH ₂ -4-F-Ph |
| 8-1104 | 4-F | H | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1105 | 4-F | H | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1106 | 4-F | H | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1107 | 4-F | H | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1108 | 4-F | H | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1109 | 4-F | H | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1110 | 4-F | H | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1111 | 4-F | H | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1112 | 4-F | H | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1113 | 4-F | H | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1114 | 4-F | H | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1115 | 4-F | H | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1116 | 4-F | H | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1117 | 4-F | H | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1118 | 4-F | H | H | OH | -CH ₂ -3,4-Mtdo-Ph |

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| 8-1119 | 4-F | H | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1120 | 4-F | H | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1121 | 1-OMe | 2-OMe | H | OH | Ph |
| 8-1122 | 1-OMe | 2-OMe | H | OH | 1-Nap |
| 8-1123 | 1-OMe | 2-OMe | H | OH | 2-Nap |
| 8-1124 | 1-OMe | 2-OMe | H | OH | Bz |
| 8-1125 | 1-OMe | 2-OMe | H | OH | -CF ₂ -Ph |
| 8-1126 | 1-OMe | 2-OMe | H | OH | -(CH ₂)-2-Nap |
| 8-1127 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1128 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1129 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 8-1130 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1131 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1132 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1133 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 8-1134 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1135 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1136 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1137 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1138 | 1-OMe | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1139 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1140 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1141 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1142 | 1-OMe | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1143 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1144 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1145 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1146 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1147 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1148 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1149 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1150 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1151 | 1-OMe | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1152 | 2-OMe | 3-OMe | H | OH | Ph |
| 8-1153 | 2-OMe | 3-OMe | H | OH | 1-Nap |
| 8-1154 | 2-OMe | 3-OMe | H | OH | 2-Nap |
| 8-1155 | 2-OMe | 3-OMe | H | OH | Bz |

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| 8-1156 | 2-OMe | 3-OMe | H | OH | -CF ₂ -Ph |
| 8-1157 | 2-OMe | 3-OMe | H | OH | -(CH ₂)-2-Nap |
| 8-1158 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1159 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1160 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 8-1161 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1162 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1163 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1164 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-F-Ph |
| 8-1165 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1166 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1167 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1168 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1169 | 2-OMe | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1170 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1171 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1172 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1173 | 2-OMe | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1174 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1175 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1176 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1177 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1178 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1179 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1180 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1181 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1182 | 2-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1183 | 1,2-Mtdo | | H | OH | Ph |
| 8-1184 | 1,2-Mtdo | | H | OH | 1-Nap |
| 8-1185 | 1,2-Mtdo | | H | OH | 2-Nap |
| 8-1186 | 1,2-Mtdo | | H | OH | Bz |
| 8-1187 | 1,2-Mtdo | | H | OH | -CF ₂ -Ph |
| 8-1188 | 1,2-Mtdo | | H | OH | -(CH ₂)-2-Nap |
| 8-1189 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Me-Ph |
| 8-1190 | 1,2-Mtdo | | H | OH | -CH ₂ -4-Me-Ph |
| 8-1191 | 1,2-Mtdo | | H | OH | -CH ₂ -3-Br-Ph |
| 8-1192 | 1,2-Mtdo | | H | OH | -CH ₂ -4-Br-Ph |

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| 8-1193 | 1,2-Mtdo | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1194 | 1,2-Mtdo | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1195 | 1,2-Mtdo | H | OH | -CH ₂ -3-F-Ph |
| 8-1196 | 1,2-Mtdo | H | OH | -CH ₂ -4-F-Ph |
| 8-1197 | 1,2-Mtdo | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1198 | 1,2-Mtdo | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1199 | 1,2-Mtdo | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1200 | 1,2-Mtdo | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1201 | 1,2-Mtdo | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1202 | 1,2-Mtdo | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1203 | 1,2-Mtdo | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1204 | 1,2-Mtdo | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1205 | 1,2-Mtdo | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1206 | 1,2-Mtdo | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1207 | 1,2-Mtdo | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1208 | 1,2-Mtdo | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1209 | 1,2-Mtdo | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1210 | 1,2-Mtdo | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1211 | 1,2-Mtdo | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1212 | 1,2-Mtdo | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1213 | 1,2-Mtdo | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1214 | 2,3-Mtdo | H | OH | Ph |
| 8-1215 | 2,3-Mtdo | H | OH | 1-Nap |
| 8-1216 | 2,3-Mtdo | H | OH | 2-Nap |
| 8-1217 | 2,3-Mtdo | H | OH | Bz |
| 8-1218 | 2,3-Mtdo | H | OH | -CF ₂ -Ph |
| 8-1219 | 2,3-Mtdo | H | OH | -(CH ₂)-2-Nap |
| 8-1220 | 2,3-Mtdo | H | OH | -CH ₂ -3-Me-Ph |
| 8-1221 | 2,3-Mtdo | H | OH | -CH ₂ -4-Me-Ph |
| 8-1222 | 2,3-Mtdo | H | OH | -CH ₂ -3-Br-Ph |
| 8-1223 | 2,3-Mtdo | H | OH | -CH ₂ -4-Br-Ph |
| 8-1224 | 2,3-Mtdo | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1225 | 2,3-Mtdo | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1226 | 2,3-Mtdo | H | OH | -CH ₂ -3-F-Ph |
| 8-1227 | 2,3-Mtdo | H | OH | -CH ₂ -4-F-Ph |
| 8-1228 | 2,3-Mtdo | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1229 | 2,3-Mtdo | H | OH | -CH ₂ -4-Tfm-Ph |

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| 8-1230 | 2,3-Mtdo | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1231 | 2,3-Mtdo | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1232 | 2,3-Mtdo | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1233 | 2,3-Mtdo | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1234 | 2,3-Mtdo | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1235 | 2,3-Mtdo | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1236 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1237 | 2,3-Mtdo | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1238 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1239 | 2,3-Mtdo | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1240 | 2,3-Mtdo | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1241 | 2,3-Mtdo | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1242 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1243 | 2,3-Mtdo | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1244 | 2,3-Mtdo | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1245 | 2-F 3-F | H | OH | Ph |
| 8-1246 | 2-F 3-F | H | OH | 1-Nap |
| 8-1247 | 2-F 3-F | H | OH | 2-Nap |
| 8-1248 | 2-F 3-F | H | OH | Bz |
| 8-1249 | 2-F 3-F | H | OH | -CF ₂ -Ph |
| 8-1250 | 2-F 3-F | H | OH | -(CH ₂)-2-Nap |
| 8-1251 | 2-F 3-F | H | OH | -CH ₂ -3-Me-Ph |
| 8-1252 | 2-F 3-F | H | OH | -CH ₂ -4-Me-Ph |
| 8-1253 | 2-F 3-F | H | OH | -CH ₂ -3-Br-Ph |
| 8-1254 | 2-F 3-F | H | OH | -CH ₂ -4-Br-Ph |
| 8-1255 | 2-F 3-F | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1256 | 2-F 3-F | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1257 | 2-F 3-F | H | OH | -CH ₂ -3-F-Ph |
| 8-1258 | 2-F 3-F | H | OH | -CH ₂ -4-F-Ph |
| 8-1259 | 2-F 3-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1260 | 2-F 3-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1261 | 2-F 3-F | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1262 | 2-F 3-F | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1263 | 2-F 3-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1264 | 2-F 3-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1265 | 2-F 3-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1266 | 2-F 3-F | H | OH | -CH ₂ -2,6-diF-Ph |

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| 8-1267 | 2-F | 3-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1268 | 2-F | 3-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1269 | 2-F | 3-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1270 | 2-F | 3-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1271 | 2-F | 3-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1272 | 2-F | 3-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1273 | 2-F | 3-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1274 | 2-F | 3-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1275 | 2-F | 3-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1276 | 1-Cl | 2-OMe | H | OH | Ph |
| 8-1277 | 1-Cl | 2-OMe | H | OH | 1-Nap |
| 8-1278 | 1-Cl | 2-OMe | H | OH | 2-Nap |
| 8-1279 | 1-Cl | 2-OMe | H | OH | Bz |
| 8-1280 | 1-Cl | 2-OMe | H | OH | -CF ₂ -Ph |
| 8-1281 | 1-Cl | 2-OMe | H | OH | -(CH ₂)-2-Nap |
| 8-1282 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1283 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1284 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 8-1285 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1286 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1287 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1288 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 8-1289 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1290 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1291 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1292 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1293 | 1-Cl | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1294 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1295 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1296 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1297 | 1-Cl | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1298 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1299 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1300 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1301 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1302 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1303 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |

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| 8-1304 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1305 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1306 | 1-Cl | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1307 | 1-OMe | 2-Cl | H | OH | Ph |
| 8-1308 | 1-OMe | 2-Cl | H | OH | 1-Nap |
| 8-1309 | 1-OMe | 2-Cl | H | OH | 2-Nap |
| 8-1310 | 1-OMe | 2-Cl | H | OH | Bz |
| 8-1311 | 1-OMe | 2-Cl | H | OH | -CF ₂ -Ph |
| 8-1312 | 1-OMe | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1313 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-1314 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-1315 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1316 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1317 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1318 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1319 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-1320 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-1321 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1322 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1323 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1324 | 1-OMe | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1325 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1326 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1327 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1328 | 1-OMe | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1329 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1330 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1331 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1332 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1333 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1334 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1335 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1336 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1337 | 1-OMe | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1338 | 1-OMe | 2-Me | H | OH | Ph |
| 8-1339 | 1-OMe | 2-Me | H | OH | 1-Nap |
| 8-1340 | 1-OMe | 2-Me | H | OH | 2-Nap |

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| 8-1341 | 1-OMe | 2-Me | H | OH | Bz |
| 8-1342 | 1-OMe | 2-Me | H | OH | -CF ₂ -Ph |
| 8-1343 | 1-OMe | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1344 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1345 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1346 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1347 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1348 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1349 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1350 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1351 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1352 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1353 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1354 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1355 | 1-OMe | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1356 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1357 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1358 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1359 | 1-OMe | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1360 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1361 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1362 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1363 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1364 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1365 | 1-OMe | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1366 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1367 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1368 | 1-OMe | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1369 | 1-OMe | 3-OMe | H | OH | Ph |
| 8-1370 | 1-OMe | 3-OMe | H | OH | 1-Nap |
| 8-1371 | 1-OMe | 3-OMe | H | OH | 2-Nap |
| 8-1372 | 1-OMe | 3-OMe | H | OH | Bz |
| 8-1373 | 1-OMe | 3-OMe | H | OH | -CF ₂ -Ph |
| 8-1374 | 1-OMe | 3-OMe | H | OH | -(CH ₂)-2-Nap |
| 8-1375 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1376 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1377 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |

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| 8-1378 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1379 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1380 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1381 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-F-Ph |
| 8-1382 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1383 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1384 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1385 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1386 | 1-OMe | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1387 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1388 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1389 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1390 | 1-OMe | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1391 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1392 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1393 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1394 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1395 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1396 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1397 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1398 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1399 | 1-OMe | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1400 | 1-Me | 2-Me | H | OH | Ph |
| 8-1401 | 1-Me | 2-Me | H | OH | 1-Nap |
| 8-1402 | 1-Me | 2-Me | H | OH | 2-Nap |
| 8-1403 | 1-Me | 2-Me | H | OH | Bz |
| 8-1404 | 1-Me | 2-Me | H | OH | -CF ₂ -Ph |
| 8-1405 | 1-Me | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1406 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1407 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1408 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1409 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1410 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1411 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1412 | 1-Me | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1413 | 1-Me | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1414 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |

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| 8-1415 | 1-Me | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1416 | 1-Me | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1417 | 1-Me | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1418 | 1-Me | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1419 | 1-Me | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1420 | 1-Me | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1421 | 1-Me | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1422 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1423 | 1-Me | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1424 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1425 | 1-Me | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1426 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1427 | 1-Me | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1428 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1429 | 1-Me | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1430 | 1-Me | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1431 | 1-Me | 3-Me | H | OH | Ph |
| 8-1432 | 1-Me | 3-Me | H | OH | 1-Nap |
| 8-1433 | 1-Me | 3-Me | H | OH | 2-Nap |
| 8-1434 | 1-Me | 3-Me | H | OH | Bz |
| 8-1435 | 1-Me | 3-Me | H | OH | -CF ₂ -Ph |
| 8-1436 | 1-Me | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1437 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1438 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1439 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1440 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1441 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1442 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1443 | 1-Me | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1444 | 1-Me | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1445 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1446 | 1-Me | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1447 | 1-Me | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1448 | 1-Me | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1449 | 1-Me | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1450 | 1-Me | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1451 | 1-Me | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |

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| 8-1452 | 1-Me | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1453 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1454 | 1-Me | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1455 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1456 | 1-Me | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1457 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1458 | 1-Me | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1459 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1460 | 1-Me | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1461 | 1-Me | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1462 | 1-Me | 2-Cl | H | OH | Ph |
| 8-1463 | 1-Me | 2-Cl | H | OH | 1-Nap |
| 8-1464 | 1-Me | 2-Cl | H | OH | 2-Nap |
| 8-1465 | 1-Me | 2-Cl | H | OH | Bz |
| 8-1466 | 1-Me | 2-Cl | H | OH | -CF ₂ -Ph |
| 8-1467 | 1-Me | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1468 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-1469 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-1470 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1471 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1472 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1473 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1474 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-1475 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-1476 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1477 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1478 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1479 | 1-Me | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1480 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1481 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1482 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1483 | 1-Me | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1484 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1485 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1486 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1487 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1488 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |

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| 8-1489 | 1-Me | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1490 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1491 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1492 | 1-Me | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1493 | 1-Me | 2-OMe | H | OH | Ph |
| 8-1494 | 1-Me | 2-OMe | H | OH | 1-Nap |
| 8-1495 | 1-Me | 2-OMe | H | OH | 2-Nap |
| 8-1496 | 1-Me | 2-OMe | H | OH | Bz |
| 8-1497 | 1-Me | 2-OMe | H | OH | -CF ₂ -Ph |
| 8-1498 | 1-Me | 2-OMe | H | OH | -(CH ₂)-2-Nap |
| 8-1499 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1500 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1501 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 8-1502 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1503 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1504 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1505 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 8-1506 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1507 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1508 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1509 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1510 | 1-Me | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1511 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1512 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1513 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1514 | 1-Me | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1515 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1516 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1517 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1518 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1519 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1520 | 1-Me | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1521 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1522 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1523 | 1-Me | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1524 | 1-Cl | 2-Me | H | OH | Ph |
| 8-1525 | 1-Cl | 2-Me | H | OH | 1-Nap |

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| 8-1526 | 1-Cl | 2-Me | H | OH | 2-Nap |
| 8-1527 | 1-Cl | 2-Me | H | OH | Bz |
| 8-1528 | 1-Cl | 2-Me | H | OH | -CF ₂ -Ph |
| 8-1529 | 1-Cl | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1530 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1531 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1532 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1533 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1534 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1535 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1536 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1537 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1538 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1539 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1540 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1541 | 1-Cl | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1542 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1543 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1544 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1545 | 1-Cl | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1546 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1547 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1548 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1549 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1550 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1551 | 1-Cl | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1552 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1553 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1554 | 1-Cl | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1555 | 1-Cl | 2-Cl | H | OH | Ph |
| 8-1556 | 1-Cl | 2-Cl | H | OH | 1-Nap |
| 8-1557 | 1-Cl | 2-Cl | H | OH | 2-Nap |
| 8-1558 | 1-Cl | 2-Cl | H | OH | Bz |
| 8-1559 | 1-Cl | 2-Cl | H | OH | -CF ₂ -Ph |
| 8-1560 | 1-Cl | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1561 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-1562 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |

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| 8-1563 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1564 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1565 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1566 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1567 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-1568 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-1569 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1570 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1571 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1572 | 1-Cl | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1573 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1574 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1575 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1576 | 1-Cl | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1577 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1578 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1579 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1580 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1581 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1582 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1583 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1584 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1585 | 1-Cl | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1586 | 1-Cl | 3-Cl | H | OH | Ph |
| 8-1587 | 1-Cl | 3-Cl | H | OH | 1-Nap |
| 8-1588 | 1-Cl | 3-Cl | H | OH | 2-Nap |
| 8-1589 | 1-Cl | 3-Cl | H | OH | Bz |
| 8-1590 | 1-Cl | 3-Cl | H | OH | -CF ₂ -Ph |
| 8-1591 | 1-Cl | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1592 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-1593 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-1594 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1595 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1596 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1597 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1598 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-1599 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-F-Ph |

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| 8-1600 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1601 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1602 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1603 | 1-Cl | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1604 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1605 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1606 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1607 | 1-Cl | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1608 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1609 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1610 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1611 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1612 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1613 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1614 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1615 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1616 | 1-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1617 | 2-Me | 3-Me | H | OH | Ph |
| 8-1618 | 2-Me | 3-Me | H | OH | 1-Nap |
| 8-1619 | 2-Me | 3-Me | H | OH | 2-Nap |
| 8-1620 | 2-Me | 3-Me | H | OH | Bz |
| 8-1621 | 2-Me | 3-Me | H | OH | -CF ₂ -Ph |
| 8-1622 | 2-Me | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1623 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1624 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1625 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1626 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1627 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1628 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1629 | 2-Me | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1630 | 2-Me | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1631 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1632 | 2-Me | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1633 | 2-Me | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1634 | 2-Me | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1635 | 2-Me | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1636 | 2-Me | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |

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| 8-1637 | 2-Me | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1638 | 2-Me | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1639 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1640 | 2-Me | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1641 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1642 | 2-Me | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1643 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1644 | 2-Me | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1645 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1646 | 2-Me | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1647 | 2-Me | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1648 | 2-Me | 3-Cl | H | OH | Ph |
| 8-1649 | 2-Me | 3-Cl | H | OH | 1-Nap |
| 8-1650 | 2-Me | 3-Cl | H | OH | 2-Nap |
| 8-1651 | 2-Me | 3-Cl | H | OH | Bz |
| 8-1652 | 2-Me | 3-Cl | H | OH | -CF ₂ -Ph |
| 8-1653 | 2-Me | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1654 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-1655 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-1656 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1657 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1658 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1659 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1660 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-1661 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-1662 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1663 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1664 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1665 | 2-Me | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1666 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1667 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1668 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1669 | 2-Me | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1670 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1671 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1672 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1673 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |

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| 8-1674 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1675 | 2-Me | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1676 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1677 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1678 | 2-Me | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1679 | 2-Me | 3-OMe | H | OH | Ph |
| 8-1680 | 2-Me | 3-OMe | H | OH | 1-Nap |
| 8-1681 | 2-Me | 3-OMe | H | OH | 2-Nap |
| 8-1682 | 2-Me | 3-OMe | H | OH | Bz |
| 8-1683 | 2-Me | 3-OMe | H | OH | -CF ₂ -Ph |
| 8-1684 | 2-Me | 3-OMe | H | OH | -(CH ₂)-2-Nap |
| 8-1685 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1686 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1687 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 8-1688 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1689 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1690 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1691 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-F-Ph |
| 8-1692 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1693 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1694 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1695 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1696 | 2-Me | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1697 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1698 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1699 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1700 | 2-Me | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1701 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1702 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1703 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1704 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1705 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1706 | 2-Me | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1707 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1708 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1709 | 2-Me | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1710 | 2-Cl | 3-Me | H | OH | Ph |

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| 8-1711 | 2-Cl | 3-Me | H | OH | 1-Nap |
| 8-1712 | 2-Cl | 3-Me | H | OH | 2-Nap |
| 8-1713 | 2-Cl | 3-Me | H | OH | Bz |
| 8-1714 | 2-Cl | 3-Me | H | OH | -CF ₂ -Ph |
| 8-1715 | 2-Cl | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1716 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1717 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1718 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1719 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1720 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1721 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1722 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1723 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1724 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1725 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1726 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1727 | 2-Cl | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1728 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1729 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1730 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1731 | 2-Cl | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1732 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1733 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1734 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1735 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1736 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1737 | 2-Cl | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1738 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1739 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1740 | 2-Cl | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1741 | 2-Cl | 3-Cl | H | OH | Ph |
| 8-1742 | 2-Cl | 3-Cl | H | OH | 1-Nap |
| 8-1743 | 2-Cl | 3-Cl | H | OH | 2-Nap |
| 8-1744 | 2-Cl | 3-Cl | H | OH | Bz |
| 8-1745 | 2-Cl | 3-Cl | H | OH | -CF ₂ -Ph |
| 8-1746 | 2-Cl | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1747 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |

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| 8-1748 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-1749 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1750 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1751 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1752 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1753 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-1754 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-1755 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1756 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1757 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1758 | 2-Cl | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1759 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1760 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1761 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1762 | 2-Cl | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1763 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1764 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1765 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1766 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1767 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1768 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1769 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1770 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1771 | 2-Cl | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1772 | 2-Cl | 3-OMe | H | OH | Ph |
| 8-1773 | 2-Cl | 3-OMe | H | OH | 1-Nap |
| 8-1774 | 2-Cl | 3-OMe | H | OH | 2-Nap |
| 8-1775 | 2-Cl | 3-OMe | H | OH | Bz |
| 8-1776 | 2-Cl | 3-OMe | H | OH | -CF ₂ -Ph |
| 8-1777 | 2-Cl | 3-OMe | H | OH | -(CH ₂)-2-Nap |
| 8-1778 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1779 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1780 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 8-1781 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1782 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1783 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1784 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-F-Ph |

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| 8-1785 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1786 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1787 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1788 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1789 | 2-Cl | 3-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1790 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1791 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1792 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1793 | 2-Cl | 3-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1794 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1795 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1796 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1797 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1798 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1799 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1800 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1801 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1802 | 2-Cl | 3-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1803 | 2-OMe | 3-Me | H | OH | Ph |
| 8-1804 | 2-OMe | 3-Me | H | OH | 1-Nap |
| 8-1805 | 2-OMe | 3-Me | H | OH | 2-Nap |
| 8-1806 | 2-OMe | 3-Me | H | OH | Bz |
| 8-1807 | 2-OMe | 3-Me | H | OH | -CF ₂ -Ph |
| 8-1808 | 2-OMe | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1809 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1810 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1811 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1812 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1813 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1814 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1815 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1816 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1817 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1818 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1819 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1820 | 2-OMe | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1821 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |

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| 8-1822 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1823 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1824 | 2-OMe | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1825 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1826 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1827 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1828 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1829 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1830 | 2-OMe | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1831 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1832 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1833 | 2-OMe | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1834 | 2-OMe | 3-Cl | H | OH | Ph |
| 8-1835 | 2-OMe | 3-Cl | H | OH | 1-Nap |
| 8-1836 | 2-OMe | 3-Cl | H | OH | 2-Nap |
| 8-1837 | 2-OMe | 3-Cl | H | OH | Bz |
| 8-1838 | 2-OMe | 3-Cl | H | OH | -CF ₂ -Ph |
| 8-1839 | 2-OMe | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1840 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-1841 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-1842 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1843 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1844 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1845 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1846 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-1847 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-1848 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1849 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1850 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1851 | 2-OMe | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1852 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1853 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1854 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1855 | 2-OMe | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1856 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1857 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1858 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |

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| 8-1859 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1860 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1861 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1862 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1863 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1864 | 2-OMe | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1865 | 1-F | 2-F | H | OH | Ph |
| 8-1866 | 1-F | 2-F | H | OH | 1-Nap |
| 8-1867 | 1-F | 2-F | H | OH | 2-Nap |
| 8-1868 | 1-F | 2-F | H | OH | Bz |
| 8-1869 | 1-F | 2-F | H | OH | -CF ₂ -Ph |
| 8-1870 | 1-F | 2-F | H | OH | -(CH ₂)-2-Nap |
| 8-1871 | 1-F | 2-F | H | OH | -CH ₂ -3-Me-Ph |
| 8-1872 | 1-F | 2-F | H | OH | -CH ₂ -4-Me-Ph |
| 8-1873 | 1-F | 2-F | H | OH | -CH ₂ -3-Br-Ph |
| 8-1874 | 1-F | 2-F | H | OH | -CH ₂ -4-Br-Ph |
| 8-1875 | 1-F | 2-F | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1876 | 1-F | 2-F | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1877 | 1-F | 2-F | H | OH | -CH ₂ -3-F-Ph |
| 8-1878 | 1-F | 2-F | H | OH | -CH ₂ -4-F-Ph |
| 8-1879 | 1-F | 2-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1880 | 1-F | 2-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1881 | 1-F | 2-F | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1882 | 1-F | 2-F | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1883 | 1-F | 2-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1884 | 1-F | 2-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1885 | 1-F | 2-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1886 | 1-F | 2-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1887 | 1-F | 2-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1888 | 1-F | 2-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1889 | 1-F | 2-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1890 | 1-F | 2-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1891 | 1-F | 2-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1892 | 1-F | 2-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1893 | 1-F | 2-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1894 | 1-F | 2-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1895 | 1-F | 2-F | H | OH | -CH ₂ -3,5-diMe-Ph |

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| 8-1896 | 1-F | 2-Me | H | OH | Ph |
| 8-1897 | 1-F | 2-Me | H | OH | 1-Nap |
| 8-1898 | 1-F | 2-Me | H | OH | 2-Nap |
| 8-1899 | 1-F | 2-Me | H | OH | Bz |
| 8-1900 | 1-F | 2-Me | H | OH | -CF ₂ -Ph |
| 8-1901 | 1-F | 2-Me | H | OH | -(CH ₂)-2-Nap |
| 8-1902 | 1-F | 2-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-1903 | 1-F | 2-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-1904 | 1-F | 2-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-1905 | 1-F | 2-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-1906 | 1-F | 2-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1907 | 1-F | 2-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1908 | 1-F | 2-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-1909 | 1-F | 2-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-1910 | 1-F | 2-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1911 | 1-F | 2-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1912 | 1-F | 2-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1913 | 1-F | 2-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1914 | 1-F | 2-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1915 | 1-F | 2-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1916 | 1-F | 2-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1917 | 1-F | 2-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1918 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1919 | 1-F | 2-Me | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1920 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1921 | 1-F | 2-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1922 | 1-F | 2-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1923 | 1-F | 2-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1924 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1925 | 1-F | 2-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1926 | 1-F | 2-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1927 | 1-F | 2-OMe | H | OH | Ph |
| 8-1928 | 1-F | 2-OMe | H | OH | 1-Nap |
| 8-1929 | 1-F | 2-OMe | H | OH | 2-Nap |
| 8-1930 | 1-F | 2-OMe | H | OH | Bz |
| 8-1931 | 1-F | 2-OMe | H | OH | -CF ₂ -Ph |
| 8-1932 | 1-F | 2-OMe | H | OH | -(CH ₂)-2-Nap |

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| 8-1933 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Me-Ph |
| 8-1934 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Me-Ph |
| 8-1935 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Br-Ph |
| 8-1936 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Br-Ph |
| 8-1937 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1938 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Cl-Ph |
| 8-1939 | 1-F | 2-OMe | H | OH | -CH ₂ -3-F-Ph |
| 8-1940 | 1-F | 2-OMe | H | OH | -CH ₂ -4-F-Ph |
| 8-1941 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1942 | 1-F | 2-OMe | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1943 | 1-F | 2-OMe | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1944 | 1-F | 2-OMe | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1945 | 1-F | 2-OMe | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1946 | 1-F | 2-OMe | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1947 | 1-F | 2-OMe | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1948 | 1-F | 2-OMe | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1949 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1950 | 1-F | 2-OMe | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1951 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1952 | 1-F | 2-OMe | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1953 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1954 | 1-F | 2-OMe | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1955 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1956 | 1-F | 2-OMe | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1957 | 1-F | 2-OMe | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1958 | 1-F | 2-Br | H | OH | Ph |
| 8-1959 | 1-F | 2-Br | H | OH | 1-Nap |
| 8-1960 | 1-F | 2-Br | H | OH | 2-Nap |
| 8-1961 | 1-F | 2-Br | H | OH | Bz |
| 8-1962 | 1-F | 2-Br | H | OH | -CF ₂ -Ph |
| 8-1963 | 1-F | 2-Br | H | OH | -(CH ₂)-2-Nap |
| 8-1964 | 1-F | 2-Br | H | OH | -CH ₂ -3-Me-Ph |
| 8-1965 | 1-F | 2-Br | H | OH | -CH ₂ -4-Me-Ph |
| 8-1966 | 1-F | 2-Br | H | OH | -CH ₂ -3-Br-Ph |
| 8-1967 | 1-F | 2-Br | H | OH | -CH ₂ -4-Br-Ph |
| 8-1968 | 1-F | 2-Br | H | OH | -CH ₂ -3-Cl-Ph |
| 8-1969 | 1-F | 2-Br | H | OH | -CH ₂ -4-Cl-Ph |

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| 8-1970 | 1-F | 2-Br | H | OH | -CH ₂ -3-F-Ph |
| 8-1971 | 1-F | 2-Br | H | OH | -CH ₂ -4-F-Ph |
| 8-1972 | 1-F | 2-Br | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-1973 | 1-F | 2-Br | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-1974 | 1-F | 2-Br | H | OH | -CH ₂ -3-OMe-Ph |
| 8-1975 | 1-F | 2-Br | H | OH | -CH ₂ -4-OMe-Ph |
| 8-1976 | 1-F | 2-Br | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-1977 | 1-F | 2-Br | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-1978 | 1-F | 2-Br | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-1979 | 1-F | 2-Br | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-1980 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-1981 | 1-F | 2-Br | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-1982 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-1983 | 1-F | 2-Br | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-1984 | 1-F | 2-Br | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-1985 | 1-F | 2-Br | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-1986 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-1987 | 1-F | 2-Br | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-1988 | 1-F | 2-Br | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-1989 | 2-F | 3-Cl | H | OH | Ph |
| 8-1990 | 2-F | 3-Cl | H | OH | 1-Nap |
| 8-1991 | 2-F | 3-Cl | H | OH | 2-Nap |
| 8-1992 | 2-F | 3-Cl | H | OH | Bz |
| 8-1993 | 2-F | 3-Cl | H | OH | -CF ₂ -Ph |
| 8-1994 | 2-F | 3-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-1995 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-1996 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-1997 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-1998 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-1999 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Cl-Ph |
| 8-2000 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-2001 | 2-F | 3-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-2002 | 2-F | 3-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-2003 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-2004 | 2-F | 3-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-2005 | 2-F | 3-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-2006 | 2-F | 3-Cl | H | OH | -CH ₂ -4-OMe-Ph |

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| 8-2007 | 2-F | 3-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-2008 | 2-F | 3-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-2009 | 2-F | 3-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-2010 | 2-F | 3-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-2011 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-2012 | 2-F | 3-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-2013 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2014 | 2-F | 3-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2015 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2016 | 2-F | 3-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2017 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2018 | 2-F | 3-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2019 | 2-F | 3-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2020 | 2-F | 3-Me | H | OH | Ph |
| 8-2021 | 2-F | 3-Me | H | OH | 1-Nap |
| 8-2022 | 2-F | 3-Me | H | OH | 2-Nap |
| 8-2023 | 2-F | 3-Me | H | OH | Bz |
| 8-2024 | 2-F | 3-Me | H | OH | -CF ₂ -Ph |
| 8-2025 | 2-F | 3-Me | H | OH | -(CH ₂)-2-Nap |
| 8-2026 | 2-F | 3-Me | H | OH | -CH ₂ -3-Me-Ph |
| 8-2027 | 2-F | 3-Me | H | OH | -CH ₂ -4-Me-Ph |
| 8-2028 | 2-F | 3-Me | H | OH | -CH ₂ -3-Br-Ph |
| 8-2029 | 2-F | 3-Me | H | OH | -CH ₂ -4-Br-Ph |
| 8-2030 | 2-F | 3-Me | H | OH | -CH ₂ -3-Cl-Ph |
| 8-2031 | 2-F | 3-Me | H | OH | -CH ₂ -4-Cl-Ph |
| 8-2032 | 2-F | 3-Me | H | OH | -CH ₂ -3-F-Ph |
| 8-2033 | 2-F | 3-Me | H | OH | -CH ₂ -4-F-Ph |
| 8-2034 | 2-F | 3-Me | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-2035 | 2-F | 3-Me | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-2036 | 2-F | 3-Me | H | OH | -CH ₂ -3-OMe-Ph |
| 8-2037 | 2-F | 3-Me | H | OH | -CH ₂ -4-OMe-Ph |
| 8-2038 | 2-F | 3-Me | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-2039 | 2-F | 3-Me | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-2040 | 2-F | 3-Me | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-2041 | 2-F | 3-Me | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-2042 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-2043 | 2-F | 3-Me | H | OH | -CH ₂ -3,5-diF-Ph |

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| 8-2044 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2045 | 2-F | 3-Me | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2046 | 2-F | 3-Me | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2047 | 2-F | 3-Me | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2048 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2049 | 2-F | 3-Me | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2050 | 2-F | 3-Me | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2051 | 1-Me | 2-F | H | OH | Ph |
| 8-2052 | 1-Me | 2-F | H | OH | 1-Nap |
| 8-2053 | 1-Me | 2-F | H | OH | 2-Nap |
| 8-2054 | 1-Me | 2-F | H | OH | Bz |
| 8-2055 | 1-Me | 2-F | H | OH | -CF ₂ -Ph |
| 8-2056 | 1-Me | 2-F | H | OH | -(CH ₂)-2-Nap |
| 8-2057 | 1-Me | 2-F | H | OH | -CH ₂ -3-Me-Ph |
| 8-2058 | 1-Me | 2-F | H | OH | -CH ₂ -4-Me-Ph |
| 8-2059 | 1-Me | 2-F | H | OH | -CH ₂ -3-Br-Ph |
| 8-2060 | 1-Me | 2-F | H | OH | -CH ₂ -4-Br-Ph |
| 8-2061 | 1-Me | 2-F | H | OH | -CH ₂ -3-Cl-Ph |
| 8-2062 | 1-Me | 2-F | H | OH | -CH ₂ -4-Cl-Ph |
| 8-2063 | 1-Me | 2-F | H | OH | -CH ₂ -3-F-Ph |
| 8-2064 | 1-Me | 2-F | H | OH | -CH ₂ -4-F-Ph |
| 8-2065 | 1-Me | 2-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-2066 | 1-Me | 2-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-2067 | 1-Me | 2-F | H | OH | -CH ₂ -3-OMe-Ph |
| 8-2068 | 1-Me | 2-F | H | OH | -CH ₂ -4-OMe-Ph |
| 8-2069 | 1-Me | 2-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-2070 | 1-Me | 2-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-2071 | 1-Me | 2-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-2072 | 1-Me | 2-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-2073 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-2074 | 1-Me | 2-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-2075 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2076 | 1-Me | 2-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2077 | 1-Me | 2-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2078 | 1-Me | 2-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2079 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2080 | 1-Me | 2-F | H | OH | -CH ₂ -3,4-diMe-Ph |

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| 8-2081 | 1-Me | 2-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2082 | 2-Me | 3-F | H | OH | Ph |
| 8-2083 | 2-Me | 3-F | H | OH | 1-Nap |
| 8-2084 | 2-Me | 3-F | H | OH | 2-Nap |
| 8-2085 | 2-Me | 3-F | H | OH | Bz |
| 8-2086 | 2-Me | 3-F | H | OH | -CF ₂ -Ph |
| 8-2087 | 2-Me | 3-F | H | OH | -(CH ₂)-2-Nap |
| 8-2088 | 2-Me | 3-F | H | OH | -CH ₂ -3-Me-Ph |
| 8-2089 | 2-Me | 3-F | H | OH | -CH ₂ -4-Me-Ph |
| 8-2090 | 2-Me | 3-F | H | OH | -CH ₂ -3-Br-Ph |
| 8-2091 | 2-Me | 3-F | H | OH | -CH ₂ -4-Br-Ph |
| 8-2092 | 2-Me | 3-F | H | OH | -CH ₂ -3-Cl-Ph |
| 8-2093 | 2-Me | 3-F | H | OH | -CH ₂ -4-Cl-Ph |
| 8-2094 | 2-Me | 3-F | H | OH | -CH ₂ -3-F-Ph |
| 8-2095 | 2-Me | 3-F | H | OH | -CH ₂ -4-F-Ph |
| 8-2096 | 2-Me | 3-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-2097 | 2-Me | 3-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-2098 | 2-Me | 3-F | H | OH | -CH ₂ -3-OMe-Ph |
| 8-2099 | 2-Me | 3-F | H | OH | -CH ₂ -4-OMe-Ph |
| 8-2100 | 2-Me | 3-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-2101 | 2-Me | 3-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-2102 | 2-Me | 3-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-2103 | 2-Me | 3-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-2104 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-2105 | 2-Me | 3-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-2106 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2107 | 2-Me | 3-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2108 | 2-Me | 3-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2109 | 2-Me | 3-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2110 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2111 | 2-Me | 3-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2112 | 2-Me | 3-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2113 | 1-Cl | 2-F | H | OH | Ph |
| 8-2114 | 1-Cl | 2-F | H | OH | 1-Nap |
| 8-2115 | 1-Cl | 2-F | H | OH | 2-Nap |
| 8-2116 | 1-Cl | 2-F | H | OH | Bz |
| 8-2117 | 1-Cl | 2-F | H | OH | -CF ₂ -Ph |

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| 8-2118 | 1-Cl | 2-F | H | OH | -(CH ₂)-2-Nap |
| 8-2119 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Me-Ph |
| 8-2120 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Me-Ph |
| 8-2121 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Br-Ph |
| 8-2122 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Br-Ph |
| 8-2123 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Cl-Ph |
| 8-2124 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Cl-Ph |
| 8-2125 | 1-Cl | 2-F | H | OH | -CH ₂ -3-F-Ph |
| 8-2126 | 1-Cl | 2-F | H | OH | -CH ₂ -4-F-Ph |
| 8-2127 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-2128 | 1-Cl | 2-F | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-2129 | 1-Cl | 2-F | H | OH | -CH ₂ -3-OMe-Ph |
| 8-2130 | 1-Cl | 2-F | H | OH | -CH ₂ -4-OMe-Ph |
| 8-2131 | 1-Cl | 2-F | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-2132 | 1-Cl | 2-F | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-2133 | 1-Cl | 2-F | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-2134 | 1-Cl | 2-F | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-2135 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-2136 | 1-Cl | 2-F | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-2137 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2138 | 1-Cl | 2-F | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2139 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2140 | 1-Cl | 2-F | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2141 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2142 | 1-Cl | 2-F | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2143 | 1-Cl | 2-F | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2144 | 1-Tfm | 2-Cl | H | OH | Ph |
| 8-2145 | 1-Tfm | 2-Cl | H | OH | 1-Nap |
| 8-2146 | 1-Tfm | 2-Cl | H | OH | 2-Nap |
| 8-2147 | 1-Tfm | 2-Cl | H | OH | Bz |
| 8-2148 | 1-Tfm | 2-Cl | H | OH | -CF ₂ -Ph |
| 8-2149 | 1-Tfm | 2-Cl | H | OH | -(CH ₂)-2-Nap |
| 8-2150 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Me-Ph |
| 8-2151 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Me-Ph |
| 8-2152 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Br-Ph |
| 8-2153 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Br-Ph |
| 8-2154 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Cl-Ph |

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| 8-2155 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Cl-Ph |
| 8-2156 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-F-Ph |
| 8-2157 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-F-Ph |
| 8-2158 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Tfm-Ph |
| 8-2159 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-Tfm-Ph |
| 8-2160 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-OMe-Ph |
| 8-2161 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -4-OMe-Ph |
| 8-2162 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,3-diF-Ph |
| 8-2163 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,4-diF-Ph |
| 8-2164 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,5-diF-Ph |
| 8-2165 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -2,6-diF-Ph |
| 8-2166 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-diF-Ph |
| 8-2167 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,5-diF-Ph |
| 8-2168 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2169 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2170 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2171 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2172 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2173 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2174 | 1-Tfm | 2-Cl | H | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2175 | 1-OMe | 2-OMe | 3-OMe | OH | Ph |
| 8-2176 | 1-OMe | 2-OMe | 3-OMe | OH | 1-Nap |
| 8-2177 | 1-OMe | 2-OMe | 3-OMe | OH | 2-Nap |
| 8-2178 | 1-OMe | 2-OMe | 3-OMe | OH | Bz |
| 8-2179 | 1-OMe | 2-OMe | 3-OMe | OH | -CF ₂ -Ph |
| 8-2180 | 1-OMe | 2-OMe | 3-OMe | OH | -(CH ₂)-2-Nap |
| 8-2181 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-Ph |
| 8-2182 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Me-Ph |
| 8-2183 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Br-Ph |
| 8-2184 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Br-Ph |
| 8-2185 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-Ph |
| 8-2186 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Cl-Ph |
| 8-2187 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-F-Ph |
| 8-2188 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-F-Ph |
| 8-2189 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Tfm-Ph |
| 8-2190 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-Tfm-Ph |
| 8-2191 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-OMe-Ph |

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| 8-2192 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -4-OMe-Ph |
| 8-2193 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,3-diF-Ph |
| 8-2194 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,4-diF-Ph |
| 8-2195 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,5-diF-Ph |
| 8-2196 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -2,6-diF-Ph |
| 8-2197 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diF-Ph |
| 8-2198 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diF-Ph |
| 8-2199 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2200 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2201 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2202 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2203 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2204 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2205 | 1-OMe | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2206 | 1-Cl | 2-OMe | 3-OMe | OH | Ph |
| 8-2207 | 1-Cl | 2-OMe | 3-OMe | OH | 1-Nap |
| 8-2208 | 1-Cl | 2-OMe | 3-OMe | OH | 2-Nap |
| 8-2209 | 1-Cl | 2-OMe | 3-OMe | OH | Bz |
| 8-2210 | 1-Cl | 2-OMe | 3-OMe | OH | -CF ₂ -Ph |
| 8-2211 | 1-Cl | 2-OMe | 3-OMe | OH | -(CH ₂)-2-Nap |
| 8-2212 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-Ph |
| 8-2213 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Me-Ph |
| 8-2214 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Br-Ph |
| 8-2215 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Br-Ph |
| 8-2216 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-Ph |
| 8-2217 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Cl-Ph |
| 8-2218 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-F-Ph |
| 8-2219 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-F-Ph |
| 8-2220 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Tfm-Ph |
| 8-2221 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-Tfm-Ph |
| 8-2222 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-OMe-Ph |
| 8-2223 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -4-OMe-Ph |
| 8-2224 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,3-diF-Ph |
| 8-2225 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,4-diF-Ph |
| 8-2226 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,5-diF-Ph |
| 8-2227 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -2,6-diF-Ph |
| 8-2228 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diF-Ph |

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| 8-2229 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diF-Ph |
| 8-2230 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2231 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2232 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2233 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2234 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2235 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2236 | 1-Cl | 2-OMe | 3-OMe | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2237 | 1-Cl | 2-Cl | 3-Cl | OH | Ph |
| 8-2238 | 1-Cl | 2-Cl | 3-Cl | OH | 1-Nap |
| 8-2239 | 1-Cl | 2-Cl | 3-Cl | OH | 2-Nap |
| 8-2240 | 1-Cl | 2-Cl | 3-Cl | OH | Bz |
| 8-2241 | 1-Cl | 2-Cl | 3-Cl | OH | -CF ₂ -Ph |
| 8-2242 | 1-Cl | 2-Cl | 3-Cl | OH | -(CH ₂)-2-Nap |
| 8-2243 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Me-Ph |
| 8-2244 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Me-Ph |
| 8-2245 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Br-Ph |
| 8-2246 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Br-Ph |
| 8-2247 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Cl-Ph |
| 8-2248 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Cl-Ph |
| 8-2249 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-F-Ph |
| 8-2250 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-F-Ph |
| 8-2251 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Tfm-Ph |
| 8-2252 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-Tfm-Ph |
| 8-2253 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-OMe-Ph |
| 8-2254 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -4-OMe-Ph |
| 8-2255 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,3-diF-Ph |
| 8-2256 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,4-diF-Ph |
| 8-2257 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,5-diF-Ph |
| 8-2258 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -2,6-diF-Ph |
| 8-2259 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-diF-Ph |
| 8-2260 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,5-diF-Ph |
| 8-2261 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2262 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2263 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2264 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2265 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-Mtdo-Ph |

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| 8-2266 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2267 | 1-Cl | 2-Cl | 3-Cl | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2268 | 1-Me | 2-OMe | 3-Me | OH | Ph |
| 8-2269 | 1-Me | 2-OMe | 3-Me | OH | 1-Nap |
| 8-2270 | 1-Me | 2-OMe | 3-Me | OH | 2-Nap |
| 8-2271 | 1-Me | 2-OMe | 3-Me | OH | Bz |
| 8-2272 | 1-Me | 2-OMe | 3-Me | OH | -CF ₂ -Ph |
| 8-2273 | 1-Me | 2-OMe | 3-Me | OH | -(CH ₂)-2-Nap |
| 8-2274 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Me-Ph |
| 8-2275 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Me-Ph |
| 8-2276 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Br-Ph |
| 8-2277 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Br-Ph |
| 8-2278 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Cl-Ph |
| 8-2279 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Cl-Ph |
| 8-2280 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-F-Ph |
| 8-2281 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-F-Ph |
| 8-2282 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Tfm-Ph |
| 8-2283 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-Tfm-Ph |
| 8-2284 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-OMe-Ph |
| 8-2285 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -4-OMe-Ph |
| 8-2286 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,3-diF-Ph |
| 8-2287 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,4-diF-Ph |
| 8-2288 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,5-diF-Ph |
| 8-2289 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -2,6-diF-Ph |
| 8-2290 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-diF-Ph |
| 8-2291 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,5-diF-Ph |
| 8-2292 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-diCl-Ph |
| 8-2293 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,5-diCl-Ph |
| 8-2294 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Cl-4-F-Ph |
| 8-2295 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3-Me-4-Cl-Ph |
| 8-2296 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-Mtdo-Ph |
| 8-2297 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,4-diMe-Ph |
| 8-2298 | 1-Me | 2-OMe | 3-Me | OH | -CH ₂ -3,5-diMe-Ph |
| 8-2299 | H | H | H | OH | -CH ₂ -2-BzO-Ph |
| 8-2300 | 2-OH | 3-Cl | H | OH | Bz |
| 8-2301 | 2-Me | 3-OH | H | OH | Bz |
| 8-2302 | 1-Cl | 2-OMe | 3-Cl | OH | Bz |

| | | | | | |
|--------|------|-------|---|----|----|
| 8-2303 | 1-Br | 2-Cl | H | OH | Bz |
| 8-2304 | 2-Cl | 3-Br | H | OH | Bz |
| 8-2305 | 2-OH | 3-OMe | H | OH | Bz |

The preferred compounds in the exemplified compounds described above are the compounds of Exemplification compound number : 1-2, 1-4, 1-8, 1-10, 1-13, 1-14, 1-17, 1-18, 1-22, 1-26, 1-32, 1-45, 1-48, 1-54, 1-70, 1-76, 1-92, 1-98, 1-114, 1-120, 1-136, 1-142, 1-180, 1-186, 1-202, 1-208, 2-2, 2-3, 2-4, 2-5, 2-6, 2-9, 2-10, 2-29, 2-30, 2-31, 2-32, 2-61, 2-63, 2-96, 2-101, 2-102, 2-188, 2-193, 2-194, 2-280, 2-285, 2-286, 2-372, 2-377, 2-378, 2-464, 2-469, 2-470, 2-556, 2-561, 2-562, 2-740, 2-745, 2-746, 2-832, 2-837, 2-838, 3-4, 3-7, 3-8, 3-9, 3-10, 3-11, 3-13, 3-15, 3-16, 3-17, 3-26, 3-27, 3-28, 3-29, 3-30, 3-31, 3-32, 3-33, 3-34, 3-35, 3-36, 3-37, 3-38, 3-39, 3-40, 3-41, 3-42, 3-43, 3-44, 3-45, 3-46, 3-48, 3-49, 3-52, 3-55, 3-58, 3-61, 3-64, 3-71, 3-74, 3-75, 3-76, 3-77, 3-79, 3-81, 3-82, 3-88, 3-89, 3-93, 3-96, 3-97, 3-110, 3-113, 3-115, 3-118, 3-119, 3-121, 3-125, 3-126, 3-127, 3-129, 3-132, 3-133, 3-136, 3-140, 3-142, 3-144, 3-146, 3-157, 3-160, 3-163, 3-164, 3-167, 3-169, 3-171, 3-173, 3-175, 3-177, 3-188, 3-191, 3-194, 3-195, 3-198, 3-202, 3-204, 3-206, 3-208, 3-219, 3-222, 3-225, 3-226, 3-229, 3-233, 3-235, 3-237, 3-239, 3-250, 3-253, 3-256, 3-257, 3-260, 3-264, 3-266, 3-268, 3-270, 3-281, 3-284, 3-287, 3-288, 3-291, 3-295, 3-297, 3-299, 3-301, 3-312, 3-346, 3-349, 3-350, 3-353, 3-357, 3-359, 3-361, 3-363, 3-374, 3-377, 3-380, 3-381, 3-384, 3-388, 3-390, 3-392, 3-394, 3-405, 3-408, 3-411, 3-412, 3-415, 3-419, 3-421, 3-423, 3-425, 3-436, 3-439, 3-442, 3-443, 3-446, 3-450, 3-452, 3-454, 3-456, 3-467, 3-470, 3-473, 3-474, 3-477, 3-481, 3-483, 3-485, 3-487, 3-498, 3-501, 3-504, 3-505, 3-508, 3-512, 3-514, 3-516, 3-518, 3-529, 3-532, 3-535, 3-536, 3-539, 3-543, 3-545, 3-547, 3-549, 3-560, 3-563, 3-566, 3-567, 3-570, 3-574, 3-576, 3-578, 3-580, 3-591, 3-594, 3-597, 3-598, 3-601, 3-605, 3-607, 3-609, 3-611, 3-622, 3-625, 3-628, 3-629, 3-632, 3-636, 3-638, 3-640, 3-642, 3-653, 3-656, 3-659, 3-660, 3-663, 3-667, 3-669, 3-671, 3-673, 3-684, 3-687, 3-690, 3-691, 3-694, 3-698, 3-700, 3-702, 3-704, 3-715, 3-718, 3-721, 3-722, 3-725, 3-729, 3-731, 3-733, 3-735, 3-746, 3-749, 3-752, 3-753, 3-756, 3-760, 3-762, 3-764, 3-766, 3-777, 3-783, 3-814, 3-845, 3-876, 3-907, 3-938, 3-997, 3-1000, 3-1001, 3-1004, 3-1008, 3-1010, 3-1012, 3-1014, 3-1025, 3-1028, 3-1031, 3-1032, 3-1035, 3-1039, 3-1041, 3-1043, 3-1045, 3-1056, 3-1059, 3-1062, 3-1063, 3-1066, 3-1070, 3-1072, 3-1074, 3-1076, 3-1087, 3-1093, 3-1121, 3-1124, 3-1125, 3-1128, 3-1132, 3-1134, 3-1136, 3-1138, 3-1149, 3-1152, 3-1155, 3-1156, 3-1158, 3-1159, 3-1160, 3-1161, 3-1162, 3-1163, 3-1164, 3-1165, 3-1166, 3-1167, 3-1168, 3-1169, 3-1180, 3-1183, 3-1186, 3-1187, 3-1190, 3-1194, 3-1196, 3-1198, 3-1200, 3-1211, 3-1214, 3-1217, 3-1218, 3-1221, 3-1225, 3-1227, 3-1229, 3-1231, 3-1242, 3-1245, 3-1248, 3-1249, 3-1252, 3-1256, 3-1258, 3-1260, 3-1262, 3-1273, 3-1276, 3-1279, 3-1280, 3-1283, 3-1287, 3-1289, 3-1291, 3-1293, 3-1304, 3-1307, 3-1310, 3-1311, 3-1314, 3-1318, 3-1320, 3-1322, 3-1324, 3-1335, 3-1338, 3-

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the more preferred compounds are the compounds of Exemplification number: 1-4, 1-10, 1-32, 1-54, 1-76, 1-98, 1-120, 1-142, 1-186, 1-208, 2-4, 2-96, 2-188, 2-280, 2-372, 2-464, 2-556, 2-740, 2-832, 3-4, 3-7, 3-11, 3-15, 3-27, 3-28, 3-30, 3-31, 3-33, 3-34, 3-35, 3-36, 3-37, 3-39, 3-40, 3-45, 3-46, 3-79, 3-113, 3-115, 3-126, 3-127, 3-132, 3-136, 3-140, 3-146, 3-163, 3-167, 3-169, 3-171, 3-173, 3-175, 3-177, 3-194, 3-198, 3-202, 3-208, 3-225, 3-229, 3-233, 3-239, 3-256, 3-260, 3-264, 3-270, 3-349, 3-353, 3-357, 3-363, 3-380, 3-384, 3-388, 3-394, 3-411, 3-415, 3-419, 3-425, 3-442, 3-446, 3-450, 3-456, 3-473, 3-477, 3-481, 3-487, 3-504, 3-508, 3-512, 3-518, 3-535, 3-539, 3-543, 3-549, 3-566, 3-570, 3-574, 3-580, 3-597, 3-601, 3-605, 3-611, 3-628, 3-632, 3-636, 3-642, 3-659, 3-663, 3-667, 3-673, 3-690, 3-694, 3-698, 3-704, 3-721, 3-725, 3-729, 3-735, 3-752, 3-756, 3-760, 3-766, 3-814, 3-1000, 3-1004, 3-1008, 3-1014, 3-1031, 3-1035, 3-1039, 3-1045, 3-1062, 3-1066, 3-1070, 3-1076, 3-1093, 3-1124, 3-1155, 3-1156, 3-1159, 3-1161, 3-1163, 3-1165, 3-1169, 3-1186, 3-1190, 3-1194, 3-1200, 3-1217, 3-1221, 3-1225, 3-1231, 3-1248, 3-1252, 3-1256, 3-1262, 3-1279, 3-1283, 3-1287, 3-1293, 3-1310, 3-1314, 3-1318, 3-1324, 3-1341, 3-1345, 3-1349, 3-1355, 3-1403, 3-1407, 3-1411, 3-1417, 3-1434, 3-1438, 3-1442, 3-1448, 3-1465, 3-1469, 3-1473, 3-1479, 3-1496, 3-1500, 3-1504, 3-1510, 3-1527, 3-1531, 3-1535, 3-1541, 3-1558, 3-1562, 3-1566, 3-1572, 3-1589, 3-1593, 3-1597, 3-1603, 3-1620, 3-1624, 3-1628, 3-1634, 3-1651, 3-1655, 3-1659, 3-1665, 3-1682, 3-1686, 3-1690, 3-1696, 3-1713, 3-1717, 3-1721, 3-1727, 3-1744, 3-1748, 3-1752, 3-1758, 3-1775, 3-1779, 3-1783, 3-1789, 3-1806, 3-1810, 3-1814, 3-1820, 3-1837, 3-1841, 3-1845, 3-1851, 3-1868, 3-1872, 3-1876, 3-1882, 3-1899, 3-1903, 3-1907, 3-1913, 3-1930, 3-1934, 3-1938, 3-1944, 3-1961, 3-1965, 3-1969, 3-1975, 3-1992, 3-1996, 3-2000, 3-2006, 3-2023, 3-2027, 3-2031, 3-2037, 3-2054, 3-2058, 3-2062, 3-2068, 3-2085, 3-2089, 3-2093, 3-2099, 3-2116, 3-2120, 3-2124, 3-2129, 3-2147, 3-2151, 3-2155, 3-2161, 3-2178, 3-2209, 3-2213, 3-2217, 3-2223, 3-2240, 3-2244, 3-2248, 3-2254, 3-2271, 3-2275, 3-2279, 3-2285, 3-2300 to 3-2341, 4-4, 4-25, 4-31, 4-43, 4-122, 4-143, 4-149, 4-161, 6-4, 6-25, 6-31, 6-43, 6-122, 6-143, 6-149, 6-161, 7-4, 7-35, 7-66, 7-97, 7-128, 7-159, 7-190, 7-221, 7-283, 7-314, 7-345, 7-376, 7-407, 7-438, 7-469, 7-500, 7-577 to 7-581, 8-7, 8-132, 8-163, 8-194, 8-225, 8-256, 8-287, 8-349, 8-380, 8-411, 8-442, 8-473, 8-504, 8-535, 8-566, 8-597, 8-628, 8-659, 8-690, 8-721, 8-752, 8-783, 8-814, 8-845, 8-876, 8-907, 8-938, 8-1000, 8-1031, 8-1062, 8-1093, 8-1124, 8-1155, 8-1186, 8-1217, 8-1248, 8-1279, 8-1310, 8-1341, 8-1372, 8-1403, 8-1434, 8-1465, 8-1496, 8-1527, 8-1558, 8-1589, 8-1620, 8-1651, 8-1682, 8-1713, 8-1744, 8-1775, 8-1806, 8-1837, 8-1868, 8-1899, 8-1930, 8-1961, 8-1992, 8-2023, 8-2054, 8-2085, 8-2116, 8-2147, 8-2178, 8-2209, 8-2240, 8-2271 and 8-2300 to 8-2305;

the still more preferred compounds are the compounds of Exemplification compound number (Exemp. Comp. No.):

Exemp. Comp. No. 1-10: 2-trifluoromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 2-4: 2-cyclobutyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-7: 2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-11: 2-[difluoro(phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-28: 2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-34: 2-(4-chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-35: 2-(2-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-36: 2-(3-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-37: 2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-39: 2-(3-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-40: 2-(4-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-46: 2-(4-methoxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-79: 2-(2,4-difluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-113: 2-(3,4-methylenedioxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-132: 2-benzyl-5-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-163: 2-benzyl-5-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

Exemp. Comp. No. 3-167: 5-chloro-2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

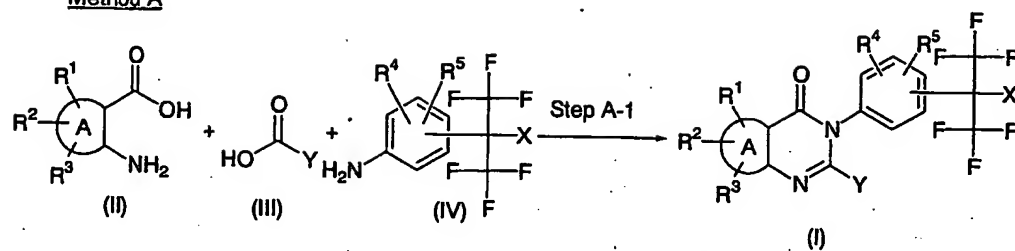
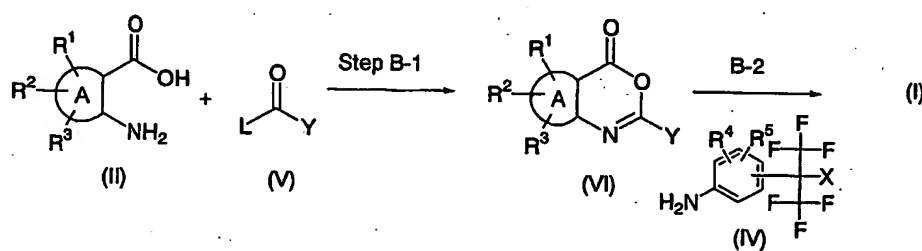
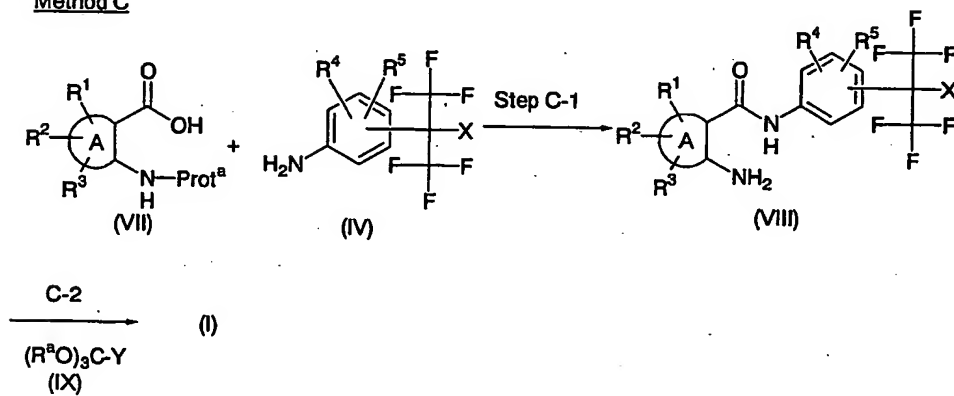
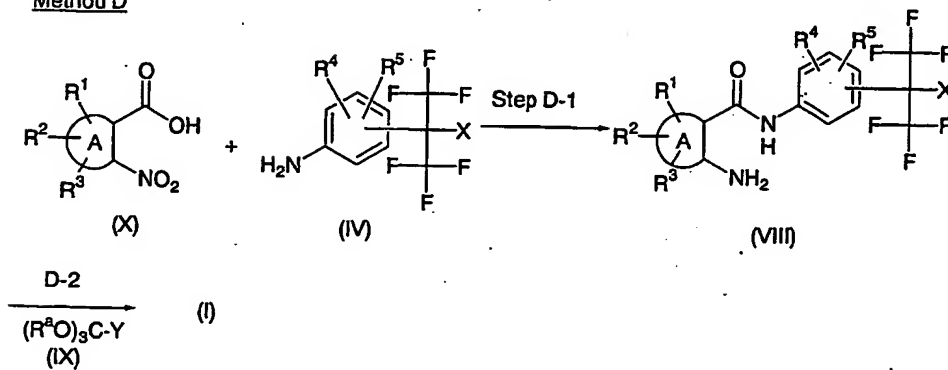
Exemp. Comp. No. 3-169: 2-(4-bromobenzyl)-5-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

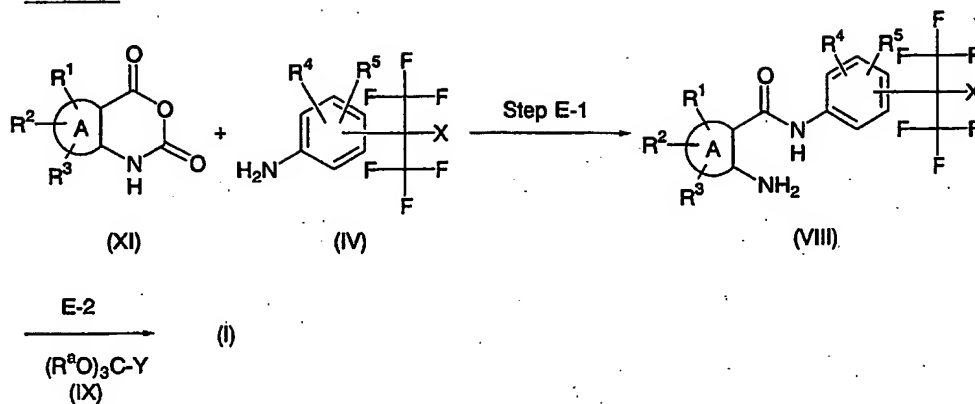
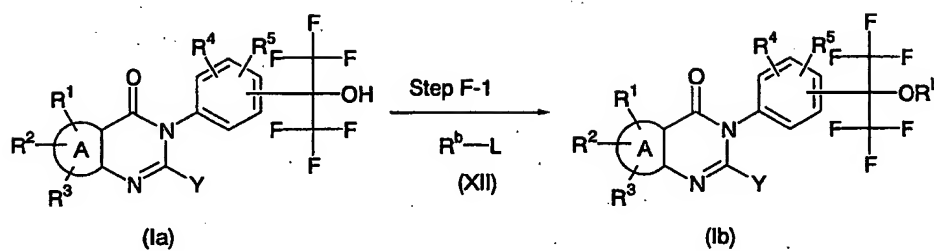
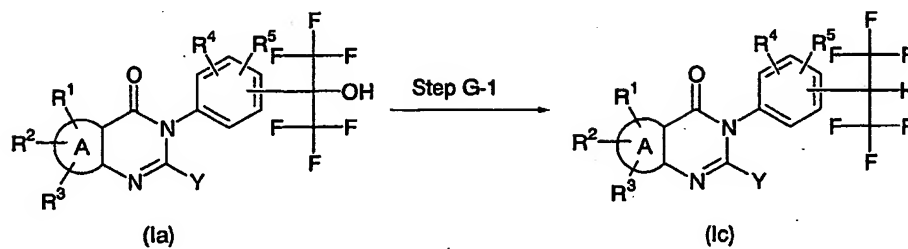
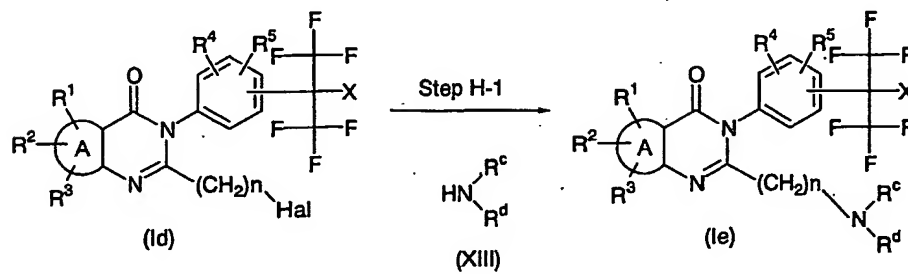
- Exemp. Comp. No. 3-171: 5-chloro-2-(4-chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-173: 5-chloro-2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-225: 2-benzyl-5-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-349: 2-benzyl-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-380: 2-benzyl-6-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-411: 2-benzyl-6-bromo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-442: 2-benzyl-6-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-504: 2-benzyl-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-535: 2-benzyl-6-acetylamino-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-597: 2-benzyl-7-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-659: 2-benzyl-7-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-690: 2-benzyl-7-trifluoromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-721: 2-benzyl-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-814: 2-benzyl-8-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1000: 2-benzyl-5-fluoro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1031: 2-benzyl-6-fluoro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1155: 2-benzyl-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1159: 6,7-dimethoxy-2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1161: 2-(4-bromobenzyl)-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

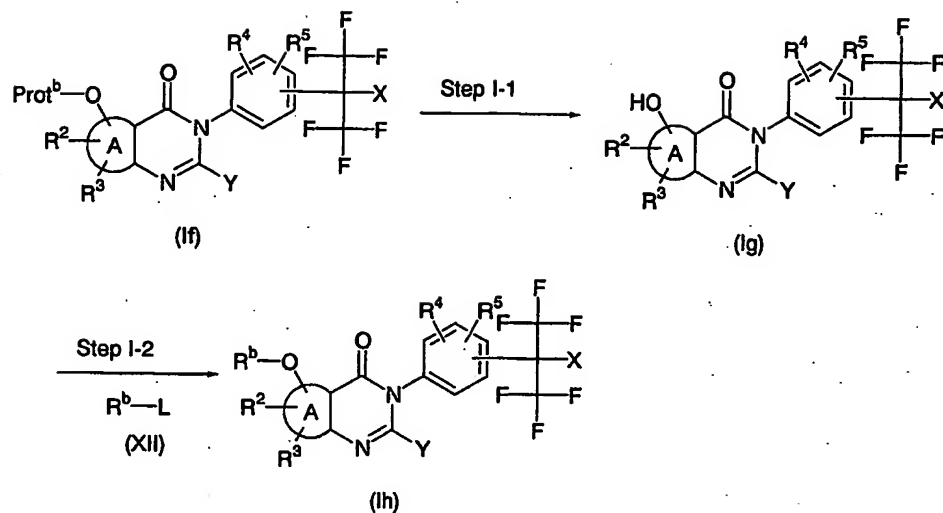
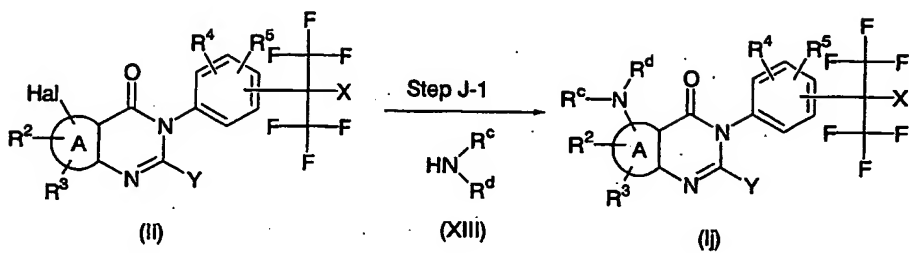
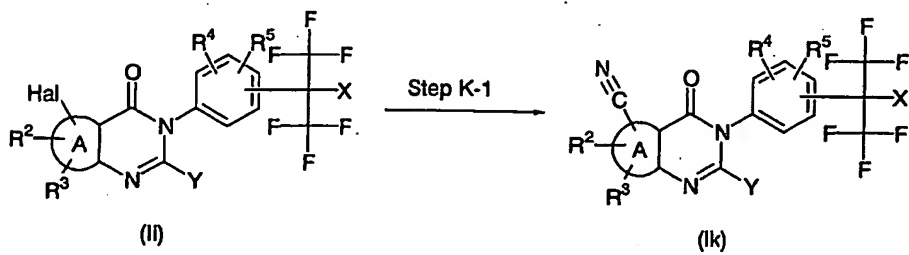
- Exemp. Comp. No. 3-1163: 2-(4-chlorobenzyl)-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1165: 6,7-dimethoxy-2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1217: 6,7-methylenedioxy-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1248: 6,7-difluoro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1279: 6-methoxy-5-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1403: 5,6-dimethyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1465: 6-chloro-5-methyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1496: 6-methoxy-5-methyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1558: 5,6-dichloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1589: 5,7-dichloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1620: 6,7-dimethyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1682: 7-methoxy-6-methyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1713: 7-methyl-6-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1744: 6,7-dichloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1775: 7-methoxy-6-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1806: 7-methyl-6-methoxy-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1837: 7-chloro-6-methoxy-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-1992: 7-chloro-6-fluoro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
- Exemp. Comp. No. 3-2085: 7-fluoro-6-methyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

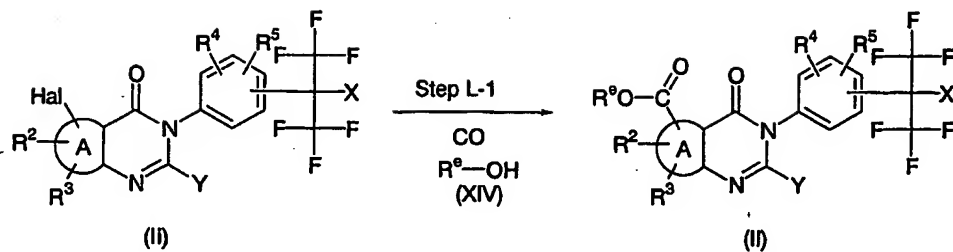
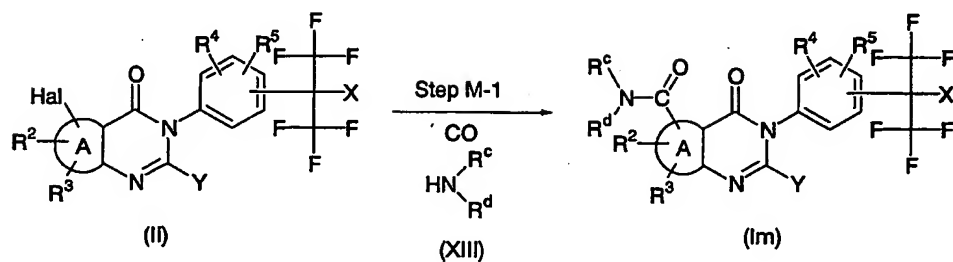
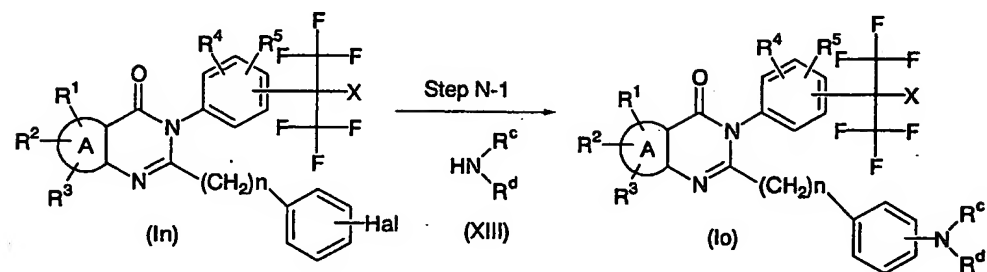
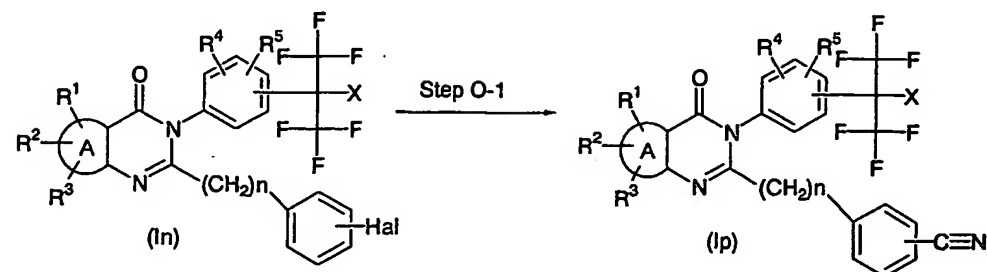
Exemp. Comp. No. 3-2209: 6,7-dimethoxy-5-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 4-122: 2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzo[g]quinazolin-4(3H)-one,
Exemp. Comp. No. 7-159: 2-benzyl-3-[3-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 7-221: 2-benzyl-3-[3-methoxy-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-163: 2-benzyl-5-chloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1155: 2-benzyl-6,7-dimethoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1248: 6,7-difluoro-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1465: 6-chloro-5-methyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1496: 6-methoxy-5-methyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1620: 6,7-dimethyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1713: 7-methyl-6-chloro-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1775: 7-methoxy-6-chloro-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1806: 7-methyl-6-methoxy-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
Exemp. Comp. No. 8-1837: 7-chloro-6-methoxy-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone, and
Exemp. Comp. No. 8-2085: 7-fluoro-6-methyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone.

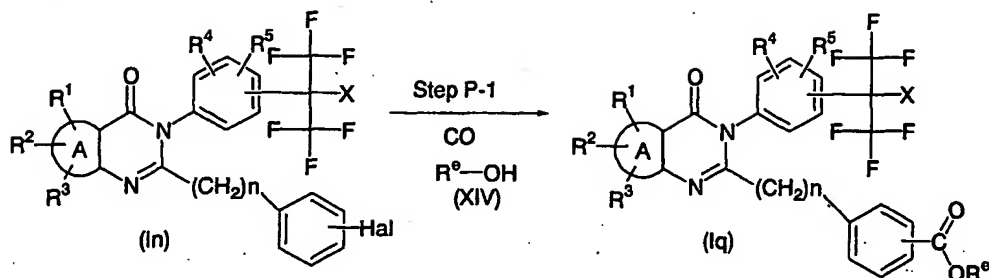
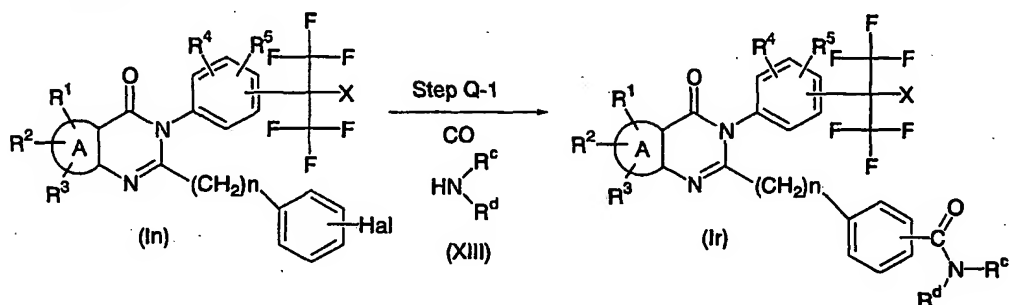
The compounds of formula (I) in the present invention can be prepared by methods A to Q described below.

Method AMethod BMethod CMethod D

Method EMethod FMethod GMethod H

Method IMethod JMethod K

Method LMethod MMethod NMethod O

Method PMethod Q

In the formulae of the compounds in methods A to Q described above R^1 , R^2 , R^3 , R^4 , R^5 , A, X and Y are as defined above; R^a represents a C_1 - C_6 alkyl group; R^b represents a C_1 - C_6 alkyl group or a C_1 - C_6 alkyl group substituted with from 1 to 7 halogen atoms; R^c and R^d are the same or different and each represents a hydrogen atom or a C_1 - C_6 alkyl group, or R^c and R^d taken together with the nitrogen atom to which they are attached form a saturated heterocyclic group containing a nitrogen atom; R^e represents C_1 - C_6 alkyl group; L represents a leaving group; n represents an integer from 1 to 6; $Prot^a$ represents an amino protecting group; $Prot^b$ represents a hydroxy protecting group; and Hal represents a halogen atom.

The leaving group L is not particularly limited provided that it can be substituted in a reaction by a nucleophilic reagent. Suitable leaving groups include, for example, a hydroxy group; a halogen atom as described above; a lower alkylsulfonyloxy group such as a methanesulfonyloxy group or an ethanesulfonyloxy group; a halogenated lower alkylsulfonyloxy group such as a trifluoromethanesulfonyloxy group; an aromatic sulfonyloxy group, which is for example, an arylsulfonyloxy group such as a benzenesulfonyloxy group, a lower alkylated arylsulfonyloxy group such as a p-toluenesulfonyloxy group, or a halogenated arylsulfonyloxy group such as a p-chlorobenzenesulfonyloxy group. Preferably, the leaving group L is a halogen atom.

The amino protecting group in $Prot^a$ is not particularly limited provided that it can protect an amino group in a reaction. Such a protecting group is a group which can be

removed by a chemical reaction such as hydrogenolysis, hydrolysis, electrolysis and photolysis and may be, for example, an aliphatic acyl group, examples of which include an alkylcarbonyl group such as a formyl group, an acetyl group, a propionyl group, a butyryl group, an isobutyryl group, a pentanoyl group, a pivaloyl group, a valeryl group, an isovaleryl group, an octanoyl group, a lauroyl group, a palmitoyl group or a stearyl group, a halogenated lower alkylcarbonyl group such as a chloroacetyl group, a dichloroacetyl group, a trichloroacetyl group or a trifluoroacetyl group, a lower alkoxy lower alkylcarbonyl group such as a methoxyacetyl group, or an unsaturated alkylcarbonyl group such as an (E)-2-methyl-2-butenoyl group; an aromatic acyl group, examples of which include an arylcarbonyl group such as a benzoyl group, an α -naphthoyl group or a β -naphthoyl group, a halogenated arylcarbonyl group such as a 2-bromobenzoyl group or a 4-chlorobenzoyl group, a lower alkylated arylcarbonyl group such as a 2,4,6-trimethylbenzoyl group or a 4-toluoyl group, a (lower alkoxy)arylcarbonyl group such as a 4-anisoyl group, a nitrated arylcarbonyl group such as a 4-nitrobenzoyl group or a 2-nitrobenzoyl group, a (lower alkoxy)arylcarbonyl group such as a 2-(methoxycarbonyl)benzoyl group, or an arylated arylcarbonyl group such as a 4-phenylbenzoyl group; an alkoxyarylcarbonyl group, examples of which include a lower alkoxyarylcarbonyl group such as a methoxycarbonyl group, an ethoxycarbonyl group, a t-butoxycarbonyl group or an isobutoxycarbonyl group, or a lower alkoxyarylcarbonyl group substituted with halogen atoms or tri(lower-alkyl)silyl groups such as a 2,2,2-trichloroethoxycarbonyl group or a 2-trimethylsilylethoxycarbonyl group; an alkenyloxyarylcarbonyl group such as a vinyloxyarylcarbonyl, an allyloxyarylcarbonyl group or a 2-butenyloxyarylcarbonyl group; a benzyloxyarylcarbonyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen atom such as a benzyloxyarylcarbonyl group, a 4-methylbenzyloxyarylcarbonyl group, a 4-methoxybenzyloxyarylcarbonyl group or a 4-chlorobenzyloxyarylcarbonyl group; a substituted methylene group which forms a Schiff base such as a benzylidene group; and a benzyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen atom such as a benzyl group, a 4-methylbenzyl group, a 4-methoxybenzyl group or a 4-chlorobenzyl group; preferably, Prot^a is a lower alkoxyarylcarbonyl group or a benzyloxyarylcarbonyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen atom; and more preferably it is a t-butoxycarbonyl group or a benzyloxyarylcarbonyl group.

The hydroxy protecting group Prot^b is not particularly limited provided that it can protect a hydroxy group in a reaction. Such a protecting group is a group which can be removed by a chemical reaction such as hydrogenolysis, hydrolysis, electrolysis and photolysis and may be, for example, an aliphatic acyl group, examples of which include an alkylcarbonyl group such as a formyl group, an acetyl group, a propionyl group, a butyryl group, an isobutyryl group, a pentanoyl group, a pivaloyl group, a valeryl group or an isovaleryl group, a (lower alkoxy)-(lower alkyl)carbonyl group such as a methoxyacetyl

group, or an unsaturated alkylcarbonyl group such as an (E)-2-methyl-2-butenoyl group; an aromatic acyl group, examples of which include an arylcarbonyl group such as a benzoyl group, an α -naphthoyl group or a β -naphthoyl group, a halogenated arylcarbonyl group such as a 2-bromobenzoyl group or a 4-chlorobenzoyl group, a lower alkylated arylcarbonyl group such as a 2,4,6-trimethylbenzoyl group or a 4-toluoyl group, a lower alkoxy arylcarbonyl group such as an 4-anisoyl group, a nitrated arylcarbonyl group such as a 4-nitrobenzoyl group or a 2-nitrobenzoyl group, a lower alkoxycarbonyl arylcarbonyl group such as a 2-(methoxycarbonyl)benzoyl group or an arylated arylcarbonyl group such as a 4-phenylbenzoyl group; a saturated lower alkyl group such as a methyl group, an ethyl group, a propyl group, an isopropyl group, a butyl group or a t-butyl group; an unsaturated lower alkyl group such as a vinyl group, an allyl group or a 2-butenyl group; a lower alkoxyalkyl group such as a methoxymethyl group, an ethoxyethyl group, a tetrahydropyranyl group or a tetrahydrofuranyl group; a (lower alkoxy)-(lower alkoxy)alkyl group such as a methoxyethoxymethyl group; a benzyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen atom such as a benzyl group, a 4-methylbenzyl group, a 4-methoxybenzyl group or a 4-chlorobenzyl group; and a tri-substituted silyl group substituted with a lower alkyl group or a phenyl group such as a tert-butyldimethylsilyl group, a tert-butyldiphenylsilyl group or a triphenylsilyl group; preferably Prot^b is a saturated lower alkyl group, a lower alkoxyalkyl group or a benzyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen atom; and more preferably it is a methyl group, a methoxymethyl group or a benzyl group.

Protection of an amino or hydroxy group with the above described protecting groups or removal of these protecting groups can be accomplished according to standard methods (described in, for example, T.H.Green et al., Protective groups in organic synthesis, JOHN WILEY & SONS, INC.).

Each step of methods A to Q is described in detail hereinafter.

(Method A)

(Step A-1)

Step A-1 is a process for preparing a compound of formula (I) by the reaction in the presence of a base of a compound of formula (II) which can be prepared according to method T described below, is a known compound or can easily be prepared from a known compound, a compound of formula (III) which is a known compound or can be easily prepared from a known compound, and a compound of formula (IV) which can be prepared according to methods R or S described below, is a known compound, or can be easily prepared from a known compound.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting materials to some extent. The solvent may be, for

example, an aromatic hydrocarbon such as benzene, toluene or xylene; a halogenated hydrocarbon such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene or dichlorobenzene; an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; a sulfoxide such as dimethyl sulfoxide or sulfolane; or an organic base such as N-methylmorpholine, triethylamine, tripropylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(t-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline or N,N-diethylaniline; preferably it is an organic base; and more preferably it is pyridine.

The reagent employed may be a phosphonic acid triester such as triphenyl phosphite or trimethyl phosphite, and preferably it is triphenyl phosphite.

The base employed may be, for example, N-methylmorpholine, triethylamine, tripropylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(t-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline, N,N-diethylaniline, 1,5-diazabicyclo[4,3,0]non-5-ene (DBN), 1,4-diazabicyclo[2,2,2]octane (DABCO) or 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU); and preferably it is pyridine. In the case that an organic base is used as the solvent, base may not be added.

The reaction temperature varies depending on the solvent, the starting materials, the reagent and the like, and is usually in a range from 20°C to 150°C; preferably it is from 50°C to 120°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 1 to 24 hours; preferably it is from 1 to 8 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) addition of water and an organic solvent immiscible with water such as benzene, diethyl ether, ethyl acetate or the like, to the reaction mixture, 2) extraction of the desired compound from the resulting mixture, 3) washing of the organic layer with water, 4) drying the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 5) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization, reprecipitation or silica gel column chromatography. The desired compound of this step can be used in a reaction of a further step without purification.

(Method B)

(Step B-1)

Step B-1 is a process for preparing a compound of formula (VI) by the reaction in the presence or absence of a coupling reagent of a compound of formula (II) which can be prepared according to method T described below, is a known compound, or can be easily prepared from a known compound, and compound of formula (V) which is a known compound or can be easily prepared from a known compound. The reaction in this step is accomplished via two alternatives routes: (B-1a) in which the reaction is performed in the presence of a coupling reagent when the group L is a hydroxyl group, and (B-1b) in which the reaction is performed in the absence of a coupling reagent when the group L is other than a hydroxyl group.

(B-1a)

The coupling reagent employed may be:

- (1) a combination of a phosphoric acid ester compound such as diethylphosphoryl cyanide or diethylphosphoryl azide with a base as described below;
- (2) a carbodiimide compound such as 1,3-dicyclohexylcarbodiimide, 1,3-diisopropylcarbodiimide, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide or the like; a combination of the carbodiimide compound as described above with a base as described below; or a combination of the carbodiimide compound as described above with an N-hydroxy compound such as N-hydroxysuccinimide, 1-hydroxybenzotriazole or N-hydroxy-5-norbornen-2,3-dicarboximide;
- (3) a combination of a disulfide compound such as 2,2'-dipyridyl disulfide or 2,2'-dibenzothiazolyl disulfide with a phosphine compound such as triphenylphosphine or tributylphosphine;
- (4) a carbonate compound such as N,N'-disuccinimidyl carbonate, diethyl pyrocarbonate, di-2-pyridyl carbonate or S,S'-bis(1-phenyl-1H-tetrazol-5-yl)dithiocarbonate;
- (5) a phosphonic chloride compound such as N,N'-bis(2-oxo-3-oxazolidinyl)phosphonic chloride;
- (6) an oxalate compound such as N,N'-disuccinimidyl oxalate, N,N'-diphthalimidyl oxalate, N,N'-bis(5-norbornen-2,3-dicarboximidyl) oxalate, 1,1'-bis(benzotriazolyl) oxalate, 1,1'-bis(6-chlorobenzotriazolyl) oxalate or 1,1'-bis(6-trifluoromethylbenzotriazolyl) oxalate;
- (7) a combination of a phosphine compound as described above with an azodicarboxylic acid ester compound such as diethyl azocarboxylate or an azodicarboxamide compound such as 1,1'-(azodicarbonyl)dipiperidine; a combination of a phosphine compound as described above with a base as described below;
- (8) an N-(lower alkyl)-5-arylisoaxazolium-3'-sulfonate compound such as N-ethyl-5-phenylisoaxazolium-3'-sulfonate;

- (9) a diheteroaryl diselenide compound such as di-2-pyridyl diselenide;
- (10) an arylsulfonyl triazolidine compound such as p-nitrobenzenesulfonyl triazolidine;
- (11) a 2-halogeno-1-(lower alkyl)pyridinium halide such as 2-chloro-1-methylpyridinium iodide or 2-bromo-1-ethylpyridinium chloride;
- (12) an imidazole compound such as 1,1'-oxazolyldiimidazole or N,N'-carbonyldiimidazole;
- (13) a 3-(lower alkyl)-2-halogeno-benzothiazolium fluoroborate compound such as 3-ethyl-2-chlorobenzothiazolium fluoroborate;
- (14) a 3-(lower alkyl)-benzothiazole-2-selone compound such as 3-methylbenzothiazole-2-selone;
- (15) a phosphate compound such as phenyl dichlorophosphate or a polyphosphate ester;
- (16) a halogenosulfonyl isocyanate compound such as chlorosulfonyl isocyanate;
- (17) a halogenosilane compound such as trimethylsilyl chloride or triethylsilyl chloride;
- (18) a combination of a (lower alkane)sulfonyl halide such as methanesulfonyl chloride with a base as described below; or
- (19) an N,N,N',N'-tetra(lower alkyl)halogenoformamidium chloride compound such as N,N,N',N'-tetramethylchloroformamidium chloride.

Preferably, the coupling reagent is a carbodiimide compound or an imidazole compound; and more preferably it is 1,3-dicyclohexylcarbodiimide or N,N'-carbonyldiimidazole.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting materials to some extent. The solvent may be, for example, an aliphatic hydrocarbon such as hexane or heptane; an aromatic hydrocarbon such as benzene, toluene or xylene; a halogenated hydrocarbon such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene or dichlorobenzene; an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; a nitrile such as acetonitrile or isobutyronitrile; or an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide.

The base employed may be, for example, an alkali metal carbonate such as sodium carbonate, potassium carbonate or lithium carbonate; an alkali metal hydrogen carbonate such as sodium hydrogen carbonate, potassium hydrogen carbonate or lithium hydrogen carbonate; or an organic base such as N-methylmorpholine, triethylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(t-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline or N,N-diethylaniline; preferably the base employed is an organic base; and more preferably it is triethylamine, diisopropylethylamine

or pyridine.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from -20°C to 120°C, preferably from 0°C to 120°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 30 minutes to 2 days, preferably from 1 to 12 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) neutralization of the reaction mixture if necessary, 2) removal of insoluble material by filtration if such material exists, 3) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 4) extraction of the desired compound from the resulting mixture, 5) washing of the organic layer with water, 5) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 6) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(B-1b)

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting materials to some extent. The solvent may be, for example, an aromatic hydrocarbon such as benzene, toluene or xylene; a halogenated hydrocarbon such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene or dichlorobenzene; an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; or an organic base such as N-methylmorpholine, triethylamine, tripropylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(t-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline or N,N-diethylaniline.

The base employed may be, for example, an alkali metal carbonate such as sodium carbonate, potassium carbonate or lithium carbonate; an alkali metal hydrogen carbonate such as sodium hydrogen carbonate, potassium hydrogen carbonate or lithium hydrogen carbonate; or an organic base such as N-methylmorpholine, triethylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(tert-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline or N,N-diethylaniline; preferably it is an

organic base; and more preferably it is triethylamine, diisopropylethylamine or pyridine.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from -20°C to 120°C, preferably from 0°C and 120°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 30 minutes to 2 days, preferably from 1 to 12 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) neutralization of the reaction mixture if necessary, 2) removal of insoluble material by filtration if such material exists, 3) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 4) extraction of the desired compound from the resulting mixture, 5) washing of the organic layer with water, 5) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 6) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Step B-2)

Step B-2 is a process for preparing a compound of formula (I) by reaction of a compound of formula (VI) prepared according to step B-1 and a compound of formula (IV) which can be prepared according to Methods R or S described below, is a known compound, or can be easily prepared from a known compound.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting materials to some extent. The solvent may be, for example, an aromatic hydrocarbon such as benzene, toluene or xylene; a halogenated hydrocarbon such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene or dichlorobenzene; an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; or a sulfoxide such as dimethyl sulfoxide or sulfolane. The reaction of this step can also be carried out without a solvent.

The reagent employed may be a phosphonic acid triester such as triphenyl phosphite or trimethyl phosphite and preferably it is triphenyl phosphite.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from 20°C and 180°C, preferably from 50°C

and 150°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 1 to 24 hours, preferably from 1 to 8 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) neutralization of the reaction mixture if necessary, 2) removal of insoluble material by filtration if such material exists, 3) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 4) extraction of the desired compound from the resulting mixture, 5) washing of the organic layer with water, 6) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 7) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Method C)

(Step C-1)

Step C-1 is a process for preparing a compound of (VIII) by the reaction in the presence of a base of a compound of formula (VII) which can be prepared according to method T described below or can be easily prepared from a known compound and a compound of formula (IV) which can be prepared according to methods R or S described below, is a known compound, or can be easily prepared from a known compound. This step consists of a condensation reaction (step C-1a) followed by the removal of protecting group Prot^a (step C-1b).

(Step C-1a)

Step C-1a can be carried out according to a similar method to that described in step B-1a.

(Step C-1b)

Removal of the protecting group Prot^a in step C-1b varies depending on the nature of Prot^a and can be carried out as follows by a well known method in the field of organic chemistry.

When Prot^a is an aliphatic acyl group, an aromatic acyl group, an alkoxycarbonyl group, an alkenyloxycarbonyl group or a substituted methylene group which forms a Schiff base, it can be removed by treatment with an acid or a base in an aqueous solvent.

The acid employed is not particularly limited provided that it is one conventionally used as an acid and does not inhibit the reaction, and is preferably an inorganic acid such as hydrochloric acid, sulfuric acid, phosphoric acid or hydrobromic acid.

The base employed is not particularly limited provided that it does not have an effect on other moieties of the compound, and is preferably a metal alkoxide such as sodium methoxide,

an alkali metal carbonate such as sodium carbonate, potassium carbonate or lithium carbonate, an alkali metal hydroxide such as sodium hydroxide, potassium hydroxide or lithium hydroxide, or an ammonia such as aqueous ammonia solution or concentrated ammonia-methanol.

The solvent employed is not particularly limited provided that it is one that is conventionally used in hydrolysis reactions and it is preferably water or a mixture of water and an organic solvent, examples of which include an alcohol such as methanol, ethanol or n-propanol, and an ether such as tetrahydrofuran or dioxane.

The reaction temperature and the reaction time vary depending on the starting material, the solvent, the acid or base employed and the like. Preferably, for suppression of any side reactions, the reaction temperature is in a range from 0°C to 150°C and the reaction time is in a range from 1 to 10 hours.

When Prot^a is a benzyloxycarbonyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen, or a benzyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen, it can be removed by treatment with a reducing reagent in a solvent, preferably hydrogenolysis at room temperature in the presence of a catalyst, or treatment with an oxidizing reagent.

The solvent employed in the hydrogenolysis reaction is not particularly limited provided that it does not inhibit the reaction and preferably it is an alcohol such as methanol, ethanol or isopropanol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aromatic hydrocarbon such as toluene, benzene or xylene, an aliphatic hydrocarbon such as hexane or cyclohexane, an ester such as ethyl acetate or propyl acetate, an aliphatic carboxylic acid such as acetic acid, or a mixture of water and such an organic solvent.

The catalyst employed in the hydrogenolysis reaction is not particularly limited provided that it can be usually used in a hydrogenolysis reaction and it is preferably palladium-carbon, palladium hydroxide-carbon, Raney nickel, platinum oxide, platinum black, rhodium-aluminum oxide, triphenylphosphine-rhodium chloride or palladium-barium sulfate.

This reaction is usually carried out under an atmosphere of hydrogen at a pressure of from atmospheric pressure to 10000 hPa.

The reaction temperature and the reaction time vary depending on the starting material, the solvent, the catalyst employed and the like. The reaction temperature is usually in a range from 0°C to 100°C and the reaction time is in a range from 5 minutes to 24 hours.

The solvent employed in the removal reaction using an oxidizing reagent is not particularly limited provided that it does not inhibit the reaction and is preferably an organic solvent containing water. Such an organic solvent may be preferably a ketone such as acetone, a halogenated hydrocarbon such as methylene chloride, chloroform or carbon tetrachloride, a nitrile such as acetonitrile, an ether such as diethyl ether, tetrahydrofuran or dioxane, an amide such as dimethylformamide, dimethylacetamide or hexamethylphosphoric

triamide or a sulfoxide such as dimethyl sulfoxide.

The oxidizing reagent employed is not particularly limited provided that it can be usually used in an oxidation reaction and is preferably potassium persulfate, sodium persulfate, ammonium cerium nitrate (CAN) or 2,3-dichloro-5,6-dicyano-p-benzoquinone (DDQ).

The reaction temperature and the reaction time vary depending on the starting material, the solvent, the oxidizing reagent employed and the like. The reaction temperature is usually in a range from 0°C to 150°C and the reaction time is in a range from 10 minutes to 24 hours.

When Prot^a is a 2-alkenyloxycarbonyl group such as an allyloxycarbonyl group or 2-butenyloxycarbonyl group, it can be also removed using tetrakis(triphenylphosphine)palladium or nickel tetracarbonyl with fewer side reactions (T.H.Green et al., Protective groups in organic synthesis, JOHN WILEY & SONS, INC.).

After the above reactions, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) neutralization of the reaction mixture if necessary, 2) removal of insoluble material by filtration if such material exists, 3) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 4) extraction of the desired compound from the resulting mixture, 5) washing of the organic layer with water, 6) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 7) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Step C-2)

Step C-2 is a process for preparing a compound of formula (I) by the reaction in the presence of acid of a compound of formula (VIII) prepared in step C-1 above and a compound of formula (IX) which is a known compound or can be easily prepared from a known compound.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting materials to some extent. The solvent may be, for example, an aromatic hydrocarbon such as benzene, toluene or xylene; a halogenated hydrocarbon such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene or dichlorobenzene; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; or an organic base such as N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(t-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline or N,N-diethylaniline.

The acid employed may be, for example, an organic sulfonic acid such as p-

toluenesulfonic acid, camphorsulfonic acid or trifluoromethanesulfonic acid, or a salt thereof such as pyridinium p-toluenesulfonate; and preferably it is pyridinium p-toluenesulfonate.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from room temperature to 150°C; and preferably from 50°C to 120°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 1 to 48 hours, preferably from 1 to 12 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) neutralization of the reaction mixture if necessary, 2) removal of insoluble material by filtration if such material exists, 3) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 4) extraction of the desired compound from the resulting mixture, 5) washing of the organic layer with water, 6) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 7) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Method D)

(Step D-1)

Step D-1 is a process for preparing a compound of formula (VIII) by the reaction of a compound of formula (X) which is a known compound or can be easily prepared from a known compound and a compound of formula (IV) which can be prepared according to methods R or S described below, is a known compound, or can be easily prepared from a known compound. This step consists of a condensation reaction (step D-1a) followed by the reduction of a nitro group (step D-1b).

-(Step D-1a)

Step D-1a can be carried out according to a similar method to that described in step B-1a.

(Step D-1b)

Step D-1b may be a catalytic reduction or a reduction using a metal or a metal salt.

[catalytic reduction]

The catalytic reduction is carried out in a solvent in the presence of a catalyst under an atmosphere of hydrogen.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting material to some extent. The solvent may be, for example, an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate;

an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; an alcohol such as methanol, ethanol, n-propanol, isopropanol, n-butanol, isobutanol, t-butanol, isoamyl alcohol, di(ethylene glycol), glycerin, octanol, cyclohexanol or methyl cellosolve; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; acetic acid; or water; and preferably the solvent is an alcohol, acetic acid or water.

The catalyst employed is not particularly limited provided that it can be used in catalytic reduction and may be, for example, metallic palladium black, palladium-carbon, palladium hydroxide, palladium hydroxide-carbon, platinum black, platinum-carbon, platinum oxide or Raney nickel; and preferably it is palladium on carbon or palladium hydroxide-carbon.

This reaction is usually carried out under an atmosphere of hydrogen at pressure in a range from atmospheric pressure to 10000 hPa, preferably from atmospheric pressure to 5000 hPa.

The reaction temperature varies depending on the solvent, the starting material, the catalyst employed and the like, and is usually in a range from -20°C to 120°C, preferably from 0°C to 50°C.

The reaction time varies depending on the solvent, the starting material, the catalyst employed, the reaction temperature and the like, and is usually in a range from 1 to 48 hours, preferably from 1 to 10 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by filtration of the catalyst and removal of the organic solvent from the filtrate. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

[Reduction using a metal or metal salt]

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting material to some extent. The solvent may be, for example, an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; an alcohol such as methanol, ethanol, n-propanol, isopropanol, n-butanol, isobutanol, t-butanol, isoamyl alcohol, di(ethylene glycol), glycerin, octanol, cyclohexanol or methyl cellosolve; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; a sulfoxide such as dimethyl sulfoxide or sulfolane; acetic acid; or water; and it is preferably an alcohol, acetic acid or water.

The metal or metal salt employed may be, for example, iron, tin, zinc, tin (II) chloride or

titanium (III) chloride, and preferably it is zinc.

The acid employed may be, for example, an inorganic acid such as hydrochloric acid or acidic salt of an inorganic acid such as ammonium chloride, and preferably it is hydrochloric acid.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from -20°C and 150°C, preferably from 0°C and 100°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 1 to 24 hours, preferably from 1 to 8 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) removal of insoluble material by filtration, 2) concentration of the reaction mixture, 3) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 4) extraction of the desired compound from the resulting mixture, 5) washing of the organic layer with water, 6) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 7) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Step D-2)

Step D-2 is a process for preparing a compound of formula (I) by the reaction of a compound of formula (VIII) prepared in step D-1 above and a compound of formula (IX) which is a known compound or can be easily prepared from a known compound.

Step D-2 can be carried out according to a similar method to that described in step C-2 above.

(Method E)

(Step E-1)

Step E-1 is a process for preparing a compound of formula (VIII) by the reaction of a compound of formula (XI) which is a known compound or can be easily prepared from a known compound and a compound of formula (IV) which can be prepared according to methods R or S described below, is a known compound, or can be easily prepared from a known compound.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting material to some extent. The solvent may be, for example, an aromatic hydrocarbon such as benzene, toluene or xylene; an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol)dimethyl

ether; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; or an organic acid such as formic acid, acetic acid or propionic acid; and preferably it is acetic acid.

The reagent employed may be an organic acid such as formic acid, acetic acid or propionic acid, and preferably it is acetic acid. When an organic acid is used as the solvent, it is not necessary to add an organic acid as a reagent.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from 20°C to 150°C, preferably from 50°C to 120°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 1 to 24 hours, preferably from 1 to 8 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 2) extraction of the desired compound from the resulting mixture, 3) washing of the organic layer with water, 4) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 5) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization, reprecipitation or silica gel column chromatography. The desired compound of this step can be used in the next reaction step without purification.

(Step E-2)

Step E-2 is a process for preparing a compound of formula (I) by the reaction of a compound of formula (VIII) prepared in step E-1 above and a compound of formula (IX) which is a known compound or can be easily prepared from a known compound.

Step E-2 can be carried out according to a similar method to that described in Step C-2.

(Method F)

(Step F-1)

Step F-1 is a process for preparing a compound formula (Ib), by the reaction of a compound of formula (Ia), which can be prepared using methods A to E above or H to Q described below and wherein X is a hydroxy group in formula (I), and a compound of formula (XII) which is a known compound or can be easily prepared from a known compound.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting material to some extent. The solvent may be, for example, an aromatic hydrocarbon such as benzene, toluene or xylene; a halogenated hydrocarbon such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene or

dichlorobenzene; an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol)dimethyl ether; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; or a sulfoxide such as dimethyl sulfoxide or sulfolane; preferably it is a halogenated hydrocarbon, an ether or an amide; and more preferably it is methylene chloride, tetrahydrofuran or dimethylformamide.

The reagent employed may be, for example, an alkali metal carbonate such as sodium carbonate, potassium carbonate, lithium carbonate or cesium carbonate; an alkali metal hydrogen carbonate such as sodium hydrogen carbonate, potassium hydrogen carbonate or lithium hydrogen carbonate; an alkali metal hydride such as lithium hydride, sodium hydride or potassium hydride; an alkali metal hydroxide such as sodium hydroxide, potassium hydroxide, barium hydroxide, or lithium hydroxide; an alkaline earth metal hydroxide such as barium hydroxide; an alkali metal fluoride such as sodium fluoride or potassium fluoride; an alkali metal alkoxide such as sodium methoxide, sodium ethoxide, potassium methoxide, potassium ethoxide, potassium t-butoxide or lithium methoxide; or an inorganic silver compound such as silver oxide or silver carbonate; and preferably it is sodium hydride, cesium carbonate, or silver carbonate.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from 0°C to 100°C, preferably from 20°C to 50°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 1 to 24 hours, preferably from 1 to 8 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 2) extraction of the desired compound from the resulting mixture, 3) washing of the organic layer with water, 4) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 5) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Method G)

(Step G-1)

Step G-1 is a process for preparing a compound (Ic) by the reduction of a compound of formula (Ia), which can be prepared according to methods A to E above or H to O described below and wherein X is a hydroxy group in formula (I).

Step G-1 is carried out according to a method described in the literature: *J. Chem. Perkin Trans. I*, 1574-1585 (1975) or a modified method thereof.

(Method H)

(Step H-1)

Step H-1 is a process for preparing a compound of formula (Ie) by the reaction of a compound of formula (Id), which is prepared according to any one of methods A to G above and wherein Y is a halogenoalkyl group in formula (I), and a compound of formula (XIII) which is a known compound or can be easily prepared from a known compound.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting material to some extent. The solvent may be, for example, an aromatic hydrocarbon such as benzene, toluene or xylene; a halogenated hydrocarbon such as methylene chloride, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene or dichlorobenzene; an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol)dimethyl ether; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; or a sulfoxide such as dimethyl sulfoxide or sulfolane; preferably it is an aromatic hydrocarbon, an ether or an amide; and more preferably it is toluene, tetrahydrofuran or dimethylformamide.

The base employed may be, for example, an alkali metal carbonate such as sodium carbonate, potassium carbonate or lithium carbonate; an alkali metal hydrogen carbonate such as sodium hydrogen carbonate, potassium hydrogen carbonate or lithium hydrogen carbonate; an organic base such as N-methylmorpholine, triethylamine, tripropylamine, tributylamine, diisopropylethylamine, dicyclohexylamine, N-methylpiperidine, pyridine, 4-pyrrolidinopyridine, picoline, 4-(N,N-dimethylamino)pyridine, 2,6-di(t-butyl)-4-methylpyridine, quinoline, N,N-dimethylaniline, N,N-diethylaniline, 1,5-diazabicyclo[4,3,0]non-5-ene (DBN), 1,4-diazabicyclo[2,2,2]octane (DABCO) or 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU); or an organometallic base such as butyllithium, lithium diisopropylamide or lithium bis(trimethylsilyl)amide; preferably it is an alkali metal carbonate or an alkali metal hydrogen carbonate; and more preferably it is potassium carbonate or sodium hydrogen carbonate. An excess amount of a compound of formula (XIII) can also be used instead of adding the base.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range from 0°C to 120°C, preferably from 20°C to 100°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the

reaction temperature and the like, and is usually in a range from 1 to 24 hours, preferably from 1 to 8 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) concentration of the reaction mixture, 2) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 3) extraction of the desired compound from the resulting mixture, 4) washing of the organic layer with water, 5) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 6) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization, reprecipitation or silica gel column chromatography.

(Method I)

(Step I-1)

Step I-1 is a process for the preparation of a compound of formula (Ig) by removal of a the Prot^b group from a compound of formula (If), which has an -O-Prot^b group on the A ring in formula (I) and can be prepared according to methods A to H above or methods J to Q described below.

The method used for removal of the protecting group Prot^b varies depending on the nature of Prot^b and can be carried out as follows by various well known methods in the field of organic chemistry.

When Prot^b is an aliphatic acyl group or an aromatic acyl group, it can be removed by treatment with an acid or a base in an aqueous solvent.

The acid employed is not particularly limited provided that it can conventionally be used as an acid and does not inhibit the reaction, and is preferably an inorganic acid such as hydrochloric acid, sulfuric acid, phosphoric acid or hydrobromic acid.

The base employed is not particularly limited provided that it have no effect on other moiety of the compound, and is preferably a metal alkoxide such as sodium methoxide, an alkali metal carbonate such as sodium carbonate, potassium carbonate or lithium carbonate, an alkali metal hydroxide such as sodium hydroxide, potassium hydroxide or lithium hydroxide, or an ammonia such as aqueous ammonia solution or concentrated ammonia-methanol.

The solvent employed is not particularly limited provided that it can conventionally be used in hydrolysis reactions and is preferably water or a mixture of water and an organic solvent, which is, for example, an alcohol such as methanol, ethanol or n-propanol, or an ether such as tetrahydrofuran or dioxane.

The reaction temperature and the reaction time vary depending on the starting material, the solvent, the acid or base employed and the like. Preferably for suppression of side

reactions, the reaction temperature is in a range from 0°C to 150°C and the reaction time is in a range from 1 to 10 hours.

When Prot^b is a saturated lower alkyl group, an unsaturated lower alkyl group, a lower alkoxyalkyl group, a (lower alkoxy)-(lower alkoxy)alkyl group or a benzyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen atom, it can be removed by treatment with an acid or a Lewis acid.

The acid employed is not particularly limited provided that it has no effect on other moieties present in the compound, and is preferably a hydrohalogenic acid such as hydrobromic acid or hydroiodic acid. The Lewis acid employed is not particularly limited provided that it has no effect on other moieties present in the compound, and is preferably trimethylsilyl iodide or a boron trihalide such as boron trichloride or boron tribromide.

The solvent employed in the reaction with an acid is not particularly limited provided that it can conventionally be used in such a removal reaction and is preferably water; an alcohol such as methanol, ethanol or n-propanol; an ether such as tetrahydrofuran or dioxane; an aliphatic carboxylic acid such as acetic acid; or a mixture thereof. The reaction temperature and the reaction time vary depending on the starting material, the solvent, the acid employed and the like. Preferably for suppression of side reactions, the reaction temperature is in a range from 50°C to 150°C and the reaction time is in a range from 1 to 24 hours.

The solvent employed in the reaction with a Lewis acid is not particularly limited provided that it can conventionally be used in such removal reactions and is preferably an aromatic hydrocarbon such as benzene or toluene; a halogenated hydrocarbon such as methylene chloride, chloroform or dichloroethane; or a nitrile such as acetonitrile or isobutyronitrile. The reaction temperature and the reaction time vary depending on the starting material, the solvent, the Lewis acid employed and the like. Preferably for suppression of side reactions, the reaction temperature is in a range from 0°C to 100°C and the reaction time is in a range from 1 to 24 hours.

When Prot^b is a tri-substituted silyl group substituted with a lower alkyl group or a phenyl group, it can be removed by treatment with a compound which can produce a fluoride anion such as tetrabutylammonium fluoride, potassium fluoride or pyridinium fluoride, preferably tetrabutylammonium fluoride.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and is preferably an ether such as tetrahydrofuran or dioxane.

The reaction temperature and the reaction time vary depending on the starting material, the solvent, the reagent and the like. Preferably for suppression of side reactions, the reaction temperature is in a range from -20°C to 150°C and the reaction time is in a range from 1 to 30 hours.

The tri-substituted silyl group described above can also be removed by treatment with

an acid or a base in an aqueous solvent: it is, for example, removable by treatment with 1) hydrochloric acid in dioxane, 2) acetic acid in tetrahydrofuran and water, 3) trifluoroacetic acid in methylene chloride, or 4) potassium hydroxide in methanol and water.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) concentration of the reaction mixture, 2) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 3) extraction of the desired compound from the resulting mixture, 4) washing of the organic layer with water, 5) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 6) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

When Prot^b is a benzyl group optionally substituted with a lower alkyl group, a lower alkoxy group or a halogen atom, it can be removed by treatment with a reducing reagent in a solvent, preferably hydrogenolysis at room temperature in the presence of a catalyst.

The solvent employed in the hydrogenolysis is not particularly limited provided that it does not inhibit the reaction and is preferably an alcohol such as methanol, ethanol or isopropanol; an ether such as diethyl ether, tetrahydrofuran or dioxane; an aromatic hydrocarbon such as benzene, toluene or xylene; an aliphatic hydrocarbon such as hexane or cyclohexane; an ester such as ethyl acetate or propyl acetate; an aliphatic carboxylic acid such as acetic acid; or a mixture of water and an organic solvent as described above.

The catalyst employed is not particularly limited provided that it can conventionally be used in hydrogenolysis reactions and is preferably palladium-carbon, palladium hydroxide-carbon, Raney nickel, platinum oxide, platinum black, rhodium-aluminum oxide, triphenylphosphine-rhodium chloride or palladium-barium sulfate.

The reaction is usually carried out under an atmosphere of hydrogen at a pressure in a range from atmospheric pressure to 10000 hPa

The reaction temperature and the reaction time vary depending on the starting material, the solvent, the catalyst employed and the like. The reaction temperature is usually in a range from 0°C to 100°C and the reaction time is in a range from 5 minutes to 24 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by filtration of the catalyst and removal of the organic solvent from the filtrate. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Step I-2)

Step I-2 is a process for preparing a compound of formula (Ih) by the reaction of a compound of formula (Ig) prepared in step I-1 above and a compound of formula (XII) which

is a known compound or can be easily prepared from a known compound.

Step I-2 can be carried out according to a similar procedure to that described in step F-1.

(Method J)

(Step J-1)

Step J-1 is a process for preparing a compound of formula (Ij) by a reaction of a compound of formula (Ii) which can be prepared according to methods A to H above and has a halogen atom on the A ring in formula (I), and a compound of formula (XIII) which is a known compound or can be easily prepared from a known compound.

Step J-1 can be carried out according to the method described in the literature: *Org. Lett.*, 4, 581-584 (2002); *J. Organometallic Chem.*, 576, 125-146 (1999); or literature cited therein.

(Method K)

(Step K-1)

Step K-1 is a process for preparing a compound of formula (Ik) by the reaction of a compound of formula (Ii) which can be prepared according to methods A to H above and has a halogen atom on the A ring in formula (I), with metal cyanide in the presence or absence of a catalyst.

The metal cyanide employed is not particularly limited provided that it can conventionally be used in cyanation reactions and is preferably an alkali metal cyanide such as lithium cyanide, sodium cyanide or potassium cyanide, a trialkylsilyl cyanide such as trimethylsilyl cyanide or a transition metal cyanide such as nickel cyanide, zinc cyanide, copper(I) cyanide or silver cyanide. When copper(I) cyanide or silver cyanide is employed, this reaction can be carried out in the absence of a catalyst.

The catalyst employed is not particularly limited provided that it contains 0- or 2-valent palladium and can be used in organic synthesis. The catalyst may be, for example, metallic palladium, palladium-carbon, palladium hydroxide, palladium(II) chloride, palladium(II) acetate, tris(dibenzylideneacetone)dipalladium-chloroform, allylpalladium chloride, [1,2-bis(diphenylphosphino)ethane]palladium dichloride, bis(tri-O-tolylphosphine)palladium dichloride, bis(triphenylphosphine)palladium dichloride, tetrakis(triphenylphosphine)palladium or dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium. A ligand(s) which forms an effective catalyst with the above catalysts may be present in the reaction solution if necessary and can be, for example, 1,1'-bis(diphenylphosphino)ferrocene, bis(2-diphenylphosphinophenyl)ether, 2,2'-bis(diphenylphosphino)-1,1'-binaphthol, 1,3-bis(diphenylphosphino)propane, 1,4-bis(diphenylphosphino)butane, tri-O-tolylphosphine, 2-diphenylphosphino-2'-methoxy-1,1'-binaphthyl or 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl. The catalyst is preferably palladium(II) acetate, tris(dibenzylideneacetone)dipalladium-chloroform, a combination of

palladium(II) acetate and bis(2-diphenylphosphinophenyl)ether or a combination of tris(dibenzylideneacetone)dipalladium-chloroform and 1,1'-bis(diphenylphosphino)ferrocene; and more preferably it is a combination of palladium(II) acetate and bis(2-diphenylphosphino)ether or a combination of tris(dibenzylideneacetone)-dipalladium-chloroform and the ligand 1,1'-bis(diphenylphosphino)ferrocene.

The solvent employed is not particularly limited provided that it does not inhibit the reaction and dissolves the starting materials to some extent. The solvent may be, for example, an ester such as ethyl formate, ethyl acetate, propyl acetate, butyl acetate or diethyl carbonate; an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, dimethoxyethane or di(ethylene glycol) dimethyl ether; an alcohol such as methanol, ethanol, n-propanol, isopropanol, n-butanol, isobutanol, t-butanol, isoamyl alcohol, di(ethylene glycol), glycerin, octanol, cyclohexanol or methyl cellosolve; a nitrile such as acetonitrile or isobutyronitrile; an amide such as formamide, N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-2-pyrrolidone, N-methylpyrrolidinone or hexamethylphosphoric triamide; acetic acid; or water; and preferably it is an alcohol, acetic acid or water.

The reaction temperature varies depending on the solvent, the starting material, the reagent and the like, and is usually in a range between -20°C and 120°C, preferably between 0°C and 50°C.

The reaction time varies depending on the solvent, the starting material, the reagent, the reaction temperature and the like, and is usually in a range from 1 to 48 hours, preferably from 1 to 10 hours.

After the reaction, the desired compound of this step can be isolated from the reaction mixture by a conventional method: the desired compound can be, for example, obtained by 1) neutralization and concentration of the reaction mixture, 2) addition of water and an organic solvent immiscible with water such as ethyl acetate to the reaction mixture, 3) extraction of the desired compound from the resulting mixture, 4) washing of the organic layer with water, 5) drying of the organic layer over a desiccant such as anhydrous magnesium sulfate or the like, and 6) removal of the organic solvent. The desired compound thus obtained, if necessary, can be further purified by a conventional technique such as recrystallization or silica gel column chromatography.

(Method L)

(Step L-1)

Step L-1 is a process for preparing a compound of formula (II) by the reaction of a compound of formula (Ii) which can be prepared according to methods A to H above and has a halogen atom on the A ring in formula (I), and a compound of formula (XIV) which is a known compound or can be easily prepared from a known compound in the presence of

carbon monoxide.

Step L-1 can be carried out according to the method described in the literature: J. Organometallic Chem., 645, 152-157 (2002); Direct Synthesis of Carbonyl Compounds, Plenum Press, New York, p188 (1991); Palladium reagents and Catalysts, Wiley, Chinchester, Applied Homogeneous Catalyst with Organometallic Compounds 1, VCH, Weinheim, p148 (1996); Chem. Rev., 94, 1047-1062 (1994); or literature cited therein.

(Method M)

(Step M-1)

Step M-1 is a process for preparing a compound of formula (Im) by the reaction of a compound of formula (Ii) which can be prepared according to methods A to H above and has a halogen atom on the A ring in formula (I), and a compound of formula (XIII) which is a known compound or can be easily prepared from a known compound in the presence of carbon monoxide.

Step M-1 can be carried out according to the method described in the literature cited in step L-1.

(Method N)

(Step N-1)

Step N-1 is a process for preparing a compound of formula (Io) by the reaction of a compound of formula (In), which can be prepared according to methods A to H above and wherein Y is a halogenated phenylalkyl group in formula (I), and a compound of formula (XIII) which is a known compound or can be easily prepared from a known compound.

This step can be carried out according to the method described in the literature cited in step J-1.

(Method O)

(Step O-1)

Step O-1 is a process for preparing a compound of formula (Ip) by the reaction of a compound of formula (In), which can be prepared according to methods A to H above and wherein Y is a halogenated phenylalkyl group atom in formula (I), with a metal cyanide in the presence or absence of a catalyst.

Step O-1 can be carried out according to a similar method to that described in step K-1.

(Method P)

(Step P-1)

Step P-1 is a process for preparing a compound of formula (Iq) by the reaction of a compound of formula (In) which can be prepared according to methods A to H above and

wherein Y is a halogenated phenylalkyl group in formula (I), and a compound of formula (XIV) which is a known compound or can be easily prepared from a known compound in the presence of carbon monoxide.

Step P-1 can be carried out according to the method described in the literature cited in Step L-1.

(Method Q)

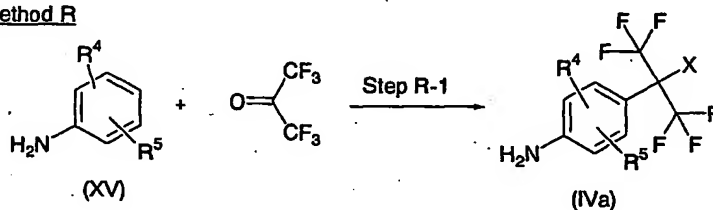
(Step Q-1)

Step Q-1 is a process for preparing a compound of formula (Ir) by the reaction of a compound of formula (In) which can be prepared according to methods A to H above and wherein Y is a halogenated phenylalkyl group in formula (I), and a compound of formula (XIII) which is a known compound or can be easily prepared from a known compound in the presence of carbon monoxide.

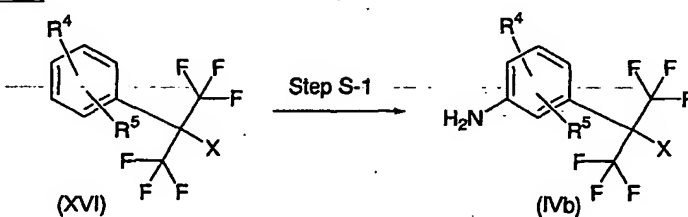
Step Q-1 can be carried out according to the method described in the literature cited in Step L-1.

The compound of formula (IV) which is used in methods A to E can be prepared by methods R or S described below. The compound of formula (II) which is used in methods A and B is prepared by method T described below.

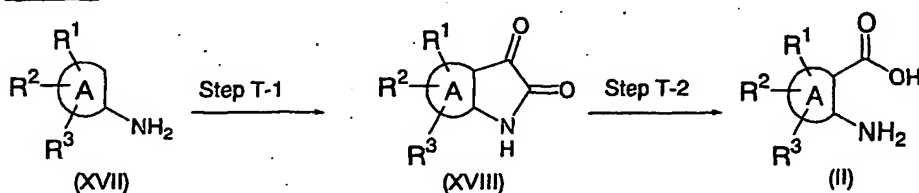
Method R



Method S



Method T



(Method R)

(Step R-1)

Step R-1 is a process for preparing a compound of formula (IVa) by the following reactions: (step R-1a) is the reaction of a compound of formula (XV) which is a known compound or can be easily prepared from a known compound with hexafluoroacetone or hexafluoroacetone hydrate; and (step R-1b) is the subsequent optional conversion of the hydroxyl group to another substituent X.

(Step R-1a)

Step R-1a can be carried out according to the method described in the literature: Bull. Acad. Sci. USSR Div. Chem. Sci. (Engl. Transl.), 323-328 (1990); or WO 00/54759.

(Step R-1b)

Step R-1b can be carried out using a similar method to that described in step F-1 or step G-1 if necessary.

(Method S)

(Step S-1)

Step S-1 is a process for preparing a compound of formula (IVb) by the following reactions: (step S-1a) involves the nitration of a compound of formula (XVI) which is a known compound or can be easily prepared from a known compound, and (step S-1b) is a subsequent reduction of the nitro group.

Step S-1 is carried out according to the method described in Example 15 in WO 00/54759 or modified method thereof.

(Method T)

(Step T-1)

Step T-1 is a process for preparing a compound of formula (XVIII) by performing a hydroxyiminoacetylation reaction on a compound of formula (XVII) which is a known compound or can be easily prepared from a known compound followed by performing an isatin cyclization reaction on the resulting compound.

Step T-1 is carried out according to the methods described in the literature: Organic Synthesis Collective Volume 1, p327; Indian J. Chem. Sect. B, 578-581 (1990); J. Chem. Research (M), 3155-3172 (1993); J. Med. Chem., 36, 733-746 (1993); Synthesis, 993 (1993); Synthetic Communication, 24, 533-548 (1994); or a modified method thereof.

(Step T-2)

Step T-2 is a process for preparing a compound of formula (II) by the oxidation of a compound of formula (XVIII) prepared in step T-1 above.

Step T-2 is carried out according to the method described in the literature: J. Chem.

Research (M), 3155-3172 (1993); J. Med. Chem., 36, 733-746 (1993); or Synthetic Communication, 24, 533-548 (1994) or a modified method thereof.

The compound of formula (I) of the present invention or a pharmacologically acceptable salt or ester thereof exhibits excellent binding affinity against LXR. The compounds of formula (I) and pharmacologically acceptable salts and esters thereof of the present invention possesses excellent pharmacokinetic properties with respect to absorption, distribution, half life period of blood concentration and so forth, and low toxicities against the kidney, liver and other organs. Therefore the compounds of formula (I) and pharmacologically acceptable salts and esters thereof of the present invention are useful as a medicament for a warm-blooded animal, preferably a human; especially, as a medicament for the treatment and/or prevention of arteriosclerosis including that derived from the diseases described below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines such as chronic rheumatoid arthritis, osteoarthritis, allergic diseases, asthma, septicaemia, psoriasis and osteoporosis, autoimmune diseases such as systemic lupus erythematosus, ulcerative colitis, and Crohn's disease, cardiovascular diseases such as ischemic heart diseases and cardiac failure, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications such as retinopathy, nephropathy, neuropathy and coronary diseases, obesity, nephritis, hepatitis, cancer and Alzheimer's disease; preferably arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, and diabetes mellitus; and most preferably arteriosclerosis.

When the compounds of formula (I) and pharmacologically acceptable salts and esters thereof are used as a medicament, they can be used in combination with other pharmaceutical agents depending on the desired use. Such pharmaceutical agents are not particularly limited provided that they exhibit the desired effect in accordance with the object and are preferably HMG-CoA reductase inhibitors, ACAT inhibitors, angiotensin II inhibitors or diuretic agents, and are more preferably HMG-CoA reductase inhibitors.

The HMG-CoA reductase inhibitor described above is not limited provided that it has HMG-CoA reductase inhibition activity and can be used as a pharmaceutical agent, and may be, for example, pravastatin or (+)-(3R,5R)-3,5-dihydroxy-7-[(1S,2S,6S,8S,8aR)-6-hydroxy-2-methyl-8-[(S)-2-methylbutyryloxy]-1,2,6,7,8,8a-hexahydro-1-naphthyl]heptanoic acid monosodium salt (pravastatin sodium) described in Japanese Patent Application (Kokai) No. Sho 57-2240 (USP 4,346,227), (+)-(1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthyl (S)-2-methylbutyrate (lovastatin) described in Japanese Patent Application (Kokai) No. Sho 57-163374 (USP 4,231,938), (+)-(1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-

[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthyl 2,2-dimethylbutyrate (simvastatin) described in Japanese Patent Application (Kokai) No. Sho 56-122375 (USP 4,444,784), (\pm)-(3R*,5S*,6E)-7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid (fluvastatin) described in Japanese Patent Application (Kohyo) No. Sho 60-500015 (USP 4,739,073), (3R,5S,6E)-7-[4-(4-fluorophenyl)-2,6-di-(1-methylethyl)-5-methoxymethylpyridin-3-yl]-3,5-dihydroxy-6-heptenoic acid (cerivastatin) described in Japanese Patent Application (Kokai) No. Hei 1-216974 (USP 5,006,530), (3R,5S)-7-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-phenylaminocarbonyl-1H-pyrrol-1-yl]-3,5-dihydroxyheptanoic acid (atorvastatin) described in Japanese Patent Application (Kokai) No. Hei 3-58967 (USP 5,273,995), (E)-3,5-dihydroxy-7-[4'-(4''-fluorophenyl)-2'-cyclopropylquinoline-3'-yl]-6-heptenoic acid (pitavastatin) described in Japanese Patent Application (Kokai) Hei 1-279866 (USP 5,854,259 and USP 5,856,336), (+)-(3R,5S)-7-[4-(4-fluorophenyl)-6-isopropyl-2-(N-methyl-N-methanesulfonylamino)pyrimidin-5-yl]-3,5-dihydroxy-6(E)-heptenoic acid (rosuvastatin) described in Japanese Patent Application (Kokai) No. Hei 5-178841 (USP 5,260,440) or pharmacologically acceptable salts thereof.

The ACAT inhibitor described above is not limited provided that it has ACAT inhibition activity and can be used as a pharmaceutical agent, and may be, for example, N-[4-(3,4-dimethylphenyl)-1,4-diazacyclohexyl]-(2E)-(3,5-dimethoxy-4-octyloxyphenyl)-2-propenamide, (S)-2',3',5'-trimethyl-4'-hydroxy- α -dodecylthio- α -phenylacetoanilide, trans-1,4-bis[[1-cyclohexyl-3-(4-dimethylaminophenyl)ureido]methyl]cyclohexane, 1-(2,6-diisopropylphenyl)-3-[4(R),5(R)-dimethyl-2-(4-phosphonophenyl)-1,3-dioxan-2-ylmethyl]urea, or 2,6-diisopropylphenyl[(2,4,6-triisopropylphenyl)acetyl]sulfamate.

The angiotensin II inhibitor described above is not limited provided that it has angiotensin II inhibition activity and can be used as a pharmaceutical agent, and may be, for example, candesartan or 2-ethoxy-1-[p-(o-1H-tetrazol-5-yl)phenyl]benzyl]-7-benzimidazolecarboxylic acid 1-(cyclohexyloxycarbonyloxy)ethyl ester (candesartan cilexetil) described in EP 459136 or EP 520423, 2-n-butyl-4-spirocyclopentane-1-[[[(2'-tetrazol-5-yl)biphenyl-4-yl)methyl]-2-imidazolin-5-one (irbesartan) described in WO 91/14679, olmesartan, (5-methyl-2-oxo-1,3-dioxolen-4-yl)methyl 4-(1-hydroxy-1-methylethyl)-2-propyl-1-[[[2'-(1H-tetrazol-5-yl)biphenyl-4-yl)methyl]imidazole-5-carboxylate (olmesartan medoxomil) described in Japanese Patent Application (Kokai) No. Hei 5-78328 (USP 5,459,148), 2-propyl-8-oxo-1-[[[2'-(1H-tetrazol-5-yl)biphenyl-4-yl)methyl]-4,5,6,7-tetrahydrocycloheptimidazole (prazosartan) described in Japanese Patent Application (Kokai) No. Hei 5-320139, 4'-[[[1,4'-dimethyl-2'-propyl[2,6'-bi-1H-benzimidazol]-1'-yl)methyl]-[1,1'-biphenyl]-2-carboxylic acid (telmisartan) described in EP 502314, (S)-N-valeryl-N-[[[2'-(1H-tetrazol-5-yl)biphenyl-4-yl)methyl]valine (valsartan) described in EP 443983, eprosartan, 3-[1-(4-carboxyphenylmethyl)-2-n-butylimidazol-5-yl]-2-thienylmethyl-2-propenoic acid methanesulfonate (eprosartan mesylate) described in EP 403159, losartan, 2-butyl-4-chloro-1-

[[2'-(1H-tetrazol-5-yl)-[1,1'-biphenyl]-4-yl]methyl]-1H-imidazole-5-methanol monopotassium salt (losartan potassium) described in EP 253310 or EP 511767, or pharmacologically acceptable salts thereof.

The diuretic agent described above is not limited provided that it exhibits diuretic activity and can be used as a pharmaceutical agent, and may be, for example, chlorothiazide, dihydrochlorothiazide, furosemide, piretanide or azosemide.

When the compound of formula (I) or a pharmacologically acceptable salt or ester thereof of the present invention is used as a medicament for the treatment or prevention of the diseases described above, the compound of formula (I) or a pharmacologically acceptable salt or ester thereof can be administered alone, and can also be administered orally in a pharmaceutical formulation such as a tablet, capsule, granule, powder or syrup or parenterally in a pharmaceutical formulation such as an injection, suppository, stick preparation or external preparation, by combination with a pharmaceutically acceptable excipient, diluent and the like.

These pharmaceutical formulations can be prepared by well known methods using additives such as a excipients, lubricants, binders, disintegrants, emulsifiers, stabilizers, corrigents, diluents and the like.

The excipient may be, for example, an organic excipient or an inorganic excipient. Organic excipients include, for example, a sugar derivative such as lactose, sucrose, glucose, mannitol or sorbitol; a starch derivative such as corn starch, potato starch, α -starch, or dextrin; a cellulose derivative such as crystalline cellulose; acacia; dextran; or pullulan. Inorganic excipients may be, for example, a silicate derivative such as light silicic acid anhydride, synthesized aluminum silicate, calcium silicate or magnesium metasilicate aluminate; a phosphate such as calcium hydrogenphosphate; a carbonate such as calcium carbonate; or a sulfate such as calcium sulfate.

The lubricant may be, for example, stearic acid; a metal stearate such as calcium stearate or magnesium stearate; talc; colloidal silica; a wax such as beeswax or spermaceti; boric acid; adipic acid; a sulfate such as sodium sulfate; a glycol; fumaric acid; sodium benzoate; DL-leucine; a lauryl sulfate such as sodium lauryl sulfate or magnesium lauryl sulfate; a silicic acid derivative such as silicic acid anhydride or silicic acid hydrate; or a starch derivative as described above.

The binder may be, for example, hydroxypropyl cellulose, hydroxypropylmethyl cellulose, polyvinylpyrrolidone, poly(ethylene glycol) or the derivatives described in the above excipient.

The disintegrant may be, for example, a cellulose derivative such as a lower-substituted hydroxypropyl cellulose, carboxymethyl cellulose, calcium carboxymethyl cellulose or sodium internally cross-linked carboxymethyl cellulose; a chemically modified starch-cellulose derivative such as carboxymethyl starch or sodium carboxymethyl starch; or cross-linked polyvinylpyrrolidone.

The emulsifier may be, for example, a colloidal clay such as bentonite or veegum; a metal hydroxide such as magnesium hydroxide or aluminum hydroxide; an anionic surfactant such as sodium lauryl sulfate or calcium stearate; a cationic surfactant such as benzalkonium chloride; or a non-ionic surfactant such as a polyoxyethylenealkylether, a polyoxyethylene sorbitan ester of fatty acid or a sucrose ester of a fatty acid.

The stabilizer may be, for example, a parahydroxybenzoic acid ester such as methylparaben or propylparaben; an alcohol such as chlorobutanol, benzyl alcohol or phenethyl alcohol; benzalkonium chloride; a phenol derivative such as phenol or cresol; thimerosal; dehydroacetic acid; or sorbic acid.

The corrigent may be, for example, a conventional sweetening, souring, flavoring agent or the like.

The dosage level of the compound of formula (I) or pharmacologically acceptable salt or ester thereof varies depending on the disease being treated, the age of the patient, etc. Suitable dosage levels are in a range from 1 mg (preferably 30 mg) to 2000 mg (preferably 1500 mg) for oral administration and in a range from 0.5 mg (preferably 5 mg) to 500 mg (preferably 250 mg) for intravenous administration per unit dose, per day, for an adult human, respectively. The dosages described above are preferably administered from one time to six times throughout the day depending on the disease and the state of progress thereof.

[Best mode for carrying out the invention]

The present invention is further explained by consideration of the following Examples, Test Examples and Formulation Examples. The scope of the invention is not limited to these Examples, Test Examples and Formulation Examples.

(Example 1)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-7)

—Anthranilic acid (150 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol) and triphenyl phosphite (0.29 ml, 1.1 mmol) were dissolved in pyridine (2 ml), and the resulting solution was stirred at 100°C for 2 hours under a nitrogen atmosphere. To the reaction mixture was added 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol), and the resulting mixture was stirred for a further 3 hours. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure, and the residue thus obtained was purified by silica gel column chromatography using a 4:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound (364 mg, yield: 76 %). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 216°C.

IR (KBr): ν_{\max} 3032, 1656, 1589, 1271, 1187, 967 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, d, $J = 8.0$ Hz), 7.84 (1H, m), 7.83 (1H, d, $J = 1.6$ Hz), 7.68 (2H, d, $J = 8.8$ Hz), 7.54 (1H, m), 7.15-7.07 (3H, m), 6.96 (2H, d, $J = 8.8$ Hz), 6.71 (2H, d, $J = 7.2$ Hz), 4.46 (1H, s), 3.92 (2H, s).

FABMS (m/z): 501 ($[\text{M}+\text{Na}]^+$), 479 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 479.1195; found: 479.1201.

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_2$: C, 60.26; H, 3.37; N, 5.86; found: C, 60.18; H, 3.42; N, 5.86.

(Example 2)

2-(4-Bromobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-31)

The title compound was obtained as a colorless solid (309 mg, yield: 77 %) from anthranilic acid (99 mg, 0.72 mmol), 4-bromophenylacetic acid (155 mg, 0.72 mmol), triphenyl phosphite (0.19 ml, 0.72 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (187 mg, 0.72 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 267-268°C.

IR (KBr): ν_{\max} 3308, 1686, 1661, 1592, 1267, 1216, 1108, 936 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, d, $J = 8.0$ Hz), 7.84 (1H, m), 7.81 (1H, d, $J = 8.0$ Hz), 7.76 (1H, d, $J = 8.8$ Hz), 7.54 (1H, m), 7.25-7.23 (3H, m), 7.04 (2H, d, $J = 8.8$ Hz), 6.61 (2H, d, $J = 8.0$ Hz), 4.10 (1H, s), 3.86 (2H, s).

FABMS (m/z): 559, 557 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}^{79}\text{BrF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 557.0229; found: 557.0332.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{BrF}_6\text{N}_2\text{O}_2$: C, 51.73; H, 2.71; N, 5.03; found: C, 51.65; H, 2.73; N, 5.01.

(Example 3)

2-(3-Bromobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-30)

The title compound was obtained as a colorless solid (320 mg, yield: 58 %) from anthranilic acid (150 mg, 1.1 mmol), 3-bromophenylacetic acid (237 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 186-187°C.

IR (KBr): ν_{\max} 3283, 1658, 1590, 1474, 1270, 1214, 1192, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, d, $J = 8.0$ Hz), 7.83 (1H, m), 7.81 (1H, d, $J = 7.2$ Hz), 7.76 (2H, d, $J = 8.8$ Hz), 7.55 (1H, m), 7.32 (1H, d, $J = 8.8$ Hz), 7.03 (2H, d, $J = 8.8$ Hz), 7.01 (1H, m), 6.97 (1H, t, $J = 8.0$ Hz), 6.59 (1H, d, $J = 7.6$ Hz), 4.15 (1H, s), 3.87 (2H, s).

FABMS (m/z): 559, 557 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}^{79}\text{BrF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 557.0229; found: 557.0300.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{BrF}_6\text{N}_2\text{O}_2$: C, 51.73; H, 2.71; N, 5.03; found: C, 51.76; H, 2.65; N, 5.00.

(Example 4)

2-(2-Bromobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-29)

The title compound was obtained as a colorless solid (472 mg, yield: 85 %) from anthranilic acid (150 mg, 1.1 mmol), 2-bromophenylacetic acid (237 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 233-234°C.

IR (KBr): ν_{\max} 3270, 1657, 1594, 1474, 1269, 1215, 1192, 936 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, d, $J = 8.0$ Hz), 7.81 (1H, m), 7.76 (2H, d, $J = 8.8$ Hz), 7.75 (1H, d, $J = 8.0$ Hz), 7.53 (1H, m), 7.39 (1H, d, $J = 8.8$ Hz), 7.21-7.20 (2H, m), 7.11 (2H, d, $J = 8.8$ Hz), 7.09 (1H, m), 4.02 (2H, s), 3.60 (1H, brs).

FABMS (m/z): 559, 557 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}^{79}\text{BrF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 557.0229; found: 557.0302.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{BrF}_6\text{N}_2\text{O}_2$: C, 51.73; H, 2.71; N, 5.03; found: C, 51.93; H, 3.02; N, 4.71.

(Example 5)

2-(4-Trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-40)

The title compound was obtained as a colorless solid (475 mg, yield: 87 %) from anthranilic acid (150 mg, 1.1 mmol), 4-trifluoromethylphenylacetic acid (224 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 267-268°C.

IR (KBr): ν_{\max} 3177, 1663, 1594, 1329, 1219, 1122, 1068, 939 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.29 (1H, dd, $J = 8.4, 1.2$ Hz), 7.85 (1H, dt, $J = 6.8, 1.2$ Hz), 7.81 (1H, d, $J = 8.0$ Hz), 7.73 (2H, d, $J = 8.4$ Hz), 7.55 (1H, dt, $J = 6.8, 1.2$ Hz), 7.37 (2H, d, $J = 8.0$ Hz), 7.03 (2H, d, $J = 8.4$ Hz), 6.88 (2H, d, $J = 8.0$ Hz), 3.98 (3H, s).

FABMS (m/z): 547 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{16}\text{F}_9\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 547.1069; found: 547.1052.

Anal. calcd. for $\text{C}_{25}\text{H}_{15}\text{F}_9\text{N}_2\text{O}_2$: C, 54.96; H, 2.77; N, 5.13; found: C, 54.77; H, 2.84; N, 5.19.

(Example 6)

2-(3-Trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-39)

The title compound was obtained as a colorless solid (394 mg, yield: 72 %) from anthranilic acid (150 mg, 1.1 mmol), 3-trifluoromethylphenylacetic acid (224 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 200-201°C.

IR (KBr): ν_{\max} 3271, 1664, 1594, 1334, 1218, 1122, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, d, $J = 8.0$ Hz), 7.86 (1H, dt, $J = 7.2, 1.6$ Hz), 7.82 (1H, d, $J = 8.0$ Hz), 7.75 (2H, d, $J = 8.8$ Hz), 7.56 (1H, t, $J = 7.2$ Hz), 7.46 (1H, d, $J = 7.2$ Hz), 7.25 (1H, t, $J = 7.6$ Hz), 7.08 (1H, s), 6.97 (2H, d, $J = 8.8$ Hz), 6.98 (1H, t, $J = 8.0$ Hz), 4.54 (1H, s), 3.95 (2H, s).

FABMS (m/z): 547 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{16}\text{F}_9\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 547.1069; found: 547.1071.

Anal. calcd. for $\text{C}_{25}\text{H}_{15}\text{F}_9\text{N}_2\text{O}_2$: C, 54.96; H, 2.77; N, 5.13; found: C, 54.90; H, 2.62; N, 5.22.

(Example 7)

2-(2-Trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-38)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2-trifluoromethylphenylacetic acid (408 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a

mixed solvent of hexane and ethyl acetate to yield colorless prisms (317 mg, yield: 36 %).

mp 226-227°C.

IR (KBr): ν_{\max} 3284, 1660, 1596, 1314, 1268, 1215, 1113, 769 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.87 (1H, s), 8.15 (1H, dd, $J = 8.0, 1.2$ Hz), 7.87 (1H, m), 7.69 (2H, d, $J = 8.4$ Hz), 7.65 (1H, d, $J = 8.0$ Hz), 7.60-7.56 (3H, m), 7.46-7.39 (4H, m), 3.97 (2H, s).

FABMS (m/z): 547 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{16}\text{F}_9\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 547.1068; found: 547.1066.

Anal. calcd. for $\text{C}_{25}\text{H}_{15}\text{F}_9\text{N}_2\text{O}_2$: C, 54.96; H, 2.77; N, 5.13; found: C, 55.05; H, 2.65; N, 5.24.

(Example 8)

2-(4-Hydroxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-43)

The title compound was obtained as a colorless solid (264 mg, yield: 53 %) from anthranilic acid (150 mg, 1.1 mmol), 4-hydroxyphenylacetic acid (167 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 264-267°C (dec.).

IR (KBr): ν_{\max} 3298, 1674, 1593, 1516, 1271, 1191, 1106, 938 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 9.23 (1H, s), 8.92 (1H, s), 8.11 (1H, d, $J = 8.0$ Hz), 7.89 (1H, t, $J = 8.0$ Hz), 7.75 (1H, d, $J = 8.0$ Hz), 7.70 (2H, d, $J = 8.8$ Hz), 7.56 (1H, t, $J = 8.0$ Hz), 7.30 (2H, d, $J = 8.8$ Hz), 6.48 (4H, s), 3.72 (2H, s).

FABMS (m/z): 495 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 495.1144; found: 495.1115.

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_3$: C, 58.31; H, 3.26; N, 5.67; found: C, 58.01; H, 3.43; N, 5.51.

(Example 9)

2-(3-Hydroxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-42)

The title compound was obtained as a colorless solid (241 mg, yield: 49 %) from anthranilic acid (150 mg, 1.1 mmol), 3-hydroxyphenylacetic acid (167 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 254-256°C.

IR (KBr): ν_{\max} 3320, 1682, 1593, 1267, 1214, 1173, 933 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 9.20 (1H, s), 8.90 (1H, s), 8.13 (1H, d, $J = 8.0$ Hz), 7.90 (1H, t, $J = 8.8$ Hz), 7.76 (1H, d, $J = 8.0$ Hz), 7.70 (2H, d, $J = 8.0$ Hz), 7.58 (1H, t, $J = 7.2$ Hz), 7.33 (2H, d, $J = 8.8$ Hz), 6.83 (1H, t, $J = 8.0$ Hz), 6.54 (1H, d, $J = 8.0$ Hz), 6.44 (1H, s), 5.95 (1H, d, $J = 7.2$ Hz), 3.74 (2H, s).

FABMS (m/z): 495 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 495.1144; found: 495.1131.

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_3$: C, 58.31; H, 3.26; N, 5.67; found: C, 58.50; H, 3.42; N, 5.60.

(Example 10)

2-(2-Benzyloxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2299)

The title compound was obtained as a colorless solid (400 mg, yield: 43 %) from anthranilic acid (238 mg, 1.74 mmol), 2-benzyloxyphenylacetic acid (420 mg, 1.74 mmol), triphenyl phosphite (0.46 ml, 1.74 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (409 mg, 1.58 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 164-165°C.

IR (KBr): ν_{\max} 3266, 1661, 1594, 1269, 1214, 1108, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.27 (1H, d, $J = 8.0$ Hz), 7.77 (1H, t, $J = 6.4$ Hz), 7.67 (1H, d, $J = 8.0$ Hz), 7.64 (2H, d, $J = 8.8$ Hz), 7.50 (1H, t, $J = 6.4$ Hz), 7.25-7.10 (6H, m), 6.95 (2H, d, $J = 8.8$ Hz), 6.93 (1H, m), 6.83 (1H, d, $J = 7.6$ Hz), 6.79 (1H, d, $J = 8.8$ Hz), 4.80 (2H, s), 4.15 (1H, s), 3.87 (2H, s).

FABMS (m/z): 585 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{31}\text{H}_{23}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 585.1613; found: 585.1610.

Anal. calcd. for $\text{C}_{31}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_3$: C, 63.70; H, 3.79; N, 4.79; found: C, 64.08; H, 4.12; N, 4.68.

(Example 11)

2-(2-Hydroxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-41)

20% palladium hydroxide on carbon [50% (w/w) wet type, 40 mg] was added to a solution of 2-(2-benzyloxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (150 mg, 257 μmol) obtained as described in Example 10 above in methanol (3 ml), and the resulting mixture was then stirred for 1 hour under a hydrogen atmosphere. The catalyst was removed by filtration through

Celite™, and the filtrate was concentrated. The residue obtained was purified by silica gel column chromatography using a 3:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound (94 mg, yield: 76 %). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.
mp 206-207°C.

IR (KBr): ν_{\max} 3299, 1683, 1596, 1475, 1270, 1216, 1108, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 10.50 (1H, s), 8.25 (1H, d, $J = 8.4$ Hz), 8.01 (2H, d, $J = 8.8$ Hz), 7.82 (1H, t, $J = 8.8$ Hz), 7.73 (1H, d, $J = 7.6$ Hz), 7.53 (1H, t, $J = 8.4$ Hz), 7.38 (2H, d, $J = 8.8$ Hz), 7.16 (1H, t, $J = 7.6$ Hz), 6.96 (1H, d, $J = 8.0$ Hz), 6.71 (1H, t, $J = 7.6$ Hz), 6.26 (1H, d, $J = 8.0$ Hz), 4.07 (1H, s), 3.81 (2H, s).

FABMS (m/z): 495 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 495.1143; found: 495.1166.

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_3$: C, 58.31; H, 3.26; N, 5.67; found: C, 58.12; H, 3.52; N, 5.50.

(Example 12)

2-(3,4-Methylenedioxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-113)

The title compound was obtained as a colorless solid (434 mg, yield: 83 %) from anthranilic acid (150 mg, 1.1 mmol), 3,4-methylenedioxyphenylacetic acid (198 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 200-202°C.

IR (KBr): ν_{\max} 3361, 1674, 1593, 1491, 1246, 1192, 933 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, d, $J = 7.2$ Hz), 7.82 (2H, m), 7.75 (2H, d, $J = 8.8$ Hz), 7.53 (1H, t, $J = 8.0$ Hz), 7.04 (2H, d, $J = 8.8$ Hz), 6.51 (1H, d, $J = 7.2$ Hz), 6.34 (1H, d, $J = 1.6$ Hz), 6.01 (1H, dd, $J = 7.2, 1.6$ Hz), 5.89 (2H, s), 4.21 (1H, s), 3.82 (2H, s).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_4$ ($[\text{M}+\text{H}]^+$): 523.1093; found: 523.1081.

Anal. calcd. for $\text{C}_{25}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_4$: C, 57.48; H, 3.09; N, 5.36; found: C, 57.54; H, 3.31; N, 5.29.

(Example 13)

2-[4-(t-Butoxycarbonylamino)benzyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-71)

The title compound was obtained as a colorless solid (176 mg, yield: 30 %) from anthranilic acid (150 mg, 1.1 mmol), 4-(t-butoxycarbonylamino)phenylacetic acid (276 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 240-241°C.

IR (KBr): ν_{\max} 3331, 1727, 1659, 1594, 1525, 1271, 1230, 1168, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 9.26 (1H, s), 8.93 (1H, s), 8.11 (1H, d, $J = 8.8$ Hz), 7.90 (1H, t, $J = 8.0$ Hz), 7.74 (1H, d, $J = 8.0$ Hz), 7.72 (2H, d, $J = 8.8$ Hz), 7.55 (1H, t, $J = 8.0$ Hz), 7.35 (2H, d, $J = 8.0$ Hz), 7.22 (2H, d, $J = 8.8$ Hz), 6.60 (1H, d, $J = 8.8$ Hz), 3.75 (2H, s), 1.45 (9H, s).

FABMS (m/z): 594 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{29}\text{H}_{26}\text{F}_6\text{N}_3\text{O}_4$ ($[\text{M}+\text{H}]^+$): 594.1827; found: 594.1821.

Anal. calcd. for $\text{C}_{29}\text{H}_{25}\text{F}_6\text{N}_3\text{O}_4$: C, 58.69; H, 4.25; N, 7.08; found: C, 58.71; H, 4.25; N, 6.98.

(Example 14)

2-(2-Fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-35)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2-fluorophenylacetic acid (308 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (343 mg, yield: 43 %). mp 239-240°C.

IR (KBr): ν_{\max} 3239, 1676, 1598, 1264, 1230, 1175, 933 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.93 (1H, s), 8.13 (1H, dd, $J = 8.0, 1.2$ Hz), 7.87 (1H, m), 7.71 (2H, d, $J = 8.4$ Hz), 7.68 (1H, d, $J = 8.0$ Hz), 7.57 (1H, m), 7.41 (2H, d, $J = 8.8$ Hz), 7.25 (1H, m), 7.15 (1H, m), 7.04 (1H, m), 6.94 (1H, m), 3.85 (2H, s).

FABMS (m/z): 497 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_7\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 497.1100; found: 497.1095.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_7\text{N}_2\text{O}_2$: C, 58.07; H, 3.05; N, 5.64; found: C, 57.99; H, 3.28; N, 5.52.

(Example 15)

2-(3-Fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-36)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0

mmol), 3-fluorophenylacetic acid (308 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (218 mg, yield: 27 %). mp 222-223°C.

IR (KBr): ν_{\max} 3079, 1656, 1590, 1270, 1245, 1213, 1186, 969, 939 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.91 (1H, s), 8.13 (1H, dd, $J = 8.0, 1.2$ Hz), 7.89 (1H, m), 7.73 (3H, m), 7.57 (1H, m), 7.39 (2H, d, $J = 8.8$ Hz), 7.16 (1H, m), 6.99 (1H, m), 6.65 (1H, d, $J = 7.6$ Hz), 6.60 (1H, dd, $J = 10.0, 2.0$ Hz), 3.87 (2H, s).

FABMS (m/z): 497 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_7\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 497.1100; found: 497.1111.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_7\text{N}_2\text{O}_2$: C, 58.07; H, 3.05; N, 5.64; found: C, 58.02; H, 2.91; N, 5.62.

(Example 16)

2-(4-Fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-37)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 4-fluorophenylacetic acid (308 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (291 mg, yield: 37 %). mp 225-226°C.

IR (KBr): ν_{\max} 3273, 1663, 1593, 1511, 1269, 1222, 1107, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.96 (1H, s), 8.12 (1H, dd, $J = 8.0, 1.2$ Hz), 7.89 (1H, m), 7.72 (3H, m), 7.57 (1H, t, $J = 8.0$ Hz), 7.36 (2H, d, $J = 8.8$ Hz), 6.92 (1H, m), 6.80 (1H, m), 3.85 (2H, s).

FABMS (m/z): 497 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_7\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 497.1100; found: 497.1097.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_7\text{N}_2\text{O}_2$: C, 58.07; H, 3.05; N, 5.64; found: C, 58.00; H, 3.02; N, 5.59.

(Example 17)

2-(2-Chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-32)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2-chlorophenylacetic acid (341 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a

mixed solvent of hexane and ethyl acetate to yield colorless prisms (288 mg, yield: 35 %).
mp 226-227°C.

IR (KBr): ν_{\max} 3296, 1657, 1593, 1475, 1268, 1214, 1192, 1107, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.91 (1H, s), 8.13 (1H, dd, $J = 8.0, 0.8$ Hz), 7.85 (1H, m), 7.76 (2H, d, $J = 8.4$ Hz), 7.63 (1H, d, $J = 8.0$ Hz), 7.56 (1H, m), 7.47 (2H, d, $J = 8.4$ Hz), 7.31-7.22 (4H, m), 3.91 (2H, s).

FABMS (m/z): 513 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 513.0804; found: 513.0798.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.21; H, 2.95; N, 5.46; found: C, 56.24; H, 3.10; N, 5.18.

(Example 18)

2-(3-Chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-33)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 3-chlorophenylacetic acid (341 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (412 mg, yield: 50 %).
mp 197-198°C.

IR (KBr): ν_{\max} 3284, 1679, 1591, 1474, 1269, 1214, 1107, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.96 (1H, s), 8.13 (1H, d, $J = 8.0$ Hz), 7.88 (1H, m), 7.75 (2H, d, $J = 8.4$ Hz), 7.72 (1H, d, $J = 8.0$ Hz), 7.57 (1H, t, $J = 8.0$ Hz), 7.43 (2H, d, $J = 8.8$ Hz), 7.25 (1H, m), 7.16 (1H, t, $J = 8.0$ Hz), 6.94 (1H, s), 6.78 (1H, d, $J = 8.0$ Hz), 3.84 (2H, s).

FABMS (m/z): 513 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 513.0804; found: 513.0797.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.21; H, 2.95; N, 5.46; found: C, 56.78; H, 3.05; N, 5.29.

(Example 19)

2-(4-Chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-34)

The title compound was obtained as a colorless solid from anthranilic acid (120 mg, 0.41 mmol), 4-chlorophenylacetic acid (70 mg, 0.41 mmol), triphenyl phosphite (0.22 ml, 0.82 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (117 mg, 0.45 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (120 mg, yield: 57 %).

mp 240-241°C.

IR (KBr): ν_{\max} 3180, 1661, 1592, 1474, 1270, 1216, 1192, 1107 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.93 (1H, s), 8.13 (1H, dd, $J = 8.0, 1.2$ Hz), 7.88 (1H, m), 7.72 (3H, m), 7.57 (1H, t, $J = 8.0$ Hz), 7.39 (2H, d, $J = 8.8$ Hz), 7.16 (2H, d, $J = 8.0$ Hz), 6.82 (2H, d, $J = 8.4$ Hz), 3.85 (2H, s).

FABMS (m/z): 513 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 513.0804; found: 513.0794.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.21; H, 2.95; N, 5.46; found: C, 56.23; H, 2.77; N, 5.44.

(Example 20)

2-(2-Methoxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-44)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2-methoxyphenylacetic acid (332 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (418 mg, yield: 51 %).

mp 203-204°C.

IR (KBr): ν_{\max} 3273, 1663, 1593, 1472, 1268, 1214, 1189, 1108, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.90 (1H, s), 8.12 (1H, dd, $J = 8.0, 1.2$ Hz), 7.85 (1H, m), 7.72 (2H, d, $J = 8.4$ Hz), 7.65 (1H, d, $J = 8.0$ Hz), 7.55 (1H, t, $J = 7.6$ Hz), 7.38 (2H, d, $J = 8.4$ Hz), 7.19 (1H, m), 7.05 (1H, m), 6.82 (2H, m), 3.74 (2H, s), 3.53 (3H, s).

FABMS (m/z): 509 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 509.1300; found: 509.1271.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$: C, 59.06; H, 3.57; N, 5.51; found: C, 59.08; H, 3.36; N, 5.54.

(Example 21)

2-(3-Methoxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-45)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 3-methoxyphenylacetic acid (341 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (462 mg, yield: 57 %).

mp 165-167°C.

IR (KBr): ν_{\max} 3296, 1675, 1591, 1473, 1269, 1214, 1107, 934, 709 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.92 (1H, s), 8.12 (1H, m), 7.89 (1H, m), 7.74 (3H, m), 7.57 (1H, t, $J = 7.6$ Hz), 7.37 (2H, d, $J = 8.4$ Hz), 7.03 (1H, t, $J = 7.6$ Hz), 6.74 (1H, dd, $J = 8.4, 2.4$ Hz), 6.42 (1H, s), 6.33 (1H, d, $J = 7.6$ Hz), 3.81 (2H, s), 3.63 (3H, s).

FABMS (m/z): 509 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 509.1300; found: 509.1270.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$: C, 59.06; H, 3.57; N, 5.51; found: C, 59.22; H, 3.35; N, 5.55.

(Example 22)

2-(4-Methoxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-46)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 4-methoxyphenylacetic acid (341 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (210 mg, yield: 26 %). mp 225-226°C.

IR (KBr): ν_{max} 3340, 1659, 1593, 1512, 1270, 1247, 1213, 1180, 1108, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.92 (1H, s), 8.11 (1H, d, $J = 7.6$ Hz), 7.89 (1H, m), 7.74 (1H, d, $J = 8.0$ Hz), 7.70 (2H, d, $J = 8.4$ Hz), 7.56 (1H, t, $J = 7.6$ Hz), 7.31 (2H, d, $J = 8.4$ Hz), 6.65 (4H, s), 3.78 (2H, s), 3.67 (3H, s).

FABMS (m/z): 509 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 509.1300; found: 509.1270.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$: C, 59.06; H, 3.57; N, 5.51; found: C, 59.00; H, 3.37; N, 5.52.

(Example 23)

2-(2-Methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-26)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2-methylphenylacetic acid (341 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (130 mg, yield: 17 %). mp 209-210°C.

IR (KBr): ν_{max} 3281, 1658, 1594, 1473, 1269, 1215, 1192, 1107, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.91 (1H, s), 8.13 (1H, dd, $J = 8.0, 1.2$ Hz), 7.88 (1H, m), 7.72 (1H, d, $J = 8.0$ Hz), 7.68 (2H, d, $J = 8.4$ Hz), 7.57 (1H, m), 7.31 (2H, d, $J = 8.4$ Hz), 7.10-6.96 (4H, m), 3.80 (2H, s), 1.73 (3H, s).

FABMS (m/z): 493 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₉F₆N₂O₂ ([M+H]⁺): 493.1351; found: 493.1373.

Anal. calcd. for C₂₅H₁₈F₆N₂O₂: C, 60.98; H, 3.68; N, 5.69; found: C, 60.91; H, 3.90; N, 5.31.

(Example 24)

2-(3-Methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-27)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 3-methylphenylacetic acid (341 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (236 mg, yield: 30 %). mp 188-189°C.

IR (KBr): ν_{\max} 3283, 1656, 1591, 1269, 1212, 1182, 1108, 936 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.92 (1H, s), 8.12 (1H, dd, J = 8.0, 0.8 Hz), 7.89 (1H, m), 7.76 (1H, d, J = 8.0 Hz), 7.70 (2H, d, J = 8.0 Hz), 7.56 (1H, t, J = 7.2 Hz), 7.31 (2H, d, J = 8.8 Hz), 6.97 (2H, m), 6.55 (2H, m), 3.80 (2H, s), 2.15 (3H, s).

FABMS (m/z): 493 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₉F₆N₂O₂ ([M+H]⁺): 493.1351; found: 493.1363.

Anal. calcd. for C₂₅H₁₈F₆N₂O₂: C, 60.98; H, 3.68; N, 5.69; found: C, 60.76; H, 3.54; N, 5.57.

(Example 25)

2-(4-Methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-28)

The title compound was obtained as a colorless solid from anthranilic acid (82 mg, 0.6 mmol), 4-methylphenylacetic acid (90 mg, 0.6 mmol), triphenyl phosphite (0.16 ml, 0.6 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (155 mg, 0.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (170 mg, yield: 57 %). mp 229-230°C.

IR (KBr): ν_{\max} 3272, 1655, 1592, 1513, 1475, 1270, 1213, 1183, 937 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.91 (1H, s), 8.11 (1H, dd, J = 8.0, 1.2 Hz), 7.88 (1H, m), 7.74 (1H, d, J = 8.0 Hz), 7.70 (2H, d, J = 8.4 Hz), 7.56 (1H, t, J = 8.0 Hz), 7.31 (2H, d, J = 8.8 Hz), 6.91 (2H, d, J = 7.6 Hz), 6.63 (2H, d, J = 8.0 Hz), 3.80 (2H, s), 2.22 (3H, s).

FABMS (m/z): 493 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₉F₆N₂O₂ ([M+H]⁺): 493.1351; found: 493.1348.

Anal. calcd. for C₂₅H₁₈F₆N₂O₂: C, 60.98; H, 3.68; N, 5.69; found: C, 61.09; H, 3.53; N, 5.63.

(Example 26)

2-(2,3,4,5,6-Pentafluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-121)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), pentafluorophenylacetic acid (452 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (170 mg, yield: 19 %). mp 232-233°C.

IR (KBr): ν_{\max} 3326, 1675, 1598, 1509, 1268, 1216, 1009, 970 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.97 (1H, s), 8.13 (1H, d, $J = 8.0$ Hz), 7.85 (3H, m), 7.68 (2H, d, $J = 8.4$ Hz), 7.60 (1H, d, $J = 8.0$ Hz), 7.56 (1H, d, $J = 7.6$ Hz), 3.91 (2H, s).

FABMS (m/z): 569 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{12}\text{F}_{11}\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 569.0723; found: 569.0690.

Anal. calcd. for $\text{C}_{24}\text{H}_{11}\text{F}_{11}\text{N}_2\text{O}_2$: C, 50.72; H, 1.95; N, 4.93; found: C, 49.55; H, 1.94; N, 4.89.

(Example 27)

2-(2,6-Difluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-81)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2,6-difluorophenylacetic acid (344 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (361 mg, yield: 44 %). mp 271-272°C.

IR (KBr): ν_{\max} 3243, 1681, 1598, 1472, 1267, 1211, 1177, 1017 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.94 (1H, s), 8.13 (1H, m), 7.82 (3H, m), 7.62 (2H, d, $J = 8.8$ Hz), 7.55 (2H, m), 7.39-7.31 (1H, m), 7.01-6.95 (2H, m), 3.81 (2H, s).

FABMS (m/z): 515 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_8\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 515.1006; found: 515.0984

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{F}_8\text{N}_2\text{O}_2$: C, 56.04; H, 2.74; N, 5.45; found: C, 56.02; H, 2.68; N, 5.68.

(Example 28)

2-(2,4-Difluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-79)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2,4-difluorophenylacetic acid (344 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (241 mg, yield: 29%). mp 222-223°C.

IR (KBr): ν_{\max} 3317, 1666, 1595, 1508, 1269, 1216, 1193, 971 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.92 (1H, s), 8.13 (1H, dd, $J = 8.0, 0.8$ Hz), 7.87 (1H, m), 7.75 (2H, d, $J = 8.4$ Hz), 7.66 (1H, d, $J = 8.4$ Hz), 7.57 (1H, t, $J = 7.6$ Hz), 7.46 (2H, d, $J = 8.4$ Hz), 7.20 (1H, m), 6.99-6.90 (2H, m), 3.82 (2H, s).

FABMS (m/z): 515 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_8\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 515.1006; found: 515.0984

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{F}_8\text{N}_2\text{O}_2$: C, 56.04; H, 2.74; N, 5.45; found: C, 55.96; H, 2.69; N, 5.69.

(Example 29)

2-(1-Naphthylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-14)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 1-naphthylacetic acid (372 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (171 mg, yield: 20 %).

mp 277-278°C.

IR (KBr): ν_{\max} 3265, 1678, 1594, 1267, 1210, 1174, 933, 776 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.87 (1H, s), 8.13 (1H, dd, $J = 8.0, 1.2$ Hz), 7.89-7.78 (4H, m), 7.70 (2H, d, $J = 8.4$ Hz), 7.59-7.55 (2H, m), 7.52 (2H, d, $J = 8.4$ Hz), 7.47-7.38 (2H, m), 7.30 (1H, t, $J = 7.6$ Hz), 7.03 (1H, d, $J = 6.8$ Hz), 4.25 (2H, s).

FABMS (m/z): 529 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{28}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 529.1350; found: 529.1357.

Anal. calcd. for $\text{C}_{28}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 63.64; H, 3.43; N, 5.30; found: C, 63.71; H, 3.42; N, 5.25.

(Example 30)

2-(2-Naphthylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-15)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 2-naphthylacetic acid (372 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (353 mg, yield: 42 %).

mp 207-208°C.

IR (KBr): ν_{\max} 3112, 1659, 1592, 1269, 1214, 1187, 773, 707 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.92 (1H, s), 8.13 (1H, dd, $J = 8.0, 1.2$ Hz), 7.91-7.82 (2H, m), 7.75 (1H, d, $J = 8.0$ Hz), 7.76-7.65 (4H, m), 7.57 (1H, t, $J = 7.6$ Hz), 7.47-7.43 (2H, m), 7.38 (1H, d, $J = 8.8$ Hz), 7.27 (1H, s), 7.02 (1H, d, $J = 8.4$ Hz), 4.25 (2H, s).

FABMS (m/z): 529 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{28}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 529.1350; found: 529.1348.

Anal. calcd. for $\text{C}_{28}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 63.64; H, 3.43; N, 5.30; found: C, 63.63; H, 3.40; N, 5.28.

(Example 31)

2-(4-Isopropylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-55)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 4-isopropylphenylacetic acid (356 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (532 mg, yield: 64 %). mp 224-225°C.

IR (KBr): ν_{\max} 3089, 2963, 1656, 1591, 1269, 1184, 967, 938 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.90 (1H, s), 8.12 (1H, dd, $J = 8.0, 1.2$ Hz), 7.91-7.87 (1H, m), 7.76 (1H, d, $J = 8.0$ Hz), 7.65 (2H, d, $J = 8.4$ Hz), 7.57 (1H, t, $J = 7.6$ Hz), 7.27 (2H, d, $J = 8.4$ Hz), 6.95 (2H, d, $J = 8.0$ Hz), 6.64 (2H, d, $J = 8.0$ Hz), 3.83 (2H, s), 2.84-2.74 (1H, m), 1.14 (6H, d, $J = 6.8$ Hz).

FABMS (m/z): 521 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{27}\text{H}_{23}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 521.1663; found: 521.1669.

Anal. calcd. for $\text{C}_{27}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_2$: C, 62.31; H, 4.26; N, 5.38; found: C, 62.56; H, 4.09; N, 5.35.

(Example 32)

2-(1,1'-Biphenyl-4-ylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-125)

The title compound was obtained as a colorless solid from anthranilic acid (274 mg, 2.0 mmol), 4-biphenylacetic acid (524 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (521 mg, yield: 59 %). mp 259-260°C.

IR (KBr): ν_{\max} 3270, 1657, 1592, 1270, 1215, 1181, 935, 699 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.94 (1H, s), 8.14 (1H, dd, $J = 8.0, 1.2$ Hz), 7.90 (1H, m), 7.77 (1H, d, $J = 8.0$ Hz), 7.71 (2H, d, $J = 8.4$ Hz), 7.58 (3H, m), 7.47-7.34 (7H, m), 6.86 (2H, d, $J = 8.0$ Hz), 3.90 (2H, s).

FABMS (m/z): 555 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{30}\text{H}_{21}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 555.1507; found: 555.1505.

Anal. calcd. for $\text{C}_{30}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_2$: C, 64.98; H, 3.64; N, 5.05; found: C, 64.99; H, 3.51; N, 5.07.

(Example 33)

2-(2,4-Dimethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-115)

The title compound was obtained as a colorless solid from anthranilic acid (139 mg,

1.01 mmol), 2,4-dimethylphenylacetic acid (173 mg, 1.05 mmol), triphenyl phosphite (350 mg, 1.13 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from hexane to yield colorless needles (286 mg, yield: 56%).

mp 182-182.5°C.

IR (KBr): ν_{\max} 3269, 1659, 1593, 1473, 1271, 1214, 1192, 936 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, d, $J = 8.8$ Hz), 7.85-7.78 (2H, m), 7.67 (2H, d, $J = 8.8$ Hz), 7.55-7.51 (1H, m), 6.98 (2H, d, $J = 8.0$ Hz), 6.86-6.82 (2H, m), 6.78 (1H, s), 3.83 (3H, s), 2.25 (3H, s), 1.64 (3H, s).

FABMS (m/z): 507 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{26}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_2$: C, 61.66; H, 3.98; N, 5.53; F, 22.51; found: C, 61.58; H, 4.02; N, 5.46; F, 22.65.

(Example 34)

2-(3-Nitrobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-48)

The title compound was obtained as a colorless solid from anthranilic acid (511 mg, 3.73 mmol), 3-nitrophenylacetic acid (680 mg, 3.75 mmol), triphenyl phosphite (1.17 g, 3.76 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (975 mg, 3.76 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless needles (1.13 g, yield: 58%).

mp 229.5-230.5°C.

IR (KBr): ν_{\max} 3207, 1665, 1595, 1354, 1270, 1215, 1193, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.91 (1H, s), 8.14-8.05 (2H, m), 7.90-7.83 (2H, m), 7.73-7.68 (3H, m), 7.60-7.55 (1H, m), 7.48-7.42 (3H, m), 7.32 (1H, d, $J = 8.1$ Hz), 4.00 (2H, s).

FABMS (m/z): 524 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_6\text{N}_3\text{O}_4$: C, 55.08; H, 2.89; N, 8.03; F, 21.78; found: C, 55.13; H, 3.08; N, 8.12; F, 22.11.

(Example 35)

2-Benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-7)

2-(3-Aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) was added to a solution of N-phenylacetyl anthranilic acid (280 mg, 1.1 mmol) which was prepared according to the method described by Yu et al. [Yu, M. J., McCowan, J. R., Mason, N. R., Deeter, J. B., Mendelsohn, L. G., J. Med. Chem., 35, 2534-2542 (1992)], and triphenyl phosphite (0.29 ml, 1.1 mmol) in pyridine (2 ml), and the resulting mixture was stirred for 3 hours under a

nitrogen atmosphere. At the end of this time, the reaction mixture was concentrated by evaporation under reduced pressure, and the residue obtained was purified by silica gel column chromatography using a 4:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless solid (399 mg, yield: 84 %). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 140-141°C.

IR (KBr): ν_{\max} 3281, 1685, 1586, 1267, 1211, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.25 (1H, d, $J = 7.6$ Hz), 7.83 (1H, dt, $J = 7.6, 1.6$ Hz), 7.82 (1H, d, $J = 7.6$ Hz), 7.73 (1H, d, $J = 8.0$ Hz), 7.52 (1H, m), 7.44 (1H, s), 7.39 (1H, t, $J = 8.0$ Hz), 7.17-7.10 (3H, m), 6.97 (1H, d, $J = 8.8$ Hz), 6.79 (2H, d, $J = 6.8$ Hz), 4.41 (1H, s), 3.97 (1H, d, $J = 14.8$ Hz), 3.85 (1H, d, $J = 14.8$ Hz).

FABMS (m/z): 479 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 479.1195; found: 479.1205.

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_2$: C, 60.26; H, 3.37; N, 5.86; found: C, 60.52; H, 3.39; N, 5.88.

(Example 36)

2-Benzyl-6-bromo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-411)

The title compound was obtained as a colorless solid (412 mg, yield: 74 %) from 5-bromoanthranilic acid (237 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 175-176°C.

IR (KBr): ν_{\max} 3317, 1668, 1591, 1469, 1270, 1216, 1108, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.39 (1H, d, $J = 2.8$ Hz), 7.91 (1H, dd, $J = 8.8, 2.0$ Hz), 7.71 (2H, d, $J = 8.8$ Hz), 7.68 (1H, d, $J = 8.8$ Hz), 7.19-7.08 (3H, m), 6.98 (2H, d, $J = 8.8$ Hz), 6.70 (2H, d, $J = 6.8$ Hz), 3.95 (1H, s), 3.90 (2H, s).

FABMS (m/z): 557 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}^{79}\text{BrF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 557.0293; found: 557.0293.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{BrF}_6\text{N}_2\text{O}_2$: C, 51.73; H, 2.71; N, 5.03; found: C, 51.77; H, 2.69; N, 5.01.

(Example 37)

2-Benzyl-5-fluoro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1000)

The title compound was obtained as a colorless solid (642 mg, yield: 65 %) from 6-

fluoroanthranilic acid (341 mg, 2.2 mmol), phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 166-167°C.

IR (KBr): ν_{\max} 3327, 1684, 1595, 1476, 1268, 1214, 1192, 933 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.75 (1H, dt, $J = 8.8, 3.2$ Hz), 7.69 (2H, d, $J = 8.0$ Hz), 7.60 (1H, d, $J = 8.0$ Hz), 7.19-7.06 (4H, m), 6.97 (2H, d, $J = 8.8$ Hz), 6.71 (2H, d, $J = 7.2$ Hz), 3.93 (1H, s), 3.89 (2H, s).

FABMS (m/z): 497 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_7\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 497.1100; found: 497.1107.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_7\text{N}_2\text{O}_2$: C, 58.07; H, 3.05; N, 5.64; found: C, 57.90; H, 2.91; N, 5.78.

(Example 38)

2-Benzyl-6-fluoro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1031)

The title compound was obtained as a colorless solid (643 mg, yield: 65 %) from 5-fluoroanthranilic acid (341 mg, 2.2 mmol), phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 194-195°C.

IR (KBr): ν_{\max} 3265, 1669, 1595, 1487, 1271, 1217, 1194, 932 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.90 (1H, dd, $J = 8.8, 2.8$ Hz), 7.82 (1H, dd, $J = 8.0, 4.8$ Hz), 7.70 (2H, d, $J = 8.8$ Hz), 7.55 (1H, dt, $J = 8.8, 3.2$ Hz), 7.17-7.06 (3H, m), 6.97 (2H, d, $J = 8.0$ Hz), 6.70 (2H, d, $J = 7.2$ Hz), 4.03 (1H, s), 3.91 (2H, s).

FABMS (m/z): 497 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_7\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 497.1100; found: 497.1093.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_7\text{N}_2\text{O}_2$: C, 58.07; H, 3.05; N, 5.64; found: C, 58.11; H, 2.89; N, 5.75.

(Example 39)

2-Benzyl-6,7-difluoro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1248)

(1) 4,5-Difluoro-2-nitrobenzoic acid (447 mg, 2.2 mmol) was added to a suspension of 20% palladium hydroxide on carbon [50% (w/w) wet type, 40 mg] in methanol (10 ml), and the resulting mixture was stirred at room temperature for 2 hours under a hydrogen atmosphere. The catalyst was removed by filtration through celite, and the filtrate was concentrated to

yield 4,5-difluoroanthranilic acid (380 mg, yield: 100%).

(2) The title compound was obtained as a colorless solid (521 mg, yield: 51 %) from 4,5-difluoroanthranilic acid (380 mg, 2.2 mmol) prepared as described in Example 39(1) above, phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 205-206°C.

IR (KBr): ν_{\max} 3350, 1686, 1597, 1501, 1378, 1271, 1217, 1106 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.02 (1H, t, $J = 9.6$ Hz), 7.72 (2H, d, $J = 8.4$ Hz), 7.59 (1H, dd, $J = 10.8, 7.2$ Hz), 7.17 (1H, t, $J = 7.6$ Hz), 7.10 (2H, t, $J = 7.6$ Hz), 6.98 (2H, d, $J = 8.4$ Hz), 6.70 (2H, d, $J = 7.6$ Hz), 4.71 (1H, s), 3.89 (2H, s).

FABMS (m/z): 515 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{14}\text{F}_8\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 537.0826; found: 537.0800.

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{F}_8\text{N}_2\text{O}_2$: C, 56.04; H, 2.74; N, 5.45; found: C, 56.18; H, 3.01; N, 5.70.

(Example 40)

2-Benzyl-5-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-163)

The title compound was obtained as a colorless solid (467 mg, yield: 91 %) from 6-chloroanthranilic acid (188 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 133-134°C.

IR (KBr): ν_{\max} 3391, 1689, 1592, 1270, 1215, 1193, 931 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.72-7.70 (3H, m), 7.68 (1H, d, $J = 7.2$ Hz), 7.51 (1H, dd, $J = 7.6, 1.6$ Hz), 7.17-7.01 (3H, m), 6.99 (2H, d, $J = 8.8$ Hz), 6.72 (2H, d, $J = 7.2$ Hz), 3.88 (2H, s), 3.69 (1H, s).

FABMS (m/z): 513 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}^{35}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 513.0804; found: 513.0800.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.21; H, 2.95; N, 5.46; found: C, 56.28; H, 3.24; N, 5.47.

(Example 41)

2-Benzyl-6-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-380)

The title compound was obtained as a colorless solid (409 mg, yield: 80 %) from 5-chloroanthranilic acid (188 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 189-190°C.

IR (KBr): ν_{\max} 3329, 1678, 1591, 1472, 1270, 1216, 1108, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.22 (1H, t, $J = 1.6$ Hz), 7.76 (2H, m), 7.71 (2H, d, $J = 8.8$ Hz), 7.19-7.08 (3H, m), 6.98 (2H, d, $J = 8.8$ Hz), 6.70 (2H, d, $J = 6.8$ Hz), 3.90 (3H, s).

FABMS (m/z): 513 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}^{35}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 513.0804; found: 513.0806.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.21; H, 2.95; N, 5.46; found: C, 56.32; H, 2.79; N, 5.46.

(Example 42)

2-Benzyl-7-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-597)

The title compound was obtained as a colorless solid (239 mg, yield: 47 %) from 4-chloroanthranilic acid (188 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 221-222°C.

IR (KBr): ν_{\max} 3290, 1666, 1591, 1270, 1215, 1175, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.20 (1H, d, $J = 8.0$ Hz), 7.81 (1H, d, $J = 2.0$ Hz), 7.71 (2H, d, $J = 8.8$ Hz), 7.47 (1H, dd, $J = 8.0, 1.2$ Hz), 7.19-7.08 (3H, m), 6.99 (2H, d, $J = 8.8$ Hz), 6.70 (2H, d, $J = 6.8$ Hz), 3.90 (2H, s).

FABMS (m/z): 513 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}^{35}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 513.0804; found: 513.0805.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.21; H, 2.95; N, 5.46; found: C, 56.33; H, 3.01; N, 5.21.

(Example 43)

2-Benzyl-8-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-814)

(1) Platinum oxide (339 mg) was added to a solution of 3-chloro-2-nitrobenzoic acid (5.61 g, 27.8 mmol) in a mixed solvent of tetrahydrofuran (10 ml) and ethyl acetate (40 ml), and the

resulting mixture was stirred at room temperature under a hydrogen atmosphere for 12 hours. At the end of this time, the reaction mixture was filtered and the filtrate obtained was concentrated to yield a crude crystalline solid. This crude crystalline solid was recrystallized from a mixed solvent of ethyl acetate and hexane to yield 3-chloroanthranilic acid as pale yellow needles (1.34 g, yield: 28 %).

(2) The title compound was obtained as a colorless solid from 3-chloroanthranilic acid (548 mg, 3.19 mmol) prepared as described in Example 43(1) above, phenylacetic acid (445 mg, 3.26 mmol), triphenyl phosphite (1.04 g, 3.35 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (831 mg, 3.21 mmol) in a similar manner to that described in Example 1. This product was recrystallized from benzene to yield colorless crystals (1.18 g, yield: 72 %).

mp 243-244°C.

IR (KBr): ν_{\max} 3177, 1661, 1591, 1273, 1221, 1194, 936 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO - d_6): δ 8.92 (1H, s), 8.09-8.03 (2H, m), 7.70 (2H, d, J = 8.8 Hz), 7.54 (1H, t, J = 8.1 Hz), 7.33 (2H, d, J = 8.8 Hz), 7.19-7.09 (3H, m), 6.77 (2H, d, J = 7.3 Hz), 3.89 (2H, s).

FABMS (m/z): 513 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_6\text{ClN}_2\text{O}_4$: C, 56.21; H, 2.95; N, 5.46; F, 22.23; Cl, 6.91; found: C, 56.32; H, 2.89; N, 5.54; F, 22.37; Cl, 6.62.

(Example 44)

2-Benzyl-5-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-132)

The title compound was obtained as a colorless solid (544 mg, yield: 55 %) from 6-methylanthranilic acid (322 mg, 2.2 mmol), phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 189-190°C.

IR (KBr): ν_{\max} 3353, 1670, 1596, 1472, 1269, 1214, 1175, 932 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.69 (2H, d, J = 8.8 Hz), 7.67-7.65 (2H, m), 7.28 (1H, m), 7.17-7.06 (3H, m), 6.98 (2H, d, J = 8.8 Hz), 6.71 (2H, d, J = 7.2 Hz), 3.88 (2H, s), 3.87 (1H, s), 2.82 (3H, s).

FABMS (m/z): 493 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 493.1351; found: 493.1360.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 60.98; H, 3.68; N, 5.69; found: C, 61.20; H, 3.46; N, 5.77.

(Example 45)

2-Benzyl-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-349)

The title compound was obtained as a colorless solid (764 mg, yield: 78 %) from 5-methylanthranilic acid (322 mg, 2.2 mmol), phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 175-176°C.

IR (KBr): ν_{\max} 3196, 1652, 1591, 1492, 1275, 1192, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.06 (1H, s), 7.72 (1H, d, $J = 8.0$ Hz), 7.68 (2H, d, $J = 8.8$ Hz), 7.66 (1H, dd, $J = 8.0, 2.4$ Hz), 7.17-7.06 (3H, m), 6.96 (2H, d, $J = 6.8$ Hz), 6.69 (2H, d, $J = 7.2$ Hz), 3.97 (1H, s), 3.90 (2H, s), 2.52 (3H, s).

FABMS (m/z): 493 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 493.1351; found: 493.1354.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 60.98; H, 3.68; N, 5.69; found: C, 60.94; H, 3.43; N, 5.72.

(Example 46)

2-Benzyl-5-trifluoromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-256)

The title compound was obtained as a colorless solid (535 mg, yield: 70 %) from 6-trifluoromethylanthranilic acid (308 mg, 1.5 mmol), phenylacetic acid (204 mg, 1.5 mmol), triphenyl phosphite (0.39 ml, 1.5 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (363 mg, 1.4 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 98-101°C.

IR (KBr): ν_{\max} 3401, 1695, 1597, 1310, 1216, 1152, 931 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.02 (1H, d, $J = 8.0$ Hz), 7.93 (1H, d, $J = 8.0$ Hz), 7.87 (1H, t, $J = 8.0$ Hz), 7.72 (2H, d, $J = 8.0$ Hz), 7.18 (1H, t, $J = 6.8$ Hz), 7.11 (2H, t, $J = 6.8$ Hz), 7.01 (2H, d, $J = 8.0$ Hz), 6.72 (2H, d, $J = 7.6$ Hz), 3.91 (2H, s), 3.83 (1H, s).

FABMS (m/z): 547 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{16}\text{F}_9\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 547.1068; found: 547.1062.

(Example 47)

2-Benzyl-7-trifluoromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-690)

The title compound was obtained as a colorless solid (554 mg, yield: 51 %) from 4-trifluoromethylantranilic acid (422 mg, 2.06 mmol), phenylacetic acid (286 mg, 2.1 mmol), triphenyl phosphite (0.55 ml, 2.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 207-208°C.

IR (KBr): ν_{\max} 3091, 1660, 1591, 1321, 1271, 1219, 1174, 1133, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.39 (1H, d, $J = 8.8$ Hz), 8.10 (1H, s), 7.74 (2H, d, $J = 8.0$ Hz), 7.72 (1H, d, $J = 8.8$ Hz), 7.18 (1H, t, $J = 6.8$ Hz), 7.11 (2H, t, $J = 6.8$ Hz), 7.01 (2H, d, $J = 8.8$ Hz), 6.73 (2H, d, $J = 7.6$ Hz), 3.93 (2H, s), 3.91 (1H, s).

FABMS (m/z): 547 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{16}\text{F}_9\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 547.1068; found: 547.1069.

(Example 48)

2-Benzyl-6-acetyl-amino-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-535)

The title compound was obtained as a colorless solid (183 mg, yield: 34 %) from 5-acetylaminanthranilic acid (213 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp $>300^\circ\text{C}$.

IR (KBr): ν_{\max} 3299, 1663, 1591, 1493, 1270, 1215, 1191, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 10.32 (1H, s), 8.90 (1H, s), 8.39 (1H, d, $J = 2.0$ Hz), 8.05 (1H, dd, $J = 8.8, 2.0$ Hz), 7.71 (1H, d, $J = 8.8$ Hz), 7.68 (2H, d, $J = 8.8$ Hz), 7.30 (2H, d, $J = 8.8$ Hz), 7.18-7.08 (3H, m), 6.74 (2H, d, $J = 6.8$ Hz), 3.82 (2H, s), 2.09 (3H, s).

FABMS (m/z): 536 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{26}\text{H}_{20}\text{F}_6\text{N}_3\text{O}_3$ ($[\text{M}+\text{H}]^+$): 536.1409; found: 536.1413.

Anal. calcd. for $\text{C}_{26}\text{H}_{19}\text{F}_6\text{N}_3\text{O}_3$: C, 58.32; H, 3.58; N, 7.85; found: C, 58.04; H, 3.29; N, 7.90.

(Example 49)

2-Benzyl-5-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-287)

The title compound was obtained as a colorless solid (317.4 mg, yield: 23 %) from 6-methoxyanthranilic acid (514 mg, 3.07 mmol), phenylacetic acid (423 mg, 3.10 mmol),

triphenyl phosphite (0.80 ml, 3.07 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (717 mg, 2.77 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.

mp 124-127°C.

IR (KBr): ν_{\max} 3254, 1672, 1596, 1567, 1266, 1214, 1194, 934 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, CDCl_3): δ 7.72 (1H, t, $J = 7.8$ Hz), 7.58 (2H, d, $J = 7.8$ Hz), 7.37 (1H, d, $J = 8.8$ Hz), 7.04-7.12 (3H, m), 6.93 (1H, d, $J = 8.8$ Hz), 6.78 (2H, d, $J = 8.8$ Hz), 6.66 (2H, d, $J = 7.9$ Hz), 3.98 (2H, s).

FABMS (m/z): 547 ($[\text{M}+\text{K}]^+$), 509 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$: C, 60.26; H, 3.37; N, 5.86; found: C, 60.18; H, 3.42; N, 5.86.

(Example 50)

2-Benzyl-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-504)

The title compound was obtained as a colorless solid (801 mg, yield: 35 %) from 5-methoxyanthranilic acid (817 mg, 4.89 mmol), phenylacetic acid (667 mg, 4.90 mmol), triphenyl phosphite (1.28 ml, 4.90 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1152 mg, 4.45 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield colorless prisms.

mp 163-165°C.

IR (KBr): ν_{\max} 3264, 1680, 1592, 1493, 1270, 1214, 1174, 935 cm^{-1} .

FABMS (m/z): 547 ($[\text{M}+\text{K}]^+$), 509 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 557.0229; found: 509.1280.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$: C, 59.06; H, 3.57; N, 5.51; found: C, 59.55; H, 5.30; N, 5.73.

(Example 51)

2-Benzyl-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-721)

The title compound was obtained as a colorless solid from 4-methoxyanthranilic acid (489 mg, 2.92 mmol), which was prepared according to the method described by Pavlidis et al. [V. H. Pavlidis and P. J. Perry, Synthetic Communications, 24, 533 (1994)], phenylacetic acid (367 mg, 2.69 mmol), triphenyl phosphite (912 mg, 2.94 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (759 mg, 2.93 mmol) in a similar manner to that described in Example 1. This product was recrystallized from ethyl acetate to yield a colorless crystal (457 mg, yield: 31 %).

mp 224-225°C.

IR (KBr): ν_{\max} 3250, 1695, 1615, 1567, 1272, 1204, 1110, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.17 (1H, d, $J = 8.1$ Hz), 7.67 (2H, d, $J = 8.1$ Hz), 7.20-7.07 (5H, m), 6.96 (2H, d, $J = 8.1$ Hz), 6.71 (2H, d, $J = 8.1$ Hz), 3.98 (3H, s), 3.95 (1H, s), 3.89 (2H, s).

FABMS (m/z): 509 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$: C, 59.06; H, 3.57; N, 5.51; F, 22.42; found: C, 59.19; H, 3.61; N, 5.45; F, 22.49.

(Example 52)

2-Benzyl-8-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-938)

The title compound was obtained as a colorless solid (544 mg, yield: 72 %) from 3-methoxyanthranilic acid (273 mg, 1.63 mmol), phenylacetic acid (224 mg, 1.64 mmol), triphenyl phosphite (0.43 ml, 1.65 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (387 mg, 1.49 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.

mp 218-219°C.

IR (KBr): ν_{\max} 3298, 1673, 1592, 1485, 1271, 1215, 1193, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.88 (1H, d, $J = 8.1$ Hz), 7.63 (2H, d, $J = 7.3$ Hz), 7.48 (1H, t, $J = 8.1$ Hz), 7.30 (1H, d, $J = 8.1$ Hz), 7.03-7.14 (3H, m), 6.90 (2H, d, $J = 8.8$ Hz), 6.66 (2H, d, $J = 7.3$ Hz), 4.10 (3H, s), 4.03 (2H, s).

FABMS (m/z): 547 ($[\text{M}+\text{K}]$), 508 (M^+), 509 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$: C, 59.06; H, 3.57; N, 5.51; found: C, 58.73; H, 3.30; N, 5.65.

(Example 53)

2-Benzyl-5,6-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1124)

(1) An aqueous potassium permanganate (6.56 g, 41.5 mmol) solution (150 ml) was added dropwise over 40 minutes period with stirring to a solution of 5,6-dimethoxy-2-nitrobenzaldehyde (5.02 g, 23.8 mmol), which was prepared according to the method described by Fukuyama et al. [Y. Fukuyama et al., *Tetrahedron*, 54, 10007 (1998)], in acetone (120 ml). After stirring at room temperature for 30 minutes, the acetone was evaporated in vacuo. The suspension thus obtained was filtered with suction to remove the precipitate, which was rinsed with hot water. The combined filtrate was cooled in an ice-water bath and then acidified with concentrated hydrochloric acid. The crystals precipitated were filtered to

yield 5,6-dimethoxy-2-nitrobenzoic acid as colorless crystals (4.31 g, yield: 80 %).

(2) 10% Palladium on carbon [containing 50% (v/v) of water, 556 mg] was added to a solution of 5,6-dimethoxy-2-nitrobenzoic acid (3.63 g, 16.0 mmol) prepared as described in Example 53(1) above in ethyl acetate (30 ml), and the resulting mixture was stirred at room temperature for 4 hours under a hydrogen atmosphere. The catalyst was then removed by filtration, and the filtrate was concentrated to yield 5,6-dimethoxyanthranilic acid (3.23 g, yield: 100%).

(3) The title compound was obtained as a colorless solid from 5,6-dimethoxyanthranilic acid (668 mg, 3.39 mmol) prepared as described in Example 53(2) above, phenylacetic acid (474 mg, 3.48 mmol), triphenyl phosphite (1.17 g, 3.77 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (862 mg 3.33 mmol) in a similar manner to that described in Example 1. This product was recrystallized from toluene to yield colorless needles (502 mg, yield: 28 %).

mp 106.5-108°C.

IR (KBr): ν_{\max} 3310, 1679, 1595, 1488, 1282, 1214, 1194, 933 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.90 (1H, s), 7.67-7.65 (3H, m), 7.49 (1H, d, $J = 8.8$ Hz), 7.26 (2H, d, $J = 8.1$ Hz), 7.17-7.07 (3H, m), 6.73 (2H, d, $J = 7.3$ Hz), 3.90 (3H, s), 3.76 (2H, s), 3.71 (3H, s).

FABMS (m/z): 539 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{26}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_4$: C, 58.00; H, 3.74; N, 5.20; F, 21.17; found: C, 57.96; H, 3.75; N, 5.25; F, 20.99.

(Example 54)

2-Benzyl-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1155)

The title compound was obtained as a colorless solid (319 mg, yield: 59 %) from 4,5-dimethoxyanthranilic acid (217 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 253-255°C.

IR (KBr): ν_{\max} 3087, 1650, 1613, 1501, 1396, 1271, 1212, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.68 (2H, d, $J = 8.4$ Hz), 7.61 (1H, s), 7.23 (1H, s), 7.17-7.06 (3H, m), 6.95 (2H, d, $J = 8.4$ Hz), 6.69 (2H, d, $J = 6.4$ Hz), 4.56 (1H, s), 4.06 (3H, s), 4.00 (3H, s), 3.89 (2H, s).

FABMS (m/z): 539 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $C_{26}H_{21}F_6N_2O_4$ ($[M+H]^+$): 539.1405; found: 539.1420.

Anal. calcd. for $C_{26}H_{20}F_6N_2O_4$: C, 58.00; H, 3.74; N, 5.20; found: C, 57.99; H, 3.38; N, 5.23.

(Example 55)

2-Benzyl-6-chloro-7-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1713)

(1) A solution of a mixture of sodium sulfate (100.4 g) and chloral hydrate (15.76 g, 95.3 mmol) in water (230 ml) were added to a mixture of 4-chloro-3-methylaniline (10.6 g, 74.9 mmol), concentrated hydrochloric acid (7.5 ml) and water (100 ml), followed by a solution of hydroxylamine hydrochloride (18.76 g, 270 mmol) in 270 ml of water, and the resulting mixture was stirred at 100°C for 1 hour. After cooling in an ice-water bath, the precipitate resulting was filtered. The precipitate thus obtained was washed with ice-water and dried to yield N-(4-chloro-3-methylphenyl)-2-(hydroxylimino)acetamide (15.45 g, yield: 97%).

(2) N-(4-chloro-3-methylphenyl)-2-(hydroxylimino)acetamide (15.45 g, 72.7 mmol), prepared as described in Example 55(1) above, was added in small portions at 50°C with stirring to concentrated sulfuric acid (75 ml), and the resulting mixture was stirred at 80°C for 15 minutes. After cooling to room temperature, the reaction mixture was poured into ice-water and the precipitate formed was filtered. The precipitate thus obtained was washed with cold water thoroughly and dried to yield a mixture of 5-chloro-4-methylisatin and 5-chloro-6-methylisatin (14.04 g, yield: 98%).

(3) 30% aqueous hydrogen peroxide solution (3.0 ml) was added dropwise over a 5 minute period to a solution of the mixture of isatin isomers (2.00 g, 10.2 mmol) prepared as described in Example 55(2) above in 2N aqueous sodium hydroxide solution (15 ml). After stirring at room temperature for 1 hour, the reaction mixture was acidified to pH 5 with 1N hydrochloric acid and then concentrated in vacuo. The residue thus obtained was purified by twice-repeated silica gel column chromatography using a 1:1 by volume mixture of ethyl acetate and hexane as the eluant to yield 5-chloro-4-methylanthranilic acid (271 mg, yield: 14%) as orange-colored crystals from the less polar fraction and 5-chloro-6-methylanthranilic acid (208 mg, yield: 11%) from the more polar fraction.

(4) The title compound was obtained as a colorless solid (1.04 g, yield: 63 %) from 5-chloro-4-methylanthranilic acid (580 mg, 3.12 mmol) prepared as described in Example 55(3) above, phenylacetic acid (428 mg, 3.14 mmol), triphenyl phosphite (976 mg, 3.15 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (820 mg, 3.16 mmol) in a similar manner to that described in Example 1. This product was recrystallized from acetonitrile to yield colorless prisms.

IR (KBr): ν_{\max} 3598, 1679, 1591, 1468, 1270, 1215, 970, 933, 708 cm^{-1} .

¹H-NMR (400MHz, DMSO-d₆): δ 8.92 (1H, s), 8.03 (1H, s), 7.77 (1H, s), 7.70 (2H, d, J = 8.8 Hz), 7.33 (2H, d, J = 8.8 Hz), 7.18-7.09 (3H, m), 6.77 (2H, d, J = 7.3 Hz), 3.83 (2H, s), 2.51 (3H, s).

FABMS (m/z): 527 ([M+H]⁺).

Anal. calcd. for C₂₅H₁₇ClF₆N₂O₂: C, 56.99; H, 3.25; N, 5.32; F, 21.64; Cl, 6.73; found: C, 56.98; H, 3.10; N, 5.40; F, 21.62; Cl, 6.73.

(Example 56)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzo[g]quinazolin-4(3H)-one (Exemplification compound number 4-122)

The title compound was obtained as a colorless solid (363 mg, yield: 43 %) from 3-amino-2-naphthoic acid (374 mg, 2.0 mmol), phenylacetic acid (272 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (414 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 225-226°C.

IR (KBr): ν_{max} 3296, 1698, 1595, 1509, 1269, 1214, 1192, 935, 708 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.92 (1H, s), 8.85 (1H, s), 8.32 (1H, s), 8.25 (1H, d, J = 8.1 Hz), 8.15 (1H, d, J = 8.1 Hz), 7.71-7.68 (3H, m), 7.62-7.58 (1H, m), 7.38 (2H, d, J = 8.8 Hz), 7.19-7.10 (3H, m), 6.81 (2H, d, J = 6.6 Hz), 3.87 (2H, s).

FABMS (m/z): 529 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₈H₁₉F₆N₂O₂ ([M+H]⁺): 529.1351; found: 529.1353.

(Example 57)

2-Benzyl-5-chloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-163)

The title compound was obtained as a colorless solid (763 mg, yield: 75 %) from 6-chloroanthranilic acid (359 mg, 2.1 mmol), phenylacetic acid (286 mg, 2.1 mmol), triphenyl phosphite (0.55 ml, 2.1 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 189-190°C.

IR (KBr): ν_{max} 3316, 1686, 1587, 1458, 1268, 1211, 968 cm⁻¹.

¹H-NMR (400MHz, CDCl₃): δ 7.74 (1H, d, J = 8.0 Hz), 7.70 (1H, dd, J = 8.0, 1.6 Hz), 7.66 (1H, t, J = 8.0 Hz), 7.51 (1H, dd, J = 8.0, 2.0 Hz), 7.46 (1H, brs), 7.40 (1H, t, J = 8.0 Hz), 7.20-7.12 (3H, m), 6.97 (1H, dd, J = 8.0, 2.0 Hz), 6.79 (2H, d, J = 8.0 Hz), 3.94 (1H, s), 3.92

(1H, d, J = 14.8 Hz), 3.81 (1H, d, J = 14.8 Hz).

FABMS (m/z): 513 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₄H₁₆³⁵ClF₆N₂O₂ ([M+H]⁺): 513.0804; found: 513.0804.

Anal. calcd. for C₂₄H₁₅ClF₆N₂O₂: C, 56.21; H, 2.95; N, 5.46; found: C, 56.05; H, 3.04; N, 5.50.

(Example 58)

2-Benzyl-6-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-442)

The title compound was obtained as a colorless solid (243 mg, yield: 49 %) from 5-hydroxyanthranilic acid (168 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 270-272°C.

IR (KBr): ν_{\max} 3380, 1662, 1593, 1496, 1269, 1213, 1173, 931 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.91 (1H, s), 7.66 (2H, d, J = 8.8 Hz), 7.62 (1H, d, J = 8.8 Hz), 7.41 (1H, d, J = 3.2 Hz), 7.33 (1H, dd, J = 8.8, 3.2 Hz), 7.26 (2H, d, J = 8.8 Hz), 7.16-7.17 (3H, m), 6.72 (2H, d, J = 7.2 Hz), 3.81 (2H, s).

FABMS (m/z): 495 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₄H₁₇F₆N₂O₃ ([M+H]⁺): 495.1144; found: 495.1136.

Anal. calcd. for C₂₄H₁₆F₆N₂O₃: C, 58.31; H, 3.26; N, 5.67; found: C, 58.39; H, 3.48; N, 5.40.

(Example 59)

2-Benzyl-8-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-876)

A solution of 1N boron tribromide in dichloromethane (0.45 ml, 0.450 mmol) was added dropwise at -78°C to a solution of 2-benzyl-8-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (74.1 mg, 0.146 mmol) prepared as described in Example 52 above in dichloromethane (10 ml). After stirring at -78°C for 30 minutes, the reaction temperature was allowed to warm to room temperature and a saturated aqueous ammonium chloride solution was added. The mixture was further stirred at room temperature for 30 minutes and then extracted twice with dichloromethane (15 ml × 2). The combined organic layers were washed successively with water (15 ml) and a saturated aqueous sodium chloride solution (15 ml) and then dried over anhydrous magnesium sulfate. The solvent was evaporated off in vacuo to yield a crude product. The crude product was purified by preparative silica gel thin layer chromatography using a 19:1 by volume mixture

of ethyl acetate and methanol as the eluant to yield the title compound as a colorless solid (41.4 mg, yield: 66 %). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless crystalline powder.

mp 215-217°C.

IR (KBr): ν_{\max} 3298, 1672, 1592, 1485, 1270, 1227, 1215, 1192, 968, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 9.79 (1H, s), 8.91 (1H, s), 7.65 (2H, d, $J = 8.1$ Hz), 7.53 (1H, d, $J = 7.3$ Hz), 7.38 (1H, t, $J = 7.1$ Hz), 7.28 (1H, d), 7.24 (2H, d, $J = 8.8$ Hz), 7.14 (1H, d, $J = 7.2$ Hz), 7.08 (2H, t, $J = 7.3$ Hz), 6.70 (2H, d, $J = 7.3$ Hz), 3.90 (2H, s).

FABMS (m/z): 533 ($[\text{M}+\text{K}]$), 495 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 494.3859; found: 495.1148.

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_3$: C, 58.31; H, 3.26; N, 5.67; found: C, 57.66; H, 3.03; N, 5.51.

(Example 60)

2-Benzyl-5-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-225)

The title compound was obtained as a colorless solid (170 mg, yield: 89 %) from 2-benzyl-5-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (206 mg, 0.405 mmol) prepared as described in Example 49 above in a similar manner to that described in Example 59 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless crystalline powder.

mp 175-178°C.

IR (KBr): ν_{\max} 3283, 1658, 1590, 1474, 1270, 1214, 1192, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 11.31 (1H, s), 7.69 (1H, t, $J = 7.8$ Hz), 7.43 (2H, d, $J = 7.8$ Hz), 7.27 (1H, d, $J = 7.8$ Hz), 7.11-7.17 (3H, m), 7.05 (2H, d, $J = 8.8$ Hz), 6.74 (2H, d, $J = 7.8$ Hz), 3.90 (2H, s).

FABMS (m/z): 533 ($[\text{M}+\text{K}]$), 495 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_3$: C, 58.31; H, 3.26; N, 5.67; found: C, 58.20; H, 2.98; N, 5.81.

(Example 61)

2-Benzyl-7-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-659)

The title compound was obtained as a colorless solid (114 mg, yield: 52 %) from 2-benzyl-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (228 mg, 0.448 mmol) prepared as described in Example 51 above in a similar manner to that described in Example 59. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless crystalline powder.

mp 242-244°C.

IR (KBr): ν_{\max} 3249, 1664, 1605, 1494, 1271, 1216, 1194, 969, 935 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, CDCl_3): δ 11.33 (1H, s), 7.68-7.74 (3H, m), 7.29 (1H, d, $J = 7.8$ Hz), 7.15 (1H, t, $J = 7.8$ Hz), 7.08 (2H, t, $J = 7.8$ Hz), 6.94-6.99 (3H, m), 6.68 (2H, d, $J = 6.8$ Hz), 6.65 (2H, d, $J = 7.8$ Hz), 3.89 (2H, s).

FABMS (m/z): 533 ($[\text{M}+\text{K}]^+$), 495 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{24}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_3$: C, 58.31; H, 3.26; N, 5.67; found: C, 56.59; H, 2.87; N, 5.76.

(Example 62)

2-Benzyl-3-[3-methoxy-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-221)

(1) A mixture of *m*-anisidine (2.84 g, 23.1 mmol), hexafluoroacetone trihydrate (5.66 g, 25.7 mmol) and *p*-toluenesulfonic acid (229 mg, 1.20 mmol) was stirred at 140°C for 20 hours. After cooling to room temperature, the reaction mixture was dissolved in ethyl acetate, washed successively with a saturated aqueous sodium hydrogencarbonate solution and a saturated sodium chloride solution, dried and concentrated. The residue thus obtained was purified by silica gel column chromatography using a 15:1 by volume mixture of hexane and ethyl acetate as the eluant to yield 2-(4-amino-2-methoxyphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.94 g, yield: 29%).

(2) The title compound was obtained as a colorless solid (112 mg, yield: 21 %) from anthranilic acid (145 mg, 1.06 mmol), phenylacetic acid (144 mg, 1.06 mmol), triphenyl phosphite (0.28 ml, 1.07 mmol) and 2-(4-amino-2-methoxyphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (277 mg, 0.958 mmol) prepared as described above in Example 62(a) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.

mp 236-237°C.

IR (KBr): ν_{\max} 3401, 1686, 1593, 1472, 1265, 1209, 1195, 943 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.45 (1H, s), 8.07 (1H, d, $J = 7.8$ Hz), 7.83 (1H, t, $J = 7.3$ Hz), 7.74 (1H, d, $J = 8.1$ Hz), 7.70 (1H, d, $J = 8.1$ Hz), 7.51 (1H, t, $J = 7.9$ Hz), 7.05-7.13 (3H, m), 6.97 (1H, d, $J = 8.4$ Hz), 6.67-6.76 (3H, m), 3.82 (2H, q, $J = 7.7$ Hz), 3.40 (3H, s).

FABMS (m/z): 509 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 509.0229; found: 509.1285.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 59.06; H, 3.57; N, 5.51; found: C, 58.77; H, 3.50; N, 5.60.

(Example 63)

2-Benzyl-3-[2-methoxy-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-128)

(1) 2-(4-Amino-3-methoxyphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.28 g, yield: 36%)

was obtained from o-anisidine (1.54 g, 12.5 mmol), hexafluoroacetone trihydrate (3.03 g, 13.8 mmol) and p-toluenesulfonic acid (121 mg, 0.636 mmol) in a similar manner to that described in Example 62(1) above.

(2) The title compound was obtained as a colorless solid (171.4 mg, yield: 20 %) from anthranilic acid (233 mg, 1.70 mmol), phenylacetic acid (233 mg, 1.71 mmol), triphenyl phosphite (0.45 ml, 1.72 mmol) and 2-(4-amino-3-methoxyphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (448 mg, 1.55 mmol) prepared as described above in Example 63(1) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.
mp 184-186°C.

IR (KBr): ν_{\max} 3200, 1653, 1593, 1468, 1269, 1211, 1190, 963 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, DMSO- d_6): δ 8.95 (1H, s), 8.10 (1H, d, $J = 7.8$ Hz), 7.88-7.92 (1H, m), 7.77 (1H, d, $J = 7.8$ Hz), 7.57 (1H, d, $J = 7.8$ Hz), 7.43 (1H, d, $J = 8.8$ Hz), 7.14-7.18 (2H, m), 6.68 (2H, d, $J = 7.8$ Hz), 3.82 (2H, s), 3.35 (3H, s).

FABMS (m/z): 547 ($[\text{M}+\text{K}]^+$), 509 ($[\text{M}+\text{H}]^+$).

(Example 64)

2-Benzyl-3-[3-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-159)

(1) 2-(4-Amino-2-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (330 mg, yield: 8%) was obtained from m-toluidine (1.56 g, 14.6 mmol), hexafluoroacetone trihydrate (3.55 g, 16.1 mmol) and p-toluenesulfonic acid (140 mg, 0.735 mmol) in a similar manner to that described in Example 62(1) above.

(2) The title compound was obtained as a colorless solid (320 mg, yield: 58 %) from anthranilic acid (86 mg, 0.627 mmol), phenylacetic acid (86 mg, 0.632 mmol), triphenyl phosphite (0.17 ml, 0.652 mmol) and 2-(4-amino-2-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (146 mg, 0.53 mmol) prepared as described in Example 64(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.
mp 195-196°C.

IR (KBr): ν_{\max} 3283, 1658, 1590, 1474, 1270, 1214, 1192, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.70 (1H, s), 8.13 (1H, d, $J = 8.1$ Hz), 7.89 (1H, t, $J = 7.4$ Hz), 7.74 (1H, d, $J = 7.3$ Hz), 7.60 (1H, t, $J = 7.3$ Hz), 7.54 (1H, d, $J = 7.3$ Hz), 7.10-7.19 (4H, m), 6.82 (1H, s), 6.78 (2H, d, $J = 6.6$ Hz), 3.84 (2H, q, $J = 7.8$ Hz), 2.44 (3H, s).

FABMS (m/z): 531 ($[\text{M}+\text{K}]$), 493 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 557.0229; found: 493.1370.

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 60.98; H, 3.68; N, 5.69; found: C, 60.89; H, 3.40; N, 5.78.

(Example 65)

2-Benzyl-3-[2-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-66)

(1) 2-(4-Amino-3-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.75 g, yield: 43%) was obtained from o-toluidine (1.60 g, 14.9 mmol), hexafluoroacetone trihydrate (3.62 g, 16.5 mmol) and p-toluenesulfonic acid (145 mg, 0.761 mmol) in a similar manner to that described in Example 62(1) above.

(2) The title compound was obtained as a colorless solid (319 mg, yield: 54 %) from anthranilic acid (182 mg, 1.33 mmol), phenylacetic acid (181 mg, 1.33 mmol), triphenyl phosphite (0.35 ml, 1.34 mmol) and 2-(4-amino-3-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (330 mg, 1.27 mmol) prepared as described in Example 65(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.

mp 195°C.

IR (KBr): ν_{\max} 3282, 1672, 1594, 1474, 1270, 1207 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.69 (1H, s), 8.11 (1H, d, $J = 8.1$ Hz), 7.89 (1H, t, $J = 7.4$ Hz), 7.76 (1H, d, $J = 7.3$ Hz), 7.57 (1H, t, $J = 7.3$ Hz), 7.51 (1H, d, $J = 7.3$ Hz), 7.11-7.16 (4H, m), 6.96 (1H, s), 6.76 (2H, d, $J = 6.6$ Hz), 3.85 (2H, q, $J = 7.8$ Hz), 2.45 (3H, s).

FABMS (m/z): 531 ($[\text{M}+\text{K}]$), 493 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{25}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 60.98; H, 3.68; N, 5.69; found: C, 60.81; H, 3.37; N, 5.86.

(Example 66)

2-Benzyl-3-[2,6-dimethyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-252)

(1) 2-(4-Amino-3,5-dimethylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (3.14 g, yield: 66%) was obtained from 2,6-dimethylaniline (2.00 g, 16.5 mmol), hexafluoroacetone trihydrate (4.00 g, 18.2 mmol) and p-toluenesulfonic acid (159.4 mg, 0.838 mmol) in a similar manner to that described in Example 62(1) above.

(2) The title compound was obtained as a colorless solid (440 mg, yield: 40 %) from anthranilic acid (351 mg, 2.56 mmol), phenylacetic acid (349 mg, 2.56 mmol), triphenyl phosphite (0.67 ml, 2.57 mmol) and 2-(4-amino-3,5-dimethylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (623 mg, 2.17 mmol) prepared as described in Example 66(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.

mp 218-219°C.

IR (KBr): ν_{\max} 3283, 1658, 1590, 1474, 1270, 1214, 1192, 962 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.82 (1H, s), 8.04-8.06 (1H, m), 7.84 (1H, t, $J = 7.3$ Hz), 7.73 (1H, d, $J = 8.1$ Hz), 7.50 (1H, t, $J = 7.3$ Hz), 7.37 (2H, s), 7.12 (1H, t, $J = 7.3$ Hz), 7.02 (2H, d, $J = 6.6$ Hz), 6.59 (2H, d, $J = 6.6$ Hz), 3.59 (2H, s), 1.60 (6H, s).

FABMS (m/z): 545, ($[\text{M}+\text{K}]$), 507 ($[\text{M}+\text{H}]^+$).

(Example 67)

2-Benzyl-3-[2-chloro-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-97)

(1) 2-(4-Amino-3-chlorophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (316 mg, yield: 8%) was obtained from 2-chloroaniline (1.74 g, 13.6 mmol), hexafluoroacetone trihydrate (3.33 g, 15.1 mmol) and *p*-toluenesulfonic acid (129 mg, 0.679 mmol) in a similar manner to that described in Example 62(1) above.

(2) The title compound was obtained as a colorless solid (156 mg, yield: 56 %) from anthranilic acid (88 mg, 0.642 mmol), phenylacetic acid (87 mg, 0.639 mmol), triphenyl phosphite (0.17 ml, 0.652 mmol) and 2-(4-amino-3-chlorophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (159 mg, 0.541 mmol) prepared as described in Example 67(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield colorless prisms.

mp 182-183°C.

IR (KBr): ν_{max} 3290, 1678, 1611, 1593, 1474, 1265, 1216, 1195, 965 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 9.18 (1H, s), 8.06-8.08 (1H, m), 7.85-7.89 (1H, m), 7.74 (1H, d, $J = 8.1$ Hz), 7.60-7.67 (3H, m), 7.56 (1H, t, $J = 7.7$ Hz), 7.01-7.14 (3H, m), 6.66 (2H, d, $J = 6.6$ Hz), 3.79 (2H, q).

FABMS (m/z): 551 ($[\text{M}+\text{K}]$), 513 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.21; H, 2.95; N, 5.46; found: C, 56.09; H, 2.72; N, 5.63.

(Example 68)

2-(4-Bromobenzyl)-5-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-169)

The title compound was obtained as a colorless solid (309 mg, yield: 77 %) from 6-chloroanthranilic acid (367 mg, 2.15 mmol), 4-bromophenylacetic acid (462 mg, 2.15 mmol), triphenyl phosphite (0.57 ml, 0.72 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (187 mg, 0.72 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.

mp 260-261°C.

IR (KBr): ν_{\max} 3402, 1686, 1592, 1456, 1267, 1212, 931 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, DMSO- d_6): δ 8.92 (1H, s), 7.78 (1H, t, $J = 8.3$ Hz), 7.71 (2H, d, $J = 8.8$ Hz), 7.65 (1H, d, $J = 7.8$ Hz), 7.58 (1H, d, $J = 7.8$ Hz), 7.39 (2H, d, $J = 8.8$ Hz), 7.30 (2H, d, $J = 8.8$ Hz), 6.78 (2H, d, $J = 8.8$ Hz), 3.78 (2H, s).

FABMS (m/z): 591 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{14}\text{BrClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 557.0229; found: 590.9915.

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{BrClF}_6\text{N}_2\text{O}_2$: C, 48.72; H, 2.38; N, 4.73; found: C, 48.65; H, 2.51; N, 4.56.

(Example 69)

5-Chloro-2-(4-chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-171)

The title compound was obtained as a colorless solid (988.2 mg, yield: 86 %) from 6-chloroanthranilic acid (393 mg, 2.29 mmol), 4-chlorophenylacetic acid (390 mg, 2.29 mmol), triphenyl phosphite (0.60 ml, 2.29 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (542 mg, 2.09 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder.

mp 236-238°C.

IR (KBr): ν_{\max} 3391, 1692, 1592, 1457, 1268, 1175, 1108, 932 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, DMSO- d_6): δ 8.93 (1H, s), 7.78 (1H, t, $J = 8.3$ Hz), 7.71 (2H, d, $J = 8.8$ Hz), 7.65 (1H, d, $J = 7.8$ Hz), 7.58 (1H, d, $J = 7.8$ Hz), 7.39 (2H, d, $J = 8.8$ Hz), 7.16 (2H, d, $J = 8.8$ Hz), 6.83 (2H, d, $J = 7.8$ Hz), 3.80 (2H, s).

FABMS (m/z): 585 ($[\text{M}+\text{K}]^+$), 479 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{Cl}_2\text{F}_6\text{N}_2\text{O}_2$: C, 52.67; H, 2.58; N, 5.12; found: C, 52.59; H, 3.05; N, 4.88.

(Example 70)

5-Chloro-2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-173)

The title compound was obtained as a colorless solid (909 mg, yield: 88 %) from 6-chloroanthranilic acid (369 mg, 2.15 mmol), 4-fluorophenylacetic acid (334 mg, 2.16 mmol), triphenyl phosphite (0.56 ml, 2.15 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (505 mg, 1.96 mmol) in a similar manner to that described in Example 1. This

product was recrystallized from a mixed solvent of dichloromethane and hexane to yield colorless prisms.

mp 183-185°C.

IR (KBr): ν_{\max} 3359, 1686, 1593, 1510, 1458, 1270, 1227, 1195, 933 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, DMSO- d_6): δ 8.92 (1H, s), 7.78 (1H, t, $J = 7.8$ Hz), 7.71 (2H, d, $J = 7.8$ Hz), 7.66 (1H, d, $J = 8.8$ Hz), 7.59 (1H, d, $J = 7.8$ Hz), 7.37 (2H, d, $J = 7.8$ Hz), 7.92 (2H, t, $J = 7.8$ Hz), 6.82 (2H, m), 3.80 (2H, s).

FABMS (m/z): 531 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{ClF}_7\text{N}_2\text{O}_2$: C, 54.30; H, 2.66; N, 5.28; found: C, 54.34; H, 2.66; N, 5.30.

(Example 71)

5-Chloro-2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-167)

The title compound was obtained as a colorless solid (896 mg, yield: 92 %) from 6-chloroanthranilic acid (346 mg, 2.01 mmol), 4-methylphenylacetic acid (306 mg, 2.03 mmol), triphenyl phosphite (0.53 ml, 2.03 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (478 mg, 1.85 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield colorless prisms.

mp 212°C.

IR (KBr): ν_{\max} 3395, 1689, 1592, 1456, 1266, 1211, 931 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, DMSO- d_6): δ 8.91 (1H, s), 7.78 (1H, t, $J = 8.3$ Hz), 7.70 (2H, d, $J = 8.8$ Hz), 7.67 (1H, d, $J = 8.8$ Hz), 7.57 (1H, d, $J = 7.8$ Hz), 7.33 (2H, d, $J = 7.8$ Hz), 6.92 (2H, d, $J = 7.8$ Hz), 6.65 (2H, d, $J = 7.8$ Hz), 3.75 (2H, s), 3.33 (3H, s).

FABMS (m/z): 527 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{17}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 557.0229; found: 527.0969.

Anal. calcd. for $\text{C}_{25}\text{H}_{17}\text{ClF}_6\text{N}_2\text{O}_2$: C, 56.99; H, 3.25; N, 5.32; found: C, 57.02; H, 2.99; N, 5.36.

(Example 72)

6,7-Dimethoxy-2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1165)

The title compound was obtained as a colorless solid (483 mg, yield: 43 %) from 4,5-dimethoxyanthranilic acid (433 mg, 2.2 mmol), 4-fluorophenylacetic acid (339 mg, 2.2 mmol),

triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 255-256°C.

IR (KBr): ν_{\max} 1676, 1610, 1505, 1273, 1220, 1174 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.70 (2H, d, $J = 8.8$ Hz), 7.62 (1H, s), 7.22 (1H, s), 6.95 (2H, d, $J = 8.8$ Hz), 6.82-6.76 (2H, m), 6.68-6.63 (2H, m), 4.86 (1H, s), 4.07 (3H, s), 4.01 (3H, s), 3.85 (2H, s).

FABMS (m/z): 557 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{26}\text{H}_{19}\text{F}_7\text{N}_2\text{O}_4\text{Na}$ ($[\text{M}+\text{Na}]^+$): 579.1131; found: 579.1129.

Anal. calcd. for $\text{C}_{26}\text{H}_{19}\text{F}_7\text{N}_2\text{O}_4$: C, 56.12; H, 3.44; N, 5.03; found: C, 56.22; H, 3.48; N, 5.10.

(Example 73)

2-(4-Chlorobenzyl)-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1163)

The title compound was obtained as a colorless solid (751 mg, yield: 66 %) from 4,5-dimethoxyanthranilic acid (433 mg, 2.2 mmol), 4-chlorophenylacetic acid (375 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 244-245°C.

IR (KBr): ν_{\max} 1675, 1613, 1503, 1271, 1212, 1174 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.72 (2H, d, $J = 8.8$ Hz), 7.62 (1H, s), 7.21 (1H, s), 7.08 (2H, d, $J = 8.0$ Hz), 6.98 (2H, d, $J = 8.8$ Hz), 6.64 (2H, d, $J = 8.0$ Hz), 4.87 (1H, s), 4.07 (3H, s), 4.01 (3H, s), 3.84 (2H, s).

FABMS (m/z): 573 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{26}\text{H}_{19}\text{ClF}_6\text{N}_2\text{O}_4\text{Na}$ ($[\text{M}+\text{Na}]^+$): 595.0836; found: 595.0842.

(Example 74)

2-[4-(Bromophenyl)methyl]-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1161)

The title compound was obtained as a colorless solid (875 mg, yield: 71 %) from 4,5-dimethoxyanthranilic acid (433 mg, 2.2 mmol), 4-bromophenylacetic acid (473 mg, 2.2

mmol), triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 255-256°C.

IR (KBr): ν_{\max} 1686, 1612, 1501, 1272, 1213, 1176 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.72 (2H, d, $J = 8.8$ Hz), 7.62 (1H, s), 7.23 (2H, d, $J = 8.0$ Hz), 7.21 (1H, s), 6.97 (2H, d, $J = 8.8$ Hz), 6.59 (2H, d, $J = 8.0$ Hz), 4.94 (1H, s), 4.06 (3H, s), 4.01 (3H, s), 3.82 (2H, s).

FABMS (m/z): 619, 617 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{26}\text{H}_{19}^{79}\text{BrF}_6\text{N}_2\text{O}_4\text{Na}$ ($[\text{M}+\text{Na}]^+$): 639.0330; found: 639.0322.

Anal: calcd. for $\text{C}_{26}\text{H}_{19}\text{BrF}_6\text{N}_2\text{O}_4 \cdot 1/4\text{C}_6\text{H}_{14}$: C, 51.70; H, 3.55; N, 4.38; found: C, 51.94; H, 3.55; N, 4.36.

(Example 75)

6,7-Dimethoxy-2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1159)

The title compound was obtained as a colorless solid (634 mg, yield: 57 %) from 4,5-dimethoxyanthranilic acid (433 mg, 2.2 mmol), 4-methylphenylacetic acid (330 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 255-256°C.

IR (KBr): ν_{\max} 1660, 1613, 1501, 1270, 1212, 1174 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.67 (2H, d, $J = 8.0$ Hz), 7.62 (1H, s), 7.23 (1H, s), 6.93 (2H, d, $J = 8.0$ Hz), 6.89 (2H, d, $J = 8.0$ Hz), 6.57 (2H, d, $J = 8.0$ Hz), 5.00 (1H, brs), 4.06 (3H, s), 4.01 (3H, s), 3.83 (2H, s), 2.26 (3H, s).

FABMS (m/z): 553 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{27}\text{H}_{23}\text{F}_6\text{N}_2\text{O}_4$ ($[\text{M}+\text{H}]^+$): 553.1562; found: 553.1564.

Anal. calcd. for $\text{C}_{27}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_4$: C, 58.70; H, 4.01; N, 5.07; found: C, 58.69; H, 4.10; N, 5.05.

(Example 76)

2-[Amino(phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-9)

(1) 2-[(t-Butoxycarbonylamino)phenylmethyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone was obtained as a colorless solid (176 mg, yield: 30%) from anthranilic acid (150 mg, 1.1 mmol), t-butoxycarbonylamino(phenyl)acetic acid (276 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

(2) Trifluoroacetic acid (approximately 0.5 ml) was added at 0°C with stirring under a nitrogen atmosphere to a solution of 2-[(t-butoxycarbonylamino)phenylmethyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (120 mg, 202 μ mol) prepared as described in Example 76(1) above in dichloromethane (2 ml) and the resulting mixture was stirred for 2.5 hours. At the end of this time, the reaction mixture was neutralized with a saturated aqueous sodium hydrogencarbonate solution and extracted twice with ethyl acetate (20 ml each). The organic layer obtained was washed successively with water (30 ml) and a saturated aqueous sodium chloride solution (30 ml). The solvent was removed in vacuo to yield the title compound as a colorless solid (97 mg, yield: 97%). This product was recrystallized from a mixed solvent of hexane, ethyl acetate and methanol to yield colorless prisms.

mp 230-234°C (dec.).

IR (KBr): ν_{\max} 3339, 3364, 1686, 1597, 1474, 1272, 1181, 1143, 940 cm^{-1} .

^1H -NMR (400MHz, DMSO- d_6): δ 8.93 (1H, s), 8.13 (1H, d, J = 7.2 Hz), 7.93 (1H, t, J = 7.2 Hz), 7.90 (1H, dd, J = 7.6, 2.0 Hz), 7.83 (1H, d, J = 8.0 Hz), 7.75 (1H, dd, J = 8.8, 2.4 Hz), 7.59 (1H, t, J = 7.2 Hz), 7.47 (1H, d, J = 9.2 Hz), 7.20-7.10 (3H, m), 6.79 (2H, d, J = 7.2 Hz), 6.72 (1H, dd, J = 8.0, 2.0 Hz), 4.59 (1H, s).

FABMS (m/z): 494 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{18}\text{F}_6\text{N}_3\text{O}_2$ ($[\text{M}+\text{H}]^+$): 494.1303; found: 494.1307.

Anal. calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_3\text{O}_2$: C, 58.42; H, 3.47; N, 8.52; found: C, 58.19; H, 3.40; N, 8.46.

(Example 77)

2-(1-Phenylethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-8)

The title compound was obtained as a colorless solid (167 mg, yield: 21 %) from anthranilic acid (274 mg, 2.0 mmol), 2-phenylpropionic acid (300 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 220-221°C.

IR (KBr): ν_{\max} 3298, 1667, 1591, 1268, 1214, 1107, 967, 934, 700 cm^{-1} .

¹H-NMR (400MHz, DMSO-d₆): δ 8.90 (1H, s), 8.12 (1H, d, J = 8.0 Hz), 7.93-7.76 (4H, m), 7.58 (1H, t, J = 8.0 Hz), 7.38 (1H, d, J = 9.5 Hz), 7.16-7.06 (3H, m), 6.68 (2H, d, J = 6.6 Hz), 6.54 (1H, dd, J = 8.8, 2.2 Hz), 3.89-3.94 (1H, m), 1.51 (3H, d, J = 7.3 Hz).

FABMS (m/z): 493 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₈F₆N₂O₂Na ([M+Na]⁺): 515.1170; found: 515.1148.

(Example 78)

2-(1,2-Diphenylethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-17)

The title compound was obtained as a colorless solid (106 mg, yield: 11 %) from anthranilic acid (274 mg, 2.0 mmol), 2,3-diphenylpropionic acid (453 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 205-206°C.

IR (KBr): ν_{max} 3282, 1657, 1591, 1268, 1214, 1193, 934, 773, 698 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.94 (1H, s), 8.11 (1H, dd, J = 8.1, 1.5 Hz), 7.95-7.86 (3H, m), 7.60-7.45 (3H, m), 7.15-7.04 (6H, m), 6.91 (2H, d, J = 7.3 Hz), 6.75 (1H, dd, J = 8.1, 2.2 Hz), 6.70 (2H, d, J = 8.1 Hz), 3.93-3.89 (1H, m), 3.61-3.56 (1H, m), 3.11-3.06 (1H, m).

FABMS (m/z): 569 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₃₁H₂₂F₆N₂O₂Na ([M+Na]⁺): 591.1483; found: 591.1485.

Anal. calcd. for C₃₁H₂₂F₆N₂O₂: C, 65.49; H, 3.90; N, 4.93; found: C, 65.36; H, 3.80; N, 4.99.

(Example 79)

2-[Difluoro(phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-11)

The title compound was obtained as a colorless solid (101 mg, yield: 12 %) from anthranilic acid (274 mg, 2.0 mmol), difluoro(phenyl)acetic acid (344 mg, 2.0 mmol), which was prepared according to the method described by Middleton et al. [Middleton, W. J., Bingham, E. M., J. Org. Chem., 45, 2883-2887 (1980)], triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 193-194°C.

IR (KBr): ν_{max} 3326, 1665, 1598, 1342, 1267, 1219, 1193, 1135, 936, 775, 700 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.87 (1H, s), 8.18 (1H, d, J = 8.1 Hz), 8.00-7.96 (1H, m),

7.90 (1H, d, J = 7.3 Hz), 7.71 (1H, t, J = 8.1 Hz), 7.53 (2H, d, J = 8.8 Hz), 7.46 (1H, t, J = 7.3 Hz), 7.28 (2H, t, J = 8.1 Hz), 7.15 (2H, d, J = 8.8 Hz), 7.18 (2H, d, J = 8.1 Hz).

FABMS (m/z): 515 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₄H₁₄F₈N₂O₂Na ([M+Na]⁺): 537.0825; found: 537.0822.

Anal. calcd. for C₂₄H₁₄F₈N₂O₂: C, 56.04; H, 2.74; N, 5.45; found: C, 55.78; H, 2.84; N, 5.47.

(Example 80)

2-[Methoxy(phenyl)methyl]-2-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-13)

The title compound was obtained as a colorless solid (2.15 g, yield: 32 %) from anthranilic acid (2.02 g, 14.7 mmol), methoxy(phenyl)acetic acid (2.45 g, 14.7 mmol), triphenyl phosphite (3.86 ml, 14.7 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (3.48 g, 13.4 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 234°C.

IR (KBr): ν_{\max} 3318, 1671, 1596, 1473, 1269, 1214, 1195, 969, 935 cm⁻¹.

¹H-NMR (500MHz, CDCl₃): δ 8.28 (1H, d, J = 6.8 Hz), 7.95 (1H, d, J = 7.8 Hz), 7.83 (2H, q, J = 5.9 Hz), 7.65 (1H, t, J = 7.8 Hz), 7.55 (1H, t, J = 7.8 Hz), 7.16-7.20 (3H, m), 6.93 (2H, d, J = 7.8 Hz), 6.77 (1H, q, J = 5.8 Hz), 5.00 (1H, s), 3.31 (3H, s).

FABMS (m/z): 547 ([M+K]⁺), 509 ([M+H]⁺).

Anal. calcd. for C₂₅H₁₈F₆N₂O₃: C, 59.05; H, 3.57; N, 5.51; found: C, 58.77; H, 3.26; N, 5.69.

(Example 81)

2-(2-Thienylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-61)

The title compound was obtained as a solid from anthranilic acid (274 mg, 2.0 mmol), 2-thienylacetic acid (284 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (524 mg, yield: 68 %).

mp 219-220°C.

IR (KBr): ν_{\max} 3111, 1656, 1592, 1269, 1213, 1183, 938, 705 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.93 (1H, s), 8.13 (1H, d, J = 7.2 Hz), 7.92-7.87 (1H, m), 7.76-7.74 (3H, m), 7.58 (1H, t, J = 7.2 Hz), 7.41 (2H, d, J = 8.4 Hz), 7.32 (1H, d, J = 5.2 Hz), 6.78 (1H, dd, J = 5.2, 3.2 Hz), 6.34 (1H, d, J = 2.8 Hz), 4.04 (2H, s).

FABMS (m/z): 485 ([M+H]⁺).

FABHRMS (m/z): calcd. for $C_{22}H_{15}F_6N_2O_2S$ ($[M+H]^+$): 485.0759; found: 485.0758.

Anal. calcd. for $C_{22}H_{14}F_6N_2O_2S$: C, 54.55; H, 2.91; N, 5.78; found: C, 54.63; H, 2.84; N, 5.77.

(Example 82)

2-(3-Pyridylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-63)

The title compound was obtained as a colorless solid (25 mg, yield: 3 %) from anthranilic acid (274 mg, 2.0 mmol), 3-pyridylacetic acid (347 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1.
mp 125-126°C.

IR (KBr): ν_{\max} 3067, 1686, 1597, 1269, 1214, 1189, 940, 708 cm^{-1} .

1H -NMR (400MHz, DMSO- d_6): δ 8.95 (1H, s), 8.39 (1H, d, J = 3.7 Hz), 8.13-8.08 (2H, m), 7.88-7.84 (1H, m), 7.78 (2H, d, J = 8.8 Hz), 7.67 (1H, d, J = 8.0 Hz), 7.56 (1H, t, J = 8.1 Hz), 7.52 (2H, d, J = 8.8 Hz), 7.35-7.33 (1H, m), 7.20 (1H, dd, J = 7.3, 4.4 Hz), 3.83 (2H, s).

FABMS (m/z): 480 ($[M+H]^+$).

FABHRMS (m/z): calcd. for $C_{23}H_{16}F_6N_3O_2$ ($[M+H]^+$): 480.1147; found: 480.1136.

(Example 83)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]pyrido[2,3-d]pyrimidin-4(3H)-one (Exemplification compound number 6-122)

The title compound was obtained as a colorless solid (121 mg, yield: 25 %) from 2-aminonicotinic acid (152 mg, 1.1 mmol), phenylacetic acid (150 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.
mp 198-199°C.

IR (KBr): ν_{\max} 3067, 1691, 1591, 1438, 1270, 1216, 1192, 938 cm^{-1} .

1H -NMR (400MHz, $CDCl_3$): δ 9.02 (1H, dd, J = 4.4, 2.0 Hz), 8.60 (1H, dd, J = 8.0, 2.0 Hz), 7.77 (2H, d, J = 8.8 Hz), 7.49 (1H, dd, J = 8.0, 4.4 Hz), 7.16-7.09 (3H, m), 6.98 (2H, d, J = 8.8 Hz), 6.75 (2H, d, J = 7.2 Hz), 3.99 (2H, s).

FABMS (m/z): 480 ($[M+H]^+$).

FABHRMS (m/z): calcd. for $C_{23}H_{15}F_6N_3O_2Na$ ($[M+Na]^+$): 502.0966; found: 502.0961.

Anal. calcd. for $C_{23}H_{15}F_6N_3O_2$: C, 57.63; H, 3.15; N, 8.77; found: C, 58.41; H, 3.11; N, 8.74.

(Example 84)

2-Benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]pyrido[2,3-

d]pyrimidin-4(3H)-one (Exemplification compound number 6-4)

The title compound was obtained as a colorless solid (274 mg, yield: 29 %) from 2-aminonicotinic acid (290 mg, 2.1 mmol), phenylacetic acid (286 mg, 2.1 mmol), triphenyl phosphite (0.55 ml, 2.1 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 240-241°C.

IR (KBr): ν_{\max} 3393, 1695, 1583, 1438, 1269, 1206, 966 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.99 (1H, dd, $J = 4.8, 1.6$ Hz), 8.94 (1H, s), 8.51 (1H, dd, $J = 8.0, 2.4$ Hz), 7.80 (1H, brs), 7.79 (2H, d, $J = 8.0$ Hz), 7.58 (1H, t, $J = 8.0$ Hz), 7.57 (1H, t, $J = 8.0$ Hz), 7.36 (1H, d, $J = 8.0$ Hz), 7.22-7.18 (3H, m), 6.91 (2H, m), 3.89 (1H, d, $J = 16.0$ Hz), 3.79 (1H, d, $J = 16.0$ Hz).

FABMS (m/z): 480 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{23}\text{H}_{16}\text{F}_6\text{N}_3\text{O}_2$ ($[\text{M}+\text{H}]^+$): 480.1147; found: 480.1158.

Anal. calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_6\text{N}_3\text{O}_2$: C, 57.63; H, 3.15; N, 8.77; found: C, 57.93; H, 3.48; N, 8.38.

(Example 85)

2-(4-Trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-126)

(1) Phenyl chlorothionoformate (71 mg, 413 μmol) was added with stirring at room temperature under a nitrogen atmosphere to a solution of 2-(4-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (150 mg, 275 μmol) prepared as described in Example 5 above in a mixed solvent of dichloromethane (3 ml) and pyridine (1 ml) and the resulting solution was stirred for 4 hours. The reaction mixture was then concentrated, and the residue thus obtained was dissolved in ethyl acetate (50 ml), washed with 2N hydrochloric acid (20 ml), water (20 ml) and a saturated aqueous sodium chloride solution (20 ml) successively and dried over anhydrous sodium sulfate. The solvent was removed and the residue thus obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as the eluant to yield 2-(4-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-[(phenylthio)carbonothioyl]oxy]-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (122 mg, yield: 65%).

(2) A solution of a mixture of 2-(4-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-[(phenylthio)carbonothioyl]oxy]-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (120 mg, 176 μmol) prepared as described in Example 85(1) above, tributyltin hydride (71 μl , 264 μmol) and azobisisobutyronitrile (10 mg, 62 μmol) in toluene (4 ml) was stirred at 110°C for 4 hours under a nitrogen atmosphere. At the end of this time, the reaction mixture was concentrated and the residue thus obtained was purified by silica gel column chromatography

using a 3:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless solid (33 mg, yield: 36%). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 186-187°C.

IR (KBr): ν_{\max} 2952, 1686, 1596, 1328, 1273, 1151, 1093 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.29 (1H, d, $J = 8.0$ Hz), 7.85 (1H, t, $J = 7.2$ Hz), 7.82 (1H, d, $J = 7.2$ Hz), 7.54 (1H, t, $J = 7.2$ Hz), 7.43 (2H, d, $J = 8.0$ Hz), 7.37 (2H, d, $J = 8.0$ Hz), 7.01 (2H, d, $J = 8.0$ Hz), 6.89 (2H, d, $J = 8.0$ Hz), 4.15 (1H, sept., $J = 8.0$ Hz), 3.99 (2H, s).

FABMS (m/z): 531 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{16}\text{F}_9\text{N}_2\text{O}$ ($[\text{M}+\text{H}]^+$): 531.1119; found: 531.1111.

Anal. calcd. for $\text{C}_{25}\text{H}_{15}\text{F}_9\text{N}_2\text{O}$: C, 56.61; H, 2.85; N, 5.28; found: C, 56.62; H, 2.77; N, 5.24.

(Example 86)

2-(4-Trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-127)

Silver carbonate (756 mg, 2.75 mmol) and methyl iodide (0.21 ml, 3.3 mmol) were added at room temperature under a nitrogen atmosphere to a solution of 2-(4-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (150 mg, 275 μmol) prepared as described in Example 5 above in dichloromethane (10 ml) and the resulting mixture was stirred for 48 hours. The reaction mixture was then diluted with dichloromethane (50 ml) and filtered through CeliteTM. The resulting filtrate was concentrated, and the residue thus obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless solid (102 mg, yield: 66%). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 188-189°C.

IR (KBr): ν_{\max} 1693, 1594, 1328, 1224, 1130, 1110, 948 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.29 (1H, d, $J = 8.0$ Hz), 7.85 (1H, t, $J = 7.2$ Hz), 7.82 (1H, d, $J = 7.2$ Hz), 7.60 (2H, d, $J = 8.0$ Hz), 7.55 (1H, t, $J = 7.2$ Hz), 7.37 (2H, d, $J = 8.0$ Hz), 7.07 (2H, d, $J = 8.0$ Hz), 6.89 (2H, d, $J = 8.0$ Hz), 4.00 (2H, s), 3.54 (3H, s).

FABMS (m/z): 561 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{26}\text{H}_{18}\text{F}_9\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 561.1225; found: 561.1206.

Anal. calcd. for $\text{C}_{26}\text{H}_{17}\text{F}_9\text{N}_2\text{O}_2$: C, 55.72; H, 3.06; N, 5.00; found: C, 55.64; H, 2.93; N, 5.06.

(Example 87)

2-[(Methylamino)(phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-methoxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-10)

(1) Sodium hydride (42 mg, 1.06 mmol) was added to a solution of 2-[t-butoxycarbonylamino(phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (250 mg, 422 μ mol) prepared as described in Example 76(1) above in a mixed solvent of tetrahydrofuran (5 ml) and N,N-dimethylformamide (3 ml) at room temperature under a nitrogen atmosphere, and the resulting mixture was stirred for 1 hour. At the end of this time, methyl iodide (0.13 ml, 2.11 mmol) was added, and the resulting mixture was stirred for another 4 hours. The reaction mixture was then poured into water and extracted twice with ethyl acetate (30 ml each), and the organic layer was washed successively with water (20 ml) and a saturated aqueous sodium chloride solution (20 ml) and dried over anhydrous sodium sulfate. The solvent was removed and the residue thus obtained was purified by silica gel column chromatography using a 3:1 by volume mixture of hexane and ethyl acetate as the eluant to yield 2-[[N-(t-butoxycarbonyl)-N-methylamino](phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (152 mg, yield: 58%).

(2) Trifluoroacetic acid (0.5 ml) was added at 0°C under a nitrogen atmosphere to a solution of 2-[[N-(t-butoxycarbonyl)-N-methylamino](phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (149 mg, 240 μ mol) prepared as described in Example 87(1) above in dichloromethane (4 ml), and the resulting mixture was stirred at room temperature for 5 hours. The reaction mixture was then poured into a saturated aqueous sodium hydrogen carbonate solution and extracted twice with ethyl acetate (30 ml). The combined organic layers were washed successively with water (20 ml) and a saturated aqueous sodium chloride solution (20 ml) and dried over anhydrous sodium sulfate. The solvent was removed to yield the title compound as a colorless solid (125 mg, yield: 100%). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 61-64°C.

IR (KBr): ν_{\max} 3435, 1690, 1594, 1284, 1219, 1201, 1111, 948 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, dd, $J = 8.0, 1.6$ Hz), 7.90 (1H, d, $J = 7.2$ Hz), 7.87 (1H, dt, $J = 8.0, 1.6$ Hz), 7.81 (1H, d, $J = 8.0$ Hz), 7.54 (1H, dt, $J = 8.0, 1.6$ Hz), 7.47 (1H, dd, $J = 8.8, 2.4$ Hz), 7.38 (1H, d, $J = 8.0$ Hz), 7.21 (1H, t, $J = 6.0$ Hz), 7.13 (2H, t, $J = 7.6$ Hz), 6.76 (2H, t, $J = 7.6$ Hz), 6.48 (1H, dd, $J = 8.0, 2.4$ Hz), 4.33 (1H, s), 3.55 (3H, s), 2.33 (3H, s). FABMS (m/z): 522 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{26}\text{H}_{21}\text{F}_6\text{N}_3\text{O}_2$ ($[\text{M}+\text{H}]^+$): 522.1616; found: 522.1610.

Anal. calcd. for $\text{C}_{26}\text{H}_{21}\text{F}_6\text{N}_3\text{O}_2$: C, 59.89; H, 4.06; N, 8.06; found: C, 59.59; H, 4.04; N, 7.93.

(Example 88)

2-Phenyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-4)

The title compound was obtained as a colorless solid (90 mg, yield: 19 %) from anthranilic acid (150 mg, 1.1 mmol), benzoic acid (134 mg, 1.1 mmol), triphenyl phosphite (0.39 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 265-266°C.

¹H-NMR (400MHz, CDCl₃): δ 8.37 (1H, d, J = 8.0 Hz), 7.84 (2H, m), 7.66 (2H, d, J = 8.4 Hz), 7.57 (1H, m), 7.27-7.17 (7H, m).

FABMS (m/z): 465 ([M+H]⁺).

(Example 89)

2-(2-Phenylethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-16)

The title compound was obtained as a colorless solid (290 mg, yield: 59 %) from anthranilic acid (150 mg, 1.1 mmol), 3-phenylpropionic acid (165 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 191-192°C.

IR (KBr): ν_{max} 3169, 1645, 1591, 1272, 1213, 1196, 939 cm⁻¹.

¹H-NMR (400MHz, CDCl₃): δ 8.24 (1H, d, J = 8.0 Hz), 7.86 (2H, d, J = 8.4 Hz), 7.77 (1H, t, J = 8.0 Hz), 7.72 (1H, d, J = 8.0 Hz), 7.47 (1H, t, J = 8.0 Hz), 7.22 (2H, d, J = 8.8 Hz), 7.18-7.10 (3H, m), 6.84 (2H, d, J = 7.2 Hz), 4.18 (1H, s), 2.95 (2H, t, J = 7.2 Hz), 2.67 (2H, t, J = 7.2 Hz).

FABMS (m/z): 493 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₉F₆N₂O₂ ([M+H]⁺): 493.1351; found: 493.1348.

Anal. calcd. for C₂₅H₁₈F₆N₂O₂·1/4H₂O: C, 60.43; H, 3.75; N, 5.63; found: C, 60.42; H, 4.15; N, 5.48.

(Example 90)

6-Bromo-2-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-45)

The title compound was obtained as a colorless solid (2.11 g, yield: 44 %) from 5-bromoanthranilic acid (2.16 g, 10 mmol), acetic acid (0.57 ml, 10 mmol), triphenyl phosphite

(2.62 ml, 10 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (2.59 g, 10 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 254-255°C.

IR (KBr): ν_{\max} 3298, 1688, 1594, 1470, 1274, 1216, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.38 (1H, d, $J = 2.0$ Hz), 7.95 (1H, d, $J = 8.0$ Hz), 7.86 (1H, dd, $J = 8.8, 2.0$ Hz), 7.57 (1H, d, $J = 8.8$ Hz), 7.37 (2H, d, $J = 8.8$ Hz), 4.30 (1H, brs), 2.23 (3H, s).

FABMS (m/z): 482, 480 (M^+).

FABHRMS (m/z): calcd. for $\text{C}_{18}\text{H}_{11}^{79}\text{BrF}_6\text{N}_2\text{O}_2$ (M^+): 479.9908; found: 479.9900.

(Example 91)

2-Ethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-2)

(1) A solution of a mixture of isatoic anhydride (3.26 g, 20 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (5.18 g, 20 mmol) in acetic acid (60 ml) was refluxed for 2 hours. The reaction mixture was then concentrated and the residue thus obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as the eluant to yield 2-amino-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)-ethyl]phenyl]benzamide as a colorless solid (3.23 g, yield: 43%).

(2) A solution of a mixture of 2-amino-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzamide (378 mg, 1.0 mmol) prepared as described in Example 91(1) above, 1,1,1-triethoxypropane (352 mg, 2.0 mmol) and p-toluenesulfonic acid monohydrate (10 mg, 0.05 mmol) in pyridine (3 ml) was stirred at 100°C for 2 hours under a nitrogen atmosphere. At the end of this time, the reaction mixture was concentrated, and the residue thus obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless solid (210 mg, yield: 51%). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 223-224°C.

IR (KBr): ν_{\max} 1656, 1595, 1268, 1216, 1184, 1107, 968, 937, 773 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.95 (1H, s), 8.10 (1H, dd, $J = 8.1, 1.5$ Hz), 7.88-7.84 (3H, m), 7.71 (1H, d, $J = 8.1$ Hz), 7.64 (2H, d, $J = 8.8$ Hz), 7.53 (1H, t, $J = 6.6$ Hz), 2.34-2.29 (2H, m), 1.35 (3H, t, $J = 6.6$ Hz).

FABMS (m/z): 417 ($[M+H]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{19}\text{H}_{14}\text{F}_6\text{N}_2\text{O}_2\text{Na}$ ($[M+Na]^+$): 439.0858; found: 439.0872.

Anal. calcd. for $\text{C}_{19}\text{H}_{14}\text{F}_6\text{N}_2\text{O}_2$: C, 54.82; H, 3.39; N, 6.73; found: C, 54.60; H, 3.38; N, 6.81.

(Example 92)

2-(1-Methylethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-4)

The title compound was obtained as a colorless solid (122 mg, yield: 18 %) from anthranilic acid (274 mg, 2.0 mmol), 2-methylpropionic acid (176 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 253-254°C.

IR (KBr): ν_{\max} 1654, 1590, 1262, 1185, 1107, 968, 938, 776 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.95 (1H, s), 8.10 (1H, dd, $J = 8.1, 1.5$ Hz), 7.89-7.83 (3H, m), 7.71-7.66 (3H, m), 7.55-7.51 (1H, m), 2.55-2.45 (1H, m), 1.15 (6H, d, $J = 6.6$ Hz).

FABMS (m/z): 431 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{20}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 453.1014; found: 453.1026.

Anal. calcd. for $\text{C}_{20}\text{H}_{16}\text{F}_6\text{N}_2\text{O}_2$: C, 55.82; H, 3.75; N, 6.51; found: C, 55.79; H, 3.49; N, 6.62.

(Example 93)

2-(2,2-Dimethylpropyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-8)

The title compound was obtained as a colorless solid (158 mg, yield: 34 %) from anthranilic acid (150 mg, 1.1 mmol), t-butylacetic acid (128 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 232-235°C.

IR (KBr): ν_{\max} 3113, 2963, 1652, 1586, 1265, 1183, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.27 (1H, dd, $J = 8.0, 1.6$ Hz), 7.91 (2H, d, $J = 8.0$ Hz), 7.79 (1H, dt, $J = 7.2, 1.6$ Hz), 7.73 (1H, d, $J = 7.2$ Hz), 7.49 (1H, dt, $J = 7.2, 1.6$ Hz), 7.34 (2H, d, $J = 8.0$ Hz), 4.23 (1H, s), 2.38 (2H, s), 0.99 (9H, s), 1.65-0.80 (10H, m).

FABMS (m/z): 459 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{22}\text{H}_{21}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 459.1507; found: 459.1501.

Anal. calcd. for $\text{C}_{22}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_2$: C, 57.64; H, 4.40; N, 6.11; found: C, 57.68; H, 4.31; N, 6.00.

(Example 94)

2-Trifluoromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-10)

(1) Trifluoroacetic anhydride (14.3 ml, 101 mmol) was added to a solution of a mixture of

anthranilic acid (9.25 g, 67.5 mmol) and triethylamine (18.8 ml, 135 mmol) in tetrahydrofuran (100 ml) at 0°C with stirring under a nitrogen atmosphere. The resulting mixture was stirred at room temperature for 7 hours. The reaction mixture was concentrated, poured into water and extracted twice with ethyl acetate (200 ml). The combined organic layers were washed successively with water (100 ml), 1N hydrochloric acid (100 ml), water (100 ml) and a saturated aqueous sodium chloride solution (100 ml) and dried over anhydrous sodium sulfate. The solvent was removed to yield N-(trifluoroacetyl)anthranilic acid as colorless solid (10.5 g, yield: 67%).

(2) N,N-carbonyldiimidazole (5.36 g, 33 mmol) was added to a solution of N-(trifluoroacetyl)anthranilic acid (7.69 g, 33 mmol) prepared as described in Example 94(1) above in tetrahydrofuran (80 ml) at room temperature with stirring under a nitrogen atmosphere, and the resulting mixture was stirred for 1 hour. At the end of this time, a solution of 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (5.70 g, 22 mmol) in tetrahydrofuran (60 ml) was added to the reaction mixture, and the resulting mixture was stirred at 70°C for 6 hours under the nitrogen atmosphere. The reaction mixture was then concentrated, poured into water and extracted twice with ethyl acetate (200 ml). The combined organic layers were washed successively with water (100 ml), 1N hydrochloric acid (100 ml), water (100 ml) and a saturated aqueous sodium chloride solution (100 ml) and dried over anhydrous sodium sulfate. The solvent was thus removed and the residue thus obtained was purified by silica gel column chromatography using a 5:1 by volume mixture of hexane and ethyl acetate as eluant to yield the title compound as a colorless solid (1.48 g, yield: 15%). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 183°C.

IR (KBr): ν_{\max} 3173, 1670, 1373, 1227, 1173, 966 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.34 (1H, d, $J = 8.2$ Hz), 7.91 (4H, m), 7.68 (1H, m), 7.42 (2H, d, $J = 8.2$ Hz), 3.86 (1H, s).

FABMS (m/z): 457 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{18}\text{H}_9\text{F}_9\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 479.0418; found: 479.0431.

Anal. calcd. for $\text{C}_{18}\text{H}_9\text{F}_9\text{N}_2\text{O}_2$: C, 47.38; H, 1.99; N, 6.14; found: C, 46.98; H, 2.24; N, 6.54.

(Example 95)

2-Chloromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-13)

The title compound was obtained as a colorless solid (355 mg, yield: 81%) from 2-amino-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzamide (378 mg,

1.0 mmol) prepared as described in Example 91(1) above, 2-chloro-1,1,1-trimethoxyethane (352 mg, 2.0 mmol) and p-toluenesulfonic acid monohydrate (10 mg, 0.05 mmol) in a similar manner to that described in Example 91(2) above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 190-191°C.

IR (KBr): ν_{\max} 3310, 1661, 1597, 1268, 1217, 1108, 970, 936, 774, 708 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.97 (1H, s), 8.15 (1H, d, $J = 8.0$ Hz), 7.95-7.87 (3H, m), 7.79 (1H, d, $J = 7.3$ Hz), 7.73-7.69 (2H, m), 7.65-7.61 (1H, m), 4.35 (2H, s).

FABMS (m/z): 437 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{18}\text{H}_{12}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 437.0492; found: 437.0471.

Anal. calcd. for $\text{C}_{18}\text{H}_{11}\text{ClF}_6\text{N}_2\text{O}_2$: C, 49.50; H, 2.54; N, 6.41; found: C, 49.24; H, 2.71; N, 6.47.

(Example 96)

2-Dichloromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-14)

The title compound was obtained as a colorless solid (307 mg, yield: 65%) from 2-amino-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzamide (378 mg, 1.0 mmol) prepared as described in Example 91(1) above, 2,2-dichloro-1,1,1-trimethoxyethane (462 mg, 2.0 mmol) and p-toluenesulfonic acid monohydrate (10 mg, 0.05 mmol) in a similar manner to that described in Example 91(2) above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 235-236°C.

IR (KBr): ν_{\max} 3290, 1688, 1597, 1268, 1213, 1172, 1108, 933, 773, 717 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.98 (1H, s), 8.17 (1H, dd, $J = 8.1, 1.5$ Hz), 7.99-7.84 (4H, m), 7.78-7.66 (3H, m), 6.56 (1H, s).

FABMS (m/z): 471 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{18}\text{H}_{10}\text{Cl}_2\text{F}_6\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 492.9921; found: 492.9923.

Anal. calcd. for $\text{C}_{18}\text{H}_{10}\text{Cl}_2\text{F}_6\text{N}_2\text{O}_2$: C, 45.88; H, 2.14; N, 5.95; found: C, 46.00; H, 2.23; N, 5.88.

(Example 97)

2-(1-Chloroethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-18)

(1) 2-Chloropropionyl chloride (4.2 g, 33.1 mmol) was added dropwise to a solution of methyl anthranilate (5 g, 33.1 mmol) and diisopropylethylamine (5.1 g, 39.7 mmol) in dichloromethane with stirring under ice cooling. After stirring at 0°C for 30 minutes and at

room temperature for 3 hours, a saturated aqueous sodium hydrogencarbonate solution was added to the reaction mixture, and the organic layer was separated. The aqueous layer was extracted with dichloromethane. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried and concentrated to yield methyl 2-[(2-chloropropionyl)amino]benzoate (7.75 g, yield: 97%).

(2) 1N aqueous lithium hydroxide solution (40 ml, 40 mmol) was added to a solution of methyl 2-[(2-chloropropionyl)amino]benzoate (7.75 g, 32.1 mmol) prepared as described in Example 97(1) above in tetrahydrofuran (40 ml) and the resulting mixture was stirred at 50°C for 3 hours. After removal of tetrahydrofuran by evaporation in vacuo, the resulting aqueous layer was acidified with 1N hydrochloric acid, and extracted with ethyl acetate. The organic layer was washed with water and a saturated aqueous sodium chloride solution, dried and concentrated. The residue thus obtained was purified by silica gel column chromatography using a 1:4 by volume mixture of hexane and ethyl acetate as eluant to yield 2-[(2-chloropropionyl)amino]-benzoic acid as a colorless solid (7.1 g, yield: 97%).

(3) A 2M solution of phosphorus trichloride in dichloromethane (2.4 ml, 4.8 mmol) was added dropwise over a 5 minute period to a solution of 2-[(2-chloropropionyl)amino]benzoic acid (1.1 g, 4.8 mmol) prepared as described in Example 97(2) above and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.25 g, 4.8 mmol) in toluene (15 ml). The resulting mixture was refluxed for 1.5 hours and then water was added to the reaction mixture under ice cooling. After removal of dichloromethane by evaporation in vacuo, the remaining aqueous layer was extracted with ethyl acetate, and the organic layer was washed with water and a saturated aqueous sodium chloride solution, dried and concentrated. The residue thus obtained was purified by silica gel column chromatography (hexane : ethyl acetate = 10:1 to 1:1) to yield the title compound (0.43 g, yield: 20%).

¹H-NMR (CDCl₃): δ 8.22 (1H, d, J = 7.8 Hz), 7.88 (1H, d, J = 8.5 Hz), 7.84 (1H, d, J = 8.4 Hz), 7.76 (m, 2H), 7.55 (dd, 1H, J = 8.5, 2.2 Hz), 7.50 (m, 1H), 7.23 (dd, 1H, J = 8.4 and 2.3 Hz), 4.45 (1H, q, J = 6.6 Hz), 3.8 (1H, bs), 1.83 (3H, d, J = 6.6 Hz).

ESI MS (m/z): 451 ([M+H]⁺).

(Example 98)

2-(1-Bromoethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-17)

The title compound was obtained as a colorless solid (190 mg, yield: 38%) from 2-amino-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzamide (378 mg, 1.0 mmol) prepared in Example 91(1) above, 2-bromo-1,1,1-triethoxypropane (510 mg, 2.0 mmol) and p-toluenesulfonic acid monohydrate (10 mg, 0.05 mmol) in a similar manner to that described in Example 91(2) above. This product was recrystallized from a mixed

solvent of hexane and ethyl acetate to yield colorless prisms.

mp 227-228°C.

IR (KBr): ν_{\max} 1658, 1591, 1368, 1267, 1214, 1184, 967, 775 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.98 (1H, s), 8.15 (1H, d, $J = 8.8$ Hz), 7.95-7.88 (3H, m), 7.80 (1H, d, $J = 8.1$ Hz), 7.77-7.60 (3H, m), 4.56 (1H, m), 2.00 (3H, d, $J = 6.6$ Hz).

FABMS (m/z): 495, 497 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{19}\text{H}_{13}^{79}\text{BrF}_6\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 516.9962; found: 516.9954.

Anal. calcd. for $\text{C}_{19}\text{H}_{13}\text{BrF}_6\text{N}_2\text{O}_2$: C, 46.08; H, 2.65; N, 5.66; found: C, 46.33; H, 2.62; N, 5.75.

(Example 99)

2-(Diethylaminomethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 1-22)

Diethylamine (238 μl , 2.30 mmol) was added to a solution of 2-chloromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (200 mg, 0.46 mmol) prepared in Example 95 above in toluene (3 ml) at room temperature, and the resulting mixture was stirred at 100°C for 4 hours under a nitrogen atmosphere. The reaction mixture was then concentrated and the residue obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless solid. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (32 mg, yield: 15%).
mp 178-179°C.

IR (KBr): ν_{\max} 3296, 2972, 1659, 1594, 1473, 1214, 1192, 968, 773, cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.88 (1H, s), 8.13-8.11 (1H, m), 7.90-7.70 (4H, m), 7.59-7.55 (3H, m), 3.33 (2H, s), 2.22-2.17 (4H, m), 0.59 (6H, t, $J = 7.3$ Hz).

FABMS (m/z): 474 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{22}\text{H}_{22}\text{F}_6\text{N}_3\text{O}_2$ ($[\text{M}+\text{H}]^+$): 474.1617; found: 474.1620.

(Example 100)

2-(1-Pyrrolidinylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-29)

The title compound was obtained as a colorless solid from 2-chloromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (200 mg, 0.46 mmol) prepared as described in Example 95 above and pyrrolidine (192 μl , 2.30 mmol) in a similar manner to that described in Example 99 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (120 mg, yield: 55%).
mp 191-192°C.

IR (KBr): ν_{\max} 3290, 2967, 2797, 1680, 1598, 1268, 1214, 935, 774 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.90 (1H, s), 8.14 (1H, dd, $J = 8.1, 1.5$ Hz), 7.90-7.85 (1H, m), 7.80 (2H, d, $J = 8.8$ Hz), 7.74 (1H, d, $J = 8.1$ Hz), 7.60-7.55 (3H, m), 3.38 (2H, s), 2.28-2.09 (4H, m), 1.59-1.48 (4H, m).

FABMS (m/z): 472 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{22}\text{H}_{20}\text{F}_6\text{N}_3\text{O}_2$ ($[\text{M}+\text{H}]^+$): 472.1459; found: 472.1444.

(Example 101)

2-(1-Piperidinylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-30)

The title compound was obtained as a colorless solid from 2-chloromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (109 mg, 0.25 mmol) prepared as described in Example 95 above and piperidine (99 μl , 1.00 mmol) in a similar manner to that described in Example 99 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (123 mg, yield: 99%). mp 219-220°C.

IR (KBr): ν_{\max} 3283, 2938, 1670, 1596, 1268, 1213, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.88 (1H, s), 8.14 (1H, dd, $J = 8.1, 1.5$ Hz), 7.89-7.85 (1H, m), 7.81 (2H, d, $J = 8.8$ Hz), 7.74 (1H, d, $J = 8.1$ Hz), 7.62-7.55 (3H, m), 3.16 (2H, s), 2.08-1.93 (4H, m), 1.28-1.19 (6H, m).

FABMS (m/z): 486 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{23}\text{H}_{22}\text{F}_6\text{N}_3\text{O}_2$ ($[\text{M}+\text{H}]^+$): 486.1616; found: 486.1619.

(Example 102)

2-(1-Azepinylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-31)

The title compound was obtained as a colorless solid from 2-chloromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (200 mg, 0.46 mmol) prepared as described in Example 95 above and hexamethyleneimine (259 μl , 2.30 mmol) in a similar manner to that described in Example 99 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (142 mg, yield: 62%).

mp 171-172°C.

IR (KBr): ν_{\max} 3299, 2929, 1671, 1595, 1269, 1213, 934, 707 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.89 (1H, s), 8.13 (1H, d, $J = 8.1$ Hz), 7.89-7.85 (1H, m), 7.81 (2H, d, $J = 8.1$ Hz), 7.74 (1H, d, $J = 8.0$ Hz), 7.62-7.55 (3H, m), 3.37 (2H, s), 2.23-2.21 (4H, m), 1.40-1.27 (8H, m).

FABMS (m/z): 500 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₄H₂₄F₆N₃O₂ ([M+H]⁺): 500.1773; found: 500.1768.

(Example 103)

2-(1-Azocinylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-32)

The title compound was obtained as a colorless solid from 2-chloromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (200 mg, 0.46 mmol) prepared as described in Example 95 above and heptamethyleneimine (291 μ l, 2.30 mmol) in a similar manner to that described in Example 99 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (153 mg, yield: 65%).

mp 176-177°C.

IR (KBr): ν_{\max} 3283, 2923, 1657, 1594, 1473, 1268, 1213, 935, 774 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.91 (1H, s), 8.13 (1H, d, J = 8.1 Hz), 7.89-7.82 (3H, m), 7.74 (1H, d, J = 8.1 Hz), 7.61 (2H, d, J = 8.8 Hz), 7.59-7.55 (1H, m), 3.41 (2H, s), 2.34 (4H, t, J = 5.9 Hz), 1.46-1.27 (10H, m).

FABMS (m/z): 514 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₂₆F₆N₃O₂ ([M+H]⁺): 514.1929; found: 514.1908.

(Example 104)

2-Cyclobutyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-4)

The title compound was obtained as a colorless solid (163 mg, yield: 23 %) from anthranilic acid (274 mg, 2.0 mmol), cyclobutanecarboxylic acid (200 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 236-237°C.

IR (KBr): ν_{\max} 1652, 1589, 1268, 1215, 1187, 1107, 968, 937, 772, 708 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.94 (1H, s), 8.10 (1H, dd, J = 8.1, 1.5 Hz), 7.88-7.83 (3H, m), 7.74 (1H, d, J = 8.1 Hz), 7.60 (2H, d, J = 8.8 Hz), 7.56-7.52 (1H, m), 3.30-3.22 (1H, m), 2.45-1.34 (2H, m), 1.73-1.59 (4H, m).

FABMS (m/z): 443 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₁H₁₆F₆N₂O₂Na ([M+Na]⁺): 465.1013; found: 465.0997.

(Example 105)

2-Cyclopentyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-5)

The title compound was obtained as a colorless solid (194 mg, yield: 27 %) from anthranilic acid (274 mg, 2.0 mmol), cyclopentanecarboxylic acid (228 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 239-240°C.

IR (KBr): ν_{\max} 3245, 2959, 1657, 1590, 1268, 1214, 1185, 1107, 968, 937, 776, 707 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.94 (1H, s), 8.09 (1H, dd, $J = 8.1, 1.5$ Hz), 7.88-7.82 (3H, m), 7.68 (1H, d, $J = 7.3$ Hz), 7.64 (2H, d, $J = 8.8$ Hz), 7.52 (1H, t, $J = 7.3$ Hz), 2.71-2.63 (1H, m), 2.00-1.92 (2H, m), 1.74-1.57 (4H, m), 1.43-1.37 (2H, m).

FABMS (m/z): 457 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{22}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 479.1173; found: 479.1173.

(Example 106)

2-Cyclohexyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-6)

The title compound was obtained as a colorless solid (151 mg, yield: 20 %) from anthranilic acid (274 mg, 2.0 mmol), cyclohexanecarboxylic acid (256 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 238-239°C.

IR (KBr): ν_{\max} 3268, 2933, 1658, 1589, 1266, 1213, 1189, 969, 935, 773, 707 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.97 (1H, s), 8.10 (1H, dd, $J = 8.1, 1.5$ Hz), 7.89-7.83 (3H, m), 7.70-7.64 (3H, m), 7.52 (1H, t, $J = 6.6$ Hz), 2.11-2.04 (1H, m), 1.80 (2H, d, $J = 12.4$ Hz), 1.68-1.52 (5H, m), 1.21-1.09 (1H, m), 0.82-0.73 (2H, m).

FABMS (m/z): 471 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{23}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 493.1326; found: 493.1337.

Anal. calcd. for $\text{C}_{23}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_2$: C, 58.73; H, 4.29; N, 5.96; found: C, 58.71; H, 3.98; N, 6.04.

(Example 107)

2-(2,2,3,3-Tetramethylcyclopropyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-2)

The title compound was obtained as a colorless solid (172 mg, yield: 22 %) from anthranilic acid (274 mg, 2.0 mmol), 2,2,3,3-tetramethylcyclopropanecarboxylic acid (284 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 244-245°C.

IR (KBr): ν_{\max} 1655, 1590, 1473, 1268, 1217, 1190, 1107, 937, 774, 707 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.94 (1H, s), 8.10 (1H, dd, $J = 8.1, 1.5$ Hz), 7.89-7.81 (3H, m), 7.63-7.60 (3H, m), 7.52 (1H, t, $J = 8.1$ Hz), 1.16 (1H, s), 1.14 (6H, s), 0.68 (6H, s).

FABMS (m/z): 485 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 507.1546; found: 507.1476.

(Example 108)

2-(2-Phenylcyclopropyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-3)

The title compound was obtained as a colorless solid (71 mg, yield: 9 %) from anthranilic acid (274 mg, 2.0 mmol), 2-phenyl-1-cyclopropanecarboxylic acid (324 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (415 mg, 1.6 mmol) in a similar manner to that described in Example 1. mp 199-200°C.

IR (KBr): ν_{\max} 3284, 1661, 1590, 1473, 1267, 1214, 1192, 934, 774, 697 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.80 (1H, s), 8.11 (1H, dd, $J = 8.1, 1.5$ Hz), 7.87-7.80 (2H, m), 7.69-7.65 (2H, m), 7.53-7.40 (3H, m), 7.15-7.07 (3H, m), 6.88 (2H, d, $J = 6.6$ Hz), 2.51-2.46 (1H, m), 2.05-2.01 (1H, m), 1.47-1.43 (1H, m), 1.36-1.32 (1H, m).

FABMS (m/z): 505 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{26}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2\text{Na}$ ($[\text{M}+\text{Na}]^+$): 527.1170; found: 527.1166.

Anal. calcd. for $\text{C}_{26}\text{H}_{18}\text{F}_6\text{N}_2\text{O}_2$: C, 61.91; H, 3.60; N, 5.55; found: C, 62.18; H, 3.64; N, 5.54.

(Example 109)

2-(Cyclopentylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-9)

The title compound was obtained as a colorless solid (715 mg, yield: 76 %) from anthranilic acid (288 mg, 2.1 mmol), cyclopentylacetic acid (269 mg, 2.1 mmol), triphenyl phosphite (0.55 ml, 2.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was

recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.
mp 207°C.

IR (KBr): ν_{\max} 3114, 2957, 1656, 1592, 1270, 1218, 1189, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.27 (1H, d, $J = 8.0$ Hz), 7.92 (2H, d, $J = 8.4$ Hz), 7.79 (1H, t, $J = 7.6$ Hz), 7.73 (1H, d, $J = 8.0$ Hz), 7.49 (1H, t, $J = 8.0$ Hz), 7.36 (2H, d, $J = 8.8$ Hz), 4.05 (1H, s), 2.43 (2H, d, $J = 7.2$ Hz), 2.26 (1H, m), 1.80-0.80 (8H, m).

FABMS (m/z): 471 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{23}\text{H}_{21}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 471.1507; found: 471.1497.

Anal. calcd. for $\text{C}_{23}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_2$: C, 58.73; H, 4.29; N, 5.96; found: C, 58.64; H, 4.30; N, 5.99.

(Example 110)

2-(Cyclohexylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-10)

The title compound was obtained as a colorless solid (224 mg, yield: 46 %) from anthranilic acid (150 mg, 1.1 mmol), cyclohexylacetic acid (156 mg, 1.1 mmol), triphenyl phosphite (0.29 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.
mp 217-218°C.

IR (KBr): ν_{\max} 3265, 2925, 1654, 1592, 1269, 1214, 1186, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, dd, $J = 8.0, 1.6$ Hz), 7.90 (2H, d, $J = 8.0$ Hz), 7.80 (1H, dt, $J = 7.2, 1.6$ Hz), 7.73 (1H, d, $J = 7.2$ Hz), 7.50 (1H, dt, $J = 7.2, 1.6$ Hz), 7.34 (2H, d, $J = 8.8$ Hz), 4.40 (1H, s), 2.32 (2H, d, $J = 7.2$ Hz), 1.70 (1H, m), 1.65-0.80 (10H, m).

FABMS (m/z): 485 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{23}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 485.1163; found: 485.1160.

Anal. calcd. for $\text{C}_{24}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_2$: C, 59.50; H, 4.58; N, 5.78; found: C, 59.55; H, 4.45; N, 5.74.

(Example 111)

2-(Cyclohexylmethyl)-5-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-102)

The title compound was obtained as a colorless solid (608 mg, yield: 59 %) from 6-chloroanthranilic acid (359 mg, 2.1 mmol), cyclohexylacetic acid (298 mg, 2.1 mmol), triphenyl phosphite (0.55 ml, 2.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 210-212°C.

IR (KBr): ν_{\max} 3253, 2926, 2850, 1673, 1593, 1458, 1269, 1214, 932 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.92 (2H, d, $J = 8.0$ Hz), 7.62 (2H, d, $J = 4.4$ Hz), 7.47 (1H, t, $J = 4.8$ Hz), 7.36 (2H, d, $J = 8.8$ Hz), 3.89 (1H, s), 2.28 (2H, d, $J = 6.4$ Hz), 1.75 (1H, m), 1.65-0.82 (10H, m).

FABMS (m/z): 519 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{22}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 519.1274; found: 519.1262.

Anal. calcd. for $\text{C}_{24}\text{H}_{21}\text{ClF}_6\text{N}_2\text{O}_2$: C, 55.55; H, 4.08; N, 5.40; found: C, 55.69; H, 4.13; N, 5.46.

(Example 112)

2-Benzyl-5-chloro-6,7-dimethoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2209)

(1) Trifluoromethanesulfonic acid (0.96 ml, 11 mmol) was added to a solution of 2-chloro-3,4-dimethoxybenzaldehyde (2.0 g, 10 mmol) and potassium nitrate (1.11 g, 11 mmol) in acetic acid (5 ml) with stirring at 0°C under a nitrogen atmosphere, and the resulting mixture was stirred at room temperature for 4 hours under the nitrogen atmosphere. The reaction mixture was then poured into water, neutralized with a saturated aqueous sodium hydrogencarbonate solution and extracted twice with ethyl acetate (100 ml). The combined organic layers were washed with water (80 ml) and a saturated aqueous sodium chloride solution (80 ml) and dried over anhydrous sodium sulfate. After filtration, the solvent was removed in vacuo, and the residue thus obtained was purified by silica gel column chromatography using a 4:1 by volume mixture of hexane and ethyl acetate as the eluant to yield 2-chloro-3,4-dimethoxy-6-nitrobenzaldehyde as a colorless solid (1.36 mg, yield: 56%).

(2) Sodium chlorite (80 % purity, 954 mg, 8.48 mmol) was added to a solution of 2-chloro-3,4-dimethoxy-6-nitrobenzaldehyde (1.04 g, 4.24 mmol) prepared as described in Example 112(1) above, 2-methyl-2-butene (14.9 g, 212 mmol) and sodium dihydrogenphosphate dihydrate (13.2 g, 85 mmol) in a mixed solvent of *t*-butanol (40 ml) and water (10 ml) with stirring at room temperature under a nitrogen atmosphere, and the resulting mixture was stirred for 2 hours. The reaction mixture was then poured into water and extracted twice with ethyl acetate (100 ml). The combined organic layers were washed with water (80 ml) and a saturated aqueous sodium chloride solution (80 ml) and dried over anhydrous sodium sulfate. After filtration, the solvent was removed in vacuo to yield 2-chloro-3,4-dimethoxy-6-nitrobenzoic acid as a colorless solid (0.71 g, yield: 64%).

(3) 20% Palladium hydroxide on carbon [50% (w/w) wet type, 26 mg] was added to a solution of 2-chloro-3,4-dimethoxy-6-nitrobenzoic acid (261 mg, 1.0 mmol) prepared as

described in Example 112(2) above in methanol (4 ml) and the resulting mixture was stirred at room temperature for 30 minutes under a hydrogen atmosphere. The catalyst was then removed by filtration through CeliteTM, and the filtrate was concentrated in vacuo to yield 6-chloro-4,5-dimethoxyanthranilic acid as a brown oil (170 mg).

(4) The title compound was obtained as a colorless solid (138 mg, yield: 47 %) from 6-chloro-4,5-dimethoxyanthranilic acid (170 mg, 736 μ mol) prepared as described in Example 112(3) above, phenylacetic acid (100 mg, 736 μ mol), triphenyl phosphite (0.19 ml, 736 μ mol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (173 mg, 669 μ mol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 210-211°C.

IR (KBr): ν_{\max} 3371, 1686, 1585, 1477, 1266, 1208, 1002 cm^{-1} .

¹H-NMR (400MHz, CDCl₃): δ 7.73 (1H, d, J = 8.8 Hz), 7.45 (1H, s), 7.39 (1H, t, J = 8.0 Hz), 7.18-7.12 (3H, m), 7.17 (1H, s), 6.97 (1H, d, J = 8.0 Hz), 6.79 (2H, dd, J = 8.0, 2.0 Hz), 4.04 (3H, s), 4.02 (1H, s), 3.91 (3H, s), 3.89 (1H, d, J = 14.8 Hz), 3.78 (1H, d, J = 14.8 Hz).

FABMS (m/z): 573 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₆H₂₀ClF₆N₂O₄ ([M+H]⁺): 573.1016; found: 573.1021.

Anal. Calcd. for C₂₆H₁₉ClF₆N₂O₄: C, 54.51; H, 3.34; N, 4.89; Found: C, 54.57; H, 3.25; N, 4.77.

(Example 113)

2-Benzyl-5-chloro-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2209)

The title compound was obtained as a colorless solid (390 mg, yield: 41 %) from 6-chloro-4,5-dimethoxyanthranilic acid (385 mg, 1.67 mmol) prepared as described in Example 112(3) above, phenylacetic acid (227 mg, 1.67 mmol), triphenyl phosphite (0.44 ml, 1.67 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (389 mg, 1.50 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 203-204°C.

IR (KBr): ν_{\max} 3354, 1677, 1590, 1477, 1374, 1265, 1209, 1107, 1000, 930 cm^{-1} .

¹H-NMR (400MHz, CDCl₃): δ 7.69 (2H, d, J = 8.8 Hz), 7.18 (1H, s), 7.18-7.08 (3H, m), 6.98 (2H, d, J = 8.8 Hz), 6.71 (2H, d, J = 7.2 Hz), 4.04 (3H, s), 3.92 (3H, s), 3.85 (3H, s).

FABMS (m/z): 573 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₆H₂₀ClF₆N₂O₄ ([M+H]⁺): 573.1016; found: 573.1022.

Anal. Calcd. for C₂₆H₁₉ClF₆N₂O₄: C, 54.51; H, 3.34; N, 4.89; Found: C, 54.73; H, 3.42; N,

4.68.

(Example 114)

2-Benzyl-6,7-difluoro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1248)

(1) 4,5-Difluoroanthranilic acid was obtained from 4,5-difluoro-2-nitrobenzoic acid in a similar manner to that described in Example 39(1) above.

(2) The title compound was obtained as a colorless solid (343 mg, yield: 33 %) from 4,5-difluoroanthranilic acid (378 mg, 2.2 mmol) prepared as described in Example 114(1) above, phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 129-130°C.

IR (KBr): ν_{\max} 3326, 1686, 1499, 1268, 1214, 1153, 970 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.81 (1H, dd, $J = 10.0, 8.8$ Hz), 7.78 (1H, d, $J = 8.0$ Hz), 7.58 (1H, dd, $J = 10.8, 7.2$ Hz), 7.45 (1H, t, $J = 8.0$ Hz), 7.44 (1H, s), 7.19-7.13 (3H, m), 7.00 (2H, dd, $J = 8.0, 2.4$ Hz), 6.79 (2H, d, $J = 6.4$ Hz), 4.00 (1H, s), 3.93 (1H, d, $J = 14.8$ Hz), 3.83 (1H, d, $J = 14.8$ Hz).

FABMS (m/z): 515 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{15}\text{F}_8\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 515.1006; found: 515.0977

Anal. Calcd. for $\text{C}_{24}\text{H}_{14}\text{F}_8\text{N}_2\text{O}_2 \cdot 1/2\text{H}_2\text{O}$: C, 55.08; H, 2.89; N, 5.35; Found: C, 54.94; H, 2.81; N, 5.35.

(Example 115)

2-Benzyl-6,7-dimethoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1155)

The title compound was obtained as a colorless solid (584 mg, yield: 54 %) from 4,5-dimethoxyanthranilic acid (414 mg, 2.1 mmol), phenylacetic acid (286 mg, 2.1 mmol), triphenyl phosphite (0.58 ml, 2.1 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 225-226°C.

IR (KBr): ν_{\max} 3220, 1677, 1613, 1501, 1269, 1209, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.74 (1H, d, $J = 8.0$ Hz), 7.58 (1H, s), 7.44 (1H, s), 7.40 (1H, t, $J = 8.0$ Hz), 7.22 (1H, s), 7.18-7.11 (3H, m), 6.99 (1H, d, $J = 8.8$ Hz), 6.79 (2H, d, $J = 6.8$ Hz),

4.37 (1H, s), 4.06 (3H, s), 3.98 (3H, s), 3.93 (1H, d, J = 14.4 Hz), 3.83 (1H, d, J = 14.4 Hz).

FABMS (m/z): 539 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₆H₂₁F₆N₂O₄ ([M+H]⁺): 539.1405; found: 539.1397.

Anal. Calcd. for C₂₆H₂₀F₆N₂O₄: C, 58.00; H, 3.74; N, 5.20; Found: C, 57.99; H, 3.54; N, 5.14.

(Example 116)

2-Benzyl-5,6,7-trimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2178)

(1) Trifluoromethanesulfonic acid (2.1 ml, 24 mmol) was added with stirring to a solution of 2,3,4-trimethoxybenzoic acid (4.24 g, 20 mmol) and potassium nitrate (2.22 g, 22 mmol) in acetic acid (15 ml) at 0°C under a nitrogen atmosphere, and the resulting mixture was stirred at room temperature for 4 hours. At the end of this time, the reaction mixture was poured into water and extracted twice with ethyl acetate (100 ml). The combined organic layers were washed with water (80 ml) and a saturated aqueous sodium chloride solution (80 ml) and dried over anhydrous sodium sulfate. After filtration, the solvent was removed in vacuo, and the residue thus obtained was purified by silica gel column chromatography using a 1:1 by volume mixture of hexane and ethyl acetate as the eluant to yield 2,3,4-trimethoxy-6-nitrobenzoic acid as a colorless solid.

(2) 20% Palladium hydroxide on carbon [50% (w/w) wet type, 90 mg] was added to a solution of 2,3,4-trimethoxy-6-nitrobenzoic acid (990 mg, 3.85 mmol) prepared as described in Example 116(1) above in methanol (20 ml), and the resulting mixture was stirred at room temperature for 1 hour under a hydrogen atmosphere. The catalyst was removed by filtration through Celite™, and the filtrate thus obtained was concentrated in vacuo to yield 4,5,6-trimethoxyanthranilic acid as a brown solid (880 mg).

(3) The title compound was obtained as a colorless solid (346 mg, yield: 34 %) from 4,5,6-trimethoxyanthranilic acid (454 mg, 2.0 mmol) prepared as described in Example 116(2) above, phenylacetic acid (272 mg, 2.0 mmol), triphenyl phosphite (0.52 ml, 2.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (466 mg, 1.80 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 268°C.

IR (KBr): ν_{\max} 3298, 1691, 1592, 1484, 1375, 1268, 1208, 1106, 934 cm⁻¹.

¹H-NMR (400MHz, CDCl₃): δ 7.67 (2H, d, J = 8.0 Hz), 7.18-7.08 (3H, m), 7.06 (1H, s), 6.96 (2H, d, J = 8.8 Hz), 6.70 (2H, d, J = 7.2 Hz), 4.14 (1H, s), 4.03 (3H, s), 3.96 (3H, s), 3.94 (3H, s), 3.84 (2H, s).

FABMS (m/z): 569 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₇H₂₃F₆N₂O₅ ([M+H]⁺): 569.1512; found: 569.1516.

Anal. Calcd. for $C_{27}H_{22}F_6N_2O_5$: C, 57.05; H, 3.90; N, 4.93; Found: C, 56.97; H, 3.73; N, 4.81.

(Example 117)

2-Benzyl-5,6,7-trimethoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2178)

The title compound was obtained as a colorless solid (342 mg, yield: 36 %) from 4,5,6-trimethoxyanthranilic acid (412 mg, 1.81 mmol) prepared in Example 116(2) above, phenylacetic acid (246 mg, 1.81 mmol), triphenyl phosphite (0.47 ml, 1.81 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (427 mg, 1.65 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 220-221°C.

IR (KBr): ν_{\max} 3297, 1673, 1599, 1483, 1376, 1268, 1207, 1105, 968 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.72 (1H, d, $J = 8.4$ Hz), 7.45 (1H, s), 7.38 (1H, t, $J = 8.0$ Hz), 7.18-7.12 (3H, m), 7.04 (1H, s), 6.96 (1H, dd, $J = 8.0, 2.4$ Hz), 6.79 (2H, d, $J = 6.0$ Hz), 4.15 (1H, s), 4.02 (3H, s), 3.94 (6H, s), 3.89 (1H, d, $J = 15.2$ Hz), 3.78 (1H, d, $J = 15.2$ Hz).

FABMS (m/z): 569 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $C_{27}H_{23}F_6N_2O_5$ ($[\text{M}+\text{H}]^+$): 569.1512; found: 569.1522.

Anal. Calcd. for $C_{27}H_{22}F_6N_2O_5$: C, 57.05; H, 3.90; N, 4.93; Found: C, 56.94; H, 3.60; N, 4.98.

(Example 118)

2-Benzyl-7-fluoro-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2085)

(1) 4-Fluoro-5-methylantranilic acid was obtained from 3-fluoro-4-methylaniline in a similar manner to that described in Examples 55(1), (2) and (3) above.

(2) The title compound was obtained as a colorless solid from 4-fluoro-5-methylantranilic acid (372 mg, 2.2 mmol) prepared as described in Example 118(1) above, phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (597 mg, yield: 58 %).

mp 183-184°C.

IR (KBr): ν_{\max} 3248, 1675, 1593, 1487, 1271, 1214, 1146, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.10 (1H, d, $J = 8.0$ Hz), 7.69 (2H, d, $J = 8.0$ Hz), 7.42 (1H, d, $J = 10.4$ Hz), 7.18-7.07 (3H, m), 6.96 (2H, d, $J = 8.8$ Hz), 6.69 (2H, d, $J = 7.6$ Hz), 4.21 (1H, s), 3.88 (2H, s), 2.43 (3H, s).

FABMS (m/z): 511 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $C_{25}H_{18}F_7N_2O_2$ ($[M+H]^+$): 511.1256; found: 511.1256.

Anal. Calcd. for $C_{25}H_{17}F_7N_2O_2$: C, 58.83; H, 3.36; N, 5.49; Found: C, 58.91; H, 3.23; N, 5.45.

(Example 119)

2-Benzyl-7-fluoro-6-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2085)

The title compound was obtained as a colorless solid from 4-fluoro-5-methylantranilic acid (372 mg, 2.2 mmol) prepared as described in Example 118(1) above, phenylacetic acid (300 mg, 2.2 mmol), triphenyl phosphite (0.58 ml, 2.2 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.2 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (601 mg, yield: 59%).
mp 162°C.

IR (KBr): ν_{\max} 3271, 1680, 1587, 1487, 1268, 1215, 1149, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.09 (1H, d, J = 8.8 Hz), 7.75 (1H, d, J = 8.0 Hz), 7.43 (1H, s), 7.42 (1H, d, J = 10.4 Hz), 7.42 (1H, t, J = 8.0 Hz), 7.18-7.10 (3H, m), 6.99 (1H, dd, J = 8.8, 3.2 Hz), 6.78 (2H, d, J = 7.2 Hz), 4.12 (1H, s), 3.93 (1H, d, J = 14.8 Hz), 3.83 (1H, d, J = 14.8 Hz), 2.41 (3H, d, J = 1.6 Hz).

FABMS (m/z): 511 ($[M+H]^+$).

FABHRMS (m/z): calcd. for $C_{25}H_{18}F_7N_2O_2$ ($[M+H]^+$): 511.1256; found: 511.1257.

Anal. Calcd. for $C_{25}H_{17}F_7N_2O_2$: C, 58.83; H, 3.36; N, 5.49; Found: C, 58.85; H, 3.17; N, 5.49.

(Example 120)

2-Benzyl-5-fluoro-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1899)

(1) 6-Fluoro-5-methylantranilic acid was obtained from 3-fluoro-4-methylaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 6-fluoro-5-methylantranilic acid (100 mg, 0.59 mmol) prepared as described in Example 120(1) above, phenylacetic acid (80 mg, 0.59 mmol), triphenyl phosphite (0.15 ml, 0.59 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (139 mg, 0.53 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (128 mg, yield: 47 %).

mp 160°C.

IR (KBr): ν_{\max} 3329, 1690, 1595, 1488, 1269, 1214, 933 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.69 (2H, d, J = 8.4 Hz), 7.63 (1H, t, J = 8.0 Hz), 7.51 (1H, d, J = 8.4 Hz), 7.16-7.08 (3H, m), 6.98 (2H, d, J = 8.8 Hz), 6.70 (2H, d, J = 7.2 Hz), 3.87 (3H, s),

2.40 (3H, d, J = 2.4 Hz).

FABMS (m/z): 511 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₈F₇N₂O₂ ([M+H]⁺): 511.1256; found: 511.1256.

Anal. Calcd. for C₂₅H₁₇F₇N₂O₂ · 1/2H₂O: C, 57.81; H, 3.49; N, 5.39; Found: C, 57.73; H, 3.16; N, 5.18.

(Example 121)

2-Benzyl-6,7-dimethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1620)

(1) 4,5-Dimethylanthranilic acid was obtained from 3,4-dimethylaniline in similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (487 mg, yield: 21%) from 4,5-dimethylanthranilic acid (758 mg, 4.59 mmol) prepared as described in Example 121(1) above, phenylacetic acid (656 mg, 4.82 mmol), triphenyl phosphite (1.32 ml, 5.05 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.19 g, 4.59 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 8.01 (1H, s), 7.66 (2H, d, J = 8.8 Hz), 7.60 (1H, s), 7.16-7.04 (3H, m), 6.94 (2H, d, J = 8.8 Hz), 6.68 (2H, d, J = 6.6 Hz), 4.34 (1H, s), 3.88 (2H, s), 2.46 (3H, s), 2.42 (3H, s).

FABMS (m/z): 507 ([M+H]⁺).

(Example 122)

2-Benzyl-5,6-dimethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1403)

(1) 5,6-Dimethylanthranilic acid was obtained from 3,4-dimethylaniline in a similar manner to that described in Examples 55(1), (2) and (3) above.

(2) The title compound was obtained as a colorless solid (198 mg, yield: 43 %) from 5,6-dimethylanthranilic acid (165 mg, 1.0 mmol) prepared as described in Example 122(1) above, phenylacetic acid (148 mg, 1.05 mmol), triphenyl phosphite (0.286 ml, 1.01 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (233 mg, 0.9 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.68 (2H, d, J = 8.8 Hz), 7.61 (1H, d, J = 8.1 Hz), 7.57 (1H, d, J = 8.1 Hz), 7.19-7.05 (3H, m), 6.97 (2H, d, J = 8.8 Hz), 6.71 (2H, d, J = 7.3 Hz), 3.86 (2H, s), 3.78 (1H, s), 2.78 (3H, s), 2.43 (3H, s).

FABMS (m/z): 507 ([M+H]⁺).

(Example 123)

2-Benzyl-6-methoxy-7-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1806)

(1) 5-Methoxy-4-methylantranilic acid was obtained from 4-methoxy-3-methylaniline in a similar manner to that described in Examples 55 (1) to (3) above.

(2) The title compound was obtained as a colorless solid (466 mg, yield: 56 %) from 5-methoxy-4-methylantranilic acid (318 mg, 1.76 mmol) prepared as described in Example 123(1) above, phenylacetic acid (250 mg, 1.84 mmol), triphenyl phosphite (0.5 ml, 1.93 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (410 mg, 1.58 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃ + DMSO-d₆): δ 7.83 (1H, s), 7.74 (2H, d, J = 8.8 Hz), 7.59 (1H, s), 7.52 (1H, s), 7.17-7.05 (3H, m), 6.96 (2H, d, J = 8.8 Hz), 6.70 (2H, d, J = 6.6 Hz), 3.94 (3H, s), 3.88 (2H, s), 2.41 (3H, s).

FABMS (m/z): 523 ([M+H]⁺).

(Example 124)

2-Benzyl-6-methoxy-5-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1496)

(1) 5-Methoxy-6-methylantranilic acid was obtained from 3-methoxy-2-methylbenzoic acid in a similar manner to that described in Examples 116(1) and (2) above.

(2) The title compound was obtained as a colorless solid (202 mg, yield: 39 %) from 5-methoxy-6-methylantranilic acid (181 mg, 1.0 mmol) prepared as described in Example 124(1) above, phenylacetic acid (143 mg, 1.05 mmol), triphenyl phosphite (0.286 ml, 1.1 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (233 mg, 0.9 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.70-7.64 (3H, m), 7.42 (1H, d, J = 8.8 Hz), 7.17-7.05 (3H, m), 6.96 (2H, d, J = 8.8 Hz), 6.70 (2H, d, J = 7.3 Hz), 4.05 (1H, bs), 3.94 (3H, s), 3.85 (2H, s), 2.73 (3H, s).

FABMS (m/z): 523 ([M+H]⁺).

(Example 125)

2-Benzyl-6,7-dimethyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1620)

The title compound was obtained as a colorless solid (203 mg, yield: 34 %) from 4,5-dimethylantranilic acid (190 mg, 1.15 mmol), phenylacetic acid (164 mg, 1.21 mmol), triphenyl phosphite (0.33 ml, 1.27 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-

propanol (268 mg, 1.04 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.98 (1H, s), 7.71 (1H, d, J = 8.1 Hz), 7.57 (1H, s), 7.41 (1H, s), 7.35 (1H, t, J = 8.1 Hz), 7.17-7.07 (3H, m), 6.93 (1H, dd, J = 8.1, 1.5 Hz), 6.76 (2H, d, J = 6.6 Hz), 4.32 (1H, s), 3.92 (1H, d, J = 14.6 Hz), 3.81 (1H, d, J = 14.6 Hz), 2.45 (3H, s), 2.40 (3H, s).

FABMS (m/z): 507 ([M+H]⁺).

(Example 126)

2-Benzyl-5,6-dimethyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1403)

The title compound was obtained as a colorless solid (169 mg, yield: 33 %) from 5,6-dimethylanthranilic acid (169 mg, 1.02 mmol); phenylacetic acid (146 mg, 1.07 mmol), triphenyl phosphite (0.293 ml, 1.13 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (239 mg, 0.92 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.70 (1H, d, J = 8.8 Hz), 7.59 (1H, d, J = 8.8 Hz), 7.55 (1H, d, J = 8.8 Hz), 7.44 (1H, s), 7.32 (1H, t, J = 8.1 Hz), 7.16-7.06 (3H, m), 6.88 (1H, dd, J = 1.5, 8.1 Hz), 6.75 (2H, d, J = 6.6 Hz), 4.81 (1H, s), 3.90 (1H, d, J = 14.6 Hz), 3.75 (1H, d, J = 14.6 Hz), 2.74 (3H, s), 2.41 (3H, s).

FABMS (m/z): 507 ([M+H]⁺).

(Example 127)

2-Benzyl-6-methoxy-7-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1806)

The title compound was obtained as a colorless solid (295 mg, yield: 51 %) from 5-methoxy-4-methylanthranilic acid (202 mg, 1.11 mmol) prepared in step (1) of Example 123, phenylacetic acid (159 mg, 1.17 mmol), triphenyl phosphite (0.32 ml, 1.23 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (73 mg, 0.27 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.72 (1H, d, J = 8.1 Hz), 7.59 (1H, s), 7.53 (1H, s), 7.41 (1H, s), 7.36 (1H, t, J = 8.1 Hz), 7.17-7.08 (3H, m), 6.95 (1H, dd, J = 8.1, 1.5 Hz), 6.76 (2H, d, J = 6.6 Hz), 4.26 (1H, s), 4.93 (3H, s), 3.91 (1H, d, J = 14.6 Hz), 3.81 (1H, d, J = 14.6 Hz), 2.40 (3H, s).

FABMS (m/z): 523 ([M+H]⁺).

(Example 128)

2-Benzyl-6-methoxy-5-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1496)

The title compound was obtained as a colorless solid (167 mg, yield: 33 %) from 5-methoxy-6-methylantranilic acid (175 mg, 0.97 mmol) prepared as described in Example 124(1) above, phenylacetic acid (138 mg, 1.01 mmol), triphenyl phosphite (0.28 ml, 1.06 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (225 mg, 0.87 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.69 (1H, d, J = 8.1 Hz), 7.65 (1H, d, J = 8.8 Hz), 7.43 (1H, s), 7.40 (1H, d, J = 8.8 Hz), 7.33 (1H, t, J = 8.1 Hz), 7.16-7.06 (3H, m), 6.89 (1H, dd, J = 6.6, 2.2 Hz), 6.74 (2H, d, J = 2.2, 8.1 Hz), 4.51 (1H, s), 3.93 (3H, s), 3.89 (1H, d, J = 14.6 Hz), 3.75 (1H, d, J = 14.6 Hz), 2.70 (3H, s).

FABMS (m/z): 523 ([M+H]⁺).

(Example 129)

2-Benzyl-5,7-dimethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1434)

(1) 4,6-Dimethylantranilic acid was obtained from 3,5-dimethylaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (186 mg, yield: 33 %) from 4,6-dimethylantranilic acid (205 mg, 1.24 mmol) prepared as described in Example 129(1) above, phenylacetic acid (177 mg, 1.3 mmol), triphenyl phosphite (0.356 ml, 1.37 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (289 mg, 1.12 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.68 (2H, d, J = 8.8 Hz), 7.45 (1H, s), 7.17-7.06 (4H, m), 6.97 (2H, d, J = 8.8 Hz), 6.71 (2H, d, J = 7.3 Hz), 3.86 (2H, s), 3.82 (1H, s), 2.77 (3H, s), 2.48 (3H, s).

FABMS (m/z): 507 ([M+H]⁺).

(Example 130)

2-Benzyl-5,7-dimethyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1434)

The title compound was obtained as a colorless solid (339 mg, yield: 58 %) from 4,6-dimethylantranilic acid (213 mg, 1.29 mmol) prepared as described in Example 129(1) above, phenylacetic acid (184 mg, 1.35 mmol), triphenyl phosphite (0.37 ml, 1.42 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (300 mg, 1.16 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.68 (1H, d, J = 8.1 Hz), 7.44 (2H, d, J = 6.6 Hz), 7.31 (1H, t,

J = 8.1 Hz), 7.15-7.06 (4H, m), 6.88 (1H, dd, J = 8.1, 1.5 Hz), 6.75 (2H, dd, J = 8.1, 1.5 Hz), 4.76 (1H, s), 3.89 (1H, d, J = 14.6 Hz), 3.75 (1H, d, J = 14.6 Hz), 2.74 (3H, s), 3.47 (3H, s). FABMS (m/z): 507 ([M+H]⁺).

(Example 131)

2-Benzyl-6-fluoro-7-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1992)

(1) 4-Chloro-5-fluoroanthranilic acid was obtained from 3-chloro-4-fluoroaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (54 mg, yield: 43 %) from 4-chloro-5-fluoroanthranilic acid (45 mg, 0.24 mmol) prepared as described in Example 131(1) above; phenylacetic acid (34 mg, 0.25 mmol), triphenyl phosphite (0.068 ml, 0.26 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (62 mg, 0.24 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.96 (1H, d, J = 8.0 Hz), 7.90 (1H, d, J = 7.6 Hz), 7.72 (2H, d, J = 8.8 Hz), 7.08-7.20 (3H, m), 7.00 (2H, d, J = 8.8 Hz), 6.70 (2H, d, J = 7.6 Hz), 3.89 (2H, s). FABMS (m/z): 569 ([M+K]⁺), 531 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₄H₁₅ClF₇N₂O₂ ([M+H]⁺): 531.0711; found: 531.0728.

(Example 132)

2-Benzyl-6-chloro-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1775)

(1) 5-Chloro-4-methoxyanthranilic acid was obtained from 4-chloro-3-methoxyaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (145 mg, yield: 51 %) from 5-chloro-4-methoxyanthranilic acid (105 mg, 0.52 mmol) prepared as described in Example 132(1) above, phenylacetic acid (75 mg, 0.55 mmol), triphenyl phosphite (0.15 ml, 0.58 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (135 mg, 0.52 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, DMSO-d₆): δ 8.92 (1H, s), 8.04 (1H, s), 7.69 (2H, d, J = 8.8 Hz), 7.38 (1H, s), 7.32 (2H, d, J = 8.8 Hz), 7.09-7.20 (3H, m), 6.77 (2H, d, J = 8.0 Hz), 4.04 (3H, s), 3.83 (2H, s).

FABMS (m/z): 581 ([M+K]⁺), 543 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₈ClF₆N₂O₃ ([M+H]⁺): 543.0910; found: 543.0903.

(Example 133)

2-Benzyl-6-chloro-7-methoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1775)

The title compound was obtained as a colorless solid (90 mg, yield: 43 %) from 5-chloro-4-methoxyanthranilic acid (78 mg, 0.39 mmol) prepared as described in Example 132(1) above, phenylacetic acid (55 mg, 0.41 mmol), triphenyl phosphite (0.11 ml, 0.43 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (100 mg, 0.39 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CD₃OD): δ 8.14 (1H, s), 7.82 (1H, d, J = 8.4 Hz), 7.66 (1H, br), 7.44 (1H, t, J = 8.0 Hz), 7.33 (1H, s), 7.10-7.20 (3H, m), 7.04 (1H, dd, J = 8.4, 2.4 Hz), 6.81 (2H, dd, J = 7.2, 2.0 Hz), 4.07 (3H, s), 3.98 (1H, d, J = 15.6 Hz), 3.84 (1H, d, J = 15.6 Hz).

FABMS (m/z): 581 ([M+K]⁺), 543 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₈ClF₆N₂O₃ ([M+H]⁺): 543.0910; found: 543.0912.

(Example 134)

2-Benzyl-6,7-methylenedioxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1217)

(1) 4,5-Methylenedioxyanthranilic acid was obtained from 3,4-methylenedioxy-6-nitrobenzaldehyde in a similar manner to that described in Examples 112(2) and (3) above.

(2) The title compound was obtained as a colorless solid (208 mg, yield: 36 %) from 4,5-methylenedioxyanthranilic acid (200 mg, 1.10 mmol) described above, phenylacetic acid (158 mg, 1.16 mmol), triphenyl phosphite (0.32 ml, 1.21 mol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (286 mg, 1.10 mmol) in a similar manner to that described in Example 1.

¹H-NMR (400MHz, CDCl₃): δ 7.67 (2H, d, J = 8.0 Hz), 7.57 (1H, s), 7.26 (1H, s), 7.05-7.20 (3H, m), 6.95 (2H, d, J = 8.0 Hz), 6.69 (2H, d, J = 6.8 Hz), 6.14 (2H, s), 3.87 (2H, s).

FABMS (m/z): 561 ([M+K]⁺), 523 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₇F₆N₂O₄ ([M+H]⁺): 523.1093; found: 523.1083.

(Example 135)

2-Benzyl-5-chloro-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1279)

(1) 6-Chloro-5-methoxyanthranilic acid was obtained from 3-chloro-4-methoxyaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 6-chloro-5-methoxyanthranilic acid (63 mg, 0.31 mmol) prepared as described in Example 135(1) above, phenylacetic acid (43 mg, 0.31 mmol), triphenyl phosphite (0.081 ml, 0.31 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (73 mg, 0.27 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (38 mg, yield: 22 %).

mp 238-239°C.

IR (KBr): ν_{\max} 3351, 1678, 1594, 1474, 1284, 1214, 969, 932, 707 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.91 (1H, s), 7.76-7.65 (4H, m), 7.29 (2H, d, $J = 8.8$ Hz), 7.17-7.08 (3H, m), 6.74 (2H, d, $J = 7.3$ Hz), 3.97 (3H, s), 3.77 (2H, s).

FABMS (m/z): 581 ($[\text{M}+\text{K}]^+$), 543 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{18}\text{ClF}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{H}]^+$): 543.0910; found: 543.0925.

(Example 136)

2-Benzyl-6-chloro-5-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1465)

The title compound was obtained as a colorless solid (213 mg, yield: 22 %) from 5-chloro-6-methylanthranilic acid (345 mg, 1.86 mmol) prepared as described in Example 55(3) above, phenylacetic acid (264 mg, 1.94 mmol), triphenyl phosphite (589 mg, 1.90 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (482 mg, 1.86 mmol) in a similar manner to that described in Example 1. This product was recrystallized from acetonitrile to yield colorless plates.

IR (KBr): ν_{\max} 3610, 1674, 1599, 1459, 1271, 1216, 971, 932, 709 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.91 (1H, s), 7.89 (1H, d, $J = 8.8$ Hz), 7.67 (2H, d, $J = 8.8$ Hz), 7.58 (1H, d, $J = 8.8$ Hz), 7.29 (2H, d, $J = 8.8$ Hz), 7.18-7.08 (3H, m), 6.75 (2H, d, $J = 7.3$ Hz), 3.80 (2H, s), 2.82 (3H, s).

FABMS (m/z): 527 ($[\text{M}+\text{H}]^+$).

(Example 137)

2-(3-Aminobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-60)

Platinum oxide (120 mg) was added to a solution of 2-(3-nitrobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (542 mg, 1.04 mmol) prepared as described in Example 34 above in ethyl acetate (10 ml) and the resulting mixture was stirred vigorously at room temperature under a hydrogen atmosphere for 30 minutes. At the end of this time, the catalyst was removed by filtration and the filtrate was

concentrated. The residue thus obtained was purified by silica gel column chromatography using a 1:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless foam (505 mg, yield: 98 %). This product was recrystallized from isopropyl ether to yield colorless needles.

IR (KBr): ν_{\max} 3298, 1678, 1593, 1472, 1270, 1214, 1192, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.30 (1H, d, $J = 8.1$ Hz), 7.86-7.79 (2H, m), 7.63 (2H, d, $J = 8.8$ Hz), 7.55-7.51 (1H, m), 7.00 (1H, t, $J = 8.1$ Hz), 6.90 (2H, d, $J = 8.8$ Hz), 6.57-6.52 (2H, m), 6.33 (1H, brs), 5.50 (1H, s), 3.87 (2H, s), 3.51 (2H, brs).

FABMS (m/z): 494 ($[\text{M}+\text{H}]^+$).

(Example 138)

2-(3-Acetylamino benzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-70)

A mixture of 2-(3-aminobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (80 mg, 0.162 mmol) prepared as described in Example 137 above, acetic anhydride (0.1 ml, 1.1 mmol), pyridine (0.5 ml) and ethyl acetate (2 ml) was stirred at room temperature for 30 minutes, and then ethanol (2 ml) was added to the reaction mixture. The reaction mixture was then concentrated in vacuo, and the residue thus obtained was recrystallized from acetonitrile to yield the title compound as colorless prisms (67 mg, yield: 77 %).

IR (KBr): ν_{\max} 3306, 1667, 1592, 1473, 1273, 1218, 1189, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, $\text{DMSO}-d_6$): δ 9.78 (1H, s), 8.89 (1H, s), 8.13 (1H, d, $J = 8.1$ Hz), 7.92-7.88 (1H, m), 7.76 (1H, d, $J = 8.1$ Hz), 7.67 (2H, d, $J = 8.8$ Hz), 7.60-7.56 (1H, m), 7.43 (1H, d, $J = 8.1$ Hz), 7.32 (2H, d, $J = 8.8$ Hz), 7.20 (1H, s), 6.94 (1H, t, $J = 8.1$ Hz), 6.18 (1H, d, $J = 7.3$ Hz), 3.83 (2H, s), 1.96 (3H, s).

FABMS (m/z): 494 ($[\text{M}+\text{H}]^+$).

(Example 139)

2-Benzyl-6-chloro-7-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1713)

The title compound was obtained as a colorless foamy product (454 mg, yield: 59 %) from 5-chloro-4-methylanthranilic acid (271 mg, 1.46 mmol) prepared as described in Example 55(3) above, phenylacetic acid (204 mg, 1.50 mmol), triphenyl phosphite (471 mg, 1.52 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (382 mg, 1.47 mmol) in a similar manner to that described in Example 1.

IR (KBr): ν_{\max} 3302, 1684, 1583, 1469, 1267, 1208, 969, 723 cm^{-1} .

¹H-NMR (400MHz, CDCl₃): δ 8.20 (1H, s), 7.75 (1H, d, J = 8.1 Hz), 7.67 (1H, s), 7.43-7.39 (2H, m), 7.19-7.11 (3H, m), 6.98 (2H, d, J = 8.1 Hz), 6.77 (2H, d, J = 8.1 Hz), 3.92 (1H, d, J = 14.6 Hz), 3.83 (1H, d, J = 14.6 Hz), 3.74 (1H, s), 2.56 (3H, s).

FABMS (m/z): 527 ([M+H]⁺).

(Example 140)

2-Benzyl-6-chloro-5-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1465)

The title compound was obtained as a colorless solid from 5-chloro-6-methylantranilic acid (203 mg, 1.09 mmol) prepared as described in Example 55(3) above, phenylacetic acid (152 mg, 1.12 mmol), triphenyl phosphite (353 mg, 1.14 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (286 mg, 1.10 mmol) in a similar manner to that described in Example 1. This product was recrystallized from toluene to yield a colorless powder (400 mg, yield: 70 %).

IR (KBr): ν_{max} 3325, 1676, 1583, 1459, 1267, 1207, 971, 725 cm⁻¹.

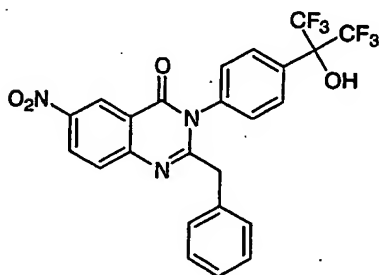
¹H-NMR (400MHz, DMSO-d₆): δ 8.90 (1H, s), 7.88 (1H, d, J = 8.8 Hz), 7.76-7.71 (2H, m), 7.57-7.48 (2H, m), 7.22 (1H, d, J = 8.8 Hz), 7.16-7.14 (3H, m), 6.83-6.81 (2H, m), 3.83 (1H, d, J = 15.4 Hz), 3.72 (1H, d, J = 15.4 Hz), 2.83 (1H, s).

FABMS (m/z): 527 ([M+H]⁺).

Anal. calcd. for C₂₅H₁₇ClF₆N₂O₂: C, 56.99; H, 3.25; N, 5.32; F, 21.64; Cl, 6.73; found: C, 57.09; H, 3.01; N, 5.32; F, 21.80; Cl, 6.47.

(Example 141)

2-Benzyl-6-nitro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2300)



(1) Pyridine (2 ml) was added dropwise at room temperature with stirring to a mixture of 5-nitroanthranilic acid (922 mg, 5.06 mmol), phenylacetyl chloride (1.40 ml, 10.6 mmol) and toluene (10 ml) and then the reaction mixture was stirred at 80°C for 30 minutes. After cooling to room temperature, the reaction mixture was diluted with ethyl acetate, washed

successively with 5% aqueous potassium carbonate solution, water and a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate and concentrated in vacuo. The residue thus obtained was recrystallized from ethyl acetate to yield 2-benzyl-6-nitro-4H-3,1-benzoxazin-4-one as a brown crystalline solid (385 mg, yield: 27%).

(2) A mixture of 2-benzyl-6-nitro-4H-3,1-benzoxazin-4-one (289 mg, 1.02 mmol) prepared as described in Example 141(1) above, triphenyl phosphite (344 mg, 1.11 mmol), 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (263 mg, 1.01 mmol) and pyridine (82 ml) was stirred at 100°C for 3 hours. The reaction mixture was then concentrated in vacuo. The residue thus obtained was diluted with ethyl acetate, washed successively with 5% aqueous potassium carbonate solution, water and a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate and concentrated in vacuo. The residue thus obtained was recrystallized from acetonitrile to yield the title compound as pale yellow plates (333 mg, yield: 63%).

IR (KBr): ν_{\max} 3382, 1697, 1572, 1347, 1270, 1216, 931 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 9.11 (1H, d, $J = 2.2$ Hz), 8.61 (1H, dd, $J = 2.2, 8.8$ Hz), 7.93 (1H, d, $J = 8.8$ Hz), 7.75 (2H, d, $J = 8.8$ Hz), 7.21-7.10 (3H, m), 7.02 (2H, d, $J = 8.8$ Hz), 6.72 (2H, d, $J = 7.3$ Hz), 3.95 (2H, s), 3.81 (1H, s).

FABMS (m/z): 524 ($[\text{M}+\text{H}]^+$).

(Example 142)

2-Benzyl-7-chloro-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1837)

(1) 4-Chloro-5-methoxyanthranilic acid was obtained from 3-chloro-4-methoxyaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 4-chloro-5-methoxyanthranilic acid (180 mg, 0.89 mmol) prepared as described in Example 142(1) above, phenylacetic acid (121 mg, 0.89 mmol), triphenyl phosphite (0.233 ml, 0.89 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (185 mg, 0.71 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (161 mg, yield: 42 %).

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.88 (1H, s), 7.69 (3H, d, $J = 8.0$ Hz), 7.17-7.07 (3H, m), 6.95 (2H, d, $J = 8.8$ Hz), 6.68 (2H, d, $J = 7.2$ Hz), 4.02 (3H, s), 3.88 (2H, s).

FABMS (m/z): 543 ($[\text{M}+\text{H}]^+$).

(Example 143)

2-Benzyl-7-chloro-6-methoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1837)

The title compound was obtained as a colorless solid from 4-chloro-5-methoxyanthranilic acid (130 mg, 0.65 mmol) prepared as described in Example 142(1) above, phenylacetic acid (88 mg, 0.65 mmol), triphenyl phosphite (0.170 ml, 0.65 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (150 mg, 0.58 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (84 mg, yield: 27 %).

¹H-NMR (400MHz, CDCl₃): δ 7.86 (1H, s), 7.75 (1H, d, J = 8.0 Hz), 7.65 (1H, s), 7.42-7.38 (2H, m), 7.17-7.11 (3H, m), 6.98 (1H, d, J = 7.8 Hz), 6.76 (2H, d, J = 7.4 Hz), 3.99 (3H, s), 3.96-3.79 (2H, m).

FABMS (m/z): 543 ([M+H]⁺).

(Example 144)

2-Benzyl-5,7-dichloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1589)

(1) 4,6-Dichloroanthranilic acid was obtained from 3,5-dichloroaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 4,6-dichloroanthranilic acid (75 mg, 0.36 mmol) prepared as described in Example 144(1) above, phenylacetic acid (49 mg, 0.36 mmol), triphenyl phosphite (0.094 ml, 0.36 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (75 mg, 0.29 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (40 mg, yield: 25 %).

¹H-NMR (400MHz, CDCl₃): δ 7.73 (1H, d, J = 8.8 Hz), 7.69 (2H, d, J = 8.4 Hz), 7.48 (1H, d, J = 8.8 Hz), 7.18-7.08 (3H, m), 6.98 (2H, d, J = 8.8 Hz), 4.02 (3H, s), 3.86 (2H, s).

FABMS (m/z): 547 ([M+H]⁺).

(Example 145)

2-Benzyl-7-methoxy-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1682)

(1) 4-Methoxy-5-methylantranilic acid was obtained from 3-methoxy-4-methylaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 4-methoxy-5-methylantranilic acid (181 mg, 1.00 mmol) prepared as described in Example 145(1) above, phenylacetic acid (136 mg, 1.00 mmol), triphenyl phosphite (0.262 ml, 1.00 mmol) prepared

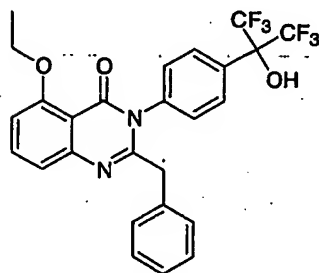
as and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (230 mg, 0.89 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (52 mg, yield: 10 %).

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.99 (1H, s), 7.65 (2H, d, $J = 8.8$ Hz), 7.15-7.06 (4H, m), 6.93 (2H, d, $J = 8.0$ Hz), 6.69 (2H, d, $J = 7.6$ Hz), 4.00 (3H, s), 3.88 (2H, s), 2.35 (3H, s).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

(Example 146)

2-Benzyl-5-ethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2301)



The title compound was obtained as a colorless solid from 6-ethoxyanthranilic acid (46 mg, 0.25 mmol), phenylacetic acid (34 mg, 0.25 mmol), triphenyl phosphite (0.065 ml, 0.25 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (61 mg, 0.24 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder (12 mg, yield: 10 %).

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 11.29 (1H, s), 7.71 (1H, t, $J = 8.0$ Hz), 7.58 (2H, d, $J = 8.0$ Hz), 7.29 (1H, d, $J = 8.1$ Hz), 7.17 (1H, t, $J = 7.3$ Hz), 7.10 (2H, J = 7.3 Hz), 7.01 (2H, d, $J = 8.8$ Hz), 6.96 (1H, d, $J = 8.1$ Hz), 6.70 (2H, d, $J = 7.3$ Hz), 3.92 (2H, s), 3.69 (2H, q, $J = 7.6$ Hz), 1.35 (3H, t, $J = 7.6$ Hz).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

(Example 147)

2-Benzyl-6,7-dichloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1744)

(1) 4,5-Dichloroanthranilic acid was obtained from 3,4-dichloroaniline in similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a pale yellow solid from 4,5-dichloroanthranilic acid (148 mg, 0.72 mmol) prepared as described in Example 147(1) above, phenylacetic acid (98 mg, 0.72 mmol), triphenyl phosphite (0.19 ml, 0.72 mmol) and 2-(4-aminophenyl)-

1,1,1,3,3,3-hexafluoro-2-propanol (168 mg, 0.65 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a pale yellow crystalline powder (111 mg, yield: 31 %).

¹H-NMR (400MHz, DMSO-d₆): δ 8.92 (1H, s), 8.22 (1H, s), 8.06 (1H, s), 7.69 (2H, d, J = 8.8 Hz), 7.34 (2H, d, J = 8.2 Hz), 7.09-7.17 (3H, m), 6.77 (2H, d, J = 7.3 Hz), 3.84 (2H, s).
FABMS (m/z): 585 ([M+K]⁺), 547 ([M+H]⁺).

(Example 148)

2-Benzyl-5,6-dichloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1558)

(1) 5,6-Dichloroanthranilic acid was obtained from 3,4-dichloroaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 5,6-dichloroanthranilic acid (207 mg, 1.00 mmol) prepared as described in Example 148(1) above, phenylacetic acid (137 mg, 1.00 mmol), triphenyl phosphite (0.26 ml, 1.00 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (237 mg, 0.91 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless amorphous solid (319 mg, yield: 64 %).

¹H-NMR (400MHz, DMSO-d₆): δ 8.91 (1H, s), 8.06 (1H, d, J = 8.8 Hz), 7.68-7.71 (3H, m), 7.33 (2H, d, J = 8.8 Hz), 7.09-7.19 (3H, m), 6.77 (2H, d, J = 6.6 Hz), 3.81 (2H, s).

FABMS (m/z): 585 ([M+K]⁺), 547 ([M+H]⁺).

(Example 149)

2-Benzyl-7-chloro-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1651)

(1) 4-Chloro-5-methylantranilic acid was obtained from 2-chloro-4-aminotoluene in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 4-chloro-5-methylantranilic acid (135 mg, 0.73 mmol) prepared as described in Example 149(1) above, phenylacetic acid (100 mg, 0.73 mmol), triphenyl phosphite (0.19 ml, 0.73 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (171 mg, 0.66 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield colorless prisms (69 mg, yield: 20 %).

¹H-NMR (400MHz, DMSO-d₆): δ 8.91 (1H, s), 8.08 (1H, s), 7.82 (1H, s), 7.68 (2H, d, J = 8.8 Hz), 7.31 (2H, d, J = 8.1 Hz), 7.09-7.18 (3H, m), 6.74 (2H, d, J = 7.3 Hz), 3.83 (2H, s), 2.49 (3H, s).

FABMS (m/z): 527 ($[M+H]^+$).

(Example 150)

2-Benzyl-5-chloro-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1527)

(1) 6-Chloro-5-methylantranilic acid was obtained from 2-chloro-4-aminotoluene in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid from 6-chloro-5-methylantranilic acid (260 mg, 1.40 mmol) prepared as described in Example 150(1) above, phenylacetic acid (191 mg, 1.40 mmol), triphenyl phosphite (0.36 ml, 1.40 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (331 mg, 1.28 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless amorphous solid (478 mg, yield: 71 %).

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.92 (1H, s), 7.83 (1H, d, $J = 8.1$ Hz), 7.68 (2H, d, $J = 8.1$ Hz), 7.60 (1H, d, $J = 8.8$ Hz), 7.30 (2H, d, $J = 8.8$ Hz), 7.09-7.18 (3H, m), 6.75 (2H, d, $J = 7.3$ Hz), 3.80 (2H, s), 2.46 (3H, s).

FABMS (m/z): 527 ($[M+H]^+$).

(Example 151)

2-Benzyl-5,6-dichloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1558)

The title compound was obtained as a colorless solid from 5,6-dichloroantranilic acid (304 mg, 1.48 mmol) prepared as described in Example 148(1) above, phenylacetic acid (202 mg, 1.48 mmol), triphenyl phosphite (0.38 ml, 1.48 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (348 mg, 1.34 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder (293 mg, yield: 40 %).

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.91 (1H, s), 8.03 (1H, d, $J = 8.8$ Hz), 7.76 (2H, br), 7.66 (1H, d, $J = 8.8$ Hz), 7.52 (1H, t, $J = 8.1$ Hz), 7.26 (1H, d, $J = 8.1$ Hz), 7.15-7.18 (3H, m), 6.84-6.87 (2H, m), 3.78 (2H, m).

FABMS (m/z): 547 ($[M+H]^+$).

(Example 152)

2-Benzyl-7-chloro-6-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1651)

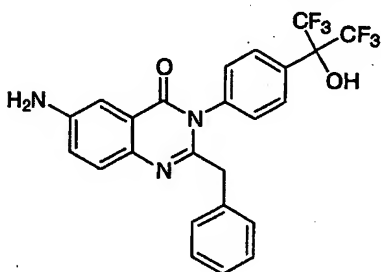
The title compound was obtained as a colorless solid from 4-chloro-5-methylantranilic acid (281 mg, 1.51 mmol) prepared as described in Example 149(1) above, phenylacetic acid (206 mg, 1.51 mmol), triphenyl phosphite (0.40 ml, 1.52 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (358 mg, 1.38 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder (315 mg, yield: 43 %).

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.87 (1H, s), 8.05 (1H, s), 7.69-7.76 (3H, m), 7.50 (1H, t, $J = 8.1$ Hz), 7.23 (1H, d, $J = 7.3$ Hz), 7.11-7.14 (3H, m), 6.79-6.81 (2H, m), 3.79 (2H, m), 2.45 (3H, s).

FABMS (m/z): 527 ($[\text{M}+\text{H}]^+$).

(Example 153)

6-Amino-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2302)



The title compound was obtained as pale yellow crystals by reducing 2-benzyl-6-nitro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (2.80 g, 5.35 mmol) prepared as described in Example 141 above using platinum oxide (258 mg) in a similar manner to that described in Example 137 above. This product was recrystallized from a mixed solvent of ethyl acetate and hexane to yield colorless needles (2.23 g, yield: 84 %).

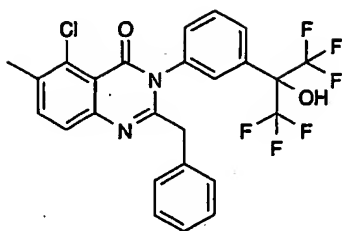
IR (KBr): ν_{max} 3407, 1667, 1591, 1495, 1273, 1220, 1192, 939 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, $\text{CDCl}_3+\text{DMSO-d}_6$): δ 7.72 (2H, d, $J = 7.3$ Hz), 7.62 (1H, d, $J = 8.8$ Hz), 7.55 (1H, s), 7.42 (1H, d, $J = 2.2$ Hz), 7.19-7.06 (3H, m), 6.94 (2H, d, $J = 8.8$ Hz), 6.69 (2H, d, $J = 7.3$ Hz), 4.12 (2H, s), 3.86 (2H, s).

FABMS (m/z): 494 ($[\text{M}+\text{H}]^+$).

(Example 154)

2-Benzyl-5-chloro-6-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1527)



The title compound was obtained as a colorless solid (398 mg, yield: 74 %) from 6-chloro-5-methylantranilic acid (209 mg, 1.13 mmol) prepared as described in Example 150(1) above, phenylacetic acid (157 mg, 1.14 mmol), triphenyl phosphite (0.30 ml, 1.14 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (265 mg, 1.02 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 202-203 °C.

IR (KBr): ν_{\max} 3377, 3032, 1672, 1585, 1468, 959 cm^{-1} .

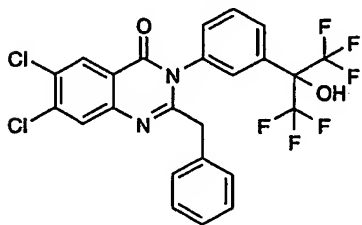
$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.90 (1H, s), 7.81 (1H, d, $J = 8.1$ Hz), 7.75 (1H, d, $J = 8.1$ Hz), 7.72 (1H, s), 7.57 (1H, d, $J = 8.1$ Hz), 7.51 (1H, t, $J = 8.1$ Hz), 7.23 (1H, d, $J = 8.1$ Hz), 7.16-7.15 (3H, m), 6.85-6.82 (2H, m), 3.84-3.69 (2H, m), 2.46 (3H, s).

FABMS (m/z): 527 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 526.8579; found: 527.0978.

(Example 155)

2-Benzyl-6,7-dichloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1744)



The title compound was obtained as a colorless solid (280 mg, yield: 50%) from 4,5-dichloroanthranilic acid (231 mg, 1.12 mmol) prepared as described in Example 147(1) above, phenylacetic acid (154 mg, 1.13 mmol), triphenyl phosphite (0.30 ml, 1.14 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (268 mg, 1.03 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 198-199 °C.

IR (KBr): ν_{\max} 3032, 1688, 1583, 1450, 1267, 1208, 969 cm^{-1} .

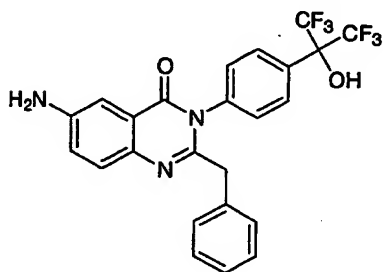
The title compound was obtained as a colorless solid from 4-chloro-5-methylantranic acid (281 mg, 1.51 mmol) prepared as described in Example 149(1) above, phenylacetic acid (206 mg, 1.51 mmol), triphenyl phosphite (0.40 ml, 1.52 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (358 mg, 1.38 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of dichloromethane and hexane to yield a colorless crystalline powder (315 mg, yield: 43 %).

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.87 (1H, s), 8.05 (1H, s), 7.69-7.76 (3H, m), 7.50 (1H, t, $J = 8.1$ Hz), 7.23 (1H, d, $J = 7.3$ Hz), 7.11-7.14 (3H, m), 6.79-6.81 (2H, m), 3.79 (2H, m), 2.45 (3H, s).

FABMS (m/z): 527 ($[\text{M}+\text{H}]^+$).

(Example 153)

6-Amino-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2302)



The title compound was obtained as pale yellow crystals by reducing 2-benzyl-6-nitro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (2.80 g, 5.35 mmol) prepared as described in Example 141 above using platinum oxide (258 mg) in a similar manner to that described in Example 137 above. This product was recrystallized from a mixed solvent of ethyl acetate and hexane to yield colorless needles (2.23 g, yield: 84 %).

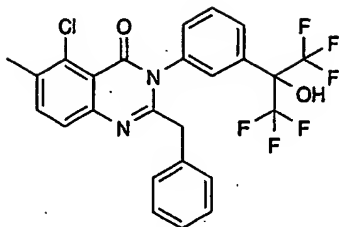
IR (KBr): ν_{max} 3407, 1667, 1591, 1495, 1273, 1220, 1192, 939 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, $\text{CDCl}_3+\text{DMSO-d}_6$): δ 7.72 (2H, d, $J = 7.3$ Hz), 7.62 (1H, d, $J = 8.8$ Hz), 7.55 (1H, s), 7.42 (1H, d, $J = 2.2$ Hz), 7.19-7.06 (3H, m), 6.94 (2H, d, $J = 8.8$ Hz), 6.69 (2H, d, $J = 7.3$ Hz), 4.12 (2H, s), 3.86 (2H, s).

FABMS (m/z): 494 ($[\text{M}+\text{H}]^+$).

(Example 154)

2-Benzyl-5-chloro-6-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1527)



The title compound was obtained as a colorless solid (398 mg, yield: 74 %) from 6-chloro-5-methylantranic acid (209 mg, 1.13 mmol) prepared as described in Example 150(1) above, phenylacetic acid (157 mg, 1.14 mmol), triphenyl phosphite (0.30 ml, 1.14 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (265 mg, 1.02 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 202-203 °C.

IR (KBr): ν_{\max} 3377, 3032, 1672, 1585, 1468, 959 cm^{-1} .

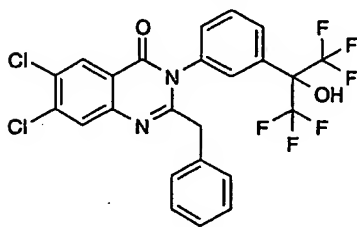
$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.90 (1H, s), 7.81 (1H, d, $J = 8.1$ Hz), 7.75 (1H, d, $J = 8.1$ Hz), 7.72 (1H, s), 7.57 (1H, d, $J = 8.1$ Hz), 7.51 (1H, t, $J = 8.1$ Hz), 7.23 (1H, d, $J = 8.1$ Hz), 7.16-7.15 (3H, m), 6.85-6.82 (2H, m), 3.84-3.69 (2H, m), 2.46 (3H, s).

FABMS (m/z): 527 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 526.8579; found: 527.0978.

(Example 155)

2-Benzyl-6,7-dichloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1744)



The title compound was obtained as a colorless solid (280 mg, yield: 50%) from 4,5-dichloroanthranilic acid (231 mg, 1.12 mmol) prepared as described in Example 147(1) above, phenylacetic acid (154 mg, 1.13 mmol), triphenyl phosphite (0.30 ml, 1.14 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (268 mg, 1.03 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 198-199 °C.

IR (KBr): ν_{\max} 3032, 1688, 1583, 1450, 1267, 1208, 969 cm^{-1} .

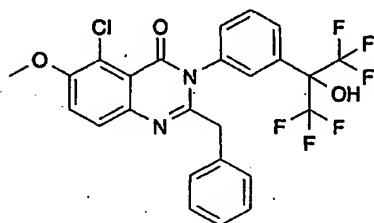
$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.91 (1H, s), 8.23 (1H, s), 8.03 (1H, s), 7.78-7.76 (2H, m), 7.53 (1H, t, $J = 8.1$ Hz), 7.28 (1H, d, $J = 8.8$ Hz), 7.17-7.15 (3H, m), 6.85-6.84 (2H, m), 3.89-3.74 (2H, m).

FABMS (m/z): 547 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{14}\text{Cl}_2\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 547.2761; found: 547.0397.

(Example 156)

2-Benzyl-5-chloro-6-methoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1279)



The title compound was obtained as a colorless solid (58 mg, yield: 10 %) from 6-chloro-5-methoxyanthranilic acid (285 mg, 1.42 mmol) prepared as described in Example 135(1) above, phenylacetic acid (193 mg, 1.42 mmol), triphenyl phosphite (0.37 ml, 1.42 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (292 mg, 1.13 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 214-215°C.

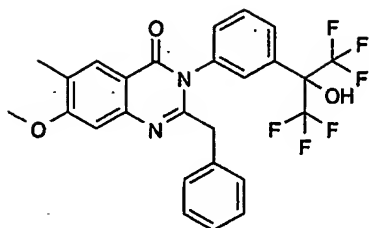
IR (KBr): ν_{max} 3269, 1679, 1589, 1475, 1285, 1214, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.88 (1H, s), 7.75-7.67(4H, m), 7.49 (1H, t, $J = 8.0$ Hz), 7.22 (1H, d, $J = 8.0$ Hz), 7.16-7.14 (3H, m), 6.84-6.81 (2H, m), 3.97 (3H, s), 3.82-3.67 (2H, m).

FABMS (m/z): 543 ($[\text{M}+\text{H}]^+$).

(Example 157)

2-Benzyl-7-methoxy-6-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1682)



The title compound was obtained as a colorless solid (101 mg, yield: 13 %) from 4-methoxy-5-methylanthranilic acid (331 mg, 1.82 mmol) prepared as described in Example 145(1) above, phenylacetic acid (248 mg, 1.82 mmol), triphenyl phosphite (0.48 ml, 1.82 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (378 mg, 1.46 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 226-227°C.

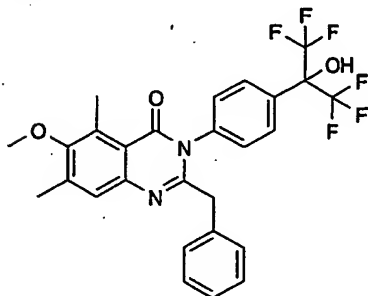
IR (KBr): ν_{\max} 3235, 1666, 1618, 1488, 1374, 1268, 1207, 723 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.87 (1H, s), 7.86 (1H, s), 7.75 (1H, d, $J = 8.4$ Hz), 7.64 (1H, s), 7.52 (1H, t, $J = 8.0$ Hz), 7.24 (1H, d, $J = 8.8$ Hz), 7.16-7.14 (4H, m), 6.84-6.81 (2H, m), 3.96 (3H, s), 3.86-3.73 (2H, m).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

(Example 158)

2-Benzyl-6-methoxy-5,7-dimethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2271)



- (1) 4,6-Dimethyl-5-methoxyanthranilic acid was obtained from 3,5-dimethyl-4-methoxyaniline in a similar manner to that described in Examples 55(1) to (3) above.
- (2) The title compound was obtained as a colorless solid (146 mg, yield: 22 %) from 4,6-dimethyl-5-methoxyanthranilic acid (300 mg, 1.54 mmol) prepared as described in Example 158(1) above, phenylacetic acid (210 mg, 1.54 mmol), triphenyl phosphite (0.40 ml, 1.54 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (319 mg, 1.23 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a

mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 216-217°C.

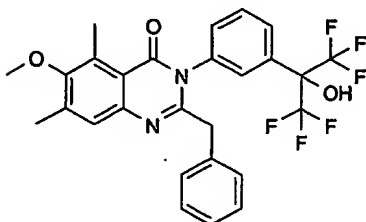
IR (KBr): ν_{\max} 3310, 1661, 1592, 1468, 1358, 1267, 933, 709 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.89 (1H, s), 7.66 (2H, d, $J = 8.4$ Hz), 7.45 (1H, s), 7.25 (2H, d, $J = 8.8$ Hz), 7.16-7.08 (3H, m), 6.73 (2H, d, $J = 6.4$ Hz), 3.77 (2H, s), 3.68 (3H, s), 2.63 (3H, s), 2.40 (3H, s).

FABMS (m/z): 537 ($[\text{M}+\text{H}]^+$).

(Example 159)

2-Benzyl-6-methoxy-5,7-dimethyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2271)



The title compound was obtained as a colorless solid (140 mg, yield: 21 %) from 4,6-dimethyl-5-methoxyanthranilic acid (300 mg, 1.54 mmol) prepared as described in Example 158(1) above, phenylacetic acid (210 mg, 1.54 mmol), triphenyl phosphite (0.40 ml, 1.54 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (319 mg, 1.23 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 101-102°C.

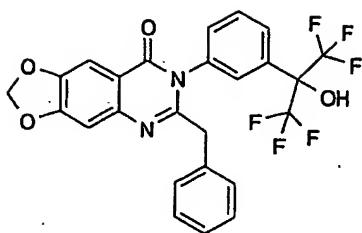
IR (KBr): ν_{\max} 3309, 1681, 1588, 1468, 1359, 1212, 970, 724 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.88 (1H, s), 7.74 (1H, d, $J = 8.0$ Hz), 7.63 (1H, s), 7.49 (1H, t, $J = 8.0$ Hz), 7.43 (1H, s), 7.18-7.14 (4H, m), 6.81-6.79 (2H, m), 3.82-3.70 (3H, m), 2.64 (3H, s), 2.39 (3H, s).

FABMS (m/z): 537 ($[\text{M}+\text{H}]^+$).

(Example 160)

2-Benzyl-6,7-methylenedioxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1217)



The title compound was obtained as a colorless solid (113 mg, yield: 20 %) from 4,5-methylenedioxyanthranilic acid (200 mg, 1.10 mmol) prepared as described in Example 134(1) above, phenylacetic acid (158 mg, 1.10 mmol), triphenyl phosphite (0.32 ml, 1.20 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (286 mg, 1.10 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 177-178°C.

IR (KBr): ν_{\max} 3264, 1662, 1572, 1472, 1264, 1214, 724 cm^{-1} .

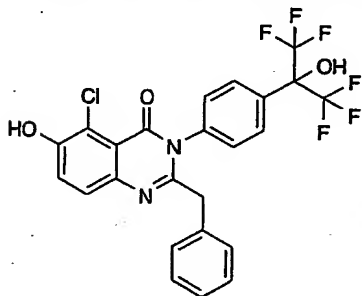
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.72 (1H, d, $J = 8.0$ Hz), 7.54 (1H, s), 7.43 (1H, br), 7.38 (1H, t, $J = 8.0$ Hz), 7.17-7.10 (4H, m), 6.96 (1H, d, $J = 8.0$ Hz), 6.77 (2H, d, $J = 8.0$ Hz), 6.14 (2H, s), 4.35 (1H, br), 3.91 (1H, d, $J = 15.2$ Hz), 3.81 (1H, d, $J = 15.2$ Hz).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_4$ ($[\text{M}+\text{H}]^+$): 523.1093; found: 523.1098.

(Example 161)

2-Benzyl-5-chloro-6-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2303)



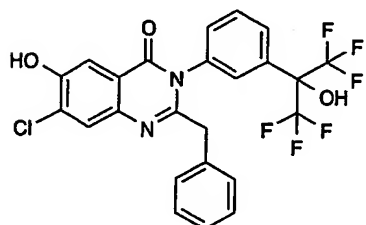
The title compound was obtained as a colorless solid (20 mg, yield: 34 %) from 2-benzyl-5-chloro-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (60 mg, 0.11 mmol) prepared as described in Example 135 above in a similar manner to that described in Example 59 above.

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.72-7.67 (3H, m), 7.53 (1H, d, $J = 9.6$ Hz), 7.17-7.07 (3H, m), 6.98-6.95 (2H, m), 6.69 (2H, d, $J = 7.6$ Hz), 6.34 (1H, s), 4.30 (1H, s), 3.87 (2H, s).

FABMS (m/z): 529 ($[\text{M}+\text{H}]^+$).

(Example 162)

2-Benzyl-7-chloro-6-hydroxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2300)



The title compound was obtained as a colorless solid (34 mg, yield: 59 %) from 2-benzyl-7-chloro-6-methoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (62 mg, 0.11 mmol) prepared as described in Example 143 above in a similar manner to that described in Example 59 above. mp 121-122°C.

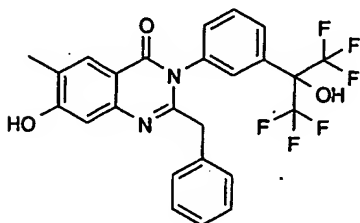
IR (KBr): ν_{\max} 3284, 1669, 1584, 1475, 1440, 1360, 1267, 1212, 969, 724 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.81 (1H, s), 7.74-7.70 (2H, t, $J = 8.0$ Hz), 7.48 (1H, s), 7.43 (1H, s), 7.39 (1H, t, $J = 8.0$ Hz), 7.15-7.09 (3H, m), 6.95 (1H, dd, $J = 8.0, 1.6$ Hz), 6.76 (2H, d, $J = 6.4$ Hz), 4.64 (1H, s) 3.96-3.78 (2H, m).

FABMS (m/z): 529 ($[\text{M}+\text{H}]^+$).

(Example 163)

2-Benzyl-7-hydroxy-6-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2301)



The title compound was obtained as a colorless solid (23 mg, yield: 57 %) from 2-benzyl-7-methoxy-6-methyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (39 mg, 0.07 mmol) prepared as described in Example 157 above in a similar manner to that described in Example 59 above. mp 147-148°C.

IR (KBr): ν_{\max} 3265, 1665, 1616, 1267, 1213, 969, 724 cm^{-1} .

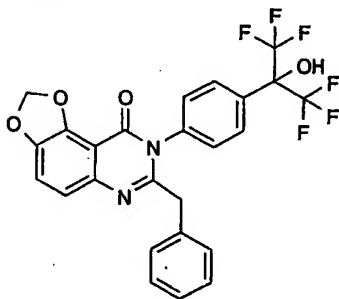
$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.88 (1H, s), 7.81 (1H, s), 7.72 (1H, d, $J = 8.0$ Hz), 7.59

(1H, s), 7.49 (1H, t, J = 8.0 Hz), 7.20-7.13 (4H, m), 7.01 (1H, s) 6.79 (2H, d, J = 3.6 Hz), 3.84-3.70 (2H, m), 2.25 (3H, s).

FABMS (m/z): 509 ([M+H]⁺).

(Example 164)

2-Benzyl-5,6-methylenedioxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1186)



(1) 5,6-Methylenedioxyanthranilic acid was obtained from 2,3-methylenedioxy-6-nitrobenzaldehyde in a similar manner to that described in Examples 112(2) and (3) above.

(2) The title compound was obtained as a colorless solid (208 mg, yield: 33 %) from 5,6-methylenedioxyanthranilic acid (219 mg, 1.20 mmol) prepared as described in Example 164(1) above, phenylacetic acid (173 mg, 1.30 mmol), triphenyl phosphite (0.35 ml, 1.30 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (313 mg, 1.30 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 224-225°C.

IR (KBr): ν_{\max} 3353, 1686, 1596, 1472, 1270, 1214, 1055, 709 cm⁻¹.

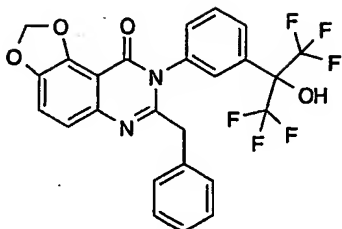
¹H-NMR (400MHz, CDCl₃): δ 7.67 (2H, d, J = 8.0 Hz), 7.33 (1H, d, J = 8.8 Hz), 7.29 (1H, d, J = 8.8 Hz), 7.18-7.02 (3H, m), 6.96 (2H, d, J = 7.2 Hz), 6.69 (2H, d, J = 7.2 Hz), 6.23 (2H, s), 3.86 (2H, s).

FABMS (m/z): 523 ([M+H]⁺).

FABHRMS (m/z): calcd. for C₂₅H₁₇F₆N₂O₄ ([M+H]⁺): 523.1093; found: 523.1086.

(Example 165)

2-Benzyl-5,6-methylenedioxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1186)



The title compound was obtained as a colorless solid (231 mg, yield: 37 %) from 5,6-methylenedioxyanthranilic acid (215 mg, 1.20 mmol) prepared as described in Example 164(1) above, phenylacetic acid (173 mg, 1.30 mmol), triphenyl phosphite (0.35 ml, 1.30 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (313 mg, 1.30 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 191-193°C.

IR (KBr): ν_{\max} 3272, 1686, 1472, 1269, 1210, 1059, 724 cm^{-1} .

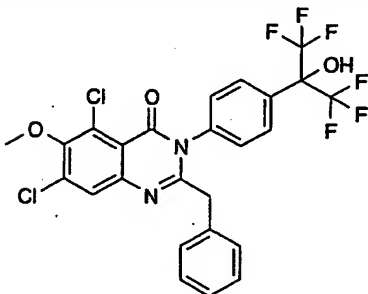
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.71 (2H, d, $J = 8.0$ Hz), 7.48 (1H, s), 7.36-7.22 (3H, m), 7.17-7.06 (3H, m), 6.90 (1H, d, $J = 8.0$ Hz), 6.74 (2H, d, $J = 8.0$ Hz), 6.16 (2H, s), 3.88 (1H, d, $J = 14.4$ Hz), 3.74 (1H, d, $J = 14.4$ Hz).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_4$ ($[\text{M}+\text{H}]^+$): 523.1093; found: 523.1096.

(Example 166)

2-Benzyl-5,7-dichloro-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2304)



- (1) 4,6-Dichloro-5-methoxyanthranilic acid was obtained from 3,5-dichloro-4-methoxyaniline in a similar manner to that described in Examples 55(1) to (3) above.
- (2) The title compound was obtained as a colorless solid (12 mg, yield: 13 %) from 4,6-dichloro-5-methoxyanthranilic acid (48 mg, 0.20 mmol) described above, phenylacetic acid (27 mg, 0.20 mmol), triphenyl phosphite (52 mg, 0.20 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (42 mg, 0.16 mmol) in a similar manner to that described in Example 1.

mp 219-220°C.

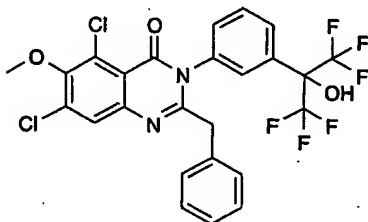
IR (KBr): ν_{\max} 3352, 1675, 1583, 1462, 1267, 989, 933, 708 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.80 (1H, s), 7.71 (2H, d, $J = 8.8$ Hz), 7.19-7.08 (3H, m), 6.98 (2H, d, $J = 8.8$ Hz), 6.70 (2H, d, $J = 7.2$ Hz), 3.96 (3H, s) 3.84 (2H, s), 3.79 (1H, s).

FABMS (m/z): 578 ($[\text{M}+\text{H}]^+$).

(Example 167)

2-Benzyl-5,7-dichloro-6-methoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2302)



The title compound was obtained as a colorless solid (21 mg, yield: 22 %) from 4,6-dichloro-5-methoxyanthranilic acid (48 mg, 0.20 mmol) prepared as described in Example 166(1) above, phenylacetic acid (27 mg, 0.20 mmol), triphenyl phosphite (52 mg, 0.20 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (42 mg, 0.16 mmol) in a similar manner to that described in Example 1.

mp 217-218°C.

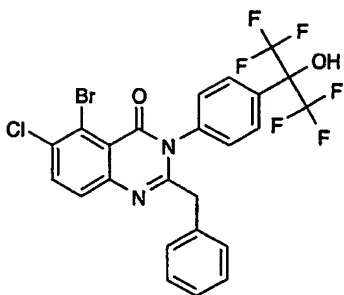
IR (KBr): ν_{\max} 3393, 1681, 1581, 1463, 1268, 1214, 971, 724 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.79 (1H, s), 7.76 (1H, d, $J = 8.0$ Hz), 7.45 (1H, s), 7.41 (1H, t, $J = 8.0$ Hz), 7.19-7.12 (3H, m), 6.97 (1H, d, $J = 8.8$ Hz), 6.78 (2H, d, $J = 6.4$ Hz), 3.96 (3H, s), 3.89-3.75 (2H, m), 3.67 (1H, s).

FABMS (m/z): 578 ($[\text{M}+\text{H}]^+$).

(Example 168)

2-Benzyl-5-bromo-6-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2305)



(1) 6-Bromo-5-chloroanthranilic acid was obtained from 3-bromo-4-chloroaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (570 mg, yield: 48 %) from 6-bromo-5-chloroanthranilic acid (550 mg, 2.20 mmol) prepared as described in Example 168(1) above, phenylacetic acid (300 mg, 2.20 mmol), triphenyl phosphite (0.58 ml, 2.20 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (518 mg, 2.00 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 191-192°C.

IR (KBr): ν_{\max} 3394, 1693, 1581, 1444, 1269, 1216, 1106, 931 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.86 (1H, d, $J = 8.0$ Hz), 7.71 (3H, d, $J = 8.8$ Hz), 7.18-7.09 (3H, m), 6.99 (2H, d, $J = 8.8$ Hz), 6.68 (2H, d, $J = 6.8$ Hz), 3.96 (1H, s), 3.87 (2H, s).

FABMS (m/z): 591, 593 ($[\text{M}+\text{H}]^+$).

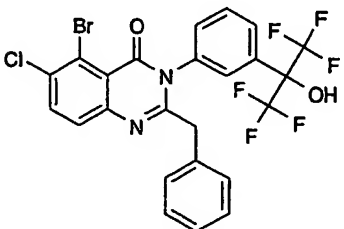
FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{15}^{79}\text{Br}^{35}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 590.9910; found: 590.9898.

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{BrClF}_6\text{N}_2\text{O}_2$: C, 48.72; H, 2.38; N, 4.73; found: C, 48.73; H, 2.61; N, 4.41.

(Example 169)

2-Benzyl-5-bromo-6-chloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2303)



The title compound was obtained as a colorless solid (341 mg, yield: 58 %) from 6-bromo-5-chloroanthranilic acid (269 mg, 1.08 mmol) prepared as described in Example 168(1) above, phenylacetic acid (147 mg, 1.08 mmol), triphenyl phosphite (0.28 ml, 1.10

mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.00 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 218°C.

IR (KBr): ν_{\max} 3392, 1672, 1580, 1443, 1276, 1168, 1087, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.85 (1H, d, $J = 8.4$ Hz), 7.73 (1H, d, $J = 8.8$ Hz), 7.70 (1H, d, $J = 8.4$ Hz), 7.47 (1H, s), 7.41 (1H, t, $J = 8.0$ Hz), 7.19-7.15 (3H, m), 6.96 (1H, dd, $J = 8.0, 2.0$ Hz), 6.78 (2H, d, $J = 6.8$ Hz), 3.94 (1H, s), 3.90 (1H, d, $J = 14.8$ Hz), 3.79 (1H, d, $J = 14.8$ Hz).

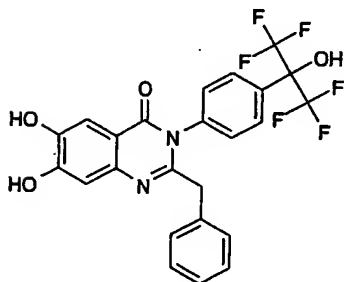
FABMS (m/z): 591, 593 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{15}^{79}\text{Br}^{35}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 590.9910; found: 590.9901.

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{BrClF}_6\text{N}_2\text{O}_2 \cdot \text{C}$, 48.72; H, 2.38; N, 4.73; found: C, 49.04; H, 2.40; N, 4.63.

(Example 170)

2-Benzyl-6,7-dihydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2306)



The title compound was obtained as a colorless foam (885 mg, yield: 94 %) from 2-benzyl-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (1.00 g, 1.85 mmol) prepared as described in Example 54 above in a similar manner to that described in Example 59.

mp 171-173°C.

IR (KBr): ν_{\max} 3248, 1664, 1622, 1511, 1271, 1195, 933 cm^{-1} .

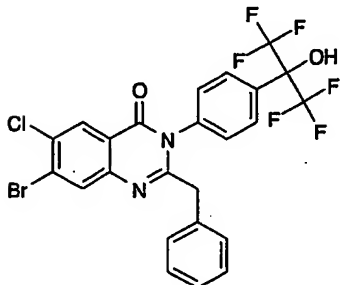
$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 10.29 (1H, s), 9.84 (1H, s), 8.88 (1H, s), 7.65 (2H, d, $J = 8.8$ Hz), 7.37 (1H, s), 7.22 (2H, d, $J = 8.8$ Hz), 7.15-7.07 (3H, m), 7.01 (1H, s), 6.70 (2H, d, $J = 7.2$ Hz), 3.78 (2H, s).

FABMS (m/z): 511 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_4$ ($[\text{M}+\text{H}]^+$): 511.1092; found: 511.1086.

(Example 171)

2-Benzyl-7-bromo-6-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2307)



- (1) 4-Bromo-5-chloroanthranilic acid was obtained from 3-bromo-4-chloroaniline in a similar manner to that described in Examples 55(1) to (3) above.
- (2) The title compound was obtained as a colorless solid (293 mg, yield: 79 %) from 4-bromo-5-chloroanthranilic acid (173 mg, 0.692 mmol) prepared as described in Example 171(1) above, phenylacetic acid (94 mg, 0.692 mmol), triphenyl phosphite (0.18 ml, 0.692 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (163 mg, 0.629 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.
- mp 206-207°C.

IR (KBr): ν_{\max} 3340, 1659, 1589, 1444, 1270, 1216, 1107, 968 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.30 (1H, s), 8.13 (1H, s), 7.72 (2H, d, $J = 8.8$ Hz), 7.13-7.09 (3H, m), 6.99 (2H, d, $J = 8.8$ Hz), 6.69 (2H, d, $J = 7.2$ Hz), 3.94 (1H, s), 3.88 (2H, s).

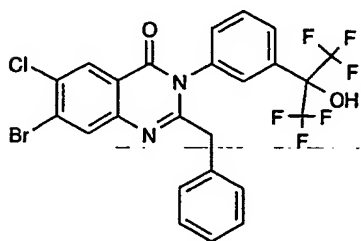
FABMS (m/z): 591, 593 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{15}^{79}\text{Br}^{35}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 590.9910; found: 590.9894.

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{BrClF}_6\text{N}_2\text{O}_2$: C, 48.72; H, 2.38; N, 4.73; found: C, 48.88; H, 2.36; N, 4.73.

(Example 172)

2-Benzyl-7-bromo-6-chloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2304)



The title compound was obtained as a colorless solid (195 mg, yield: 78 %) from 4-bromo-5-chloroanthranilic acid (116 mg, 0.464 mmol) prepared as described in Example 171(1) above, phenylacetic acid (63 mg, 0.464 mmol), triphenyl phosphite (0.12 ml, 0.464 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (109 mg, 0.422 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 194-195°C.

IR (KBr): ν_{\max} 3342, 1686, 1581, 1444, 1267, 1206, 1157, 970 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, s), 8.11 (1H, s), 7.77 (1H, d, $J = 8.4$ Hz), 7.45 (1H, s), 7.43 (1H, t, $J = 8.0$ Hz), 7.18-7.14 (3H, m), 6.98 (1H, dd, $J = 8.0, 1.6$ Hz), 6.77 (2H, d, $J = 6.8$ Hz), 4.15 (1H, s), 3.92 (1H, d, $J = 14.8$ Hz), 3.82 (1H, d, $J = 14.8$ Hz).

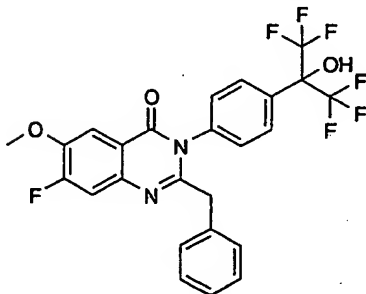
FABMS (m/z): 591, 593 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{15}^{79}\text{Br}^{35}\text{ClF}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 590.9910; found: 590.9911.

Anal. calcd. for $\text{C}_{24}\text{H}_{14}\text{BrClF}_6\text{N}_2\text{O}_2$: C, 48.72; H, 2.38; N, 4.73; found: C, 48.74; H, 2.30; N, 4.75.

(Example 173)

2-Benzyl-7-fluoro-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)-ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2308)



(1) 4-Fluoro-5-methoxyanthranilic acid was obtained from 3-fluoro-4-methoxyaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (104 mg, yield: 34 %) from 4-fluoro-5-methoxyanthranilic acid (120 mg, 0.648 mmol) prepared as described in Example 173(1) above, phenylacetic acid (89 mg, 0.650 mmol), triphenyl phosphite (0.17 ml, 0.650 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (153 mg, 0.592 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

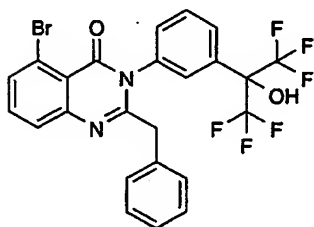
mp 236 °C.

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.92 (1H, s) 7.69-7.62 (4H, m), 7.30 (2H, d, $J = 8.1$ Hz), 7.16-7.08 (3H, m), 6.73 (2H, d, $J = 7.3$ Hz), 3.96 (3H, s), 3.82 (2H, s).

FABMS (m/z): 527 ([M+H]⁺).

(Example 174)

2-Benzyl-5-bromo-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-194)



(1) 6-Bromoanthranilic acid was obtained from 3-bromoaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (492 mg, yield: 37 %) from 6-bromoanthranilic acid (574 mg, 2.66 mmol) prepared as described in Example 174(1) above, phenylacetic acid (362 mg, 2.66 mmol), triphenyl phosphite (0.70 ml, 2.67 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (626 mg, 2.42 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless powder.

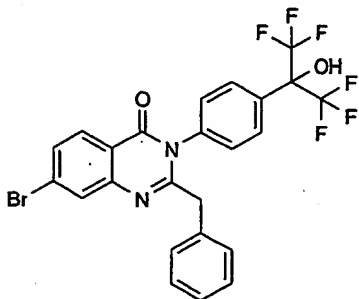
IR (KBr): ν_{\max} 3032, 1687, 1586, 1269, 1212, 969 cm⁻¹.

¹H-NMR (400MHz, CDCl₃): δ 7.77-7.74 (3H, m), 7.58 (1H, t, J = 8.1 Hz), 7.45 (1H, s), 7.40 (1H, t, J = 8.1 Hz), 7.19-7.12 (3H, m), 6.98 (1H, d, J = 8.1 Hz), 6.79 (2H, d, J = 8.1 Hz), 3.93-3.79 (2H, m).

FABMS (m/z): 595 ([M+K]⁺), 557 ([M+H]⁺).

(Example 175)

2-Benzyl-7-bromo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-628)



(1) 4-Bromoanthranilic acid was obtained from 3-bromoaniline in a similar manner to that described in Examples 55(1) to (3) above.

(2) The title compound was obtained as a colorless solid (521 mg, yield: 55 %) from 4-bromoanthranilic acid (404 mg, 1.87 mmol) prepared as described in Example 175(1) above, phenylacetic acid (255 mg, 1.87 mmol), triphenyl phosphite (0.49 ml, 1.87 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (441 mg, 1.70 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless powder.

mp 220 °C.

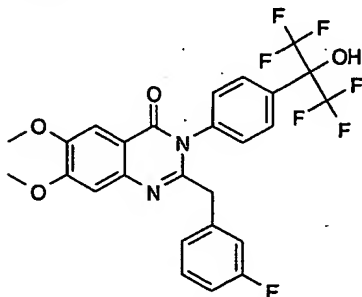
IR (KBr): ν_{\max} 3032, 1657, 1589, 1270, 1215, 1181, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.93 (1H, s), 8.01 (1H, d, $J = 8.1$ Hz), 7.97 (1H, d, $J = 2.2$ Hz), 7.74 (1H, d, $J = 8.4$ Hz), 7.69 (2H, d, $J = 8.8$ Hz), 7.32 (2H, d, $J = 8.8$ Hz), 7.18-7.09 (3H, m), 6.76 (2H, d, $J = 7.3$ Hz), 3.84 (2H, s).

FABMS (m/z): 595 ($[\text{M}+\text{K}]^+$), 557 ($[\text{M}+\text{H}]^+$).

(Example 176)

6,7-Dimethoxy-2-(3-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1164)



The title compound was obtained as a colorless solid (1.44 g, yield: 34 %) from 4,5-dimethoxyanthranilic acid (1.50 g, 7.60 mmol), 3-fluorophenylacetic acid (1.47 g, 9.54 mmol), and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (2.35 g, 9.07 mmol) in a similar manner to that described in Example 1.

mp 255-257 °C.

IR (KBr): ν_{\max} 3087, 1650, 1613, 1501, 1396, 1271, 1208, 937 cm^{-1} .

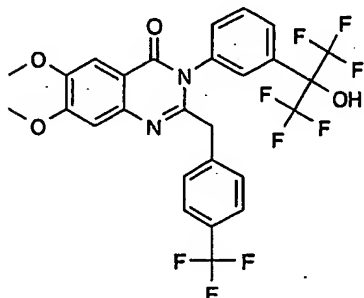
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.69 (2H, d, $J = 8.8$ Hz), 7.64 (1H, s), 7.22 (1H, s), 7.06-7.01 (1H, m), 6.93 (2H, d, $J = 8.8$ Hz), 6.87-6.83 (1H, m), 6.53 (1H, d, $J = 10.3$ Hz), 6.39 (1H, d, $J = 8.1$ Hz), 5.56 (1H, s), 4.07 (3H, s), 4.02 (3H, s), 3.86 (2H, s).

FABMS (m/z): 557 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{26}\text{H}_{19}\text{F}_7\text{N}_2\text{O}_4$: C, 56.12; H, 3.44; N, 5.03; found: C, 56.05; H, 3.29; N, 4.93.

(Example 177)

6,7-Dimethoxy-2-[4-(trifluoromethyl)benzyl]-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1167)



The title compound was obtained as a colorless solid (0.89 g, yield: 39 %) from 4,5-dimethoxyanthranilic acid (0.76 g, 3.85 mmol), 4-(trifluoromethyl)phenylacetic acid (0.83 g, 4.07 mmol), and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.00 g, 3.86 mmol) in a similar manner to that described in Example 1.

mp 220-223 °C.

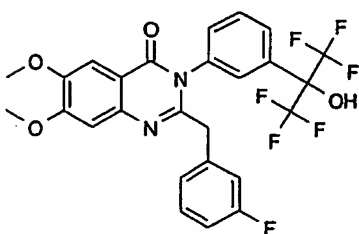
IR (KBr): ν_{\max} 3081, 1676, 1613, 1502, 1396, 1327, 1209, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.75 (1H, d, $J = 8.1$ Hz), 7.57 (1H, s), 7.46 (1H, s), 7.43-7.38 (3H, m), 7.19 (1H, s), 7.01 (1H, d, $J = 8.1$ Hz), 6.91 (2H, d, $J = 8.1$ Hz), 4.99 (1H, s), 4.05 (3H, s), 3.98 (3H, s), 3.97 (1H, d, $J = 15.4$ Hz), 3.89 (1H, d, $J = 15.4$ Hz).

FABMS (m/z): 607 ($[\text{M}+\text{H}]^+$).

(Example 178)

6,7-Dimethoxy-2-(3-fluorobenzyl)-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1164)



The title compound was obtained as a colorless solid (1.00 g, yield: 47 %) from 4,5-dimethoxyanthranilic acid (0.76 g, 3.85 mmol), 3-fluorophenylacetic acid (0.83 g, 5.38 mmol), and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.00 g, 3.86 mmol) in a similar manner to that described in Example 1.

mp 213-214°C.

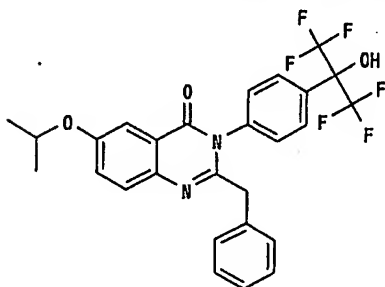
IR (KBr): ν_{\max} 3083, 1676, 1613, 1502, 1397, 1269, 1209, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.76 (1H, d, $J = 8.8$ Hz), 7.59 (1H, s), 7.49 (1H, s), 7.41 (1H, t, $J = 8.1$ Hz), 7.20 (1H, s), 7.12-7.06 (1H, m), 7.01-6.99 (1H, m), 6.88-6.83 (1H, m), 6.56-6.53 (2H, m), 4.22 (1H, s), 4.06 (3H, s), 3.98 (3H, s), 3.91 (1H, d, $J = 14.7$ Hz), 3.80 (1H, d, $J = 14.7$ Hz).

FABMS (m/z): 557 ($[\text{M}+\text{H}]^+$).

(Example 179)

2-Benzyl-6-isopropoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2309)



2-Iodopropane (178 mg, 1.05 mmol) was added to a suspension of a mixture of 2-benzyl-6-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (494 mg, 1.00 mmol) prepared as described in Example 58 above and potassium carbonate (278 mg, 2.00 mmol) in DMF (1 ml) and the resulting mixture was stirred at room temperature for 12 hours. The reaction mixture was then poured into water and extracted with ethyl acetate. The organic layer was washed with saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate and the solvent was removed in vacuo. The residue thus obtained was purified by silica gel column chromatography using a 3:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless solid (75 mg, yield: 14 %). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless needles. mp 183°C.

IR (KBr): ν_{max} 3250, 1664, 1592, 1488, 1371, 1270, 1215, 1110, 974 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.74 (1H, d, $J = 8.8$ Hz), 7.68 (2H, d, $J = 8.8$ Hz), 7.64 (1H, d, $J = 2.8$ Hz), 7.40 (1H, dd, $J = 8.8, 2.8$ Hz), 7.14-7.06 (3H, m), 6.94 (2H, d, $J = 8.0$ Hz), 6.69 (2H, d, $J = 7.6$ Hz), 4.71 (1H, quint., $J = 6.0$ Hz), 4.46 (1H, s), 3.89 (2H, s), 1.40 (3H, s), 1.39 (3H, s).

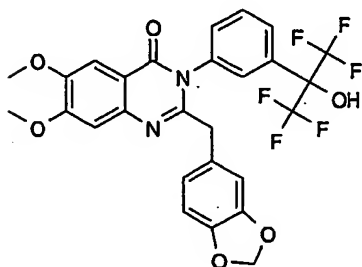
FABMS (m/z): 537 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{27}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_3$ ($[\text{M}+\text{Na}]^+$): 559.1433; found: 559.1445.

Anal. calcd. for $\text{C}_{27}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_3$: C, 60.45; H, 4.13; N, 5.22; found: C, 60.32; H, 3.86; N, 5.20.

(Example 180)

6,7-Dimethoxy-2-(3,4-methylenedioxybenzyl)-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1180)



The title compound was obtained as a colorless solid (990 mg, yield: 45 %) from 4,5-dimethoxyanthranilic acid (761 mg, 3.86 mmol), 3,4-methylenedioxyphenylacetic acid (730 mg, 4.05 mmol), and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (1.00 g, 3.86 mmol) in a similar manner to that described in Example 1.

mp 217-219 °C.

IR (KBr): ν_{\max} 3084, 1662, 1613, 1501, 1397, 1340, 1207, 1039 cm^{-1} .

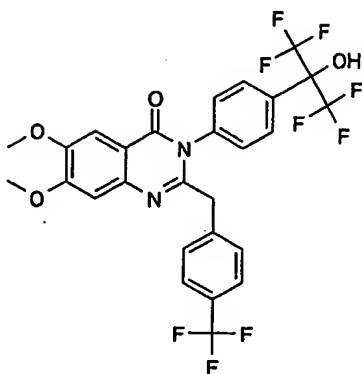
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.76 (1H, d, $J = 8.1$ Hz), 7.58 (1H, s), 7.48 (1H, s), 7.44 (1H, t, $J = 8.1$ Hz), 7.20 (1H, s), 7.05-7.02 (1H, m), 6.54 (1H, d, $J = 8.1$ Hz), 6.37-6.36 (1H, m), 6.12-6.10 (1H, m), 5.88 (2H, s), 4.29 (1H, s), 4.05 (3H, s), 3.98 (3H, s), 3.82 (1H, d, $J = 15.4$ Hz), 3.72 (1H, d, $J = 15.4$ Hz).

FABMS (m/z): 583 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{27}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_6$: C, 55.68; H, 3.46; F, 19.57; N, 4.81; found: C, 55.45; H, 3.36; F, 19.46; N, 4.57.

(Example 181)

6,7-Dimethoxy-2-[4-(trifluoromethyl)benzyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1167)



The title compound was obtained as a colorless solid (2.20 g, yield: 36 %) from 4,5-dimethoxyanthranilic acid (2.00 g, 10.1 mmol), 4-(trifluoromethyl)phenylacetic acid (2.6 g, 12.7 mmol), and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (3.00 g, 11.6 mmol) in a similar manner to that described in Example 1.

mp 228 °C.

IR (KBr): ν_{\max} 3238, 1657, 1612, 1501, 1396, 1327, 1213, 933 cm^{-1} .

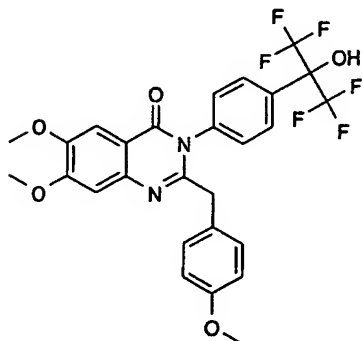
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.69 (2H, d, $J = 8.8$ Hz), 7.62 (1H, s), 7.36 (2H, d, $J = 8.8$ Hz), 7.21 (1H, s), 6.95 (1H, d, $J = 8.8$ Hz), 6.85 (2H, d, $J = 8.8$ Hz), 5.09 (1H, s), 4.07 (3H, s), 4.02 (3H, s), 3.94 (2H, s).

FABMS (m/z): 607 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{27}\text{H}_{19}\text{F}_9\text{N}_2\text{O}_4$: C, 53.48; H, 3.16; F, 28.19; N, 4.62; found: C, 53.24; H, 3.17; F, 28.08; N, 4.60.

(Example 182)

6,7-Dimethoxy-2-(4-methoxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1169)



The title compound was obtained as a colorless solid (1.25 g, yield: 29 %) from 4,5-dimethoxyanthranilic acid (1.50 g, 7.61 mmol), 4-methoxyphenylacetic acid (1.50 g, 9.03 mmol), and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (2.30 g, 8.88 mmol) in a

similar manner to that described in Example 1.

mp 210 °C.

IR (KBr): ν_{\max} 3249, 1659, 1613, 1501, 1397, 1270, 1213, 934 cm^{-1} .

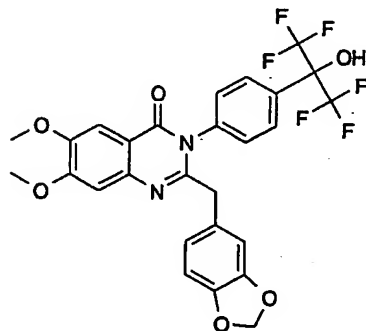
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.67 (2H, d, $J = 8.4$ Hz), 7.63 (1H, s), 7.23 (1H, s), 6.91 (2H, d, $J = 8.4$ Hz), 6.62 (2H, d, $J = 8.1$ Hz), 6.57 (1H, d, $J = 8.1$ Hz), 5.43 (1H, s), 4.06 (3H, s), 4.01 (3H, s), 3.82 (2H, s), 3.73 (3H, s).

FABMS (m/z): 569 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{27}\text{H}_{22}\text{F}_6\text{N}_2\text{O}_5$: C, 57.05; H, 3.90; N, 4.93; found: C, 56.84; H, 3.69; N, 4.84.

(Example 183)

6,7-Dimethoxy-2-(3,4-methylenedioxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-1180)



The title compound was obtained as a colorless solid (2.00 g, yield: 45 %) from 4,5-dimethoxyanthranilic acid (1.50 g, 7.61 mmol), 3,4-methylenedioxyphenylacetic acid (1.70 g, 9.43 mmol), and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (2.30 g, 8.88 mmol) in a similar manner to that described in Example 1.

mp 211 °C.

IR (KBr): ν_{\max} 3253, 1653, 1611, 1502, 1398, 1341, 1213, 1039 cm^{-1} .

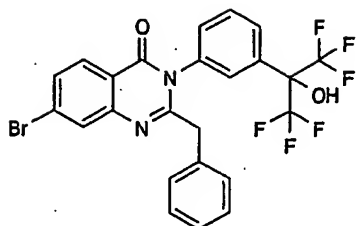
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.72 (2H, d, $J = 8.8$ Hz), 7.62 (1H, s), 7.22 (1H, s), 6.99 (2H, d, $J = 8.8$ Hz), 6.50 (1H, d, $J = 8.1$ Hz), 6.34 (1H, d, $J = 1.5$ Hz), 5.99 (1H, dd, $J = 1.5$ Hz and 8.1 Hz), 5.89 (2H, s), 5.12 (1H, s), 4.06 (3H, s), 4.01 (3H, s), 3.78 (2H, s).

FABMS (m/z): 583 ($[\text{M}+\text{H}]^+$).

Anal. calcd. for $\text{C}_{27}\text{H}_{20}\text{F}_6\text{N}_2\text{O}_6$: C, 55.68; H, 3.46; F, 19.57; N, 4.81; found: C, 55.61; H, 3.44; F, 19.76; N, 4.44.

(Example 184)

2-Benzyl-7-bromo-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-628)



The title compound was obtained as a colorless solid (574 mg, yield: 63 %) from 4-bromoanthranilic acid (388 mg, 1.80 mmol) prepared as described in Example 175(1) above, phenylacetic acid (245 mg, 1.80 mmol), triphenyl phosphite (0.47 ml, 1.80 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (423 mg, 1.63 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless powder.

mp 188 °C.

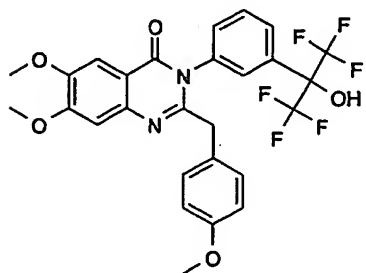
IR (KBr): ν_{\max} 3033, 1682, 1584, 1269, 1212, 1183, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.89 (1H, s), 8.03 (1H, d, $J = 8.8$ Hz), 7.93 (1H, s), 7.77-7.72 (3H, m), 7.52 (1H, t, $J = 8.1$ Hz), 7.26 (1H, d, $J = 7.3$ Hz), 7.16-7.15 (3H, m), 6.84-6.83 (2H, m), 3.82 (2H, m).

FABMS (m/z): 595 ($[\text{M}+\text{K}]^+$), 557 ($[\text{M}+\text{H}]^+$).

(Example 185)

6,7-Dimethoxy-2-(4-methoxybenzyl)-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-1169)



The title compound was obtained as a colorless solid (574 mg, yield: 28 %) from 4,5-dimethoxyanthranilic acid (700 mg, 3.55 mmol), 4-methoxyphenylacetic acid (619 mg, 3.73 mmol), triphenyl phosphite (1.02 ml, 3.89 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (920 mg, 3.55 mmol) in a similar manner to that described in Example 1.

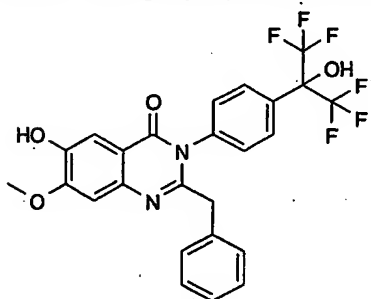
mp 205-206 °C.

IR (KBr): ν_{\max} 3274, 1678, 1613, 1501, 1396, 1268, 1207, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.74 (1H, d, $J = 8.1$ Hz), 7.57 (1H, s), 7.46 (1H, s), 7.41 (1H, t, $J = 8.1$ Hz), 7.23 (1H, s), 6.98 (1H, d, $J = 8.1$ Hz), 6.67 (4H, s), 4.56 (1H, s), 4.05 (3H, s), 3.98 (3H, s), 3.87 (1H, d, $J = 14.7$ Hz), 3.76 (1H, d, $J = 14.7$ Hz), 3.74 (3H, s).
FABMS (m/z): 569 ($[\text{M}+\text{H}]^+$).

(Example 186)

2-Benzyl-6-hydroxy-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)-ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2310)



- (1) 5-hydroxy-4-methoxy-2-nitrobenzaldehyde was obtained from isovanillin in a similar manner to that prepared as described in Example 112(1) above.
- (2) A solution of a mixture of 5-hydroxy-4-methoxy-2-nitrobenzaldehyde (4.29 g, 21.8 mmol) prepared as described in Example 186(1) above, tert-butyldimethylsilyl trifluoromethanesulfonate (7.49 ml, 32.6 mmol) and diisopropylethylamine (7.50 ml, 43.6 mmol) in methylene chloride (100 ml) was stirred under ice-water cooling for 30 minutes. The reaction mixture was diluted with methylene chloride and the resulting solution was washed successively with cold water and saturated aqueous sodium chloride solution. The organic layer was dried over anhydrous magnesium sulfate and the solvent was removed in vacuo. The residue thus obtained was purified by silica gel column chromatography using a 1:2 by volume mixture of hexane and ethyl acetate as the eluant to yield 5-(tert-butyldimethylsilyloxy)-4-methoxy-2-nitrobenzaldehyde as a colorless oil (3.32 g, yield: 49 %).
- (3) 5-(tert-Butyldimethylsilyloxy)-4-methoxyanthranilic acid was obtained from 5-(tert-butyldimethylsilyloxy)-4-methoxy-2-nitrobenzaldehyde prepared as described in Example 186(2) above in a similar manner to that described in Examples 112(2) and (3) above.
- (4) The title compound was obtained as a colorless solid (650 mg, yield: 78 %) from 5-(tert-butyldimethylsilyloxy)-4-methoxyanthranilic acid (470 mg, 1.58 mmol) prepared as described in Example 186(2) above, phenylacetic acid (235 mg, 1.73 mmol), triphenyl phosphite (0.45 ml, 1.73 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (614 mg, 2.37 mmol) in a similar manner to that described in Example 1.
mp 221-222°C.

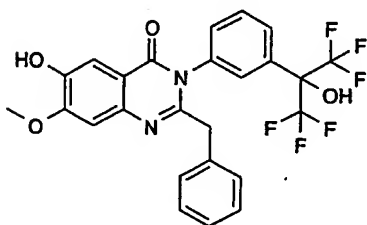
IR (KBr): ν_{\max} 3345, 1658, 1497, 1271, 1211, 709 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.88 (1H, s), 7.67 (2H, d, $J = 8.8$ Hz), 7.38 (1H, s), 7.26 (2H, d, $J = 8.8$ Hz), 7.18 (1H, s), 7.15-7.09 (3H, m), 6.73 (2H, d, $J = 7.2$ Hz), 3.94 (3H, s), 3.80 (2H, s).

FABMS (m/z): 525 ($[\text{M}+\text{H}]^+$).

(Example 187)

2-Benzyl-6-hydroxy-7-methoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)-ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-2305)



The title compound was obtained as a colorless solid (47 mg, yield: 37 %) from 5-(tert-butyldimethylsilyloxy)-4-methoxyanthranilic acid (73 mg, 0.24 mmol) prepared as described in Example 186(3) above, phenylacetic acid (36 mg, 0.26 mmol), triphenyl phosphite (0.68 ml, 0.26 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (93 mg, 0.36 mmol) in a similar manner to that described in Example 1.

mp 129-130°C.

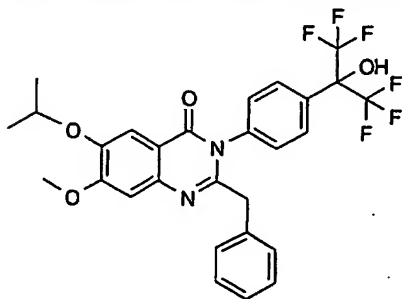
IR (KBr): ν_{\max} 3273, 1665, 1496, 1269, 1208, 969, 724 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.71 (1H, d, $J = 7.2$ Hz), 7.60 (1H, s), 7.48 (1H, s), 7.35 (1H, t, $J = 6.4$ Hz), 7.19 (1H, s), 7.16-7.09 (3H, m), 6.93 (1H, d, $J = 6.4$ Hz), 6.76 (2H, d, $J = 5.6$ Hz), 4.03 (3H, s), 3.95-3.79 (2H, m).

FABMS (m/z): 525 ($[\text{M}+\text{H}]^+$).

(Example 188)

2-Benzyl-6-isopropoxy-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)-ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2311)



The title compound was obtained as a colorless solid (19 mg, yield: 59 %) from 2-benzyl-6-hydroxy-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (30 mg, 0.05 mmol) prepared as described in Example 186 above, potassium carbonate (16 mg, 0.12 mmol) and 2-iodopropane (0.057 ml, 0.06 mmol) in a similar manner to that described in Example 179 above.

mp 235-236°C.

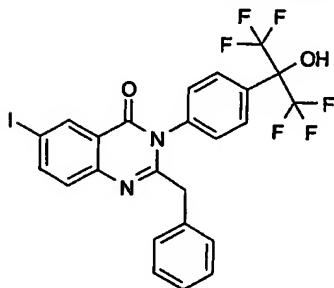
IR (KBr): ν_{\max} 3420, 1689, 1608, 1496, 1269, 1206, 935, 708 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.91 (1H, s), 7.67 (2H, d, $J = 8.8$ Hz), 7.41 (1H, s), 7.28 (2H, d, $J = 8.8$ Hz), 7.23 (1H, s), 7.16-7.08 (3H, m), 6.73 (2H, d, $J = 7.2$ Hz), 4.70-4.66 (1H, m), 3.94 (3H, s), 3.81 (2H, s), 1.31 (6H, d, $J = 5.6$ Hz).

FABMS (m/z): 567 ($[\text{M}+\text{H}]^+$).

(Example 189)

2-Benzyl-6-iodo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2312)



The title compound was obtained as a colorless solid (18.3 g, yield: 70 %) from 5-iodoanthranilic acid (10.5 g, 40.0 mmol), phenylacetic acid (5.70 g, 42.0 mmol), triphenyl phosphite (12.0 ml, 46.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (10.4 g, 40.0 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 153-156°C.

IR (KBr): ν_{\max} 3328, 1678, 1591, 1467, 1270, 1215, 934, 709 cm^{-1} .

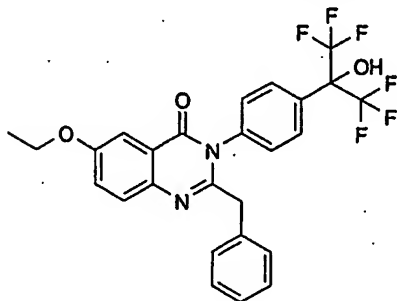
$^1\text{H-NMR}$ (500MHz, CDCl_3): δ 8.59 (1H, d, $J = 2.0$ Hz), 8.09 (1H, dd, $J = 8.5, 2.0$ Hz), 7.70 (2H, d, $J = 6.0$ Hz), 7.54 (1H, d, $J = 8.5$ Hz), 7.18-7.12 (1H, m), 7.12-7.05 (2H, m), 6.99-6.91 (2H, m), 6.68 (2H, d, $J = 8.0$ Hz), 3.89 (2H, s).

FABMS (m/z): 605 ($[\text{M}+\text{H}]^+$).

(Example 190)

2-Benzyl-6-ethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-

quinazolinone (Exemplification compound number 3-2313)



The title compound was obtained as a colorless solid (30 mg, yield: 27 %) from 2-benzyl-6-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (105 mg, 0.21 mmol) prepared as described in Example 58 above, potassium carbonate (87 mg, 0.63 mmol) and iodoethane (0.017 ml, 0.21 mmol), in a similar manner to that described in Example 179 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 198-199°C.

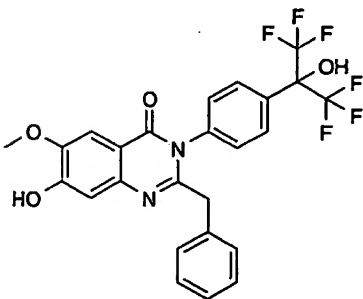
IR (KBr): ν_{\max} 3207, 1666, 1492, 1270, 938, 839, 708 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.90 (1H, s), 7.71-7.66 (3H, m), 7.49-7.46 (2H, m), 7.29 (2H, d, $J = 8.8$ Hz), 7.15-7.07 (3H, m), 6.72 (2H, d, $J = 6.8$ Hz), 4.17-4.11 (2H, m) 3.82 (2H, s). 1.37 (3H, t, $J = 7.2$ Hz).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

(Example 191)

2-Benzyl-7-hydroxy-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2314)



The title compound was obtained as a colorless solid (20 mg, yield: 4 %) from 4-hydroxy-5-methoxyanthranilic acid (189 mg, 1.03 mmol), which was prepared according to the method of Molina et al. [Molina, P. et al., Tetrahedron, 51, 5617-5630 (1995)], phenylacetic acid (141 mg, 1.03 mmol), triphenyl phosphite (0.27 ml, 1.03 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (244 mg, 0.942 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of

hexane and ethyl acetate to yield colorless prisms.

mp 197-199 °C.

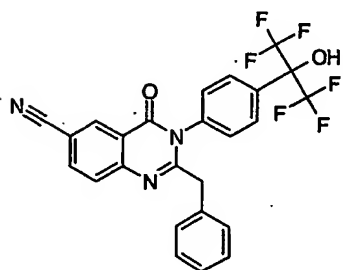
IR (KBr): ν_{\max} 3031, 1666, 1595, 1497, 1271, 969 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.66 (2H, d, $J = 8.8$ Hz), 7.40 (1H, s), 7.24 (2H, d, $J = 8.8$ Hz), 7.15-7.07 (3H, m), 7.04 (1H, s), 6.70 (2H, d, $J = 7.3$ Hz), 3.87 (3H, s), 3.79 (2H, s).

FABMS (m/z): 563 ($[\text{M}+\text{K}]^+$), 525 ($[\text{M}+\text{H}]^+$).

(Example 192)

2-Benzyl-6-cyano-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2315)



2-Benzyl-6-iodo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (6.04 g, 10 mmol) prepared as described in Example 189 above, zinc cyanide (2.35 g, 20 mmol) and tetrakis(triphenylphosphine)palladium(0) (578 mg, 0.5 mmol) were placed in a dry two necked flask under a nitrogen atmosphere. N,N-Dimethyl-formamide (25 ml) was added and the mixture was stirred at 120°C for 2 hours. At the end of this time, sodium hydrogen carbonate was added to the reaction mixture and the mixture was extracted with ethyl acetate. The combined organic layers were washed with water and saturated aqueous sodium chloride solution. The solution was passed through a short pad of anhydrous sodium sulfate and silica gel and then concentrated in vacuo. The resulting residue was recrystallized from acetonitrile to yield the title compound as a colorless solid (3.60 g, yield: 72 %).

mp 228-230°C.

IR (KBr): ν_{\max} 3381, 2228, 1696, 1587, 1484, 1270, 1216, 933, 709 cm^{-1} .

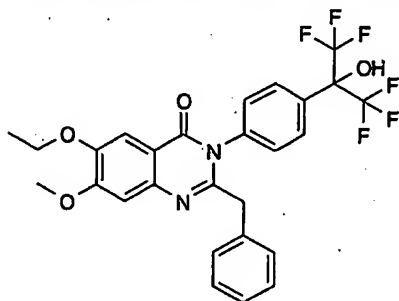
$^1\text{H-NMR}$ (500MHz, CDCl_3): δ 8.58 (1H, s), 8.01 (1H, dd, $J = 8.0, 2.0$ Hz), 7.88 (1H, d, $J = 8.5$ Hz), 7.74 (2H, d, $J = 8.0$ Hz), 7.18 (1H, t, $J = 8.0$ Hz), 7.11 (2H, t, $J = 8.0$ Hz), 6.99 (2H, d, $J = 8.0$ Hz), 6.70 (2H, d, $J = 8.0$ Hz), 4.07 (1H, s), 3.93 (1H, s).

FABMS (m/z): 504 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{25}\text{H}_{15}\text{F}_6\text{N}_3\text{O}_2$ ($[\text{M}+\text{Na}]^+$): 526.0967; found: 526.0980.

(Example 193)

2-Benzyl-6-ethoxy-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2316)



The title compound was obtained as a colorless solid (62 mg, yield: 49 %) from 2-benzyl-6-hydroxy-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (120 mg, 0.23 mmol) prepared as described in Example 186 above, potassium carbonate (103 mg, 0.75 mmol) and iodoethane (0.020 ml, 0.25 mmol) in a similar manner to that described in Example 179 above.
mp 142-143°C.

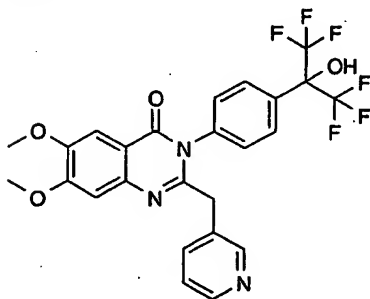
IR (KBr): ν_{\max} 1678, 1592, 1515, 1491, 1361, 1215, 1193, 1100, 947, 709 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.89 (1H, s), 7.67 (2H, d, $J = 6.0$ Hz), 7.40 (1H, s), 7.28 (2H, d, $J = 7.2$ Hz), 7.22 (1H, s), 7.16-7.09 (3H, m), 6.74 (2H, d, $J = 6.0$ Hz), 4.12-4.10 (2H, m), 3.95 (3H, s), 3.81 (2H, s), 1.38 (3H, t, $J = 5.6$ Hz)

FABMS (m/z): 533 ($[\text{M}+\text{H}]^+$).

(Example 194)

6,7-Dimethoxy-2-(3-pyridylmethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-799)



The title compound was obtained as an off-white powder (490 mg, yield: 26 %) from 4,5-dimethoxyanthranilic acid (700 mg, 3.60 mmol), 3-pyridylacetic acid hydrochloride (620 mg, 3.60 mmol), triphenyl phosphite (1.1 g, 3.60 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (920 mg, 3.60 mmol) in a similar manner to that described in Example 1.

mp 265-266°C (dec.).

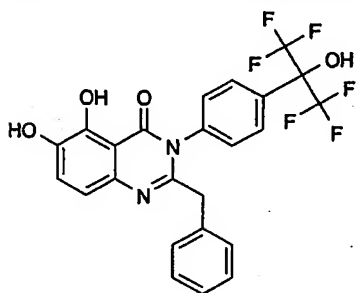
IR (KBr): ν_{\max} 3050, 1685, 1612, 1499, 1395, 1268, 1210, 1177 940 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.94 (1H, s), 8.39 (1H, dd, $J = 5.1, 1.5$ Hz), 8.08 (1H, d, $J = 2.2$ Hz), 7.77 (2H, d, $J = 8.1$ Hz), 7.46 (2H, d, $J = 8.8$ Hz), 7.42 (1H, s), 7.35-7.32 (1H, m), 7.22-7.19 (1H, m), 7.12 (1H, s), 3.92 (3H, s), 3.87 (3H, s), 3.79 (2H, s).

FABMS (m/z): 540 ($[\text{M}+\text{H}]^+$).

(Example 195)

2-Benzyl-5,6-dihydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2317)



The title compound was obtained as a colorless foam (66 mg, yield: 37 %) from 2-benzyl-5,6-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (187 mg, 0.347 mmol) prepared as described in Example 53 above in a similar manner to that described in Example 59 above.

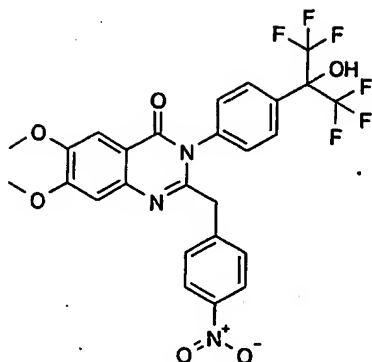
IR (KBr): ν_{\max} 3611, 1662, 1583, 1497, 1463, 1270, 1216, 932 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 11.25 (1H, s), 7.73 (2H, d, $J = 8.8$ Hz), 7.45 (1H, d, $J = 8.8$ Hz), 7.28 (1H, d, $J = 8.8$ Hz), 7.18-7.08 (3H, m), 7.02-6.99 (2H, m), 6.69 (2H, d, $J = 8.8$ Hz), 5.60 (1H, s), 3.89 (2H, s), 3.59 (1H, s).

FABMS (m/z): 511 ($[\text{M}+\text{H}]^+$).

(Example 196)

6,7-Dimethoxy-2-(4-nitrobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2318)



The title compound was obtained as a pale yellow powder (760 mg, yield: 37 %) from 4,5-dimethoxyanthranilic acid (700 mg, 3.60 mmol), 4-nitrophenylacetic acid (640 mg, 3.60 mmol), triphenyl phosphite (1.1 g, 3.60 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (920 mg, 3.60 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of ethanol and diethyl ether to yield a pale yellow powder.

mp 260-262°C (dec.).

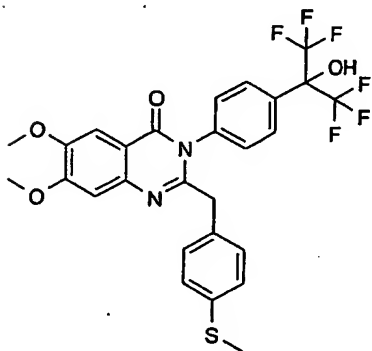
IR (KBr): ν_{\max} 3263, 1678, 1611, 1524, 1502, 1348, 1271, 1210, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.99 (2H, d, $J = 8.8$ Hz), 7.74 (2H, d, $J = 8.1$ Hz), 7.61 (1H, s), 7.19 (1H, s), 7.02 (2H, d, $J = 8.8$ Hz), 6.94 (2H, d, $J = 8.8$ Hz), 4.91 (1H, s), 4.06 (3H, s), 4.01 (3H, s), 3.97 (2H, s).

FABMS (m/z): 584 ($[\text{M}+\text{H}]^+$).

(Example 197)

6,7-Dimethoxy-2-[4-(methylthio)benzyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2319)



The title compound was obtained as an off-white powder (350 mg, yield: 24 %) from 4,5-dimethoxyanthranilic acid (500 mg, 2.50 mmol), 4-(methylthio)phenylacetic acid (460

mg, 2.50 mmol), triphenyl phosphite (790 mg, 2.50 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (660 mg, 2.50 mmol) in a similar manner to that described in Example 1.

mp 225-226°C.

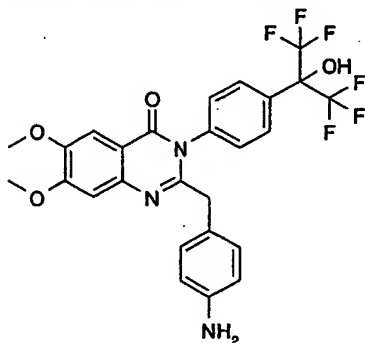
IR (KBr): ν_{\max} 3236, 1661, 1612, 1501, 1396, 1270, 1212, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.70 (2H, d, $J = 8.1$ Hz), 7.61 (1H, s), 7.21 (1H, s), 6.99 (2H, d, $J = 2.9$ Hz), 6.97 (2H, d, $J = 2.9$ Hz), 6.61 (2H, d, $J = 8.1$ Hz), 4.85 (1H, s), 4.06 (3H, s), 4.00 (3H, s), 3.83 (2H, s), 2.42 (3H, s).

FABMS (m/z): 585 ($[\text{M}+\text{H}]^+$).

(Example 198)

2-(4-Aminobenzyl)-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2320)



The title compound was obtained as a pale yellow powder (200 mg, yield: 28 %) from 6,7-dimethoxy-2-(4-nitrobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (750 mg, 1.30 mmol) prepared as described in Example 196 above in a similar manner to that described in Example 137 above. This product was recrystallized from a mixed solvent of methanol and diethyl ether to yield a pale yellow powder.

mp 241-244°C (dec.).

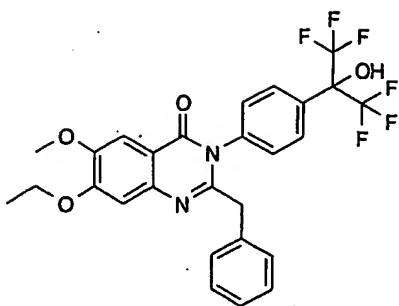
IR (KBr): ν_{\max} 3385, 1670, 1613, 1500, 1396, 1270, 1211, 936 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.91 (1H, s), 7.71 (2H, d, $J = 8.8$ Hz), 7.40 (1H, s), 7.27-7.23 (3H, m), 6.30 (4H, s), 4.91 (2H, s), 3.95 (3H, s), 3.86 (3H, s), 3.60 (2H, s).

FABMS (m/z): 554 ($[\text{M}+\text{H}]^+$).

(Example 199)

2-Benzyl-7-ethoxy-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2321)



The title compound was obtained as a colorless solid (28 mg, yield: 30 %) from 2-benzyl-7-hydroxy-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (89 mg, 0.17 mmol) prepared as described in Example 191 above, potassium carbonate (25 mg, 0.18 mmol) and iodoethane (29 mg, 0.19 mmol) in a similar manner to that described in Example 179 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless powder.

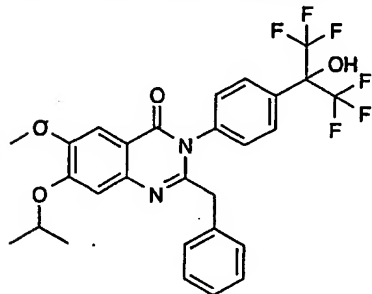
mp 250-252 °C.

¹H-NMR (400MHz, DMSO-d₆): δ 8.91 (1H, s), 7.67 (2H, d, J = 8.1 Hz), 7.41 (1H, s), 7.27 (2H, d, J = 7.3 Hz), 7.20 (1H, s), 7.16-7.09 (3H, m), 6.73 (2H, d, J = 6.6 Hz), 4.22 (2H, q, J = 7.3 Hz), 3.87 (3H, s), 3.81 (2H, s), 1.41 (3H, t, J = 6.6 Hz).

FABMS (m/z): 591 ([M+K]⁺), 553 ([M+H]⁺).

(Example 200)

2-Benzyl-7-isopropoxy-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2322)



The title compound was obtained as a colorless solid (99 mg, yield: 53 %) from 2-benzyl-7-hydroxy-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (174 mg, 0.33 mmol) prepared as described in Example 191 above, potassium carbonate (50 mg, 0.35 mmol) and 2-iodopropane (68 mg, 0.40 mmol) in a similar manner to that described in Example 179 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless powder.

mp 242°C.

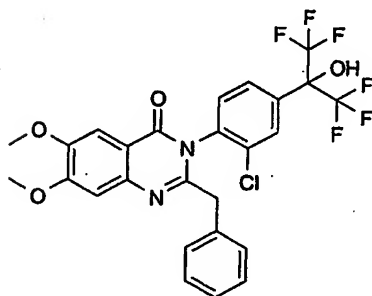
IR (KBr): ν_{\max} 3032, 1649, 1496, 1269, 1195, 964 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.89 (1H, s), 7.66 (2H, d, $J = 8.1$ Hz), 7.41 (1H, s), 7.26 (2H, d, $J = 8.8$ Hz), 7.23 (1H, s), 7.17-7.08 (3H, m), 6.72 (2H, d, $J = 6.6$ Hz), 4.92-4.86 (1H, m), 3.85 (3H, s), 3.81 (2H, s), 1.35 (6H, d, $J = 5.9$ Hz).

FABMS (m/z): 605 ($[\text{M}+\text{K}]^+$), 567 ($[\text{M}+\text{H}]^+$).

(Example 201)

2-Benzyl-6,7-dimethoxy-3-[2-chloro-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-569)



(1) Phenylacetyl chloride (48.3 ml, 365 mmol) was added to a solution of 4,5-dimethoxyanthranilic acid (34.17 g, 173 mmol) and pyridine (50 ml) in dichloromethane (200 ml) at 0°C. The resulting mixture was stirred at 0°C for 30 minutes and then at room temperature for 4 hours. The reaction mixture was then concentrated, and ethyl acetate and ice-water were added to the resulting residue. The mixture was extracted with ethyl acetate and the organic layer was washed successively with saturated aqueous sodium hydrogen carbonate solution, water and saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate and concentrated in vacuo. The pale yellow solid thus obtained was recrystallized from hexane and ethyl acetate to yield 2-benzyl-6,7-dimethoxy-4H-3,1-benzoxazin-4-one as a colorless powder (40.0 g, yield: 78%).

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.49 (1H, s), 7.49-7.26 (5H, m), 7.01 (1H, s), 3.99 (3H, s), 3.96 (5H, s).

(2) A mixture of 2-benzyl-6,7-dimethoxy-4H-3,1-benzoxazin-4-one (477 mg, 1.60 mmol) prepared as described in Example 201(1) above, triphenyl phosphite (0.42 ml, 1.60 mmol), 2-(4-amino-3-chlorophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (472 mg, 1.60 mmol) prepared as described in Example 67(1) above and pyridine (4 ml) was stirred at 100°C for 7 hours under a nitrogen atmosphere. The reaction mixture was then concentrated in vacuo, and the residue thus obtained was purified by silica gel column chromatography using a 4:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title

compound as a colorless solid (391 mg, yield: 43 %). This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless powder.

mp 268 °C.

IR (KBr): ν_{\max} 1650, 1612, 1500, 1271, 1208, 1194, 958 cm^{-1} .

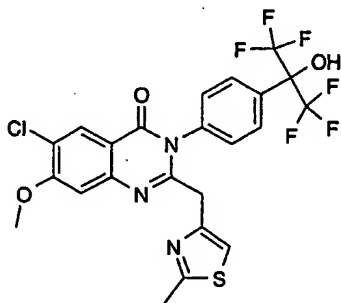
$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 9.21 (1H, s), 7.72-7.70 (2H, m), 7.63 (1H, d, $J = 8.8$ Hz), 7.43 (1H, s), 7.28 (1H, s), 7.18 (1H, t, $J = 7.3$ Hz), 7.10 (2H, t, $J = 7.3$ Hz), 6.72 (2H, d, $J = 7.3$ Hz), 3.97 (3H, s), 3.88 (3H, s), 3.80 (2H, m).

FABMS (m/z): 611 ($[\text{M}+\text{K}]^+$), 573 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 572.8833; found: 573.1031.

(Example 202)

6-Chloro-7-methoxy-2-[(2-methyl-1,3-thiazol-4-yl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2323)



The title compound was obtained as a colorless solid (432 mg, yield: 71 %) from 5-chloro-4-methoxyanthranilic acid (217 mg, 1.13 mmol) prepared as described in Example 132(1) above, (2-methyl-1,3-thiazol-4-yl)acetic acid (178 mg, 1.13 mmol) prepared according to the method described in USP 3296250, triphenyl phosphite (0.31 ml, 1.18 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (251 mg, 0.97 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 234-236 °C.

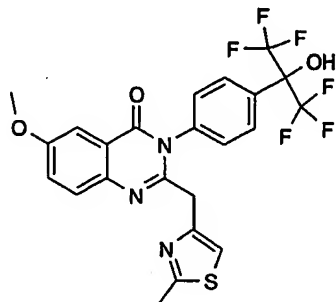
IR (KBr): ν_{\max} 3425, 1685, 1602, 1482, 1444, 1372, 1269, 1214, 1174, 936 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3 +DMSO- d_6): δ 8.19 (1H, s), 8.08 (1H, s), 7.84 (2H, d, $J = 8.4$ Hz), 7.24 (1H, s), 7.13 (2H, d, $J = 8.4$ Hz), 6.24 (1H, s), 4.04 (3H, s), 4.00 (2H, s), 2.60 (3H, s).

FABMS (m/z): 564 ($[\text{M}+\text{H}]^+$).

(Example 203)

6-methoxy-2-[(2-methyl-1,3-thiazol-4-yl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2339)



The title compound was obtained as a colorless solid (528 mg, yield: 76 %) from 5-methoxyanthranilic acid (219 mg, 1.31 mmol), (2-methyl-1,3-thiazol-4-yl)acetic acid (216 mg, 1.38 mmol), triphenyl phosphite (0.38 ml, 1.44 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (305 mg, 1.18 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 228-229°C.

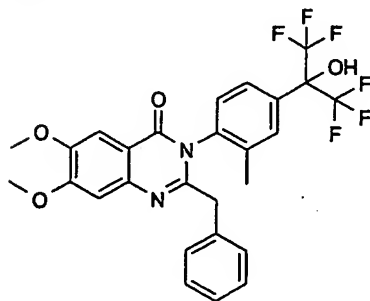
IR (KBr): ν_{\max} 3348, 1685, 1662, 1617, 1595, 1493, 1275 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.74 (2H, d, $J = 8.4$ Hz), 7.11 (1H, d, $J = 8.8$ Hz), 7.64 (1H, d, $J = 2.9$ Hz), 7.40 (1H, dd, $J = 2.9, 8.8$ Hz), 7.11 (2H, d, $J = 8.4$ Hz), 6.38 (1H, s), 5.03 (1H, bs), 4.01 (2H, s), 3.92 (3H, s), 2.58 (3H, s).

FABMS (m/z): 530 ($[\text{M}+\text{H}]^+$).

(Example 204)

2-Benzyl-6,7-dimethoxy-3-[2-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-565)



The title compound was obtained as a colorless solid (480 mg, yield: 30 %) from 2-benzyl-6,7-dimethoxy-4H-3,1-benzoxazin-4-one (872 mg, 2.93 mmol) prepared as

described in Example 201(1) above, triphenyl phosphite (0.77 ml, 2.94 mmol) and 2-(4-amino-3-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (802 mg, 2.93 mmol) prepared as described in Example 65(1) above in a similar manner to that described Example 201(2) above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless powder.

mp 279-284 °C (dec.).

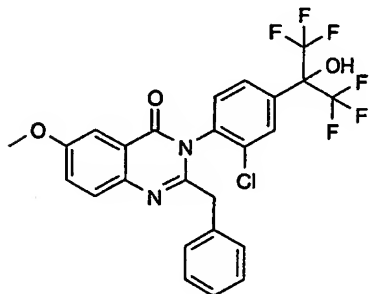
IR (KBr): ν_{\max} 1655, 1591, 1500, 1271, 1209, 1169, 970 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.89 (1H, s), 7.62 (1H, d, $J = 8.1$ Hz), 7.49-7.45 (2H, m), 7.43 (1H, s), 7.28 (1H, s), 7.19 (1H, t, $J = 8.1$ Hz), 7.10 (2H, t, $J = 7.3$ Hz), 6.66 (2H, d, $J = 7.3$ Hz), 3.97 (3H, s), 3.88 (3H, s), 3.78-3.73 (2H, m), 1.51 (3H, s).

FABMS (m/z): 553 ($[\text{M}+\text{H}]^+$).

(Example 205)

2-Benzyl-6-methoxy-3-[2-chloro-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-563)



The title compound was obtained as a colorless solid (168 mg, yield: 37 %) from 5-methoxyanthranilic acid (157 mg, 0.939 mmol), phenylacetic acid (128 mg, 0.940 mmol), triphenyl phosphite (0.25 ml, 0.95 mmol) and 2-(4-amino-3-chlorophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (245 mg, 0.834 mmol) prepared as described in Example 67(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield a colorless powder.

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 9.19 (1H, s), 7.73 (1H, d, $J = 8.8$ Hz), 7.72-7.68 (2H, m), 7.63 (1H, d, $J = 8.8$ Hz), 7.52-7.42 (2H, m), 7.15 (1H, t, $J = 7.3$ Hz), 7.07 (2H, t, $J = 7.3$ Hz), 6.68 (2H, d, $J = 8.1$ Hz), 3.87 (3H, s), 3.84-3.75 (2H, m).

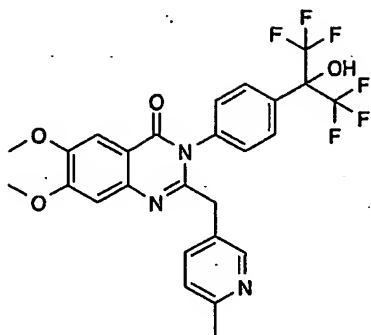
FABMS (m/z): 542 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 542.8573; found: 543.0896.

(Example 206)

6,7-dimethoxy-2-[(6-methyl-3-pyridyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-

2324)



The title compound was obtained as a pale orange powder (133 mg, yield: 15 %) from 4,5-dimethoxyanthranilic acid (316 mg, 1.60 mmol), 6-methyl-3-pyridylacetic acid hydrochloride (330 mg, 1.76 mmol) prepared according to the method described by Sperber et al. [Sperber et al., J. Am. Chem. Soc., 81, 704-709 (1959)], triphenyl phosphite (550 mg, 1.76 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (456 mg, 1.76 mmol) in a similar manner to that described in Example 1.

mp 267-272°C (dec.).

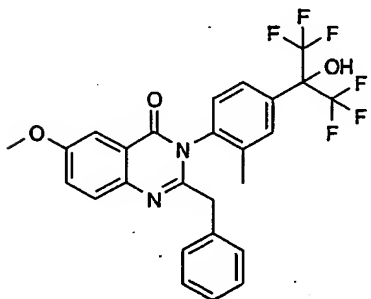
IR (KBr): ν_{\max} 3429, 1681, 1612, 1500, 1395, 1270, 1211, 939 cm^{-1} .

¹H-NMR (400MHz, DMSO-d₆): δ 8.95 (1H, s), 7.94 (1H, s), 7.76 (2H, d, J = 8.1 Hz), 7.45 (2H, d, J = 8.1 Hz), 7.39 (1H, s), 7.19 (1H, dd, J = 8.1, 2.2 Hz), 7.35-7.32 (1H, m), 7.10 (1H, s), 7.04 (1H, d, J = 7.3 Hz), 3.90 (3H, s), 3.84 (3H, s), 3.71 (2H, s), 2.38 (3H, s).

FABMS (m/z): 554 ($[M+H]^+$).

(Example 207)

2-Benzyl-6-methoxy-3-[2-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-559)



The title compound was obtained as a colorless solid (394 mg, yield: 25 %) from 5-methoxyanthranilic acid (558 mg, 3.34 mmol), phenylacetic acid (455 mg, 3.34 mmol), triphenyl phosphite (0.88 ml, 3.35 mmol) and 2-(4-amino-3-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (822 mg, 3.00 mmol) prepared as described in Example 65(1) above

in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 206 °C.

IR (KBr): ν_{\max} 1663, 1592, 1492, 1271, 1211, 971 cm^{-1} .

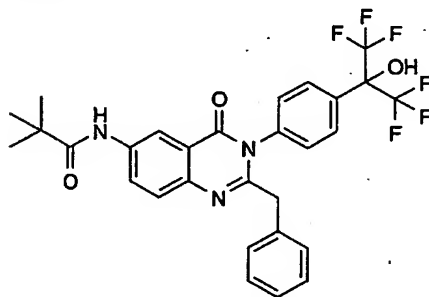
^1H -NMR (400MHz, DMSO- d_6): δ 8.89 (1H, s), 7.76 (1H, d, J = 8.8 Hz), 7.63 (1H, d, J = 8.8 Hz), 7.53-7.46 (4H, m), 7.18 (1H, t, J = 7.3 Hz), 7.09 (2H, t, J = 8.1 Hz), 6.65 (2H, d, J = 8.1 Hz), 3.88 (3H, s), 3.83 (2H, m), 1.50 (3H, s).

FABMS (m/z): 561 ($[\text{M}+\text{K}]^+$), 523 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{H}]^+$): 522.4391; found: 523.1454.

(Example 208)

2-Benzyl-6-[(2,2-dimethylpropanoyl)amino]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2325)



Pivaloyl chloride (0.10 ml, 0.81 mmol) was added dropwise at 0°C with stirring to a solution of 6-amino-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (400 mg, 0.81 mmol) prepared as described in Example 153 above and triethylamine (0.34 ml, 2.4 mmol) in dichloromethane (4 ml). The resulting reaction mixture was then stirred at room temperature for 1 hour. At the end of this time, the reaction mixture was poured into water and extracted with methylene chloride. The organic layer was dried over anhydrous sodium sulfate, and concentrated in vacuo. The residue thus obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as an eluant to yield the title compound as a colorless solid. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (375 mg, yield: 80%).

mp 235-236°C.

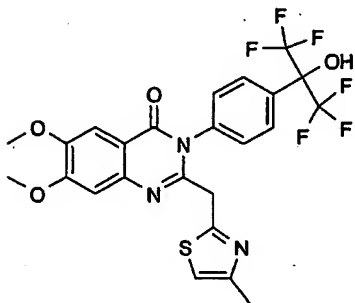
IR (KBr): ν_{\max} 3316, 1673, 1591, 1492, 1270, 1190, 934, 707 cm^{-1} .

^1H -NMR (400MHz, CDCl_3): δ 8.43-8.40 (1H, m), 7.99 (1H, d, J = 2.0 Hz), 7.80 (1H, d, J = 9.6 Hz), 7.69 (2H, d, J = 8.8 Hz), 7.58 (1H, s), 7.16-7.07 (3H, m), 6.97 (2H, d, J = 8.0 Hz), 6.69 (2H, d, J = 7.6 Hz), 4.06 (1H, s), 3.88 (2H, s), 1.36 (9H, s).

FABMS (m/z): 578 ([M+H]⁺).

(Example 209)

6,7-dimethoxy-2-[(4-methyl-1,3-thiazol-2-yl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2326)



A solution of a mixture of (4-methyl-1,3-thiazol-2-yl)acetic acid (141 mg, 0.90 mmol) prepared according to the method described by Erlenmeyer et al. [Erlenmeyer et al., *Helv. Chim. Acta.*, 31, 1342-1348 (1948)], and N,N'-carbonyldiimidazole (160 mg, 0.99 mmol) in acetonitrile (5 ml) was stirred at room temperature for 30 minutes. 4,5-Dimethoxyanthranilic acid (195 mg, 0.99 mmol) was then added, and the resulting mixture was stirred for 1 hour. At the end of this time, the formed precipitate was filtered. The precipitate thus obtained and triphenyl phosphite (0.19 ml, 0.74 mmol) were dissolved in pyridine (2 ml), and the resulting mixture was stirred at 100°C for 2 hours. At the end of this time, 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (259 mg, 1.00 mmol) was added, and the resulting mixture was stirred for 3 hours. The reaction mixture was then concentrated in vacuo and the residue thus obtained was recrystallized from hexane and ethyl acetate to yield the title compound as colorless prisms (56 mg, yield: 11%).

mp 282-284°C.

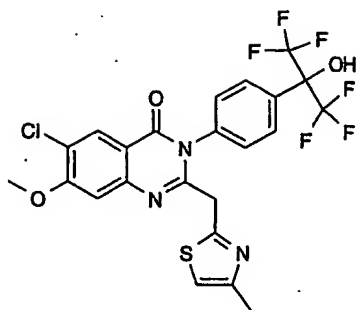
IR (KBr): ν_{\max} 1679, 1612, 1502, 1396, 1269, 1213, 1175, 935 cm⁻¹.

¹H-NMR (400MHz, DMSO-d₆): δ 8.90, (1H, s), 7.71 (2H, d, J = 8.4 Hz), 7.43 (1H, s), 7.38 (2H, d, J = 8.4), 7.21 (1H, s), 7.07 (1H, s), 4.15 (2H, s), 3.95 (3H, s), 3.88 (3H, s), 2.24 (3H, s).

FABMS (m/z): 560 ([M+H]⁺).

(Example 210)

6-Chloro-7-methoxy-2-[(4-methyl-1,3-thiazol-2-yl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2327)



The title compound was obtained as a colorless solid (45 mg, yield: 14 %) from (4-methyl-1,3-thiazol-2-yl)acetic acid (153 mg, 0.79 mmol), N,N'-carbonyldiimidazole (141 mg, 0.87 mmol), 5-chloro-4-methoxyanthranilic acid (141 mg, 0.87 mmol) prepared as described in Example 132(1) above, triphenyl phosphite (0.13 ml, 0.49 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (116 mg, 0.45 mmol) in a similar manner to that described in Example 209 above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 276-277°C.

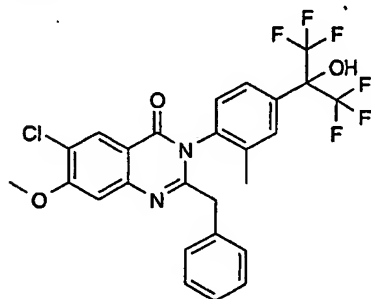
IR (KBr): ν_{\max} 1690, 1603, 1483, 1444, 1375, 1268, 1212, 1171, 937 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.92 (1H, s), 8.07 (1H, s), 7.73 (2H, d, $J = 8.1$ Hz), 7.42 (2H, d, $J = 8.1$ Hz), 7.36 (1H, s), 7.09 (1H, s), 4.18 (2H, s), 4.05 (3H, s), 2.25 (3H, s).

FABMS (m/z): 564 ($[\text{M}+\text{H}]^+$).

(Example 211)

2-Benzyl-6-chloro-7-methoxy-3-[2-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-571)



The title compound was obtained as a colorless solid (280 mg, yield: 55 %) from 5-chloro-4-methoxyanthranilic acid (190 mg, 0.942 mmol) prepared as described in Example 132(1) above, phenylacetic acid (129 mg, 0.947 mmol), triphenyl phosphite (0.25 ml, 0.95 mmol) and 2-(4-amino-3-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (249 mg, 0.911 mmol) prepared in Example 65(1) above in a similar manner to that described in Example 1.

This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless powder.

mp 257°C (dec.).

IR (KBr): ν_{\max} 1689, 1605, 1593, 1482, 1268, 1208, 970 cm^{-1} .

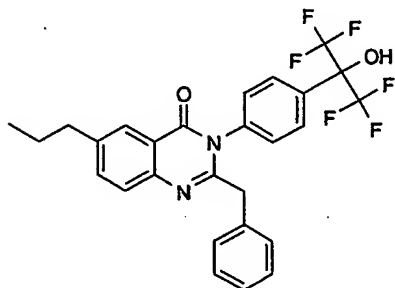
$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.87 (1H, s), 8.03 (1H, s), 7.62 (1H, d, $J = 8.1$ Hz), 7.48 (2H, d, $J = 8.1$ Hz), 7.41 (1H, s), 7.19-7.07 (3H, m), 6.66 (2H, d, $J = 7.3$ Hz), 4.04 (3H, s), 3.82-3.73 (2H, m), 1.50 (3H, s).

FABMS (m/z): 557 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{24}\text{H}_{17}\text{F}_6\text{N}_2\text{O}_2$ ($[\text{M}+\text{Na}]^+$): 579.8737; found: 579.0883.

(Example 212)

2-Benzyl-6-propyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2328)



The title compound was obtained as a colorless solid from 5-propylantranilic acid, phenylacetic acid and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol prepared in step (1) of Example 65 in a similar manner to that described in Example 1.

mp 182-183°C.

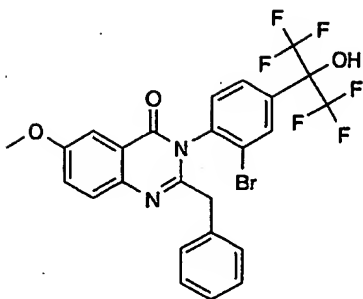
IR (KBr): ν_{\max} 3240, 1668, 1592, 1491, 1271, 1214, 1195, 968, 935, 709 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.08 (1H, d, $J = 2.0$ Hz), 7.75 (1H, d, $J = 8.0$ Hz), 7.70-7.62 (3H, m), 7.16-7.03 (3H, m), 6.89 (2H, d, $J = 8.8$ Hz), 6.68 (2H, d, $J = 7.2$ Hz), 3.89 (2H, s), 2.76 (2H, t, $J = 7.6$ Hz), 1.80-1.68 (2H, m), 0.98 (3H, t, $J = 7.4$ Hz).

FABMS (m/z): 521 ($[\text{M}+\text{H}]^+$).

(Example 213)

2-Benzyl-6-methoxy-3-[2-bromo-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-564)



(1) 2-(4-Amino-3-bromophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (2.94 g, yield: 14%) was obtained from o-bromoaniline (10.87 g, 63.2 mmol), hexafluoroacetone trihydrate (14.97 g, 68.0 mmol) and p-toluenesulfonic acid (272 mg, 1.43 mmol) in a similar manner to that described in Example 62(1) above.

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.76-7.75 (1H, m), 7.41 (1H, d, $J = 8.8$ Hz), 6.78 (1H, d, $J = 8.8$ Hz), 4.30 (2H, brs), 3.29 (1H, s).

(2) 2-Benzyl-6-methoxy-4H-3,1-benzoxazin-4-one (5.19 g, yield: 45%) was obtained from 5-methoxyanthranilic acid (7.29 g, 43.6 mmol) and phenylacetyl chloride (13.0 ml, 98.4 mmol) in a similar manner to that described in Example 201(1) above.

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.55-7.51 (2H, m), 7.43-7.26 (6H, m) 3.96 (2H, s), 3.89 (3H, s).

(3) The title compound was obtained as a colorless solid (545 mg, yield: 53 %) from 2-benzyl-6-methoxy-4H-3,1-benzoxazin-4-one (692 mg, 2.05 mmol) prepared as described in Example 213(2) above, triphenyl phosphite (635 mg, 2.05 mmol), and 2-(4-amino-3-bromophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (545 mg, 2.04 mmol) prepared as described

in Example 213(2) above in a similar manner to that described in Example 201(2) above. mp 214-214.5°C.

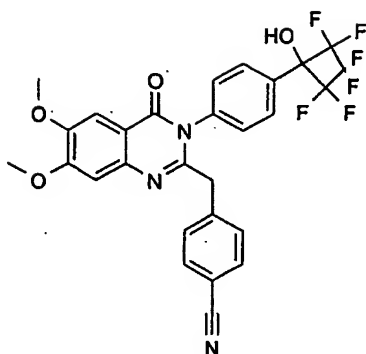
IR (KBr): ν_{max} 3090, 1645, 1615, 1491, 1371, 1285, 1195, 966 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 9.21 (1H, s), 7.91 (1H, s), 7.75-7.70 (2H, m), 7.57-7.50 (3H, m), 7.20-7.09 (3H, m), 6.73 (2H, d, $J = 7.3$ Hz), 3.89 (3H, s), 3.82 (1H, d, $J = 15.1$ Hz), 3.75 (1H, d, $J = 15.1$ Hz), 3.98 (3H, s), 3.87 (1H, d, $J = 14.7$ Hz), 3.76 (1H, d, $J = 14.7$ Hz), 2.50 (3H, s).

FABMS (m/z): 587 and 589 ($[\text{M}+\text{H}]^+$).

(Example 214)

2-(4-Cyanobenzyl)-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2329)



The title compound was obtained as a colorless solid (58 mg, yield: 30 %) from 2-[(4-bromophenyl)methyl]-6,7-dimethoxy-3-(4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl)-4(3H)-quinazolinone (211 mg, 0.34 mmol) prepared as described in Example 74 above, zinc cyanide (80 mg, 0.68 mmol) and tetrakis(triphenylphosphine)palladium(0) (39 mg, 0.034 mmol) in a similar manner to that described in Example 192 above. This product was recrystallized from acetonitrile to yield colorless prisms.

mp 274°C.

IR (KBr): ν_{\max} 3297, 2236, 1677, 1612, 1502, 1397, 1272, 1210, 1174 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.90 (1H, s), 7.70 (2H, d, $J = 8.8$ Hz), 7.58 (2H, d, $J = 8.0$ Hz), 7.42 (1H, s), 7.38 (2H, d, $J = 8.8$ Hz), 7.17 (1H, s), 7.03 (2H, d, $J = 8.0$ Hz), 3.93 (3H, s), 3.91 (1H, s), 3.87 (3H, s).

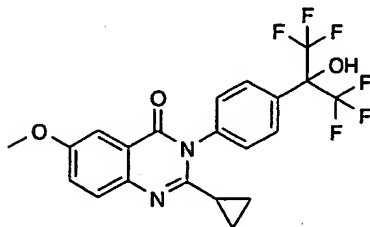
FABMS (m/z): 564 ($[\text{M}+\text{H}]^+$).

FABHRMS (m/z): calcd. for $\text{C}_{27}\text{H}_{19}\text{F}_6\text{N}_3\text{O}_4$ ($[\text{M}+\text{Na}]^+$): 586.1177; found: 586.1158.

Anal. calcd. for $\text{C}_{27}\text{H}_{19}\text{F}_6\text{N}_3\text{O}_4$: C, 57.56; H, 3.40; N, 7.46; found: C, 57.29; H, 3.32; N, 7.36.

(Example 215)

2-Cyclopropyl-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-553)



The title compound was obtained as an off-white power (180 mg, yield: 20 %) from 5-methoxyanthranilic acid (330 mg, 1.97 mmol), cyclopropanecarboxylic acid (170 mg, 1.97 mmol), triphenyl phosphite (620 mg, 1.97 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (500 mg, 1.97 mmol) in a similar manner to that described in

Example 1. This product was recrystallized from a mixed solvent of diisopropyl ether and methanol to yield an off-white powder.

mp 283-284°C.

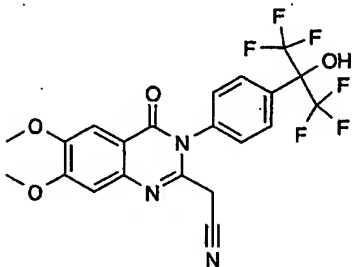
IR (KBr): ν_{\max} 3244, 1680, 1590, 1493, 1366, 1212, 1368, 1177, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.98 (1H, br), 7.89 (2H, d, $J = 8.8$ Hz), 7.66 (2H, d, $J = 8.8$ Hz), 7.55 (1H, d, $J = 8.8$ Hz), 7.46-7.41 (2H, m), 3.85 (3H, s), 1.30-1.23 (1H, m), 1.13-1.09 (2H, m), 0.81-0.76 (2H, m).

FABMS (m/z): 459 ($[\text{M}+\text{H}]^+$).

(Example 216)

2-Cyanomethyl-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2330)



The title compound was obtained as a colorless powder (456 mg, yield: 37 %) from 4,5-dimethoxyanthranilic acid (503 mg, 2.55 mmol), cyanoacetic acid (228 mg, 2.68 mmol), triphenyl phosphite (0.73 ml, 2.81 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (661 mg, 2.55 mmol) in a similar manner to that described in Example 1.

mp 328-330°C.

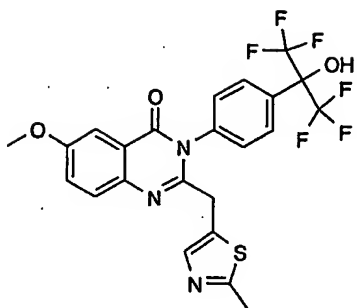
IR (KBr): ν_{\max} 3417, 2266, 1682, 1613, 1502, 1397, 1271, 1211, 1175, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.96 (1H, s), 7.87 (2H, d, $J = 8.8$ Hz), 7.63 (2H, d, $J = 8.8$ Hz), 7.43 (1H, s), 7.23 (1H, s), 3.97 (3H, s), 3.88 (3H, s), 3.86 (2H, s).

FABMS (m/z): 488 ($[\text{M}+\text{H}]^+$).

(Example 217)

6-Methoxy-2-[(2-methyl-1,3-thiazol-5-yl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2331)



The title compound was obtained as a colorless powder (162 mg, yield: 47 %) from 5-methoxyanthranilic acid (108 mg, 0.65 mmol), (2-methyl-1,3-thiazol-5-yl)acetic acid (107 mg, 0.68 mmol), which was prepared according to the method described in FR2334353 (1976), DE2605838 (1977), or JP (kokai) Shou-51-105056 (1976), triphenyl phosphite (0.185 ml, 0.71 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (167 mg, 0.65 mmol) in a similar manner to that described in Example 1.

mp 223-224°C.

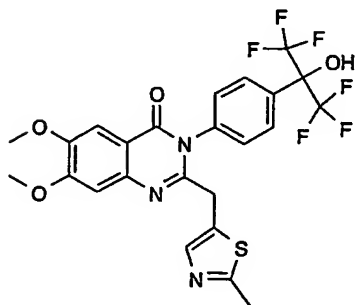
IR (KBr): ν_{\max} 1676, 1616, 1592, 1491, 1366, 1270, 1212, 1186, 938 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.90 (2H, d, $J = 8.4$ Hz), 7.71 (1H, d, $J = 8.8$ Hz), 7.64 (1H, d, $J = 2.9$ Hz), 7.42 (1H, dd, $J = 2.9, 8.8$ Hz), 7.19 (2H, d, $J = 8.4$ Hz), 6.81 (1H, s), 6.70 (1H, s), 3.97 (2H, s), 3.92 (3H, s), 2.63 (3H, s).

FABMS (m/z): 530 ($[\text{M}+\text{H}]^+$).

(Example 218)

5,6-Dimethoxy-2-[(2-methyl-1,3-thiazol-5-yl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2332)



The title compound was obtained as a colorless powder (61 mg, yield: 20 %) from 4,5-dimethoxyanthranilic acid (107 mg, 0.54 mmol), (2-methyl-1,3-thiazol-5-yl)acetic acid (90 mg, 0.57 mmol), which was prepared according to the method described in FR2334353 (1976), DE2605838 (1977), or JP (kokai) Shou-51-105056 (1976), triphenyl phosphite

(0.156 ml, 0.60 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (140 mg, 0.54 mmol) in a similar manner to that described in Example 1.

mp 246-248°C.

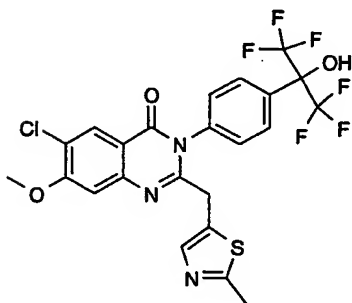
IR (KBr): ν_{\max} 1682, 1612, 1595, 1501, 1396, 1270, 1212, 938 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.88 (2H, d, $J = 8.4$ Hz), 7.59 (1H, s), 7.19 (2H, d, $J = 8.4$ Hz), 7.17 (1H, s), 6.73 (1H, s), 6.22 (1H, s), 4.06 (3H, s), 4.00 (3H, s), 3.96 (2H, s), 2.63 (3H, s).

FABMS (m/z): 560 ($[\text{M}+\text{H}]^+$).

(Example 219)

5-Chloro-6-methoxy-2-[(2-methyl-1,3-thiazol-5-yl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2333)



The title compound was obtained as a colorless powder (165 mg, yield: 54 %) from 5-chloro-4-methoxyanthranilic acid (109 mg, 0.54 mmol) prepared as described in Example 132(1) above, (2-methyl-1,3-thiazol-5-yl)acetic acid (89 mg, 0.57 mmol), which was prepared according to the method described in FR2334353 (1976), DE2605838 (1977), or JP (kokai) Shou-51-105056 (1976), triphenyl phosphite (0.155 ml, 0.60 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (140 mg, 0.54 mmol) in a similar manner to that described in Example 1.

mp 273-276°C.

IR (KBr): ν_{\max} 1696, 1604, 1482, 1444, 1374, 1268, 1213, 1170, 939 cm^{-1} .

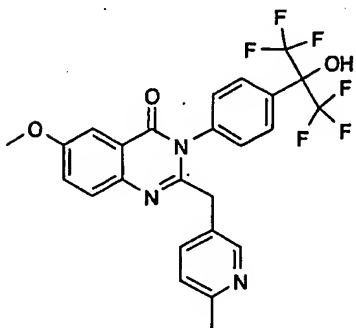
$^1\text{H-NMR}$ (400MHz, $\text{CDCl}_3 + \text{DMSO-d}_6$): δ 8.19 (1H, s), 8.15 (1H, s), 7.92 (2H, d, $J = 8.8$ Hz), 7.23 (2H, d, $J = 8.8$ Hz), 7.20 (1H, s), 6.93 (1H, s), 4.07 (3H, s), 3.95 (2H, s), 2.63 (3H, s).

FABMS (m/z): 564 ($[\text{M}+\text{H}]^+$).

(Example 220)

6-Methoxy-2-[(6-methyl-3-pyridyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2334)



The title compound was obtained as a colorless powder (42 mg, yield: 12 %) from 5-methoxyanthranilic acid (115 mg, 0.69 mmol), 6-methyl-3-pyridylacetic acid (104 mg, 0.69 mmol) prepared according to the method described by Sperber et al. [Sperber et al., J. Am. Chem. Soc., 81, 704-709 (1959)], triphenyl phosphite (0.197 ml, 0.76 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (178 mg, 0.69 mmol) in a similar manner to that described in Example 1.

mp 205-208°C.

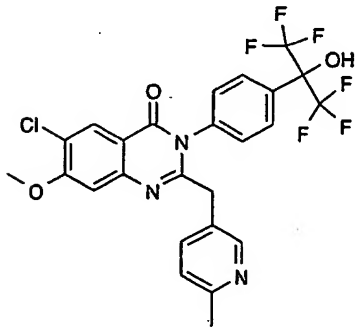
IR (KBr): ν_{\max} 1683, 1617, 1594, 1491, 1274, 1215, 1191, 939 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.40 (1H, s), 7.90 (2H, d, $J = 8.8$ Hz), 7.72 (1H, d, $J = 8.8$ Hz), 7.64-7.60 (2H, m), 7.49 (1H, dd, $J = 8.1, 2.1$, Hz), 7.42 (1H, dd, $J = 8.8, 2.9$ Hz), 7.10-7.02 (3H, m), 3.92 (3H, s), 3.80 (2H, s), 2.52 (3H, s).

FABMS (m/z): 524 ($[\text{M}+\text{H}]^+$).

(Example 221)

6-Chloro-7-methoxy-2-[(6-methyl-3-pyridyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-2335)



The title compound was obtained as a colorless powder (62 mg, yield: 23 %) from 5-chloro-4-methoxyanthranilic acid (99 mg, 0.49 mmol) prepared in Example 132(1) above,

6-methyl-3-pyridylacetic acid (74 mg, 0.49 mmol) prepared according to the method described by Sperber et al. [Sperber et al., J. Am. Chem. Soc., 81, 704-709 (1959)], triphenyl phosphite (0.140 ml, 0.54 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (127 mg, 0.49 mmol) in a similar manner to that described in Example 1.

mp 252°C (dec.).

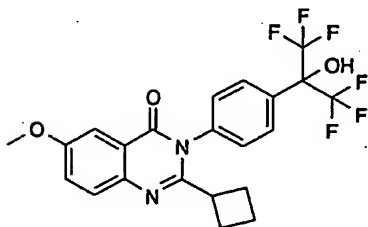
IR (KBr): ν_{\max} 1683, 1598, 1482, 1444, 1373, 1266, 1211, 1178, 940 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.95 (1H, bs), 8.04 (1H, s), 8.00 (1H, d, $J = 1.5$ Hz), 7.79 (2H, d, $J = 8.8$ Hz), 7.51 (2H, d, $J = 8.8$ Hz), 7.26 (1H, s), 7.24 (1H, dd, $J = 8.1, 1.5$ Hz), 7.07 (1H, d, $J = 8.1$ Hz), 4.02 (3H, s), 3.74 (2H, s), 2.40 (3H, s).

FABMS (m/z): 558 ($[\text{M}+\text{H}]^+$).

(Example 222)

2-Cyclobutyl-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-556)



The title compound was obtained as a colorless solid (220 mg, yield: 39 %) from 5-methoxyanthranilic acid (200 mg, 1.20 mmol), cyclobutanecarboxylic acid (120 mg, 1.20 mmol), triphenyl phosphite (370 mg, 1.20 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (310 mg, 1.20 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of diisopropyl ether and methanol to yield a colorless powder.

mp 245-246°C.

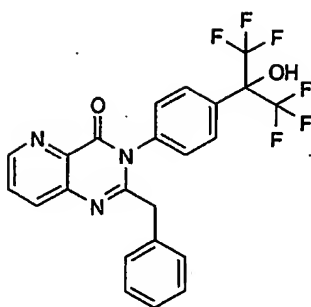
IR (KBr): ν_{\max} 3266, 2973, 2950, 1647, 1591, 1492, 1368, 1217, 935 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.98 (1H, brs), 7.84 (2H, d, $J = 8.8$ Hz), 7.70-7.68 (1H, m), 7.57 (2H, d, $J = 7.8$ Hz), 7.57-7.46 (2H, m), 3.87 (3H, s), 3.27-3.20 (1H, m), 2.39-2.33 (2H, m), 1.71-1.57 (4H, m).

FABMS (m/z): 473 ($[\text{M}+\text{H}]^+$).

(Example 223)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]pyrido[3,2-d]pyrimidin-4(3H)-one



The title compound was obtained as an off-white powder (22 mg, yield: 20 %) from 3-amino-2-pyridinecarboxylic acid (32 mg, 0.23 mmol), phenylacetic acid (31 mg, 0.23 mmol), triphenyl phosphite (72 mg, 0.23 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (59 mg, 0.23 mmol) in a similar manner to that described in Example 1.

mp 238-240°C (dec.).

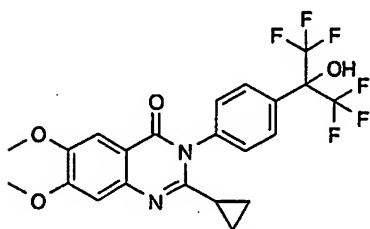
IR (KBr): ν_{\max} 3088, 1701, 1582, 1434, 1268, 1191, 937, 710 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.95 (1H, brs), 8.83-8.82 (1H, m), 8.16 (1H, d, $J = 6.8$ Hz), 7.89-7.86 (1H, m), 7.70 (2H, d, $J = 8.8$ Hz), 7.35 (2H, d, $J = 8.8$ Hz), 7.18-7.10 (3H, m), 6.78 (2H, d, $J = 6.8$ Hz), 3.85 (3H, s).

FABMS (m/z): 480 ($[\text{M}+\text{H}]^+$).

(Example 224)

2-Cyclopropyl-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 2-373)



The title compound was obtained as an off-white powder (240 mg, yield: 26 %) from 4,5-dimethoxyanthranilic acid (380 mg, 1.97 mmol), cyclopropanecarboxylic acid (170 mg, 1.97 mmol), triphenyl phosphite (620 mg, 1.97 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (500 mg, 1.97 mmol) in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of diisopropyl ether and methanol to yield an off-white powder.

mp 283-284°C.

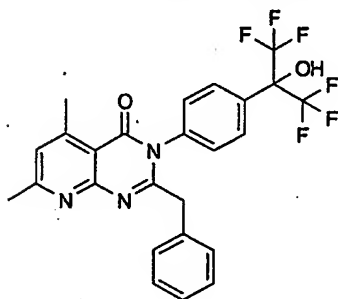
IR (KBr): ν_{\max} 3223, 1669, 1499, 1430, 1211, 1212, 1368, 933 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.98 (1H, brs), 7.88 (2H, d, $J = 8.1$ Hz), 7.63 (2H, d, $J = 8.8$ Hz), 7.38 (1H, s), 7.05 (1H, s), 3.92 (3H, s), 3.85 (3H, s), 1.29-1.23 (1H, m), 1.13-1.10 (2H, m), 0.82-0.77 (2H, m).

FABMS (m/z): 489 ($[\text{M}+\text{H}]^+$).

(Example 225)

2-Benzyl-5,7-dimethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]pyrido[2,3-d]pyrimidin-4(3H)-one



The title compound was obtained as a colorless powder (86 mg, yield: 18 %) from 2-amino-4,6-dimethylnicotinic acid (160 mg, 0.96 mmol), phenylacetic acid (131 mg, 0.96 mmol), triphenyl phosphite (300 mg, 0.96 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (250 mg, 0.96 mmol) in a similar manner to that described in Example 1. This product was further purified by reverse phase preparative thin layer chromatography using a 3:1 by volume mixture of acetonitrile and water as the solvent to yield a colorless powder. mp 128-130°C (dec.).

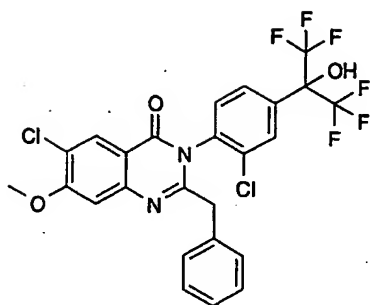
IR (KBr): ν_{max} 3370, 1690, 1594, 1271, 1214, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.92 (1H, s), 7.71 (2H, d, $J = 8.8$ Hz), 7.36 (2H, d, $J = 8.8$ Hz), 7.25 (1H, s), 7.20-7.12 (3H, m), 6.82 (2H, d, $J = 6.8$ Hz), 3.81 (2H, s), 2.68 (3H, s), 2.56 (3H, s).

FABMS (m/z): 508 ($[\text{M}+\text{H}]^+$).

(Example 226)

2-Benzyl-6-chloro-7-methoxy-3-[2-chloro-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-575)



The title compound was obtained as a colorless solid (199 mg, yield: 51 %) from 5-chloro-4-methoxyanthranilic acid (144 mg, 0.71 mmol) prepared as described in Example 132(1) above, phenylacetic acid (97 mg, 0.71 mmol), triphenyl phosphite (0.19 ml, 0.72 mmol) and 2-(4-amino-3-chlorophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (190 mg, 0.65 mmol) prepared as described in Example 67(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless powder.

mp 267°C (dec.).

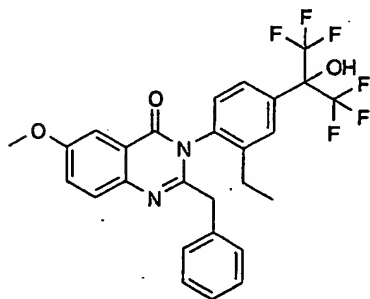
IR (KBr): ν_{\max} 3034, 1700, 1606, 1592, 1484, 1267, 1202, 971 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 9.20 (1H, s), 8.04 (1H, s), 7.72-7.60 (3H, m), 7.41 (1H, s), 7.15 (1H, t, $J = 7.3$ Hz), 7.09 (2H, t, $J = 7.3$ Hz), 6.71 (2H, d, $J = 7.3$ Hz), 4.04 (3H, s), 3.81 (2H, m).

FABMS (m/z): 577 ($[\text{M}+\text{H}]^+$).

(Example 227)

2-Benzyl-6-methoxy-3-[2-ethyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-560)



(1) 2-(4-Amino-3-ethylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (3.31 g, yield: 45%) was obtained as a colorless powder from 2-ethylaniline (3.12 g, 25.7 mmol), hexafluoroacetone trihydrate (3.60 ml, 25.8 mmol) and p-toluenesulfonic acid (127 mg, 0.668 mmol) in a similar manner to that described in Example 62(1) above.

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.36-7.32 (2H, m), 6.70 (1H, d, $J = 8.1$ Hz), 3.80 (2H, brs),

3.24 (1H, brs), 2.53 (2H, q, $J = 7.3$ Hz), 1.26 (3H, t, $J = 7.3$ Hz).

EIMS (m/z): 287 (M^+).

(2) The title compound was obtained as a colorless solid (322 mg, yield: 33 %) from 2-benzyl-6-methoxy-4H-3,1-benzoxazin-4-one (482 mg, 1.80 mmol) prepared as described in Example 213(2) above, triphenyl phosphite (0.47 ml, 1.80 mmol) and 2-(4-amino-3-ethylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (466 mg, 1.60 mmol) described above in a similar manner to that described in Example 201(2) above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms. mp 195-196°C.

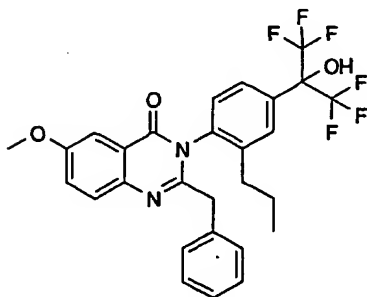
IR (KBr): ν_{\max} 3236, 1652, 1592, 1493, 1368, 1272, 1210 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.89 (1H, s), 7.73 (1H, d, $J = 9.5$ Hz), 7.60 (1H, d, $J = 8.1$ Hz), 7.53 (1H, s), 7.50-7.47 (2H, m), 7.42 (1H, d, $J = 8.8$ Hz), 7.15 (1H, t, $J = 7.3$ Hz), 7.07 (2H, t, $J = 7.3$ Hz), 6.63 (2H, d, $J = 8.1$ Hz), 3.91 (3H, s), 3.81-3.73 (2H, m), 1.99-1.91 (1H, m), 1.67-1.59 (1H, m), 0.79 (3H, t, $J = 7.3$ Hz).

FABMS (m/z): 537 ($[M+H]^+$).

(Example 228)

2-Benzyl-6-methoxy-3-[2-(1-propyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-561)



(1) 2-[4-Amino-3-(1-propyl)phenyl]-1,1,1,3,3,3-hexafluoro-2-propanol (2.52 g, yield: 38%) was obtained as a reddish powder from 2-(1-propyl)aniline (3.01 g, 22.3 mmol), hexafluoroacetone trihydrate (3.10 ml, 22.3 mmol) and p-toluenesulfonic acid (122 mg, 0.641 mmol) in a similar manner to that described in Example 62(1) above.

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.34-7.31 (2H, m), 6.69 (1H, d, $J = 8.1$ Hz), 3.80 (2H, brs), 3.22 (1H, brs), 2.49 (2H, t, $J = 7.3$ Hz), 1.66 (2H, hextet, $J = 7.3$ Hz), 0.99 (3H, t, $J = 7.3$ Hz).

EIMS (m/z): 301 (M^+).

(2) The title compound was obtained as a colorless solid (390 mg, yield: 37 %) from 5-methoxyanthranilic acid (352 mg, 2.10 mmol), phenylacetic acid (287 mg, 2.10 mmol),

triphenyl phosphite (0.55 ml, 2.10 mmol) and 2-[4-amino-3-(1-propyl)phenyl]-1,1,1,3,3,3-hexafluoro-2-propanol (571 mg, 1.90 mmol) prepared as described in Example 228(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.
mp 214°C.

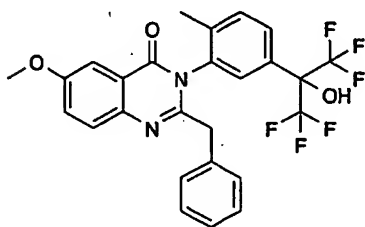
IR (KBr): ν_{\max} 3235, 1657, 1592, 1492, 1369, 1267, 1209 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.92 (1H, s), 7.76 (1H, d, $J = 8.7$ Hz), 7.61 (1H, d, $J = 8.1$ Hz), 7.55-7.49 (3H, m), 7.40 (1H, d, $J = 8.1$ Hz), 7.18 (1H, t, $J = 7.3$ Hz), 7.10 (2H, t, $J = 7.3$ Hz), 6.67 (2H, d, $J = 7.3$ Hz), 3.89 (3H, s), 3.78 (2H, s), 1.99-1.93 (1H, m), 1.66-1.64 (1H, m), 1.39-1.36 (1H, m), 1.18-1.11 (1H, m), 0.66 (3H, t, $J = 7.3$ Hz).

FABMS (m/z): 551 ($[\text{M}+\text{H}]^+$).

(Example 229)

2-Benzyl-6-methoxy-3-[2-methyl-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-577)



(1) Fuming nitric acid (10 ml) was added dropwise over a 5 minute period to a mixture of 2-(p-tolyl)-1,1,1,3,3,3-hexafluoro-2-propanol (13.95 g, 54.0 mmol) and conc. sulfuric acid (30 ml) under ice-water bath cooling, and the resulting mixture was stirred at 0°C for 30 minutes and at room temperature for 90 minutes. The reaction mixture was then poured into ice-water and the formed precipitate was filtered. The solid thus obtained was rinsed several times with cold water and then n-hexane. The solid was dried in vacuo to yield a yellow powder (16.3g). 10% Palladium on carbon [50% (w/w) wet type, 1.70 g] was added to a solution of the obtained product in ethanol (100 ml) and the resulting mixture was stirred vigorously at room temperature for 5 hours under a hydrogen atmosphere. At the end of this time, the catalyst was removed by filtration, and the filtrate was concentrated. The light brown residue thus obtained was purified by silica gel column chromatography to yield 2-(3-amino-4-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (2.69 g, yield: 18%) as light brown prisms from the less polar fractions using a 1:1 by volume mixture of n-hexane and ethyl acetate as the eluant, and 2-(3,5-diamino-4-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (8.65 g, yield: 56%) as colorless needles from the more polar fractions using ethyl acetate as the eluant.

2-(3-amino-4-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol:

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.29 (1H, s), 7.00 (1H, d, $J = 8.1$ Hz), 6.96 (1H, s), 6.75-6.73 (1H, m), 5.07 (2H, brs), 2.06 (3H, s).

EIMS (m/z): 273 (M^+).

2-(3,5-diamino-4-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol:

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.03 (1H, s), 6.28 (2H, s), 4.74 (4H, s), 1.80 (3H, s).

EIMS (m/z): 288 (M^+).

(2) The title compound was obtained as a colorless solid (390 mg, yield: 47 %) from 2-benzyl-6-methoxy-4H-3,1-benzoxazin-4-one (427 mg, 1.60 mmol) prepared as described in Example 213(2) above, triphenyl phosphite (0.42 ml, 1.60 mmol) and 2-(3-amino-4-methylphenyl)-1,1,1,3,3,3-hexafluoro-propan-2-ol (433 mg, 1.60 mmol) prepared as described in Example 229(1) above in a similar manner to that described in Example 201(2) above. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

m.p. 162°C

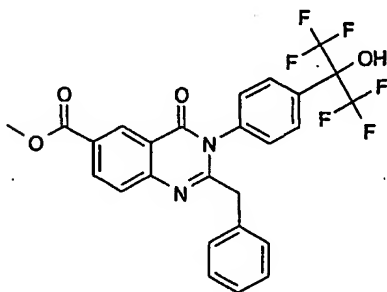
IR (KBr): ν_{max} 3250, 1666, 1594, 1467, 1369, 1270, 1203 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.79 (1H, s), 7.65-7.62 (2H, m), 7.59 (1H, d, $J = 8.8$ Hz), 7.41-7.38 (2H, m), 7.24 (1H, d, $J = 8.1$ Hz), 7.07-7.01 (3H, m), 6.59 (2H, d, $J = 6.6$ Hz), 3.79-3.58 (5H, m), 1.35 (3H, s).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$), 561 ($[\text{M}+\text{K}]^+$).

(Example 230)

Methyl 2-benzyl-4-oxo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-3,4-dihydro-6-quinazolinecarboxylate (Exemplification compound number 3-2336)



2-Benzyl-6-iodo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (302 mg, 0.50 mmol) prepared as described in Example 189 above, palladium (II) acetate (11 mg, 0.05 mmol) and triphenylphosphine (26 mg, 0.10 mmol) were placed in a dried two necked flask under nitrogen atmosphere. *N,N*-Dimethylformamide (2 ml), triethylamine (0.14 ml, 1.00 mmol) and methanol (0.41 ml, 10.0 mmol) were then added. The resulting mixture was then stirred at 60°C for 2.5 hours under a carbon

monoxide atmosphere. At the end of this time, water was added to the reaction mixture, and the mixture was extracted with ethyl acetate. The combined organic layers were washed with 1N hydrochloric acid and a saturated aqueous sodium chloride solution, and then passed through a short pad of anhydrous sodium sulfate. The solution was concentrated in vacuo, and the residue thus obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as the eluant followed by high pressure liquid chromatography (HPLC) to yield the title compound as a colorless solid (147 mg, yield: 55 %).

mp 227-228°C.

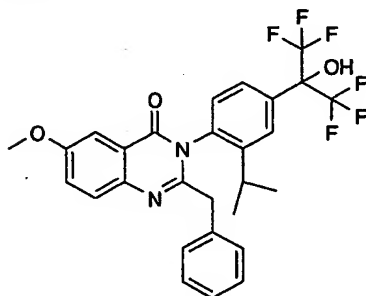
IR (KBr): ν_{\max} 3261, 1714, 1666, 1590, 1272, 1197, 935, 708 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, $\text{CDCl}_3 + \text{CD}_3\text{OD}$): δ 8.92 (1H, d, $J = 2.0$ Hz), 8.45 (1H, dd, $J = 8.8, 2.0$ Hz), 7.86 (1H, d, $J = 8.8$ Hz), 7.75 (2H, d, $J = 8.0$ Hz), 7.21-7.08 (3H, m), 6.97 (2H, d, $J = 8.8$ Hz), 6.72 (2H, d, $J = 8.0$ Hz), 3.98 (3H, s), 3.95 (2H, s).

FABMS (m/z): 537 ($[\text{M}+\text{H}]^+$).

(Example 231)

2-Benzyl-6-methoxy-3-[2-isopropyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-562)



(1) 2-(4-Amino-3-isopropylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (5.65 g, yield: 50%) was obtained as a colorless powder from 2-isopropylaniline (5.05 g, 37.3 mmol), hexafluoroacetone trihydrate (5.5 ml, 39.5 mmol) and p-toluenesulfonic acid (134 mg, 0.704 mmol) in a similar manner to that described in Example 62(1) above.

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.43 (1H, s), 7.32-7.28 (1H, m), 6.69 (1H, d, $J = 8.8$ Hz), 4.20-3.00 (3H, br), 2.94-2.84 (1H, m), 1.27 (6H, d, $J = 6.6$ Hz).

EIMS (m/z): 301 (M^+).

(2) The title compound was obtained as a colorless solid (148 mg, yield: 20 %) from 5-methoxyanthranilic acid (251 mg, 1.50 mmol), phenylacetic acid (206 mg, 1.50 mmol), triphenyl phosphite (0.40 ml, 1.50 mmol) and 2-(4-amino-3-isopropylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (411 mg, 1.40 mmol) prepared as described in Example 231(1)

above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 172°C.

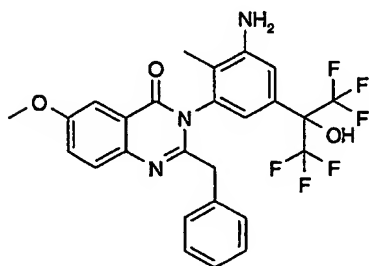
IR (KBr): ν_{\max} 3284, 1654, 1592, 1493, 1368, 1267, 1211 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.93 (1H, s), 7.74-7.71 (2H, m), 7.52-7.49 (3H, m), 7.26 (2H, d, $J = 8.1$ Hz), 7.18-7.11 (2H, m), 6.72 (2H, d, $J = 6.6$ Hz), 3.89 (3H, s), 3.82-3.63 (2H, m), 2.45-2.39 (1H, m), 1.00 (3H, d, $J = 6.6$ Hz), 0.78 (3H, d, $J = 7.3$ Hz).

FABMS (m/z): 551 ($[\text{M}+\text{H}]^+$).

(Example 232)

2-Benzyl-6-methoxy-3-[3-amino-2-methyl-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)-ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-578)



The title compound was obtained as a colorless solid (299 mg, yield: 38%) from 2-benzyl-6-methoxy-4H-3,1-benzoxazin-4-one (391 mg, 1.50 mmol) prepared as described in Example 213(2) above, triphenyl phosphite (0.39 ml, 1.50 mmol) and 2-(3,5-diamino-4-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (420 mg, 1.50 mmol) prepared as described in Example 229(1) above in a similar manner to that described in Example 201(2) above. This product was recrystallized from a mixture of hexane and ethyl acetate to yield colorless prisms.

m.p. 208°C

IR (KBr): ν_{\max} 3373, 1692, 1675, 1493, 1369, 1269, 1205, 1172 cm^{-1} .

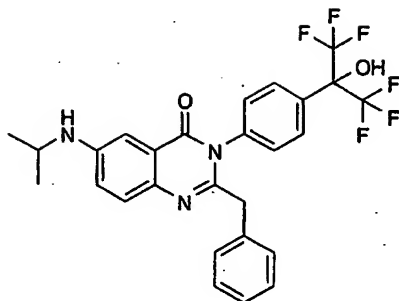
$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.47 (1H, s), 7.60 (1H, d, $J = 9.5$ Hz), 7.39-7.36 (2H, m), 7.07-7.05 (3H, m), 7.02 (1H, s), 6.78-6.67 (3H, m), 5.22 (2H, s), 3.77 (3H, s), 3.76-3.62 (2H, m), 1.04 (3H, s).

FABMS (m/z): 538 ($[\text{M}+\text{H}]^+$), 576 ($[\text{M}+\text{K}]^+$).

(Example 233)

2-Benzyl-6-isopropylamino-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 3-

2337)



A solution of a mixture of 2-benzyl-6-iodo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (1.20 g, 2.00 mmol) prepared as described in Example 189 above, isopropylamine (591 mg, 10.0 mmol), potassium phosphate (850 mg, 4.00 mmol), ethylene glycol (0.22 ml, 4.00 mmol) and copper (I) iodide (40 mg, 0.20 mmol) in 2-propanol (5 ml) was stirred at 80°C for 12 hours. Saturated aqueous sodium hydrogen carbonate solution was then added to the reaction mixture, and the mixture was extracted with ethyl acetate. The combined organic layers were washed with a saturated aqueous sodium chloride solution. The combined organic layers were then passed through short pads of anhydrous sodium sulfate and silica gel successively, and concentrated in vacuo. The residue thus obtained was purified by silica gel column chromatography using a 2:1 by volume mixture of hexane and ethyl acetate as the eluant to yield the title compound as a colorless solid (161 mg, yield: 15 %).

mp 119-120°C.

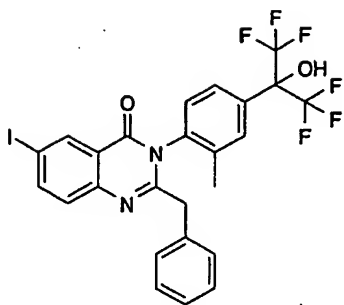
IR (KBr): ν_{\max} 3282, 1664, 1509, 1383, 1268, 1214, 935, 707 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.85 (1H, s), 7.65 (2H, d, $J = 8.8$ Hz), 7.50 (1H, d, $J = 8.4$ Hz), 7.24-7.05 (7H, m), 6.69 (2H, d, $J = 7.2$ Hz), 6.07 (1H, d, $J = 8.0$ Hz), 3.77 (2H, s), 3.64-3.58 (1H, m), 1.17 (6H, d, $J = 5.6$ Hz).

FABMS (m/z): 536 ($[\text{M}+\text{H}]^+$).

(Example 234)

2-Benzyl-6-iodo-3-[2-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 7-579)



The title compound was obtained as a colorless solid from 5-iodoanthranilic acid (5.80 g, 22.0 mmol), phenylacetic acid (3.00 g, 22.0 mmol), triphenyl phosphite (5.80 ml, 22.0 mmol) and 2-(4-amino-3-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (5.00 g, 18.3 mmol) prepared as described in Example 65(1) above in a similar manner to that described in Example 1. This product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms (1.72g, yield: 15%).

mp 176-177°C.

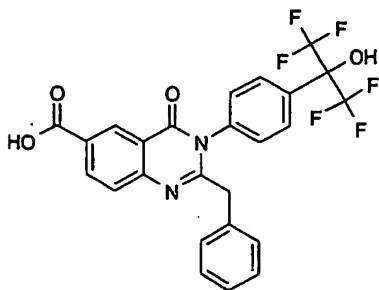
IR (KBr): ν_{\max} 3280, 1672, 1591, 1465, 1270, 1210, 971, 715 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, DMSO-d_6): δ 8.90 (1H, s), 8.39 (1H, d, $J = 2.4$ Hz), 8.21-8.18 (1H, m), 7.64-7.49 (4H, m), 7.21-7.07 (3H, m), 6.66 (2H, d, $J = 7.6$ Hz), 3.85-3.75 (2H, m), 1.51 (3H, s).

FABMS (m/z): 619 ($[\text{M}+\text{H}]^+$).

(Example 235)

2-Benzyl-4-oxo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-3,4-dihydro-6-quinazolinecarboxylic acid (Exemplification compound number 3-2338)



The title compound was obtained as a colorless solid (129 mg, yield: 29 %) from 2-benzyl-6-iodo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (518 mg, 0.86 mmol) prepared as described in Example 189 above, palladium (II) acetate (19 mg, 0.086 mmol), triphenylphosphine (45 mg, 0.17 mmol), triethylamine (0.24 ml, 1.70 mmol) and water (0.31 ml, 17.0 mmol) in a similar manner to that described in Example 230 above.

mp 267-268°C.

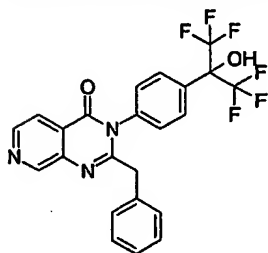
IR (KBr): ν_{\max} 3393, 1699, 1590, 1562, 1270, 1217, 934, 709 cm^{-1} .

$^1\text{H-NMR}$ (500MHz, DMSO-d_6): δ 8.95 (1H, s), 8.63 (1H, s), 8.32 (1H, d, $J = 7.0$ Hz), 7.79 (1H, d, $J = 8.5$ Hz), 7.68 (2H, d, $J = 8.5$ Hz), 7.34 (2H, d, $J = 8.5$ Hz), 7.18-7.06 (3H, m), 6.76 (2H, d, $J = 7.0$ Hz), 3.85 (2H, s).

FABMS (m/z): 523 ($[\text{M}+\text{H}]^+$).

(Example 236)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]pyrido[3,4-d]pyrimidin-4(3H)-one



The title compound was obtained as a colorless solid (216 mg, yield: 47 %) from 3-aminoisonicotinic acid (122 mg, 0.96 mmol), phenylacetic acid (131 mg, 0.96 mmol), triphenyl phosphite (300 mg, 0.96 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (250 mg, 0.96 mmol) in a similar manner to that described in Example 1. The resulting product was recrystallized from a mixed solvent of methanol and ethyl acetate to yield colorless prisms.

mp 261-262°C.

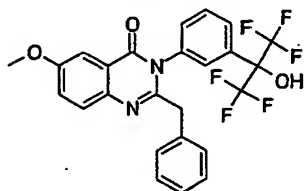
IR (KBr): ν_{\max} 3393, 3065, 3031, 1690, 1590, 1421, 1269, 1214, 1190, 938 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 9.13 (1H, s), 8.93 (1H, s), 8.72 (1H, d, $J = 5.1$ Hz), 7.97 (1H, d, $J = 5.1$ Hz), 7.70 (2H, d, $J = 8.1$ Hz), 7.35 (2H, d, $J = 8.1$ Hz), 7.19-7.08 (3H, m), 6.78 (2H, d, $J = 8.8$ Hz), 3.88 (2H, s).

FABMS (m/z): 480 ($[\text{M}+\text{H}]^+$).

(Example 237)

2-Benzyl-6-methoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification compound number 8-504)



The title compound was obtained as a colorless solid (329 mg, yield: 94 %) from 2-

benzyl-6-methoxy-4H-3,1-benzoxazin-4-one (185 mg, 0.692 mmol) prepared as described in Example 213(2) above, triphenyl phosphite (215 mg, 0.693 mmol) and 2-(3-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (179 mg, 0.691 mmol) in a similar manner to that described in Example 201(2) above. The resulting product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless needles.
mp 191-192°C.

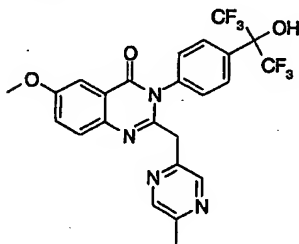
IR (KBr): ν_{\max} 1680, 1618, 1492, 1370, 1269, 1208, 1188, 962 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 7.73 (2H, d, $J = 9.5$ Hz), 7.63 (1H, d, $J = 2.9$ Hz), 7.43-7.36 (3H, m), 7.17-7.10 (3H, m), 6.96 (1H, d, $J = 8.8$ Hz), 6.78-6.75 (2H, m), 3.97 (1H, s), 3.94-3.81 (2H, m), 3.91 (3H, s).

FABMS (m/z): 509 ($[\text{M}+\text{H}]^+$).

(Example 238)

6-Methoxy-2-[(5-methyl-2-pyrazinyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification Compound No. 3-2340)



The title compound was obtained as a colorless solid (72 mg, yield: 27 %) from (5-methyl-2-pyrazinyl)acetic acid (105 mg, 0.69 mmol), N,N' -carbonyldiimidazole (112 mg, 0.69 mmol), 5-methoxyanthranilic acid (105 mg, 0.63 mmol), triphenyl phosphite (180 μl , 0.69 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (163 mg, 0.63 mmol) in a similar manner to that described in Example 209 above. The resulting product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.
mp 147-150°C.

IR (KBr): ν_{\max} 1618, 1595, 1491, 1271, 1215, 1193, 1179, 937 cm^{-1} .

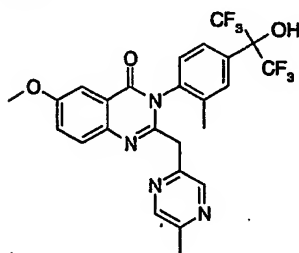
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.27 (1H, s), 7.98 (1H, s), 7.77 (2H, d, $J = 8.8$ Hz), 7.65 (1H, d, $J = 8.8$ Hz), 7.64 (1H, d, $J = 2.9$ Hz), 7.38 (1H, dd, $J = 8.8, 2.9$ Hz), 7.19 (2H, d, $J = 8.8$ Hz), 5.23 (1H, s), 4.06 (2H, s), 3.92 (3H, s), 2.53 (3H, s).

FABMS (m/z): 525 ($[\text{M}+\text{H}]^+$).

(Example 239)

6-Methoxy-2-[(5-methyl-2-pyrazinyl)methyl]-3-[2-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification Compound No. 7-580)



The title compound was obtained as a colorless solid (145 mg, yield: 38 %) from (5-methyl-2-pyrazinyl)acetic acid (120 mg, 0.79 mmol), N,N'-carbonyldiimidazole (128 mg, 0.79 mmol), 5-methoxyanthranilic acid (120 mg, 0.72 mmol), triphenyl phosphite (206 μ l, 0.79 mmol) and 2-(4-amino-3-methylphenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (196 mg, 0.72 mmol) prepared as described in Example 65(1) above in a similar manner to that described in Example 209 above. The resulting product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 153-156°C.

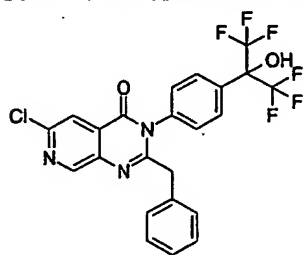
IR (KBr): ν_{\max} 1680, 1617, 1597, 1490, 1362, 1273, 1211, 1148, 972 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.28 (1H, s), 7.95 (1H, s), 7.67 (1H, d, $J = 8.8$ Hz), 7.67 (1H, s), 7.65 (1H, d, $J = 2.9$ Hz), 7.58 (1H, d, $J = 8.8$ Hz), 7.39 (1H, dd, $J = 8.8, 2.9$ Hz), 7.08 (1H, d, $J = 8.8$ Hz), 5.38 (1H, s), 4.01-3.91 (2H, m), 3.92 (3H, s), 2.53 (3H, s), 2.02 (3H, s).

FABMS (m/z): 539 ($[\text{M}+\text{H}]^+$).

(Example 240)

2-Benzyl-6-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-pyrido[3,4-d]pyrimidin-4(3H)-one



The title compound was obtained as a colorless solid (170 mg, yield: 20 %) from 5-amino-2-chloroisonicotinic acid (240 mg, 1.39 mmol), which was prepared according to the method described by Cockerill et al. [Cockerill, S., Stubberfield, C., Stables, J., Carter, M., Guntrip, S., Smith, K., McKeown, S., Shaw, R., Topley, P., Thomsen, L., Affleck, K., Jowett, A., Hayes, D., Willson, M., Woollard, P., Spalding, D., Bioorg. Med. Chem. Lett., 11, 1401-1405 (2001)], phenylacetic acid (190 mg, 1.39 mmol), triphenyl phosphite (430 mg, 1.39

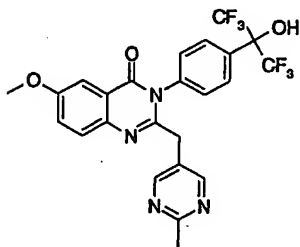
mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (340 mg, 1.3 mmol) in a similar manner to that described in Example 1 above. The resulting product was recrystallized from a mixed solvent of hexane and diethyl ether to yield colorless needles. mp 233-234°C.

IR (KBr): ν_{\max} 3088, 1698, 1580, 1269, 1178, 936 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.96 (1H, s), 8.91 (1H, s), 7.97 (1H, s), 7.68 (2H, d, $J = 8.6$ Hz), 7.33 (2H, d, $J = 8.6$ Hz), 7.18-7.07 (3H, m), 6.77 (2H, d, $J = 7.0$ Hz), 3.86 (2H, s). FABMS (m/z): 514 ($[\text{M}+\text{H}]^+$).

(Example 241)

6-Methoxy-2-[(2-methyl-5-pyrimidinyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone (Exemplification Compound No. 3-2341)



The title compound was obtained as a colorless solid (72 mg, yield: 20 %) from 5-methoxyanthranilic acid (112 mg, 0.67 mmol), (2-methyl-5-pyrimidinyl)acetic acid (102 mg, 0.67 mmol), triphenyl phosphite (129 μl , 0.74 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (173 mg, 0.67 mmol) in a similar manner to that described in Example 1 above. The resulting product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 210-212°C.

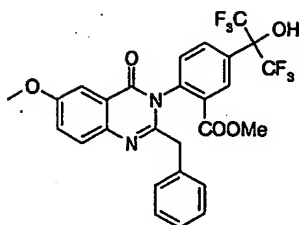
IR (KBr): ν_{\max} 1684, 1617, 1596, 1492, 1449, 1271, 1215, 1179, 938 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.96 (1H, s), 8.35 (2H, s), 7.85 (2H, d, $J = 8.8$ Hz), 7.63 (2H, d, $J = 8.8$ Hz), 7.55 (1H, d, $J = 8.8$ Hz), 7.48 (1H, d, $J = 2.9$ Hz), 7.43 (1H, dd, $J = 8.8, 2.9$ Hz), 3.87 (3H, s), 3.72 (2H, s), 2.57 (3H, s).

FABMS (m/z): 525 ($[\text{M}+\text{H}]^+$).

(Example 242)

Methyl 2-[2-benzyl-6-methoxy-4-oxo-3(4H)-quinazolinyl]-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzoate (Exemplification Compound No. 7-581)



(1) Methyl 2-amino-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzoate (9.70 g, yield: 36%) was obtained from methyl 2-aminobenzoate (12.17 g, 80.5 mmol), hexafluoroacetone trihydrate (19.50 g, 88.6 mmol) and p-toluenesulfonic acid (1.50 g, 7.90 mmol) in a similar manner to that described in Example 62(1) above.

(2) The title compound was obtained as a colorless solid (298 mg, yield: 11 %) from 5-methoxyanthranilic acid (879 mg, 5.3 mmol), phenylacetic acid (716 mg, 5.3 mmol), triphenyl phosphite (1.4 ml, 5.3 mmol) and methyl 2-amino-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzoate (1.50 g, 4.7 mmol) prepared as described in Example 242(1) above in a similar manner to that described in Example 1 above. The resulting product was recrystallized from a mixed solvent of hexane and ethyl acetate to yield colorless prisms.

mp 196-197 °C.

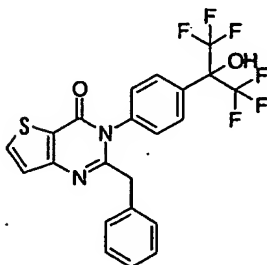
IR (KBr): ν_{\max} 3235, 1734, 1657, 1593, 1492, 1468, 1268, 1216, 965 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.38 (1H, s), 7.77-7.74 (2H, m), 7.63 (1H, d, $J = 2.7$ Hz), 7.41 (1H, dd, $J = 6.7$ Hz), 7.11-7.02 (3H, m), 6.89 (1H, d, $J = 8.2$ Hz), 6.65 (2H, d, $J = 7.4$ Hz), 5.13 (1H, s), 3.92 (3H, s), 3.90-3.70 (2H, m), 3.54 (3H, s).

FABMS (m/z): 567 ($[\text{M}+\text{H}]^+$).

(Example 243)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]thieno[3,2-d]pyrimidin-4(3H)-one



Phenylacetyl chloride (940 mg, 6.10 mmol) was added to a solution of methyl 3-amino-2-thiophenecarboxylate (500 mg, 3.18 mmol) and triethylamine (640 mg, 6.30 mmol) in tetrahydrofuran (10 ml), and the resulting mixture was stirred at room temperature

for 2 hours. At the end of this time, the reaction mixture was concentrated, and the residue was diluted with water and extracted with ethyl acetate. The organic layer was washed with a saturated sodium hydrogencarbonate solution, water and saturated aqueous sodium chloride solution successively, dried over anhydrous sodium sulfate and concentrated in vacuo. The residue obtained was purified by preparative thin layer chromatography to yield pale yellow oil (290 mg, yield: 33%). This product was dissolved in tetrahydrofuran (3 ml) and methanol (5 ml), and 10% sodium hydroxide solution (5 ml) was added. The resulting mixture was stirred at room temperature for 36 hours. The reaction mixture was acidified with 1N-hydrochloric acid, and extracted with ethyl acetate. The organic layer was washed with saturated aqueous sodium chloride solution, dried over anhydrous sodium sulfate and concentrated in vacuo to yield a pale purple solid. This product and triphenyl phosphite (282 mg, 0.91 mmol) was dissolved in pyridine (5 ml), and the mixture was stirred at 100°C for 2 hours. 2-(4-Aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (235 mg, 0.91 mmol) was added to the reaction solution, and the mixture was further stirred at 120°C for 4 hours. At the end of this time, the reaction mixture was concentrated in vacuo, and the residue was diluted with water and extracted with ethyl acetate. The organic layer was washed with 1N-hydrochloric acid and saturated aqueous sodium chloride solution successively, dried over anhydrous sodium sulfate and concentrated in vacuo. The residue obtained was purified by silica gel column chromatography to yield a pale yellow solid. This product was further purified by preparative thin layer chromatography to yield the title compound as a colorless powder (57 mg, yield: 13%).

mp 257-258°C.

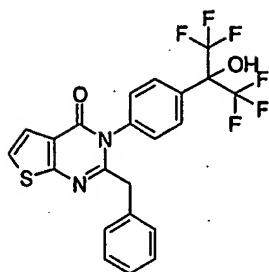
IR (KBr): ν_{\max} 3248, 3088, 1660, 1551, 1268, 1213, 1187, 937, 708 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO- d_6): δ 8.89, (1H, s), 7.68 (2H, d, J = 8.6 Hz), 7.61 (1H, d, J = 5.5 Hz), 7.39 (1H, d, J = 5.5 Hz), 7.33 (2H, d, J = 8.6 Hz), 7.19-7.08 (3H, m), 6.75 (2H, d, J = 6.3 Hz), 3.82 (2H, s).

FABMS (m/z): 485 ($[\text{M}+\text{H}]^+$).

(Example 244)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]thieno[2,3-d]pyrimidin-4(3H)-one



The title compound was obtained as a colorless powder (221 mg, yield: 14 %) from methyl 2-amino-3-thiophenecarboxylate (500 mg, 3.18 mmol), phenylacetyl chloride (590 mg, 3.18 mmol), triphenyl phosphite (236 mg, 0.76 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (197 mg, 0.76 mmol) in a similar manner to that described in Example 243.

mp 242-243°C.

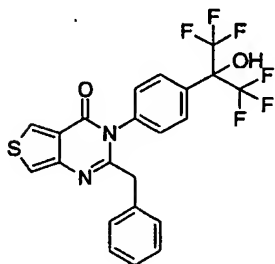
IR (KBr): ν_{\max} 3088, 1656, 1559, 1267, 1185, 938, 710 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.89, (1H, s), 8.24 (1H, d, $J = 5.5$ Hz), 7.67 (2H, d, $J = 8.6$ Hz), 7.46 (1H, d, $J = 5.5$ Hz), 7.32 (2H, d, $J = 8.6$ Hz), 7.18-7.06 (3H, m), 6.73 (2H, d, $J = 6.3$ Hz), 3.83 (2H, s).

FABMS (m/z): 485 ($[\text{M}+\text{H}]^+$).

(Example 245)

2-Benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]thieno[3,4-d]pyrimidin-4(3H)-one



The title compound was obtained as a colorless powder (146 mg, yield: 10 %) from methyl 4-amino-3-thiophenecarboxylate (500 mg, 3.18 mmol), phenylacetyl chloride (590 mg, 3.18 mmol), triphenyl phosphite (326 mg, 1.05 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (272 mg, 1.05 mmol) in a similar manner to that described in Example 243.

mp 253-254°C.

IR (KBr): ν_{\max} 3112, 1661, 1591, 1270, 1213, 1187, 938, 708 cm^{-1} .

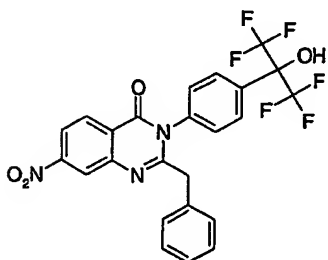
$^1\text{H-NMR}$ (400MHz, DMSO-d_6): δ 8.89, (1H, s), 8.54 (1H, d, $J = 2.9$ Hz), 7.89 (1H, d, $J =$

2.9 Hz), 7.66 (2H, d, $J = 8.8$ Hz), 7.29 (2H, d, $J = 8.8$ Hz), 7.19-7.08 (3H, m), 6.75 (2H, d, $J = 6.6$ Hz), 3.74 (2H, s).

FABMS (m/z): 485 ($[M+H]^+$).

(Example 246)

2-Benzyl-7-nitro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone



The title compound was obtained as a pale yellow solid (2.14 g, yield: 41 %) from 4-nitroanthranilic acid (1.82 g, 10.0 mmol), phenylacetic acid (1.36 g, 10.0 mmol), triphenyl phosphite (2.90 ml, 11.0 mmol) and 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol (2.50 g, 9.60 mmol) in a similar manner to that described in Example 1.
mp 264-266°C.

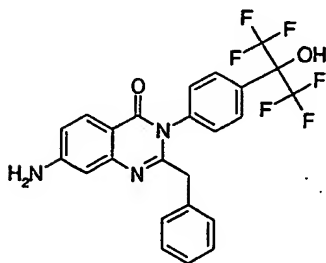
IR (KBr): ν_{\max} 3363, 1698, 1594, 1530, 1347, 1269, 1220, 1173, 933, 711, 934 cm^{-1} .

$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.65 (1H, d, $J = 2.0$ Hz), 8.43 (1H, d, $J = 8.8$ Hz), 8.27 (1H, dd, $J = 8.0, 2.0$ Hz), 7.76 (2H, d, $J = 8.8$ Hz), 7.22-7.16 (1H, m), 7.16-7.10 (2H, m), 7.06-7.00 (2H, m), 6.73 (2H, d, $J = 8.0$ Hz), 3.94 (2H, s).

ESIMS (m/z): 523 ($[M]^+$).

(Example 247)

7-Amino-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone



The title compound was obtained as a colorless solid (1.28 g, yield: 97 %) from 2-benzyl-7-nitro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-

quinazolinone (1.40 g, 2.70 mmol) prepared as described in Example 246 above and 10% palladium hydroxide on carbon (110 mg) in a similar manner to that described in Example 137.

mp 262-264°C.

IR (KBr): ν_{\max} 3355, 3226, 1658, 1608, 1374, 1270, 1214, 1187, 936, 709 cm^{-1} .

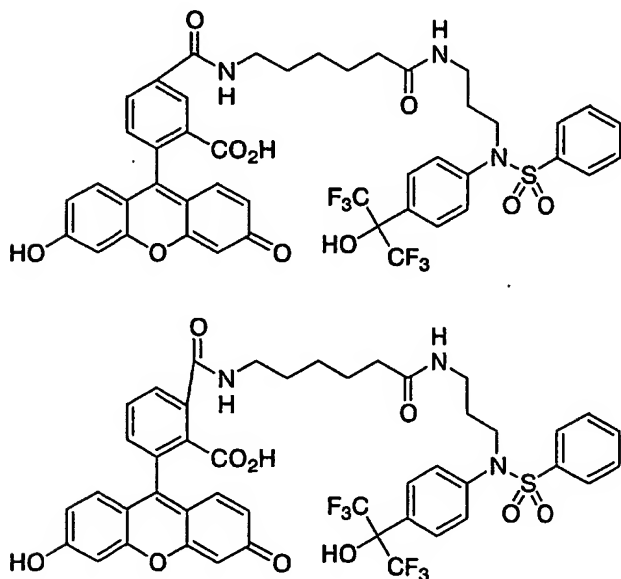
$^1\text{H-NMR}$ (400MHz, CDCl_3): δ 8.00 (1H, d, $J = 8.0$ Hz), 7.67 (2H, d, $J = 8.4$ Hz), 7.16-7.04 (3H, m), 6.94-6.88 (3H, m), 6.79 (1H, dd, $J = 8.8, 2.0$ Hz), 6.68 (2H, d, $J = 8.0$ Hz), 3.87 (2H, s).

ESIMS (m/z): 493 ($[\text{M}]^+$).

Test Examples

(Test example 1) Binding affinity against LXR

(1) Synthesis of a mixture of 2-(6-hydroxy-3-oxo-3H-xanthen-9-yl)-5-[[[6-oxo-6-[[3-[(phenylsulfonyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]anilino]propyl]amino]hexyl]amino]carbonyl]benzoic acid and 2-(6-hydroxy-3-oxo-3H-xanthen-9-yl)-6-[[[6-oxo-6-[[3-[(phenylsulfonyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]anilino]propyl]amino]hexyl]amino]carbonyl]benzoic acid (the mixture is called Compound A hereinafter).



(a) N-(3-Aminopropyl)-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzenesulfonamide

Potassium carbonate (168 mg, 1.2 mmol) and 1-bromo-3-chloropropane (0.15 ml, 1.5

mmol) were added to a solution of N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-phenyl]benzenesulfonamide (400 mg, 1.0 mmol), which was prepared according to the method described in Example 2 of WO 00/54759, in dimethylformamide (5 ml) and the resulting mixture was stirred at 50°C for 7 hours. At the end of this time, the reaction mixture was diluted with water (100 ml) and extracted with ethyl acetate. The organic layer was washed with a saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate, and then the solvent was removed in vacuo to yield a colorless oil as the residue. This residue was purified by chromatography on a silica gel column using a 7:3 by volume mixture of hexane and ethyl acetate as the eluant to yield an inseparable mixture (370 mg) of the target compound, N-(3-chloropropyl)-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzenesulfonamide and a by-product, N-allyl-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]benzenesulfonamide.

Sodium azide (131 mg, 2.0 mmol) was added to a solution of the mixture obtained above in dimethylformamide (5 ml), and the resulting mixture was stirred at 60°C for 7 hours. The reaction mixture was then diluted with water (100 ml) and extracted with ethyl acetate. The organic layer was then washed with a saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate, and then the solvent was removed in vacuo to yield a colorless oil as the residue (373 mg).

10% Palladium on carbon (113 mg) was added to a solution of the residue obtained in the above step in ethanol (10 ml), and the resulting mixture was stirred vigorously at room temperature under a hydrogen atmosphere for 1 hour. At the end of this time, the reaction mixture was filtered, and the filtrate was concentrated in vacuo to yield an oil as the residue. The residue was diluted with ethyl acetate to form a crystalline solid which was filtered to yield the title compound as colorless crystals (124 mg, yield: 27 %).

mp 187-188°C.

IR(neat): ν_{\max} 1346, 1263, 1215, 1168 cm^{-1} .

^1H NMR(400MHz, CDCl_3 +DMSO- d_6): δ 1.46 (2H, quintet; $J = 7\text{Hz}$), 2.69 (2H, t, $J = 7\text{Hz}$), 3.54 (2H, t, $J = 7\text{Hz}$), 7.00-7.03 (2H, m), 7.34-7.61 (7H, m).

MS(FAB) m/z : 457 ($[\text{M}+\text{H}]^+$).

HRMS(ESI) (m/z): calcd. for $\text{C}_{18}\text{H}_{19}\text{F}_6\text{N}_2\text{O}_3\text{S}$ ($[\text{M}+\text{H}]^+$): 457.1021; found: 457.1027.

(b) Mixture of 2-(6-hydroxy-3-oxo-3H-xanthen-9-yl)-5-[[[6-oxo-6-[[3-[(phenylsulfonyl)-4-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]anilino]propyl]amino]hexyl]amino]carbonyl]benzoic acid and 2-(6-hydroxy-3-oxo-3H-xanthen-9-yl)-6-[[[6-oxo-6-[[3-[(phenylsulfonyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]anilino]propyl]amino]hexyl]amino]carbonyl]benzoic acid

Fluorescein-5(6)-carboxamidocaproic acid N-succinimidyl ester (Fluka) (100 mg, 0.17

mmol) and phosphate buffer of pH 6.9 (0.50 ml) were added to a solution of N-(3-aminopropyl)-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-benzenesulfonamide (82 mg, 0.18 mmol) prepared as described in Test Example 1(a) above in dimethyl sulfoxide (3 ml) and the resulting mixture was stirred at room temperature for 2 hours. At the end of this time, the reaction mixture was diluted with ethyl acetate, washed successively with water and a saturated aqueous sodium chloride solution, dried over anhydrous sodium sulfate and then concentrated in vacuo to yield the crude title compound. The crude compound obtained was purified twice by chromatography on a silica gel column using ethyl acetate as the eluant to yield the title compound (132 mg, yield: 79 %).

Retention time: 4.95 minute [HPLC operating conditions: Inertsil ODS-3 (GL science), 4.6 mmF×250 mmL, acetonitrile : buffer [aqueous solution containing 2% acetic acid and 2% triethylamine (v/v)] = 6:4 (v/v), and 1 ml/min].

IR (neat): ν_{\max} 3384, 2938, 1742, 1643, 1613, 1544, 1508, 1176, 1111 cm^{-1} .

MS (FAB) m/z: 928 ([M+H]⁺).

HRMS (ESI) (m/z): calcd. for $\text{C}_{45}\text{H}_{40}\text{F}_6\text{N}_3\text{O}_{10}\text{S}$ ([M+H]⁺): 928.2339; found: 928.2342.

(2) Preparation of Human LXR α

A recombinant expression vector encoding a fusion protein comprising glutathione-S-transferase [abbreviated as "GST", derived from pGEX-4T-3 (Amersham Pharmacia Biotech)] and the ligand-binding domain (abbreviated as "LBD") of human LXR α , the above-mentioned fusion protein being referred to as "GST-LXR α LBD", was obtained using the polymerase chain reaction (abbreviated as "PCR"); E. coli transformed with said vector was cultured, and the GST-LXR α LBD was recovered from the cultured product.

First, sequences recognized by restriction enzyme SalI or NotI were added to both terminals of a gene comprising a sequence encoding human LXR α [nucleotide numbers 597 to 1379 of GenBank Accession No. U22662 [see P.J. Willy et al., Genes Dev. 9 (9), 1033-1045 (1995)]], and a set of oligonucleotides having the following nucleotide sequences was synthesized to be incorporated into the expression vector pGEX-4T-3 (Amersham Pharmacia Biotech).

5'-CACGACGTCGACCATGCCCCATCCTTGCCC-3': (α 1); sequence number 1 in the sequence listing.

5'-CACGACGCGGCCGCTCATTCGTGCACATCCCAGAT-3': (α 2); sequence number 2 in the sequence listing.

Next, PCR was carried out by repeating six cycles of a warming cycle consisting of 45 seconds at 94°C, one minute at 58°C, and one minute at 72°C using a human liver cDNA

library [see P.J. Willy et al., *Genes Dev.* 9 (9), 1033-1045 (1995)] as template and the above $\alpha 1$ and $\alpha 2$ oligonucleotides as primers, followed by 23 cycles of a warming cycle consisting of 45 seconds at 94°C, one minute at 62°C, and one minute at 72°C. The amplified polynucleotide fragment was cloned into a TOPO vector (Invitrogen) followed by determination of the nucleotide sequence of the insert in accordance with standard methods; the sequence number of the nucleotide in the sequence listing is 5.

Subsequently, after treating the resulting recombinant vector with the restriction enzymes *Sall* and *NotI*, the insert was recovered and sub-cloned into the expression vector pGEX-4T-3 (Amersham Pharmacia Biotech).

E. coli strain TOP10F (Invitrogen) was then transformed with the resulting recombinant vector. The transformed strain was inoculated into 5 ml of L-broth medium containing ampicillin at a concentration of 100 $\mu\text{g/ml}$ [prepared by dissolving 10 g of tryptone (Difco), 5 g of yeast extract (Difco), and 5 g of sodium chloride in one liter of water] followed by shake-culturing at 37°C for 4 hours. At the end of this time, 0.1-mM isopropyl- β -D-thiogalactoside (abbreviated as "IPTG", Amersham Pharmacia Biotech) was added followed by shake-culturing at 25°C for 17 hours.

Following completion of culturing, centrifugal separation was performed for 10 minutes at 8000 $\times g$ followed by recovery of the precipitated fraction and resuspension in 50 ml of Dulbecco's phosphate buffer (pH 7.1; Gibco; abbreviated as "PBS"). The suspension was subjected to ultrasonic treatment followed by the addition of 1% (v/v) Triton X-100 and gentle shaking for 30 minutes at room temperature. After recovering the supernatant by centrifugal separation for 15 minutes at 11,000 $\times g$, 0.5 ml of Glutathione Sepharose 4B Gel (Amersham Pharmacia Biotech) were added followed by gentle shaking for 30 minutes. After recovering the gel by centrifugal separation and washing three times each with PBS and 50-mM Tris-HCl buffer (pH 8.0), 2 ml of 10-mM reducing glutathione solution (50-mM Tris-HCl, pH 8.0) were added. As a result of recovering the supernatant by centrifugal separation and performing 12.5% polyacrylamide-sodium dodecylsulfate gel electrophoresis (abbreviated as "SDS-PAGE"), the molecular weight of the resulting fusion protein under reducing conditions was determined to be approximately 58,000. In addition, the protein concentration of the fusion protein was measured by the Bradford method using protein assay dye solution (BIO RAD) and reference protein (bovine serum albumin).

(3) Preparation of Human LXR β

Using a process similar to that used for the preparation of human LXR α described in Test Example 1(2), a recombinant expression vector encoding a fusion protein of GST and LBD of human LXR β (abbreviated as "GST-LXR β LBD") was obtained by PCR followed by culturing of *E. coli* transformed with said vector and recovery of GST-LXR β LBD from the culture product.

First, sequences recognized by restriction enzyme EcoRI or XhoI were added to both terminals of a gene encoding a sequence encoding the LBD of human LXR β [nucleotide numbers 836 to 1630 of GenBANK Accession No. U07132 [see D.M. Shinar et al., Gene 147 (2), 273-276 (1994)]], and a set of oligonucleotides having the following nucleotide sequences was synthesized to be incorporated into the expression vector pGEX-4T-3 (Amersham Pharmacia Biotech).

5'-TCAGCCGAATTCGCCTGGGGCTTCCCCTGGTGG-3': (β 1); sequence number 3 in the sequence listing.

5'-CCTAGCCTCGAGTCACTCGTGGACGTCCCAGA-3': (β 2); sequence number 4 in the sequence listing.

Next, PCR was carried out according to the same procedure described in Test Example 1(2) above, using a polynucleotide that encodes human LXR β [see J. Willy et al., Genes Dev. 9 (9), 1033-1045 (1995)] as a template and the above β 1 and β 2 oligonucleotides as primers, followed by cloning the amplified polynucleotide fragment into a TOPO vector (Invitrogen) and determination of the nucleotide sequence of the insert in accordance with standard methods; the sequence number of the nucleotide in the sequence listing is 6.

After treating the resulting recombinant vector with the restriction enzymes EcoRI and XhoI, the insert was recovered and sub-cloned into the expression vector pGEX-4T-3.

E. coli strain TOP10F' (Invitrogen) was then transformed with the resulting recombinant vector. The transformed strain was cultured according to the procedure described in Test Example 1(2) above, and the desired fusion protein recovered from the culture product according to the method described in Test Example 1(2) above. The molecular weight under reducing conditions as determined by SDS-PAGE was approximately 58,000. In addition, the protein concentration of the fusion protein was measured according to the method described in Test Example 1(2) above.

(4) LXR binding assay using fluorescence polarization

One nM of Compound A prepared as described in Test Example 1(1) above was added to a buffer solution [10-mM HEPES, 0.1-mM EDTA 3 Na, 10-mM (\pm)-dithiothreitol (DTT), 20% (v/v) ultrapure bovine gamma globulin (PanVera Corporation); the buffer solution is hereinafter called "binding buffer solution"]; the buffer solution containing Compound A is hereinafter called "FITC-ligand-added binding buffer solution". Ninety μ l of FITC-ligand-added binding buffer solution and 10 μ l of GST-LXR α LBD obtained in Test Example 1(2) above or GST-LXR β LBD obtained in Test Example 1(3) above were placed into a 96-well plate with a black floor. As a control, 90 μ l of FITC-ligand-added binding buffer solution and 10 μ l of 50-mM Tris-HCl buffer solution (pH 8.0) were placed in the

96-well plate. As a blank, binding buffer solution and 50 ml of Tris-HCl (pH 8.0) were placed in the well. The plate was then maintained at 4°C for 12 hr followed by room temperature for 1 hr. Fluorescence polarization of the solution was determined by a fluorescence polarimeter (Analyst, LjL Inc.). The measurement conditions were as follow:

| | |
|-----------------------------------|----------------------------|
| Kinetic read cycle: | 1 of 1 |
| Read start delay: | 0 s |
| Time delay between kinetic reads: | <n/a> |
| Microplate format: | Corning Costar 96 PS solid |
| Shake time: | 0 s |
| Temperature: | room temperature |
| Serial number: | ANO107 |
| Read sequence: | row |
| Mode sequence: | well |
| Detection mode: | FPS |
| Light sensor: | HC-120 |
| Excitation side: | Top |
| Emission side: | Top |
| Lamp: | Continuous |
| Readings per well: | 3 |
| Time between readings: | 100 ms |
| Integration time: | 100,000 us |
| Attenuator mode: | 0 |
| Motion setting time: | 150 ms |
| Z Height: | 2 mm Numeric |
| Excitation filter: | 1 Fluorescein 485 nm |
| Emission filter: | 1 Fluorescein 530 nm |
| Beamsplitter: | Top Fluorescein (505 nm) |
| Excitation polarizer filter: | s |
| Emission polarizer filter: | s |
| Detector counting: | Digital |
| Flash lamp voltage: | <n/a> |
| Delay after flash: | <n/a> |
| Sensitivity setting: | <n/a> |
| A/D converter gain: | <n/a> |
| Integrating gain: | <n/a> |
| Detection mode: | FPP |
| Light sensor: | HC-120 |
| Excitation side: | Top |

| | |
|------------------------------|--------------------------|
| Emission side: | Top |
| Lamp: | Continuous |
| Reading per well: | 3 |
| Time between readings: | 100 ms |
| Integration time: | 100,000 us |
| Attenuator mode: | out |
| Motion setting time: | 150 ms |
| Z Height: | 2 mm Numeric |
| Excitation filter: | 1 Fluorescein 485 nm |
| Emission filter: | 1 Fluorescein 530 nm |
| Beamsplitter: | Top Fluorescein (505 nm) |
| Excitation polarizer filter: | s |
| Emission polarizer filter: | p |
| Detector counting: | Digital |
| Flash lamp voltage: | <n/a> |
| Delay after flash: | <n/a> |
| Sensitivity setting: | <n/a> |
| A/D converter gain: | <n/a> |
| Integrating gain: | <n/a> |

The fluorescence polarity (ΔmP) was determined by subtracting the average fluorescence polarity in the control (mP) from that in the presence of protein (P). A Klotz Plot was made by plotting the fluorescence polarity (ΔmP) in the ordinate against protein concentration in the abscissa. The results indicated that the fluorescence polarity increased with increase in protein concentration and compound A was confirmed to bind to both GST-LXR α LBD and GST-LXR β LBD. The K_d value of compound A to GST-LXR α LBD was 143 nM, and that to GST-LXR β LBD was 1.17 μ M. These properties were used in Test Example 1(5) below to enable the measurement the K_i values of the compounds of the present invention.

(5) Determination of K_i values

The K_i value of the test compound to LXR was determined as follows.

An LXR binding buffer solution was prepared with the following composition:

LXR binding buffer solution

| | |
|---------------------------------|--------|
| HEPES: | 10 mM |
| EDTA 3 Na: | 0.1 mM |
| (\pm)-Dithiothreitol (DTT): | 10 mM |
| Ultrapure Bovine Gamma Globulin | |

| | |
|--|---------------|
| 5 mg/ml (PanVera Corporation): | 20 % (v/v) |
| GST-LXR α LBD or GST-LXR β LBD: | 40 μ g/ml |
| Compound A: | 1 nM |

After the test compound was dissolved in DMSO to give a solution having a concentration of 1 mM, the solution was diluted to various concentrations by performing 9 dilution steps, each step being a 10 fold dilutions of the solution obtained after the last dilution. 95 μ l of the LXR binding buffer solution were placed in each well of a 96-well plate with a black floor and 5 μ l of the test compound solution then added to each well. As a negative control, the same volume (5 μ l) of DMSO was added instead of the test compound solution to one of the wells containing LXR binding buffer solution. Furthermore, as the positive control, 5 μ l of DMSO were added to 95 μ l of the "FITC-ligand-added binding buffer solution" as defined in Test Example 1(4) above. As the blank solution, 5 μ l of DMSO was added to 95 μ l of the "binding buffer solution" as defined in Test Example 1(4) above. After all these solutions were kept at 4°C overnight, the solutions were allowed to warm to room temperature and a fluorescence polarity of the solution in each well was measured with a fluorescence polarimeter (Analyst, LjL Inc.), under the measurement conditions described in Test Example 1(4) above.

The inhibition rate of the test compound was calculated according to the following equation:

$$\text{Inhibition rate (\%)} = 100 - (X - A) / (B - A) \times 100$$

X: fluorescence polarity of the solution containing the test substance (mP)

A: fluorescence polarity of the positive control solution (mP)

B: fluorescence polarity of the negative control solution (mP)

The activity of the test substance was then determined by evaluating the affinity constant of the compound calculated from the concentration-dependent inhibition rates, measured above.

The compounds of the present invention exhibit excellent binding affinity against LXR.

(Test Example 2) Scintillation proximity assay (SPA)

The SPA assay measures the radioactive signal generated by the binding of ^3H -24,25-epoxycholesterol to LXR α or LXR β . The basis of the assay is the use of SPA beads containing a scintillant, such that when binding to the receptor brings the labeled ligand into proximity with the bead, the energy from the label stimulates the scintillant to emit light. The light is measured using a standard microplate scintillation reader. The ability of a ligand to bind to a receptor can be measured by assessing the degree to which the compound can compete off a radiolabelled ligand with known affinity for the receptor.

[1] Required materials

- (1) Label: ^3H -24,25-epoxy-cholesterol (Amersham)
- (2) LXR α lysate: Baculovirus expressed LXR α /RXR heterodimer with RXR having a 6-HIS tag produced as a crude lysate prepared as described in Test Example 2[2] below
- (3) LXR β lysate: Baculovirus expressed LXR β /RXR heterodimer with RXR having a 6-HIS tag produced as a crude lysate prepared as described in Test Example 2[2] below
- (4) SPA beads: Ysi copper His-tag SPA beads (Amersham)
- (5) Plates: Non-binding surface 96-well plate (Corning)
- (6) Protein lysate dilution buffer: (20 mM Tris-HCl pH 7.9, 500 mM NaCl, 5 mM Imidazole)
- (7) 2x SPA Buffer: (40 mM $\text{K}_2\text{HPO}_4/\text{KH}_2\text{PO}_4$ pH7.3, 100 mM NaCl, 0.05% Tween 20, 20% Glycerol, 4 mM EDTA)
- (8) 2x SPA Buffer w/o EDTA: (40 mM $\text{K}_2\text{HPO}_4/\text{KH}_2\text{PO}_4$ pH7.3, 100mM NaCl, 0.05% Tween 20, 20% Glycerol)

[2] Preparation of LXR α and LXR β lysate

Baculovirus expression plasmids for human RXR α , LXR α , LXR β were made by cloning the appropriate full-length cDNAs into the pBacPakhis1 vector (Clontech) following standard procedures. Insertion of the cDNAs into the pBacPakhis1 vector poly-linker created an in frame fusion to the cDNA to an N-terminal poly-His tag present in pBacPakhis1. Correct cloning was confirmed by restriction mapping, and /or sequencing.

Cell lysates were prepared by infecting healthy, Sf9 insect cells at a density of approximately 1.25×10^6 /ml at 27°C, in a total volume of 500ml per 1L sized spinner flasks, cultured under standard conditions (Protocol from Invitrogen: Growth and Maintenance of Insect Cell Lines). To prepare LXR α lysate, insect cells were co-transfected with the LXR α expression cassette at an M.O.I of 0.5 to 0.8 and with the RXR expression cassette at an M.O.I. of approximately 1.6. To prepare LXR β lysate, insect cells were co-transfected with the LXR β expression cassette at an M.O.I of approximately 1.6 and with the RXR expression cassette at an M.O.I. of approximately 1.6. In both cases cells were incubated for 48 hours at 27°C with constant shaking prior to harvesting.

After incubation, cells were harvested by centrifugation (IEC HN-SII centrifuge from International equipment company, 500g, 15 minutes) and pelleted. Cell pellets were resuspended in two volumes of ice-cold freshly prepared lysis buffer (20mM Tris pH 8.0, 10mM Imidazole, 400mM NaCl, containing one EDTA free protease inhibitor tablet (Roche Catalog No: 1836170) per 10 ml of lysis buffer).

Cells were homogenized slowly on ice using a Dounce homogenizer to achieve 80-90% cell lysis. The homogenate was centrifuged in a pre-chilled rotor (Ti50 or Ti70 from Beckman, or equivalent) at 125,000g for 30 minutes at 4°C. Aliquots of the supernatant were frozen on dry ice and stored frozen at -80°C until quantification and quality control.

Aliquots of the lysates were tested in the SPA assay to ensure lot to lot consistency, and via SDS-PAGE analysis after purification using Ni-NTA Resin (Qiagen) to normalize for protein concentration and expression level prior to use in screening assays.

[3] Stock solutions

0.5 M K_2HPO_4/KH_2PO_4 pH 7.3

0.5 M EDTA pH 8.0

5 M NaCl

10% Tween-20

Glycerol

[4] Preparation of screening reagents

(1) [3H] 24,25 Epoxycholesterol (EC) solution

For a single 384-well plate (or 400 wells), add 21 μL [3H] EC (specific activity 76.5 Ci/mmol, concentration 3.2 mCi/mL) in 4.4 mL of 2x SPA buffer to a final concentration of 200 nM. For each additional 384-well plate, add 19.1 μL additional [3H] EC and 4.0 mL additional 2x SPA buffer. The final concentration of [3H] EC in the well is 50 nM.

(2) Dilute LXR α lysate with protein lysate dilution buffer.

Make 1400 μL of diluted LXR α lysate for a 384-well plate, (or 200 wells) and 1120 μL of diluted LXR α lysate for each additional 384-well plate.

(3) Dilute LXR β lysate with protein lysate dilution buffer

Make 1400 μL of diluted LXR β lysate for a 384-well plate, (or 200 wells) and 1120 μL of diluted LXR β lysate for each additional 384-well plate.

(4) SPA bead solution

For a 384-well plate (or 400 wells), mix 3.75 mL of 2x SPA buffer w/o EDTA, 2.25 mL of H_2O , and 1.5 mL of Ysi His-tag SPA beads (vortex well before taking). For each additional 384-well plate, mix additional 3.5 mL of 2x SPA buffer w/o EDTA, 2.1 mL of H_2O , and 1.4 mL of Ysi His-tag SPA beads to the SPA bead solution.

[5] Procedure.

The following procedure was used to perform the desired assays.

Prepare appropriate dilutions of each compound and pipette into the appropriate wells of a multiwell plate.

Add 9.1 μL of [3H] EC to each well of column 2-23 of the multiwell plate.

Add 5 μL of diluted LXR α lysate to each well of column 2-23 on odd rows of the multiwell plate.

Add 5 μL of diluted LXR β lysate to each well of column 2-23 on even rows of the multiwell plate.

Add 17.5 μL of SPA bead solution to each well of column 2-23 of the multiwell plate.

Cover the plates with clear sealer. Place the plates in the incubator. Incubate at ambient temperature for 1 hour.

Count using program n ABASE 3H_384DPM. The setting for n ABASE 3H_384DPM is:

Counting Mode: DPM

Sample Type: SPA

ParaLux Mode: low background

Count time: 30 sec.

Assays for LXR α and LXR β were performed in the identical manner. The determined Ki represents the average of at least two independent dose response experiments. The binding affinity for each compound may be determined by non-linear regression analysis using the one site competition formula to determine the IC₅₀ where:

$$Y = \text{Bottom} + (\text{Top} - \text{Bottom}) / (1 + 10^Z)$$

$$Z = X - \log \text{IC}_{50}$$

which is referred to Fitting Models to Biological Data using Liner and Nonlinear Regression (GraphPad Software, Inc.).

The Ki is then calculated using the Cheng and Prusoff equation where:

$$\text{Ki} = \text{IC}_{50} / (1 + [\text{Concentration of Ligand}] / \text{Kd of Ligand})$$

For this assay, typically the Concentration of Ligand is 50 nM and the Kd of EC for the receptor is 200 nM as determined by saturation binding.

[6] Results

The Ki values of the tested compounds are shown in Table 9.

Table 9

| Example number of the tested compound | Ki for LXR α (μM) | Ki for LXR β (μM) |
|--|---------------------------------------|--------------------------------------|
| 1 | 0.508 | 0.123 |
| 2 | 0.160 | 0.128 |
| 5 | 0.218 | 0.054 |
| 12 | 0.243 | 0.079 |
| 14 | 0.271 | 0.149 |
| 15 | 0.528 | 0.116 |
| 16 | 0.437 | 0.104 |
| 19 | 0.621 | 0.120 |

| | | |
|-----|-------|-------|
| 22 | 0.250 | 0.038 |
| 25 | 0.103 | 0.028 |
| 28 | 0.452 | 0.229 |
| 36 | 0.285 | 0.103 |
| 37 | 0.300 | 0.065 |
| 38 | 0.308 | 0.058 |
| 39 | 0.266 | 0.049 |
| 40 | 0.470 | 0.050 |
| 41 | 0.298 | 0.110 |
| 44 | 0.463 | 0.065 |
| 45 | 0.242 | 0.086 |
| 50 | 0.114 | 0.053 |
| 54 | 1.61 | 0.175 |
| 55 | 0.518 | 0.207 |
| 56 | 0.509 | 0.105 |
| 58 | 0.636 | 0.090 |
| 60 | 0.113 | 0.035 |
| 62 | 0.110 | 0.030 |
| 63 | 0.289 | 0.137 |
| 65 | 0.053 | 0.048 |
| 67 | 0.162 | 0.059 |
| 68 | 0.053 | 0.032 |
| 69 | 0.149 | 0.062 |
| 70 | 0.407 | 0.148 |
| 71 | 0.061 | 0.038 |
| 72 | 0.576 | 0.092 |
| 73 | 0.191 | 0.052 |
| 74 | 0.209 | 0.057 |
| 75 | 0.089 | 0.032 |
| 77 | 0.159 | 0.086 |
| 79 | 0.284 | 0.051 |
| 92 | 0.469 | 0.304 |
| 94 | 0.550 | 0.285 |
| 96 | 0.285 | 0.170 |
| 97 | 0.370 | 0.230 |
| 114 | 0.285 | 0.071 |
| 118 | 0.106 | 0.103 |
| 121 | 0.367 | 0.079 |

| | | |
|-----|-------|-------|
| 123 | 0.321 | 0.072 |
| 127 | 0.233 | 0.100 |
| 131 | 0.389 | 0.133 |
| 134 | 0.244 | 0.135 |
| 139 | 0.442 | 0.087 |
| 141 | 0.060 | 0.024 |
| 142 | 0.072 | 0.027 |
| 143 | 0.175 | 0.059 |
| 148 | 0.222 | 0.066 |
| 149 | 0.062 | 0.053 |
| 152 | 0.121 | 0.062 |
| 153 | 0.229 | 0.050 |
| 155 | 0.199 | 0.101 |
| 172 | 0.224 | 0.079 |
| 173 | 0.092 | 0.061 |
| 177 | 0.446 | 0.075 |
| 179 | 0.248 | 0.063 |
| 181 | 0.278 | 0.019 |
| 182 | 0.341 | 0.091 |
| 183 | 0.197 | 0.024 |
| 185 | 0.179 | 0.034 |
| 189 | 0.132 | 0.042 |
| 190 | 0.109 | 0.078 |
| 191 | 0.216 | 0.066 |
| 192 | 0.225 | 0.113 |
| 195 | 0.064 | 0.044 |
| 196 | 0.031 | 0.010 |
| 197 | 0.197 | 0.040 |
| 201 | 0.103 | 0.061 |
| 205 | 0.148 | 0.082 |
| 206 | 0.451 | 0.042 |
| 207 | 0.143 | 0.097 |
| 208 | 0.119 | 0.096 |
| 212 | 0.144 | 0.085 |
| 214 | 0.163 | 0.044 |
| 217 | 0.207 | 0.070 |
| 220 | 0.032 | 0.012 |
| 221 | 0.441 | 0.069 |

| | | |
|-----|-------|-------|
| 222 | 0.060 | 0.028 |
| 227 | 0.064 | 0.035 |
| 229 | 0.131 | 0.031 |
| 230 | 0.102 | 0.049 |
| 233 | 0.123 | 0.063 |
| 236 | 0.028 | 0.007 |
| 237 | 0.072 | 0.023 |

As shown in Table 9, the compounds of the present invention exhibit excellent binding affinity against LXR and thus are useful as a medicament for the treatment or prevention of arteriosclerosis, atherosclerosis, hyperlipidemia, other lipid-related diseases, inflammatory disease, and the like.

(Test Example 3) Co-transfection assay

To measure the ability of compounds to activate or inhibit the transcriptional activity of LXR in a cell based assay, the co-transfection assay may be used. It has been shown that LXR functions as a heterodimer with RXR. For the co-transfection assay, expression plasmids for LXR and RXR are introduced via transient transfection into mammalian cells along with a luciferase reporter plasmid that contains one copy of a DNA sequence that is bound by LXR-RXR heterodimers [LXRE; Willy, P. J. et. al., Genes Dev., 9, 1033-1045 (1995)]. Treatment of transfected cells with an LXR agonist increases the transcriptional activity of LXR, which is measured by an increase in luciferase activity. Similarly, LXR antagonist activity can be measured by determining the ability of a compound to competitively inhibit the activity of a LXR agonist.

[1] Required materials

- (1) CV-1 African Green Monkey Kidney Cells
- (2) Co-transfection Expression plasmids, CMX-hLXR α , or CMX-hLXR β , CMX-RXR, reporter (LXREx1-Tk-Luciferase), and control (CMX-Galactosidase expression vector) [Willy, P. J. et. al., Genes Dev., 9, 1033-1045 (1995)]
- (3) Transfection reagent such as FuGENE6 (Roche)
- (4) 1x Cell lysis buffer (1 % Triton X 100 (JT Baker X200-07), 10% Glycerol (JT Baker M778-07), 5 mM Dithiothreitol (Quantum Bioprobe DTT03; add fresh before lysing), 1 mM EGTA (Ethylene Glycol-bis (B-Amino ethyl ether)-N,N,N',N'-Tetracetic Acid) (Sigma E-4378), 25 mM Tricine (ICN 807420) pH 7.8)
- (5) 1x Luciferase assay buffer (pH at 7.8) (0.73 mM ATP, 22.3 mM Tricine, 0.11 mM EDTA, 33.3 mM DTT)

(6) 1x Luciferrin/CoA (11 mM Luciferin, 3.05 mM Coenzyme A, 10mM HEPES)

[2] Preparation of screening reagents

CV-1 cells are prepared 24 hours prior to the experiment by plating them into T-175 flasks or 500 cm² dishes in order to achieve 70-80% confluency on the day of the transfection. The number of cells to be transfected is determined by the number of plates to be screened. Each 384 well plate requires 1.92x10⁶ cells or 5000 cells per well.

DNA Transfection Reagent is prepared by mixing the required plasmid DNAs with a cationic lipid transfection reagent such as DOTAP or FuGENE6 by following the instructions provided with the reagents. Optimal DNA amounts need to be determined empirically per cell line and size of vessel to be transfected.

Add 10-12 mL media to the DNA Transfection Reagent and add this mixture to the cells after aspirating media from a T175 cm² flask.

Incubate at least 5 hours at 37°C to prepare screening cells.

Luciferase assay reagent is prepared by combining before use (per 10 mL):

- 10 mL 1x Luciferase assay buffer,
- 0.54 mL of 1x Luciferrin/CoA, and
- 0.54 mL of 0.2 M Magnesium sulfate.

[3] Procedure

Prepare assay plates by dispensing 0.5 µL of 1 mM compound per well of a 384 well plate to achieve final compound concentration of 10 µM and 1% DMSO.

Remove media from the screening cells, trypsinize, harvest cells by centrifugation, count the cells, and plate at 5000 cells per well in the 384 well assay plate prepared above in a volume of about 45 µL.

Incubate assay plates containing both compounds and screening cells for 20 hours at 37°C.

Carefully aspirate media from cells and ensure that cells are not lifted off.

Add lysis buffer (30 µL/well) and incubate at least 30 minutes ambient temperature.

Add luciferase assay buffer (30 µL/well) and read assay plates on luminometer (PE Biosystems Northstar reader with on-board injectors, or equivalent).

Read plates immediately after addition of luciferase assay reagent.

The LXR/LXRE co-transfection assay can be used to establish the EC₅₀/IC₅₀ values for potency and percent activity or inhibition for efficacy. Efficacy defines the activity of a compound relative to a high control [an LXR agonist used as a standard, which can be optionally selected from a natural or synthesized LXR agonist that is, for example, an agonist referred to in WO00/54759] or a low control (DMSO/vehicle). The dose response curves are generated from an 8 point curve with concentrations differing by 1/2 LOG units. Each point represents the average of 4 wells of data from a 384 well plate.

The data from this assay is fitted to the following equation, from which the EC₅₀ value may be solved:

$$Y = \text{Bottom} + (\text{Top} - \text{Bottom}) / (1 + 10^Z)$$

$$Z = (\log \text{EC}_{50} - X) * \text{HillSlope}$$

The EC₅₀/IC₅₀ is therefore defined as the concentration at which an agonist or antagonist elicits a response that is half way between the Top (maximum) and Bottom (baseline) values (Fitting Models to Biological Data using Liner and Nonlinear Regression, GraphPad Software, Inc.). The EC₅₀/IC₅₀ values represented are the averages of at least 3 independent experiments. The determination of the relative efficacy or % control for an agonist is by comparison to the maximum response achieved by the LXR agonist used as a standard.

For the antagonist assay, a LXR agonist can be added to each well of a 384 well plate to elicit a response. The % inhibition for each antagonist is therefore a measurement of the inhibition of the activity of the agonist. In this example, 100% inhibition would indicate that the activity of a specific concentration of LXR agonist has been reduced to baseline levels, defined as the activity of the assay in the presence of DMSO only.

The compounds of the present invention, when tested in this assay, exhibit excellent ability to modulate the activity of LXR.

(Test Example 4) Anti-inflammatory activity

Animals and reagents employed in the present study are as follows, unless otherwise described.

CD1 mice (6-10 weeks old, male and female) are purchased from Charles River Japan, Inc. and kept under a controlled room temperature and humidity, and food and water are taken ad libitum. Five animals per group are used for the study after an acclimatization period of 5 days.

Phorbol 12-myristate-13-acetate (TPA) induces irritant contact dermatitis. 10 µl of 0.03% (w/v) TPA/acetone are applied to both the inner and outer surface (20 µl total) of the left ears of the test mice. Acetone alone is applied to the right ears. Forty-five minutes and 4 hours after TPA application, 20 µl of a test compound (10 mM in acetone) are applied to both surfaces of both ears. Control animals are treated similarly with acetone alone, as a vehicle control. Allergic contact dermatitis is induced by sensitization (for 2 days) on the shaved backs of CD1 female mice with 20 µl of 15% (w/v) 4-ethoxymethylene-2-phenyl-2-oxazolin-5-one (oxazolone) in acetone once a day, followed by challenge on day 7 with a single application of 10 µl of 2% oxazolone/acetone to both surfaces of the left ears. Acetone alone is applied to the right ears. This challenge is followed by treatment with 20

μl of a test compound (10 mM in acetone) or acetone at 45 minutes and 4 hours, as described above. Eighteen hours after the inflammatory response induced by either TPA or oxazolone, inflammation is assessed as the percentage increase in ear thickness and/or ear weight in the treated left ear versus the vehicle-treated right ear. Ear thickness is measured with a digital caliper, followed by a 6 mm punch biopsy to ascertain changes in ear weights. The extent of inflammation is quantitated according to the following equation: ear swelling (%) = $100 \times [(a)-(b)/(b)]$ where (a) is the thickness/weight of the left treated ear and (b) is the thickness/weight of the right untreated control ear.

The compounds of the present invention show excellent anti-inflammatory activity, making them useful as a medicament for inflammatory diseases.

(Test Example 5) Hypoglycemic activity

The hypoglycemic activity of the compounds of the present invention is measured as follows.

A blood sample is collected from the tail vein of each KK mouse (4 to 5 months old) which are purchased from Japan Clea, Inc. Its plasma glucose level is measured after centrifugation by a glucose analyzer ("Glucolader-GXT", A&T Inc.). Those mice which have diabetes are then divided into groups (3 or 4 mice per group). For three days, powdery food (F-2, Funabashi Farm) containing the test compound at concentrations of 0.1-0.001% (w/w) is administered to the mice. The mouse group to which the test compound is administered is referred to as "the compound administered group", while that to which the powdery food free of the test compound is administered is referred to as "the control group". After seven days, the blood sample is collected from the tail vein of each of the mice and the plasma glucose concentration is measured. The plasma glucose lowering rate is calculated from the following equation:

$$\begin{aligned} & \text{Plasma glucose lowering rate (\%)} \\ &= (\text{average plasma glucose level of the control group} - \text{average plasma glucose level of the compound administered group}) \times 100 / \text{the plasma glucose level of the control group} \end{aligned}$$

The compounds of the present invention show excellent hypoglycemic activity, making them useful as a medicament for diabetes mellitus.

Formulation Examples

(Formulation Example 1) Hard capsules

A standard separable hard gelatin capsule is filled with powdered compound of Example 1 (100 mg), lactose (150 mg), cellulose (50 mg), and magnesium stearate (6 mg) to form a hard capsule. The capsule obtained is washed and dried.

(Formulation Example 2) Soft capsules

A mixture of digestible oil such as soybean oil or olive oil and the compound of Example 2 are poured into gelatin to form a soft capsule containing 100 mg of the active ingredient. The soft capsule obtained is washed and dried.

(Formulation Example 3) Tablets

A tablet is prepared according to a conventional method using a compound of Example 3 (100 mg), colloidal silicon dioxide (0.2 mg), magnesium stearate (5 mg), fine-crystalline cellulose (275 mg), starch (11 mg), and lactose (98.8 mg). The tablet obtained may be coated if necessary.

(Formulation Example 4) Emulsions

An emulsion is prepared containing finely powdered compound of Example 4 (100 mg), sodium carboxymethylcellulose (100 mg), sodium benzoate (5 mg), sorbitol solution (Japanese pharmacopoeia, 1.0 g), and baniline (0.025 ml) per 5 ml of the emulsion.

(Formulation Example 5) Creams

A cream is prepared by adding finely powdered compound of Example 5 (100 mg) to 5 g of a cream consisting white petrolatum (40 wt%), fine-crystalline wax (3 wt%), lanoline (10 wt%), sorbitan monolaurate (5 wt%), polyoxyethylene (20) sorbitan monolaurate (0.3 wt%), and water (41.7 wt%).

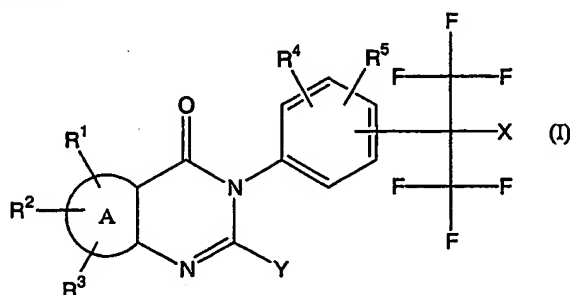
[Possible industrial uses]

The compounds of formula (I) of the present invention and pharmacologically acceptable salts and esters thereof exhibit excellent binding affinity against LXR. The compounds of formula (I) and pharmacologically acceptable salts and esters thereof of the present invention also possess excellent pharmacokinetic properties with respect to absorption, distribution, half life period of blood concentration, and so forth, and low toxicities against the kidney, liver and other organs. Therefore the compounds of formula (I) of the present invention and pharmacologically acceptable salts and esters thereof are useful as a medicament for warm-blooded animals, preferably humans; especially, as a medicament for the treatment and/or prevention of arteriosclerosis including that derived from the diseases described below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines such as chronic rheumatoid arthritis, osteoarthritis, allergic diseases, asthma, septicaemia, psoriasis and osteoporosis, autoimmune diseases such as systemic

lupus erythematosus, ulcerative colitis, and Crohn's disease, cardiovascular diseases such as ischemic heart diseases and cardiac failure, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications such as retinopathy, nephropathy, neuropathy and coronary diseases, obesity, nephritis, hepatitis, cancer and Alzheimer's disease; preferably arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, and diabetes mellitus; and most preferably arteriosclerosis.

[Claims]

1. A compound of the following formula (I) or a pharmacologically acceptable salt or ester thereof:



wherein:

A represents a C₆-C₁₄ aryl group or a 5- to 7-membered heteroaryl group;

R¹, R² and R³ are the same or different and each represents a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a halogen atom, a carboxy group, a carbamoyl group, a mercapto group, a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 halogen atoms, a C₂-C₇ alkylcarbonyloxy group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₁-C₆ alkylsulfinyl group, a C₁-C₆ alkylsulfonyl group, a C₁-C₆ alkylamino group, a di(C₁-C₆ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₇ alkylcarbonylamino group, an N-(C₂-C₇ alkylcarbonyl)-N-(C₁-C₆ alkyl)amino group, a C₂-C₇ alkoxy carbonylamino group, an N-(C₂-C₇ alkoxy carbonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ alkylsulfonylamino group, an N-(C₁-C₆ alkylsulfonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ haloalkylsulfonylamino group (wherein said C₁-C₆ haloalkylsulfonylamino group is a C₁-C₆ alkylsulfonylamino group which is substituted with from 1 to 7 halogen atoms), an N-(C₁-C₆ haloalkylsulfonyl)-N-(C₁-C₆ alkyl)amino group (wherein said C₁-C₆ haloalkylsulfonyl group is a C₁-C₆ alkylsulfonyl group which is substituted with from 1 to 7 halogen atoms), a C₂-C₇ alkylcarbonyl group, a C₂-C₇ alkoxy carbonyl group, a C₂-C₇ alkylaminocarbonyl group or a di(C₁-C₆ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R¹ and R² may be taken together to form a C₁-C₄ alkylenedioxy group;

R⁴ and R⁵ are the same or different and each represents a hydrogen atom, a hydroxyl group, an amino group, a halogen atom, a mercapto group, a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 halogen atoms, a C₁-C₆ alkoxy group, a C₂-C₇ alkoxy carbonyl group or a C₁-C₆ alkylthio group;

X represents a hydrogen atom, a hydroxyl group, a halogen atom, a C₁-C₆ alkoxy group or a C₁-C₆ alkoxy group substituted with from 1 to 7 halogen atoms;

Y represents a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent

group α defined below), a C₃-C₁₀ cycloalkyl group, a C₃-C₁₀ cycloalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a 5- to 9-membered heterocyclyl group, a 5- to 9-membered heterocyclyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a C₆-C₁₀ aryl group, a C₆-C₁₀ aryl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β defined below), a C₄-C₁₄ cycloalkylalkyl group, a C₄-C₁₄ cycloalkylalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a (5- to 9-membered heterocyclyl)-(C₁-C₄ alkyl) group, a (5- to 9-membered heterocyclyl)-(C₁-C₄ alkyl) group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α defined below), a C₇-C₁₄ aralkyl group or a C₇-C₁₄ aralkyl group substituted with from 1 to 5 substituents (said substituents are the same or different and are selected from substituent group β defined below);

substituent group α represents a group consisting of a halogen atom, a hydroxyl group, a cyano group, an amino group, a C₂-C₇ alkylcarbonyloxy group, a C₁-C₆ alkyl group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₁-C₆ alkylsulfinyl group, a C₁-C₆ alkylsulfonyl group, a phenyl group, a C₁-C₆ alkylamino group, a di(C₁-C₆ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₇ alkylcarbonylamino group, a C₁-C₆ alkylsulfonylamino group, and a C₁-C₆ haloalkylsulfonylamino group (wherein said C₁-C₆ haloalkylsulfonylamino group is a C₁-C₆ alkylsulfonylamino group which is substituted with from 1 to 7 halogen atoms); and

substituent group β represents a group consisting of a halogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a C₁-C₆ alkyl group, a C₁-C₆ alkyl group substituted with from 1 to 7 halogen atoms, a C₂-C₇ alkylcarbonyloxy group, a C₁-C₆ alkoxy group, a C₁-C₆ alkylthio group, a C₁-C₆ alkylsulfinyl group, a C₁-C₆ alkylsulfonyl group, a C₁-C₆ alkylamino group, a di(C₁-C₆ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₇ alkylcarbonylamino group, an N-(C₂-C₇ alkylcarbonyl)-N-(C₁-C₆ alkyl)amino group, a C₂-C₇ alkoxycarbonylamino group, an N-(C₂-C₇ alkoxycarbonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ alkylsulfonylamino group, an N-(C₁-C₆ alkylsulfonyl)-N-(C₁-C₆ alkyl)amino group, a C₁-C₆ haloalkylsulfonylamino group (wherein said haloalkylsulfonylamino group is a C₁-C₆ alkylsulfonylamino group which is substituted with from 1 to 7 halogen atoms), an N-(C₁-C₆ haloalkylsulfonyl)-N-(C₁-C₆ alkyl)amino group (wherein said haloalkylsulfonyl group is a C₁-C₆ alkylsulfonyl group which is substituted with from 1 to 7 halogen atoms), a C₆-C₁₀ aryl group, a C₇-C₁₄ aralkyloxy group, C₁-C₄ alkylenedioxy group, a C₂-C₇ alkylcarbonyl group, a C₂-C₇ alkoxycarbonyl group, a C₂-C₇

alkylaminocarbonyl group, and a di(C₁-C₆ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different);

PROVIDED THAT when Y is one of the following options (i) to (vii) below and A is a phenyl group, then R⁴ and R⁵ both represent hydrogen atoms and the -C(CF₃)₂(X) moiety represents a -C(CF₃)₂(OH) moiety at the 3- or 4- position of the phenyl group to which it is attached:

- (i) an alkyl that is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group and is optionally further substituted at said 1-position thereof with an alkyl or phenyl group;
- (ii) a cycloalkyl group that is substituted with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group and is optionally further substituted with from 1 to 6 groups selected from substituent group α ;
- (iii) a heterocyclyl group having at least one nitrogen atom that is optionally substituted with 1 or 2 groups chosen from alkyl, alkylsulfinyl, alkylsulfonyl and phenyl groups;
- (iv) a cycloalkylalkyl group the alkyl moiety of which is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group, said cycloalkylalkyl group optionally being further substituted with from 1 to 6 groups selected from substituent group α ;
- (v) a heterocyclylalkyl group the alkyl moiety of which is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkylsulfonylamino group or a haloalkylsulfonylamino group, said heterocyclylalkyl group optionally being further substituted with from 1 to 6 groups selected from substituent group α ;
- (vi) a heterocyclylmethyl group, the heterocyclyl moiety thereof having at least one nitrogen atom and optionally being substituted with from 1 to 7 groups selected from substituent group α , the methyl moiety thereof optionally being substituted with an alkyl group or a phenyl group; and
- (vii) an aralkyl group the alkyl moiety of which is substituted at the 1-position thereof with an amino group, an alkylamino group, a dialkylamino group, an alkylcarbonylamino group, an alkoxycarbonylamino group, an alkylsulfonylamino group, a haloalkylsulfonylamino group, an N-(alkylcarbonyl)-N-(alkyl)amino group, an N-(alkoxycarbonyl)-N-(alkyl)amino group, an N-(alkylsulfonyl)-N-(alkyl)amino group or an N-(haloalkylsulfonyl)-N-(alkyl)amino group, said aralkyl group optionally being further substituted with from 1 to 6 groups selected from substituent group β .

2. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a C_1 - C_4 alkyl group, a C_1 - C_4 alkyl group substituted with from 1 to 5 halogen atoms, a C_1 - C_4 alkoxy group, a C_1 - C_4 alkylthio group, a C_1 - C_4 alkylamino group, a di(C_1 - C_4 alkyl)amino group (wherein the alkyl groups are the same or different), a C_2 - C_5 alkylcarbonylamino group, an N-(C_2 - C_5 alkylcarbonyl)-N-(C_1 - C_4 alkyl)amino group, a C_2 - C_5 alkoxycarbonyl group, a C_2 - C_5 alkylaminocarbonyl group or a di(C_1 - C_4 alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R^1 and R^2 may be taken together to form a C_1 - C_3 alkylenedioxy group.
3. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a methyl group, an ethyl group, a propyl group, a trifluoromethyl group, a pentafluoroethyl group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a methylamino group, a dimethylamino group, an acetylamino group, an N-methylacetylamino group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylcarbamoyl group, or a dimethylcarbamoyl group, or R^1 and R^2 may be taken together to form a methylenedioxy group or an ethylenedioxy group.
4. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetylamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group.
5. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 4, wherein R^3 is a hydrogen atom.
6. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 5, wherein R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a C_1 - C_4 alkyl group, a C_1 - C_4 alkyl group substituted with from 1 to 5 halogen atoms, a C_1 - C_4 alkoxy group, a C_2 - C_5 alkoxycarbonyl group, or a C_1 - C_4 alkylthio group.

7. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 5, wherein R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylthio group or an ethylthio group.
8. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 5, wherein R^4 and R^5 are the same or different and each is a hydrogen atom, a chlorine atom, a methyl group or a methoxy group.
9. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 5, wherein each of R^4 and R^5 is a hydrogen atom.
10. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 9, wherein X is a hydrogen atom, a hydroxyl group, a C_1 - C_4 alkoxy group, or a C_1 - C_4 alkoxy group substituted with from 1 to 5 halogen atoms.
11. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 9, wherein X is a hydrogen atom, a hydroxyl group, a methoxy group or a trifluoromethyloxy group.
12. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 9, wherein X is a hydroxyl group.
13. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a C_1 - C_6 alkyl group or a C_1 - C_4 alkyl group substituted with from 1 to 5 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1).
14. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a C_1 - C_5 alkyl group or a C_1 - C_3 alkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group $\alpha 1$ defined below);
substituent group $\alpha 1$ represents a group consisting of a halogen atom, an amino group, a C_1 - C_6 alkylamino group and a di(C_1 - C_6 alkyl)amino group (wherein the alkyl groups are the same or different).
15. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof

according to any one of claims 1 to 12, wherein Y is an ethyl group, a propyl group, a butyl group, an isopropyl group, a sec-butyl group, a 3-pentyl group, a trifluoromethyl group, a dichloromethyl group, a 1-bromoethyl group, a 1-chloroethyl group, a diethylaminomethyl group or a diisopropylaminomethyl group.

16. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a C₃-C₆ cycloalkyl group or a 5- to 9-membered heterocyclyl group.

17. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a cyclobutyl group, a cyclopentyl group, a cyclohexyl group, a piperidyl group, a perhydroazepinyl group or a perhydroazocinyl group.

18. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a C₆-C₁₀ aryl group or a C₆-C₁₀ aryl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β 1 defined below);

substituent group β 1 represents a group consisting of a fluorine atom, a chlorine atom, a bromine atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a methyl group, an ethyl group, a propyl group, an isopropyl group, a butyl group, a sec-butyl group, a tert-butyl group, a trifluoromethyl group, a pentafluoroethyl group, an acetyloxy group, a propionyloxy group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a dimethylamino group, an acetyl amino group, a methanesulfonylamino group, a methylenedioxy group, an ethylenedioxy group, an acetyl group, a propionyl group, a methoxycarbonyl group, an ethoxycarbonyl group and a dimethylcarbamoyl group.

—19.— A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a phenyl group, a 1-naphthyl group or a 2-naphthyl group.

20. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a C₄-C₁₃ cycloalkylalkyl group, a C₄-C₁₃ cycloalkylalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1), a (5- to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group or a (5- to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1).

21. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a (C₃-C₁₀ cycloalkyl)methyl group or a (5- to 9-membered heterocyclyl)methyl group.
22. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a cyclopentylmethyl group, a cyclohexylmethyl group, a cycloheptylmethyl group, a 2-thienylmethyl group, a 1-pyrrolidinylmethyl group, a 1-piperidylmethyl group or a 1-perhydroazepinylmethyl group.
23. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a C₇-C₁₄ aralkyl group or a C₇-C₁₄ aralkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β as defined in claim 1).
24. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a (C₆-C₁₀ aryl)methyl group, a (C₆-C₁₀ aryl)ethyl group, a (C₆-C₁₀ aryl)methyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β 1 as defined in claim 18) or a (C₆-C₁₀ aryl)ethyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β 1 as defined in claim 18).
25. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 12, wherein Y is a benzyl group, a 1-naphthylmethyl group, a 2-naphthylmethyl group or a benzyl group which is substituted with from 1 to 4 substituents on the phenyl moiety (said substituents are the same or different and are selected from substituent group β 2 defined below);
substituent group β 2 represents a group consisting of a fluorine atom, a chlorine atom, a bromine atom, a hydroxyl group, a nitro group, a methyl group, an ethyl group, an isopropyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methylthio group, an ethylthio group, a dimethylamino group, a methylenedioxy group and an ethylenedioxy group.
26. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 25, wherein A is a phenyl group, a naphthyl group or a pyridyl group.
27. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof

according to any one of claims 1 to 25, wherein A is a phenyl group.

28. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₁-C₄ alkylthio group, a C₁-C₄ alkylamino group, a di(C₁-C₄ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₅ alkylcarbonylamino group, an N-(C₂-C₅ alkylcarbonyl)-N-(C₁-C₄ alkyl)amino group, a C₂-C₅ alkoxycarbonyl group, a C₂-C₅ alkylaminocarbonyl group or a di(C₁-C₄ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R¹ and R² may be taken together to form a C₁-C₃ alkylenedioxy group;

R⁴ and R⁵ are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₂-C₅ alkoxycarbonyl group, or a C₁-C₄ alkylthio group;

X is a hydrogen atom, a hydroxyl group, a C₁-C₄ alkoxy group, or a C₁-C₄ alkoxy group substituted with from 1 to 5 halogen atoms;

Y is a C₁-C₆ alkyl group or a C₁-C₄ alkyl group substituted with from 1 to 5 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1); and

A is a phenyl group, a naphthyl group or a pyridyl group.

29. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₁-C₄ alkylthio group, a C₁-C₄ alkylamino group, a di(C₁-C₄ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₅ alkylcarbonylamino group, an N-(C₂-C₅ alkylcarbonyl)-N-(C₁-C₄ alkyl)amino group, a C₂-C₅ alkoxycarbonyl group, a C₂-C₅ alkylaminocarbonyl group or a di(C₁-C₄ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R¹ and R² may be taken together to form a C₁-C₃ alkylenedioxy group;

R⁴ and R⁵ are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₂-C₅ alkoxycarbonyl group, or a C₁-C₄ alkylthio group;

X is a hydrogen atom, a hydroxyl group, a C₁-C₄ alkoxy group, or a C₁-C₄ alkoxy group substituted with from 1 to 5 halogen atoms;

Y is a C₃-C₆ cycloalkyl group or a 5- to 9-membered heterocyclyl group; and

A is a phenyl group, a naphthyl group or a pyridyl group.

30. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₁-C₄ alkylthio group, a C₁-C₄ alkylamino group, a di(C₁-C₄ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₅ alkylcarbonylamino group, an N-(C₂-C₅ alkylcarbonyl)-N-(C₁-C₄ alkyl)amino group, a C₂-C₅ alkoxycarbonyl group, a C₂-C₅ alkylaminocarbonyl group or a di(C₁-C₄ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R¹ and R² may be taken together to form a C₁-C₃ alkylenedioxy group;

R⁴ and R⁵ are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₂-C₅ alkoxycarbonyl group, or a C₁-C₄ alkylthio group;

X is a hydrogen atom, a hydroxyl group, a C₁-C₄ alkoxy group, or a C₁-C₄ alkoxy group substituted with from 1 to 5 halogen atoms;

Y is a C₆-C₁₀ aryl group or a C₆-C₁₀ aryl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β1 as defined in claim 18); and

A is a phenyl group, a naphthyl group or a pyridyl group.

31. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₁-C₄ alkylthio group, a C₁-C₄ alkylamino group, a di(C₁-C₄ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₅ alkylcarbonylamino group, an N-(C₂-C₅ alkylcarbonyl)-N-(C₁-C₄ alkyl)amino group, a C₂-C₅ alkoxycarbonyl group, a C₂-C₅ alkylaminocarbonyl group or a di(C₁-C₄ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R¹ and R² may be taken together to form a C₁-C₃ alkylenedioxy group;

R⁴ and R⁵ are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₂-C₅ alkoxycarbonyl group, or a C₁-C₄ alkylthio group;

X is a hydrogen atom, a hydroxyl group, a C₁-C₄ alkoxy group, or a C₁-C₄ alkoxy group substituted with from 1 to 5 halogen atoms;

Y is a C₄-C₁₃ cycloalkylalkyl group, a C₄-C₁₃ cycloalkylalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1), a (5- to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group or a (5- to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1); and

A is a phenyl group, a naphthyl group or a pyridyl group.

32. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₁-C₄ alkylthio group, a C₁-C₄ alkylamino group, a di(C₁-C₄ alkyl)amino group (wherein the alkyl groups are the same or different), a C₂-C₅ alkylcarbonylamino group, an N-(C₂-C₅ alkylcarbonyl)-N-(C₁-C₄ alkyl)amino group, a C₂-C₅ alkoxycarbonyl group, a C₂-C₅ alkylaminocarbonyl group or a di(C₁-C₄ alkyl)aminocarbonyl group (wherein the alkyl groups are the same or different), or R¹ and R² may be taken together to form a C₁-C₃ alkylenedioxy group;

R⁴ and R⁵ are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a C₁-C₄ alkyl group, a C₁-C₄ alkyl group substituted with from 1 to 5 halogen atoms, a C₁-C₄ alkoxy group, a C₂-C₅ alkoxycarbonyl group, or a C₁-C₄ alkylthio group;

X is a hydrogen atom, a hydroxyl group, a C₁-C₄ alkoxy group, or a C₁-C₄ alkoxy group substituted with from 1 to 5 halogen atoms;

Y is a C₇-C₁₄ aralkyl group or a C₇-C₁₄ aralkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β as defined in claim 1); and

A is a phenyl group, a naphthyl group or a pyridyl group.

33. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro

group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a methyl group, an ethyl group, a propyl group, a trifluoromethyl group, a pentafluoroethyl group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a methylamino group, a dimethylamino group, an acetylamino group, an N-methylacetylamino group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylcarbamoyl group, or a dimethylcarbamoyl group, or R^1 and R^2 may be taken together to form a methylenedioxy group or an ethylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylthio group or an ethylthio group;

X is a hydrogen atom, a hydroxyl group, a methoxy group or a trifluoromethyloxy group;

Y is a C_1 - C_5 alkyl group or a C_1 - C_3 alkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group $\alpha 1$ as defined in claim 14).

34. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a methyl group, an ethyl group, a propyl group, a trifluoromethyl group, a pentafluoroethyl group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a methylamino group, a dimethylamino group, an acetylamino group, an N-methylacetylamino group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylcarbamoyl group, or a dimethylcarbamoyl group, or R^1 and R^2 may be taken together to form a methylenedioxy group or an ethylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylthio group or an ethylthio group;

X is a hydrogen atom, a hydroxyl group, a methoxy group or a trifluoromethyloxy group;

Y is a C_3 - C_6 cycloalkyl group or a 5- to 9-membered heterocyclyl group; and

A is a phenyl group, a naphthyl group or a pyridyl group.

35. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a methyl group, an ethyl group, a propyl group, a trifluoromethyl group, a pentafluoroethyl group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a methylamino group, a dimethylamino group, an acetamino group, an N-methylacetamino group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylcarbamoyl group, or a dimethylcarbamoyl group, or R^1 and R^2 may be taken together to form a methylenedioxy group or an ethylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylthio group or an ethylthio group;

X is a hydrogen atom, a hydroxyl group, a methoxy group or a trifluoromethoxy group;

Y is a C_6 - C_{10} aryl group or a C_6 - C_{10} aryl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group $\beta 1$ as defined in claim 18); and

A is a phenyl group, a naphthyl group or a pyridyl group.

36. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a methyl group, an ethyl group, a propyl group, a trifluoromethyl group, a pentafluoroethyl group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a methylamino group, a dimethylamino group, an acetamino group, an N-methylacetamino group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylcarbamoyl group, or a dimethylcarbamoyl group, or R^1 and R^2 may be taken together to form a methylenedioxy group or an ethylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylthio group or an ethylthio group;

X is a hydrogen atom, a hydroxyl group, a methoxy group or a trifluoromethoxy group;

Y is a C_4 - C_{13} cycloalkylalkyl group, a C_4 - C_{13} cycloalkylalkyl group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1), a (5- to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group or a (5-

to 9-membered heterocyclyl)-(C₁-C₃ alkyl) group substituted with from 1 to 7 substituents (said substituents are the same or different and are selected from substituent group α as defined in claim 1); and

A is a phenyl group, a naphthyl group or a pyridyl group.

37. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a nitro group, a cyano group, an amino group, a fluorine atom, a chlorine atom, a bromine atom, a carboxy group, a carbamoyl group, a methyl group, an ethyl group, a propyl group, a trifluoromethyl group, a pentafluoroethyl group, a methoxy group, an ethoxy group, an isopropoxy group, a methylthio group, an ethylthio group, an isopropylthio group, a methylamino group, a dimethylamino group, an acetylamino group, an N-methylacetylamino group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylcarbamoyl group, or a dimethylcarbamoyl group, or R¹ and R² may be taken together to form a methylenedioxy group or an ethylenedioxy group;

R⁴ and R⁵ are the same or different and each is a hydrogen atom, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group, a methoxycarbonyl group, an ethoxycarbonyl group, a methylthio group or an ethylthio group;

X is a hydrogen atom, a hydroxyl group, a methoxy group or a trifluoromethyloxy group;

Y is a C₇-C₁₄ aralkyl group or a C₇-C₁₄ aralkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group β as defined in claim 1); and

A is a phenyl group, a naphthyl group or a pyridyl group.

38. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹, R² and R³ are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetylamino group, or R¹ and R² may be taken together to form a methylenedioxy group;

R⁴ and R⁵ are the same or different and each is a hydrogen atom, a chlorine atom, a methyl group or a methoxy group;

X is a hydroxyl group;

Y is a C₁-C₅ alkyl group or a C₁-C₃ alkyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group α 1 as defined in claim 14); and

A is a phenyl group.

39. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetlamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a chlorine atom, a methyl group or a methoxy group;

X is a hydroxyl group;

Y is a cyclobutyl group, a cyclopentyl group, a cyclohexyl group, a piperidyl group, a perhydroazepinyl group or a perhydroazocinyl group; and

A is a phenyl group.

40. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetlamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a chlorine atom, a methyl group or a methoxy group;

X is a hydroxyl group;

Y is a phenyl group, a 1-naphthyl group or a 2-naphthyl group; and

A is a phenyl group.

41. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetlamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a chlorine atom, a methyl group or a methoxy group;

X is a hydroxyl group;

Y is a (C_3 - C_{10} cycloalkyl)methyl group or a (5- to 9-membered heterocyclyl)methyl group; and

A is a phenyl group.

42. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 , R^2 and R^3 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetylamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group;

R^4 and R^5 are the same or different and each is a hydrogen atom, a chlorine atom, a methyl group or a methoxy group;

X is a hydroxyl group;

Y is a (C_6 - C_{10} aryl)methyl group, a (C_6 - C_{10} aryl)ethyl group, a (C_6 - C_{10} aryl)methyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group $\beta 1$ as defined in claim 18) or a (C_6 - C_{10} aryl)ethyl group substituted with from 1 to 4 substituents (said substituents are the same or different and are selected from substituent group $\beta 1$ as defined in claim 18); and

A is a phenyl group.

43. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 and R^2 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetylamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group;

R^3 is a hydrogen atom;

R^4 and R^5 are each a hydrogen atom;

X is a hydroxyl group;

Y is an ethyl group, a propyl group, a butyl group, an isopropyl group, sec-butyl group, a 3-pentyl group, a trifluoromethyl group, a dichloromethyl group, a 1-bromoethyl group, a 1-chloroethyl group, a diethylaminomethyl group or a diisopropylaminomethyl group; and

A is a phenyl group.

44. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R^1 and R^2 are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetylamino group, or R^1 and R^2 may be taken together to form a methylenedioxy group;

R³ is a hydrogen atom;

R⁴ and R⁵ are each a hydrogen atom;

X is a hydroxyl group;

Y is a cyclobutyl group, a cyclopentyl group, a cyclohexyl group, a piperidyl group, a perhydroazepinyl group or a perhydroazocinyl group; and

A is a phenyl group.

45. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹ and R² are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetyl amino group, or R¹ and R² may be taken together to form a methylenedioxy group;

R³ is a hydrogen atom;

R⁴ and R⁵ are each a hydrogen atom;

X is a hydroxyl group;

Y is a phenyl group, a 1-naphthyl group or a 2-naphthyl group; and

A is a phenyl group.

46. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹ and R² are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetyl amino group, or R¹ and R² may be taken together to form a methylenedioxy group;

R³ is a hydrogen atom;

R⁴ and R⁵ are each a hydrogen atom;

X is a hydroxyl group;

Y is a cyclopentylmethyl group, a cyclohexylmethyl group, a cycloheptylmethyl group, a 2-thienylmethyl group, a 1-pyrrolidinylmethyl group, a 1-piperidylmethyl group or a 1-perhydroazepinylmethyl group; and

A is a phenyl group.

47. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to claim 1, wherein:

R¹ and R² are the same or different and each is a hydrogen atom, a hydroxyl group, a fluorine atom, a chlorine atom, a methyl group, an ethyl group, a trifluoromethyl group, a methoxy group, an ethoxy group or an acetyl amino group, or R¹ and R² may be taken together to form

a methylenedioxy group;

R³ is a hydrogen atom;

R⁴ and R⁵ are each a hydrogen atom;

X is a hydroxyl group;

Y is a benzyl group, a 1-naphthylmethyl group, a 2-naphthylmethyl group or a benzyl group which is substituted with from 1 to 4 substituents on the phenyl moiety (said substituents are the same or different and are selected from substituent group β2 as defined in claim 25); and

A is a phenyl group.

48. A compound of formula (I) according to claim 1 selected from the following compounds:

2-trifluoromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-cyclobutyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-[difluoro(phenyl)methyl]-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(4-chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(2-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(3-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(3-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(4-trifluoromethylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(4-methoxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(2,4-difluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-(3,4-methylenedioxybenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-5-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-5-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
5-chloro-2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-(4-bromobenzyl)-5-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
5-chloro-2-(4-chlorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
5-chloro-2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-5-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6-methyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6-bromo-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6-acetylamino-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-7-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-7-hydroxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-7-trifluoromethyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-7-methoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-8-chloro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

2-benzyl-5-fluoro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6-fluoro-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-dimethoxy-2-(4-methylbenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-(4-bromobenzyl)-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-(4-chlorobenzyl)-6,7-dimethoxy-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-dimethoxy-2-(4-fluorobenzyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-methylenedioxy-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-difluoro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6-methoxy-5-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
5,6-dimethyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6-chloro-5-methyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6-methoxy-5-methyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
5,6-dichloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
5,7-dichloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-dimethyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
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7-methyl-6-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-dichloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,

7-methoxy-6-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-methyl-6-methoxy-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-chloro-6-methoxy-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-chloro-6-fluoro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-fluoro-6-methyl-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-dimethoxy-5-chloro-2-benzyl-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
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2-benzyl-3-[3-methyl-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-3-[3-methoxy-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-5-chloro-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
2-benzyl-6,7-dimethoxy-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-difluoro-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6-chloro-5-methyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6-methoxy-5-methyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
6,7-dimethyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-methyl-6-chloro-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-methoxy-6-chloro-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-methyl-6-methoxy-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
7-chloro-6-methoxy-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone, and

7-fluoro-6-methyl-2-benzyl-3-[3-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-4(3H)-quinazolinone,
or a pharmacologically acceptable salt or ester thereof.

49. A pharmaceutical composition comprising an effective amount of a pharmacologically active compound together with a carrier or diluent therefor, wherein said pharmacologically active compound is a compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 48.

50. A composition according to claim 49 for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal.

51. A composition according to claim 49 for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease selected from the group consisting of arteriosclerosis including that derived from the diseases defined below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, autoimmune diseases, cardiovascular diseases, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications, obesity, nephritis, hepatitis, cancer and Alzheimer's disease.

52. A composition according to claim 49 for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease selected from the group consisting of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines and diabetes mellitus.

53. A composition according to claim 49 for the treatment and/or prevention in a warm-blooded animal, which may be human of arteriosclerosis.

54. A compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 48 for use as a medicament.

55. Use of at least one compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 48 in the manufacture of a medicament for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal.

56. Use according to claim 55, wherein said disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal is selected from the group consisting of arteriosclerosis including that derived from the diseases defined below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, autoimmune diseases, cardiovascular diseases, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications, obesity, nephritis, hepatitis, cancer and Alzheimer's disease.
57. Use according to claim 55, wherein said disease is selected from the group consisting of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines and diabetes mellitus.
58. Use according to claim 55, wherein said disease is arteriosclerosis.
59. A method for the treatment and/or prevention in a warm-blooded animal, which may be human of a disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal, which comprises administering to said warm-blooded animal an effective amount of a compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 48.
60. A method according to claim 59, wherein said disease that is treatable and/or preventable by the modulation of LXR function in said warm-blooded animal is selected from the group consisting of arteriosclerosis including that derived from the diseases defined below, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines, autoimmune diseases, cardiovascular diseases, cerebrovascular diseases, renal diseases, diabetes mellitus, diabetic complications, obesity, nephritis, hepatitis, cancer and Alzheimer's disease.
61. A method according to claim 59, wherein said disease is selected from the group consisting of arteriosclerosis, atherosclerosis, arteriosclerosis derived from diabetes mellitus, hyperlipidemia, lipid-related diseases, inflammatory diseases mediated by inflammatory cytokines and diabetes mellitus.
62. A method according to claim 59, wherein said disease is arteriosclerosis.

63. A pharmaceutical composition comprising a compound of formula (I) or a pharmacologically acceptable salt or ester thereof according to any one of claims 1 to 48 and at least one pharmaceutically active agent selected from the group consisting of HMG-CoA reductase inhibitors, ACAT inhibitors, angiotensin II inhibitors and diuretic agents, together with a carrier or diluent therefor.
64. A pharmaceutical composition according to claim 63, wherein said pharmaceutically active agent is a HMG-CoA reductase inhibitor.
-

SEQUENCE LISTING

<110> Sankyo Company, Limited; X-Cepto Therapeutics, Inc.

<120> Fused-ring pyrimidin-4(3H)-one derivatives, processes for the preparation and uses thereof

<130> FP-0310

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<150> US 60/389,662

<151> 2002-06-18

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<170> PatentIn Ver. 2.1

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<213> Artificial Sequence

<220>

<223> Inventor: Kozo Oda; Satoru Kaneko; Takeshi Watanabe; Raju Mohan

Inventor: Edwin J. Schweiger; Richard Martin

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<223> Description of Artificial Sequence : A sense primer for amplifying a DNA encoding a ligand binding domain of human LXR alpha (LXR α).

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<223> Description of Artificial Sequence : An antisense primer for amplifying a DNA encoding a ligand binding domain of human LXR beta (LXR β).

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| ccggaacaac | tgggcatgat | cgagaagctc | gtcgtgccc | agcaacagt | taaccggcgc | 120 |
| tccttttctg | accggcttcg | agtcacgcct | tggcccatgg | caccagatcc | ccatagccgg | 180 |
| gaggcccgtc | agcagcgctt | tgcccacttc | actgagctgg | ccatcgtctc | tgtgcaggag | 240 |
| atagttgact | ttgctaaaca | gctacccggc | ttcctgcagc | tcagccggga | ggaccagatt | 300 |
| gccctgctga | agacctctgc | gatacaggtg | atgcttctgg | agacatctcg | gagggtacaac | 360 |
| cctgggagtg | agagtatcac | cttcctcaag | gatttcagtt | ataaccggga | agactttgcc | 420 |
| aaagcagggc | tgcaagtgga | atlcataaac | cccattctcg | agttctccag | ggccatgaat | 480 |
| gagctgcaac | tcaatgatgc | cgagtttgcc | ttgctcattg | ctatcagcat | cttctctgca | 540 |
| gaccggccca | acgtgcagga | ccagctccag | gtagagaggc | tgacgcacac | atatgtggaa | 600 |
| gccctgcatg | cctacgtctc | catccacat | ccccatgacc | gactgatgtt | cccacgggat | 660 |
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<213> Homo sapiens

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| ccccagtccc | gagatgcccg | ccagcaacgc | tttgccact | tcacggagct | ggccatcatc | 240 |
| tcagtccagg | agatcgtgga | cttcgctaag | caagtgcctg | gtttctgca | gctgggcccgg | 300 |
| gaggaccaga | tcgcccctct | gaaggcatcc | actatcgaga | tcatgctgct | agagacagcc | 360 |
| aggcgctaca | accacgagac | agagtgtatc | accttcttga | aggacttcac | ctacagcaag | 420 |
| gacgacttcc | accgtgcagg | cctgcagggtg | gagttcatca | acccatctt | cgagttctcg | 480 |

| | | | | | | |
|-------------|------------|-------------|------------|------------|-------------|-----|
| cgggccatgc | ggcggctggg | cctggacgac | gctgagtacg | ccctgctcat | cgccatcaac | 540 |
| atcttctcgg | ccgaccggcc | caacgtgcag | gagccgggcc | gcgtggaggc | gttgacagcag | 600 |
| ccctacgtgg | aggcgtgct | gtcctacacg | cgcacaaaga | ggccgcagga | ccagctgcgc | 660 |
| ttcccgcgca | tgctcatgaa | gctgggtgagc | ctgcgcacgc | tgagctctgt | gcactcggag | 720 |
| cagggtcttcg | ccttgcggct | ccaggacaag | aagctgccgc | ctctgctgtc | ggagatctgg | 780 |
| gacgtccacg | agtga | | | | | 795 |

INTERNATIONAL SEARCH REPORT

Internat Application No

PCT/JP 03/07677

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D239/91 C07D239/70 A61K31/517 C07D409/06 C07D401/06
 C07D471/04 C07D403/06 C07D417/06 C07D495/04 A61P3/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|-----------------------|
| A | WO 00 54759 A (TULARIK INC) 21 September 2000 (2000-09-21) cited in the application. See compound 18.35 page 2, line 34 -page 4, line 22 | 1-64 |
| A | WO 01 23365 A (BARNICKEL GERHARD ;BERNOTAT DANIELOWSKI SABINE (DE); MERCK PATENT) 5 April 2001 (2001-04-05) page 1, line 1 -page 4, line 19 | 1-64 |



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

A document defining the general state of the art which is not considered to be of particular relevance

E earlier document but published on or after the international filing date

L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

G document member of the same patent family

Date of the actual completion of the international search

2 September 2003

Date of mailing of the international search report

09/09/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
 NL - 2280 HV Rijswijk
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Authorized officer

Usue111, A

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP 03/07677

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 59-62 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 03/07677

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